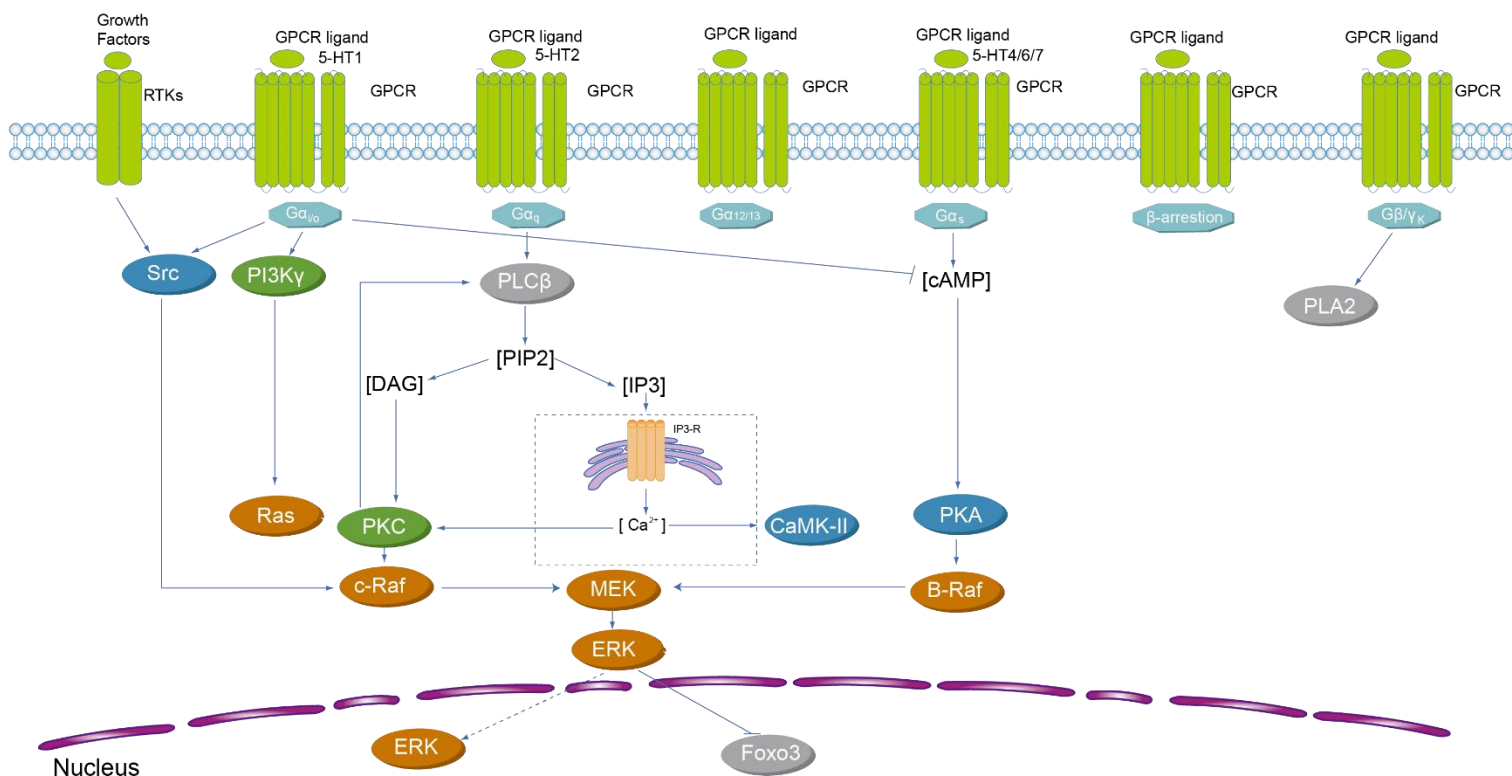


# インヒビターやアゴニスト、モジュレーターを幅広く供給



## GPCR/Gタンパク 関連化合物



- Gタンパク結合受容体(GPCR)研究に使用される  
生物学的活性を有する特徴的な小分子阻害剤。
- 創薬やスクリーニングの対象になりやすい  
GPCRに関する様々な生理活性化合物を提供。
- GPCRをはじめ、5-HT受容体、CasR、エンドセリン、CGRPなど  
様々な標的に対する活性物質を提供。
- 高純度を保証するためにNMRおよびHPLCにより分析。

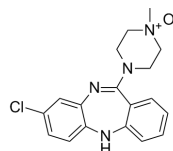


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〒300-2655 茨城県つくば市万博公園西F26街区1インプレス103  
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# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~



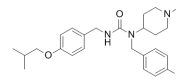
## HY-17366

### Clozapine N-oxide

Clozapine N-oxide is a major metabolite of Clozapine noted to decrease SR-2A (5-HT<sub>2</sub> serotonin receptor) density in vitro.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 34233-69-7)



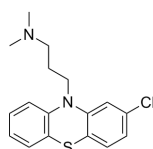
## HY-14557

### Pimavanserin

Pimavanserin is a potent 5-hydroxytryptamine (5-HT)<sub>2A</sub> receptor inverse agonist, displays potent inverse agonist activity in the cell-based functional assay receptor selection and amplification technology (R-SAT), with a mean pIC<sub>50</sub> of 8.7.

Target: **5-HT Receptor**  
Effect: **Agonist**

(CAS No. : 706779-91-1)



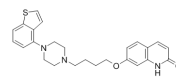
## HY-B0407A

### Chlorpromazine hydrochloride

Chlorpromazine Hydrochloride is an antagonist of the dopamine D<sub>2</sub> receptors, 5-HT<sub>2A</sub> receptors, potassium channel, sodium channel, with K<sub>i</sub> of 363 nM and 8.3 nM for dopamine D<sub>2</sub> receptor and serotonin 5-HT<sub>2A</sub> receptor, respectively.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 69-09-0)



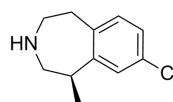
## HY-15780

### Brexpiprazole

Brexpiprazole is a potent partial agonist at human 5-hydroxytryptamine (5-HT)<sub>2A</sub> 5-HT<sub>1A</sub> (K<sub>i</sub>=0.12 nM) and dopamine D<sub>2L</sub> (K<sub>i</sub>=0.3 nM) receptors, and an antagonist at 5-HT<sub>2A</sub> receptors (K<sub>i</sub>=0.47 nM).

Target: **5-HT Receptor**  
Effect: **Modulator**

(CAS No. : 913611-97-9)



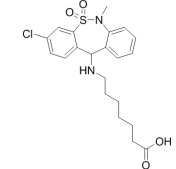
## HY-15368

### Lorcaserin Hydrochloride

Lorcaserin (Hydrochloride) is a selective full agonist of human 5-HT<sub>2C</sub> receptor with K<sub>i</sub> of 15 nM.

Target: **5-HT Receptor**  
Effect: **Agonist**

(CAS No. : 846589-98-8)



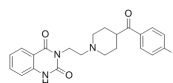
## HY-90003

### Tianeptine

Tianeptine is a selective facilitator of 5-HT uptake in vitro and in vivo.

Target: **5-HT Receptor**  
Effect: **Agonist**

(CAS No. : 72797-41-2)



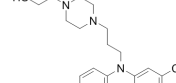
## HY-10562

### Ketanserin

Ketanserin is a selective 5-HT receptor antagonist.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 74050-98-9)



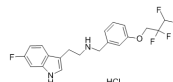
## HY-A0077

### Perphenazine

Perphenazine is a typical antipsychotic drug, inhibits 5-HT<sub>2A</sub> receptor (5-HT<sub>2A</sub>), Alpha-1A adrenergic receptor ( $\alpha$  1A), Dopamine receptor D<sub>2</sub>/D<sub>3</sub>, D<sub>2L</sub> receptor, and Histamine H<sub>1</sub> receptor (H<sub>1</sub>) with K<sub>i</sub> of 5.6, 10, 0.765/0.13, 3.4, and 8 nM.

Target: **5-HT Receptor**  
Effect: **Inhibitor**

(CAS No. : 58-39-9)



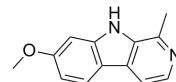
## HY-14338A

### Lu AE58054 Hydrochloride

Lu AE58054 hydrochloride is an in-vitro potency and selectivity, in-vivo binding affinity and effect of the 5-HT<sub>6</sub>R antagonist with a K<sub>i</sub> value of 0.83 nM.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 467458-02-2)



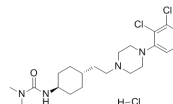
## HY-N0737A

### Harmine

Harmine, a tricyclic  $\beta$ -carboline alkaloid that was originally isolated from seeds of Peganum harmala, has been reported to possess anxiolytic, behavioral effects.

Target: **5-HT Receptor**  
Effect: **Inhibitor**

(CAS No. : 442-51-3)



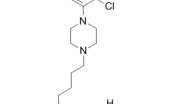
## HY-14763A

### Cariprazine hydrochloride

Cariprazine hydrochloride is a novel antipsychotic drug candidate that exhibits high affinity for the D<sub>3</sub> (K<sub>i</sub>=0.085 nM) and D<sub>2</sub> (K<sub>i</sub>=0.49 nM) receptors, and moderate affinity for the 5-HT<sub>1A</sub> receptor (K<sub>i</sub>=2.6 nM).

Target: **5-HT Receptor**  
Effect: **Modulator**

(CAS No. : 1083076-69-0)



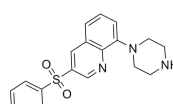
## HY-14546

### Aripiprazole

Aripiprazole (Abilify) is a human 5-HT<sub>1A</sub> receptor partial agonist with a K<sub>i</sub> of 4.2 nM.

Target: **5-HT Receptor**  
Effect: **Agonist**

(CAS No. : 129722-12-9)



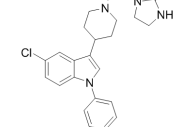
## HY-14339

### SB-742457

SB742457 is a highly selective 5-HT<sub>6</sub> receptor antagonist with pK<sub>i</sub> of 9.63; exhibits >100-fold selectivity over other receptors.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 607742-69-8)



## HY-14543

### Sertindole

Sertindole, a neuroleptic, is one of the newer antipsychotic medications available.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 106516-24-9)

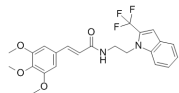
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# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-16978

TG6-10-1



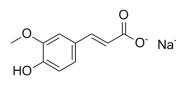
TG6-10-1 is an EP2 antagonist, shows low-nanomolar antagonist activity against only EP2, >300-fold selectivity over human EP3, EP4, and IP receptors, 100-fold selectivity over EP1 receptors.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 1415716-58-3)

## HY-N0060A

Ferulic acid sodium



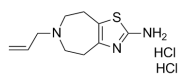
Ferulic acid (4-hydroxy-3-methoxycinnamic acid) is a phenolic compound present in several plants with claimed beneficial effects in prevention and treatment of disorders linked to oxidative stress and inflammation.

Target: **5-HT Receptor**  
Effect: **Activator**

(CAS No. : 24276-84-4)

## HY-A0008

B-HT 920



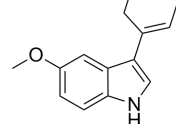
B-HT 920(Talipexole 2HCl) is a dopamine D2 receptor agonist,  $\alpha$ 2-adrenoceptor agonist and 5-HT3 receptor antagonist, which displays antiParkinsonian activity.

Target: **5-HT Receptor**  
Effect: **Antagonist**

(CAS No. : 36085-73-1)

## HY-16688

RU 24969



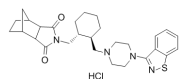
RU 24969 is a selective agonist at the 5-HT1A and 5-HT1B receptors.

Target: **5-HT Receptor**  
Effect: **Agonist**

(CAS No. : 66611-26-5)

## HY-B0032

Lurasidone Hydrochloride



Lurasidone Hcl (SM 13496 Hcl) is an inhibitor of Dopamine D2, 5-HT2A, 5-HT7, 5-HT1A and noradrenaline  $\alpha$ 2C with IC50 of 1.68 nM, 2.03 nM, 0.495 nM, 6.75 nM and 10.8 nM, respectively.

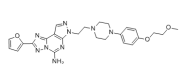
Target: **5-HT Receptor**  
Effect: **Inhibitor**

(CAS No. : 367514-88-3)

他にも多数の  
5-HT Receptor関連化合物  
を取扱っています。

## HY-10889

Preladenant



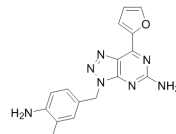
Preladenant is a potent competitive antagonist of the human A2A receptor (Ki=1.1 nM) and has >1000-fold selectivity over all other adenosine receptors.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 377727-87-2)

## HY-10857

Vipadenant



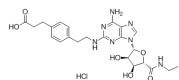
Vipadenant(BIIB-014) is an adenosine A2a antagonist with Ki of 1.3 nM; less potent for A1(Ki=69 nM).

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 442908-10-3)

## HY-13201A

CGS 21680 Hydrochloride



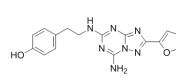
CGS 21680 Hydrochloride is a specific adenosine A2A receptor agonist, used for treatment of neurological disease.

Target: **Adenosine Receptor**  
Effect: **Agonist**

(CAS No. : 124431-80-7)

## HY-19532

ZM241385



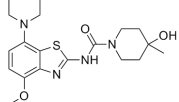
ZM 241385 is a novel non-xanthine adenosine receptor antagonist with selectivity for the A2a receptor subtype.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 139180-30-6)

## HY-10995

Tozadenant



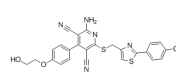
Tozadenant (SYN-115) is an adenosine A2A receptor antagonist.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 870070-55-6)

## HY-14917

Capadenoson



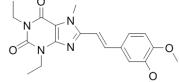
Capadenoson is a selective agonist of adenosine-A1 receptor.

Target: **Adenosine Receptor**  
Effect: **Agonist**

(CAS No. : 544417-40-5)

## HY-10888

Istradefylline



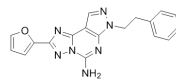
Istradefylline is a very potent, selective and orally active adenosine A2A receptor antagonist with Ki of 2.2 nM in experimental models of Parkinson's disease.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 155270-99-8)

## HY-19533

SCH 58261

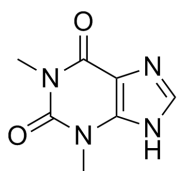


SCH 58261 is the adenosine A2A receptor competitive antagonist. Displays 323-, 53- and 100-fold selectivity over A1, A2B and A3 receptors, respectively.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

(CAS No. : 160098-96-4)

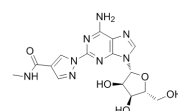
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**HY-B0809****Theophylline**

Theophylline is a methylated xanthine derivative; competitive nonselective phosphodiesterase inhibitor and nonselective adenosine receptor antagonist.

Target: **Adenosine Receptor**  
Effect: **Inhibitor**

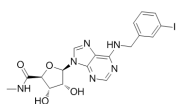
(CAS No. : 58-55-9)

**HY-A0168****Regadenoson**

Regadenoson is an A2A adenosine receptor agonist that is a coronary vasodilator that is commonly used in pharmacologic stress testing.

Target: **Adenosine Receptor**  
Effect: **Agonist**

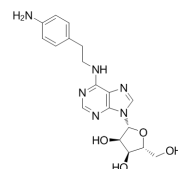
(CAS No. : 313348-27-5)

**HY-13591****IB-MECA**

IB-MECA is an agonist of the adenosine A3 receptor with EC50 values of 0.11  $\mu$ M.

Target: **Adenosine Receptor**  
Effect: **Agonist**

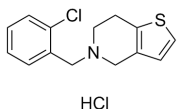
(CAS No. : 152918-18-8)

**HY-18687****N6-[2-(4-Aminophenyl)ethyl]adenosine**

N6-[2-(4-Aminophenyl)ethyl]adenosine is a potent, non-selective A3 adenosine receptor agonist.

Target: **Adenosine Receptor**  
Effect: **Agonist**

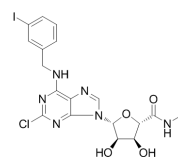
(CAS No. : 89705-21-5)

**HY-B0153A****Ticlopidine hydrochloride**

Ticlopidine hydrochloride is an adenosine diphosphate (ADP) receptor inhibitor against platelet aggregation with IC50 of  $\sim 2 \mu$ M.

Target: **Adenosine Receptor**  
Effect: **Inhibitor**

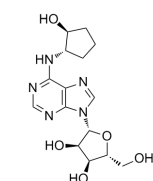
(CAS No. : 53885-35-1)

**HY-12365****2-Cl-IB-MECA**

2-Cl-IB-MECA is a selective A3 adenosine receptor agonist ( $K_i = 0.33$  nM). Displays 2500- and 1400-fold selectivity over A1 and A2A receptors respectively.

Target: **Adenosine Receptor**  
Effect: **Agonist**

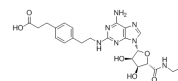
(CAS No. : 163042-96-4)

**HY-18978****GR79236**

GR79236 is a highly potent and selective adenosine A1 receptor agonist ( $K_i = 3.1$  nM) that has analgesic and anti-inflammatory actions in humans and animals.

Target: **Adenosine Receptor**  
Effect: **Agonist**

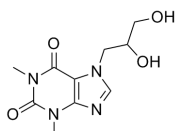
(CAS No. : 124555-18-6)

**HY-13201****CGS 21680**

CGS 21680 is a specific adenosine A2A receptor agonist, used for treatment of neurological disease.

Target: **Adenosine Receptor**  
Effect: **Agonist**

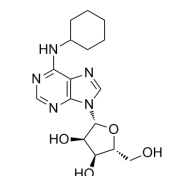
(CAS No. : 120225-54-9)

**HY-B0128****Diphylline**

Diphylline acts as an adenosine receptor antagonist and phosphodiesterase inhibitor, which is used in the treatment of respiratory disorders.

Target: **Adenosine Receptor**  
Effect: **Antagonist**

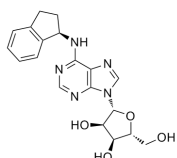
(CAS No. : 479-18-5)

**HY-18939****N6-Cyclohexyladenosine**

N6-Cyclohexyladenosine is a selective A1 receptor agonist (EC50 = 8.2 nM).

Target: **Adenosine Receptor**  
Effect: **Agonist**

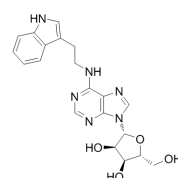
(CAS No. : 36396-99-3)

**HY-10032****PD 117519**

PD 117519 is an adenosine agonist.

Target: **Adenosine Receptor**  
Effect: **Agonist**

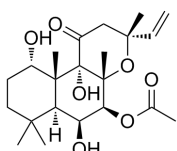
(CAS No. : 96392-15-3)

**HY-18776****A2AR-agonist-1**

A2AR-agonist-1 is a potent A2AR and ENT1 agonist with  $K_i$  of 4.39 and 3.47 for A2AR and ENT1.

Target: **Adenosine Receptor**  
Effect: **Agonist**

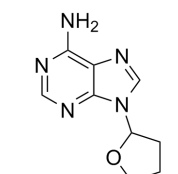
(CAS No. : 41552-95-8)

**HY-15371****Forskolin**

Forskolin is a potent adenylate cyclase activator, with binding (IC50=41 nM) to and activation (EC50=0.5  $\mu$ M) of type I adenyllyl cyclase.

Target: **Adenylate Cyclase**  
Effect: **Activator**

(CAS No. : 66575-29-9)

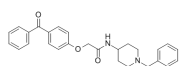
**HY-100396****SQ22536**

SQ22536 is an effective adenylate cyclase (AC) inhibitor.

Target: **Adenylate Cyclase**  
Effect: **Inhibitor**

(CAS No. : 17318-31-9)

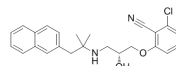
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**HY-15848****AdipoRon**

AdipoRon is a novel AdipoR agonist, binding to both AdipoR1 (Kd=1.8  $\mu$ M) and AdipoR2 (Kd=3.1  $\mu$ M).

Target: **Adiponectin Receptor**  
Effect: **Agonist**

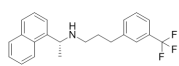
(CAS No. : 924416-43-3)

**HY-10007****NPS-2143**

NPS-2143 is a novel potent and selective antagonist of Ca<sub>2+</sub> receptor with IC<sub>50</sub> of 43 nM.

Target: **CaSR**  
Effect: **Inhibitor**

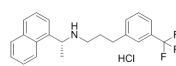
(CAS No. : 284035-33-2)

**HY-70037****Cinacalcet**

Cinacalcet is an orally active, allosteric agonist of Ca receptor (CaR), used for cardiovascular disease treatment.

Target: **CaSR**  
Effect: **Agonist**

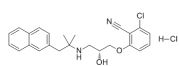
(CAS No. : 226256-56-0)

**HY-70037A****Cinacalcet hydrochloride**

Cinacalcet hydrochloride is an orally active, allosteric agonist of Ca receptor (CaR), used for cardiovascular disease treatment.

Target: **CaSR**  
Effect: **Agonist**

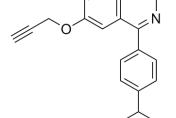
(CAS No. : 364782-34-3)

**HY-10171****NPS-2143 hydrochloride**

NPS-2143(SB 262470A ) is a selective potent calcium ion-sensing receptor antagonist with IC<sub>50</sub> of 43 and 41 nM for cytoplasmic Ca<sub>2+</sub> concentrations and parathyroid hormone secretion, respectively.

Target: **CaSR**  
Effect: **Antagonist**

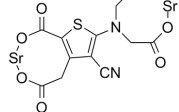
(CAS No. : 324523-20-8)

**HY-50713****Calcium-Sensing Receptor Antagonists I**

Calcium-Sensing Receptor Antagonists I is an antagonist of calcium-sensing parathyroid hormone receptors.

Target: **CaSR**  
Effect: **Antagonist**

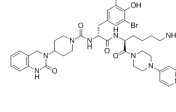
(CAS No. : 478963-79-0)

**HY-17397****Strontium Ranelate**

Strontium ranelate(S12911) stimulates the calcium sensing receptors (CaSR) and leads to the differentiation of pre-osteoblast to osteoblast which increases the bone formation.

Target: **CaSR**  
Effect: **Activator**

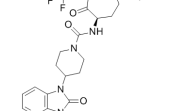
(CAS No. : 135459-87-9)

**HY-10095****Olcegepant**

Olcegepant is the first potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with IC<sub>50</sub> of 0.03 nM and with a K<sub>i</sub> of 14.4 pM for human CGRP.

Target: **CGRP Receptor**  
Effect: **Antagonist**

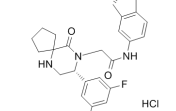
(CAS No. : 204697-65-4)

**HY-32709****MK-0974**

MK-0974(Telcagepant) is a highly potent, selective, and orally bioavailable CGRP receptor antagonist with K<sub>i</sub> values of 0.77 nM and 1.2 nM for human and rhesus CGRP receptors respectively; displays >1500-fold lower affinity for the canine and rat receptors.

Target: **CGRP Receptor**  
Effect: **Antagonist**

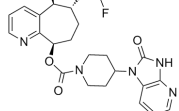
(CAS No. : 781649-09-0)

**HY-10302****MK-3207 Hydrochloride**

MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC<sub>50</sub>= 0.12 nM; K<sub>i</sub> value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.

Target: **CGRP Receptor**  
Effect: **Antagonist**

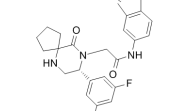
(CAS No. : 957116-20-0)

**HY-15498****BMS-927711**

BMS-927711 is a highly potent, oral calcitonin gene-related peptide (CGRP) receptor antagonist with a K<sub>i</sub> value of 0.027 nM.

Target: **CGRP Receptor**  
Effect: **Antagonist**

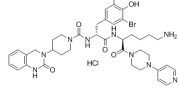
(CAS No. : 1289023-67-1)

**HY-10301****MK-3207**

MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC<sub>50</sub>= 0.12 nM; K<sub>i</sub> value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.

Target: **CGRP Receptor**  
Effect: **Antagonist**

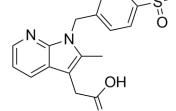
(CAS No. : 957118-49-9)

**HY-10095A****Olcegepant hydrochloride**

Olcegepant hydrochloride is the first potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with IC<sub>50</sub> of 0.03 nM and with a K<sub>i</sub> of 14.4 pM for human CGRP.

Target: **CGRP Receptor**  
Effect: **Antagonist**

(CAS No. : 586368-06-1)

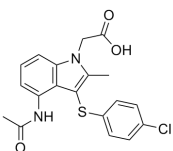
**HY-16768****Fevipiprant**

Fevipiprant(QAW039) is a selective, potent, reversible competitive CRTh2 antagonist with an in vitro dissociation constant K<sub>D</sub> value of 1.1nM at the CRTh2 receptor and an IC<sub>50</sub> value of 0.44 nM for inhibition of PGD<sub>2</sub>-induced eosinophil shape change

Target: **CRTH2 (GPR44)**  
Effect: **Antagonist**

(CAS No. : 872365-14-5)

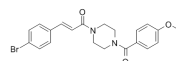
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**HY-15950****AZD1981**

AZD1981 is a potent and selective CRTh2 antagonist; displaces radio-labelled PGD<sub>2</sub> from human recombinant DP2 with high potency (pIC<sub>50</sub> = 8.4).

Target: **CRTH2 (GPR44)**  
Effect: **Antagonist**

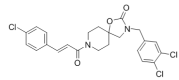
(CAS No. : 802904-66-1)

**HY-12336****NIBR189**

NIBR189 is a small molecule antagonist of the Epstein-Barr virus-induced gene 2 (EBI2; GPR183) receptor with IC<sub>50</sub> of 16 nM (Binding) and 11 nM (Functional).

Target: **EBI2/GPR183**  
Effect: **Antagonist**

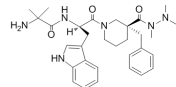
(CAS No. : 1599432-08-2)

**HY-101192****GSK682753A**

GSK682753A is a selective and highly potent inverse agonist of the Epstein-Barr virus-induced receptor 2 (EBI2) with an IC<sub>50</sub> of 53.6 nM.

Target: **EBI2/GPR183**  
Effect: **Agonist**

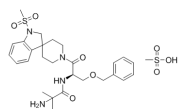
(CAS No. : 1334294-76-6)

**HY-14734****Anamorelin**

Anamorelin is a novel ghrelin receptor agonist with EC<sub>50</sub> value of 0.74 nM in the FLIPR assay.

Target: **GHSR**  
Effect: **Agonist**

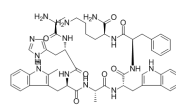
(CAS No. : 249921-19-5)

**HY-50844****Ibutamoren Mesylate**

Ibutamoren mesylate (MK-0677) is an orally active nonpeptide growth hormone (GH) secretagogue.

Target: **GHSR**  
Effect: **Agonist**

(CAS No. : 159752-10-0)

**HY-P0166****Alexamorelin**

Alexamorelin is a new synthetic heptapeptide which inhibits GHS binding in vitro.

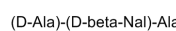
Target: **GHSR**  
Effect: **Inhibitor**

(CAS No. : 196808-85-2)

**HY-P0166A****Alexamorelin Met 1**

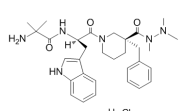
Alexamorelin Met 1 is one of the metabolites of alexamorelin.

Target: **GHSR**  
Effect: **Inhibitor**

**HY-P0169A****GHRP-2 metabolite 1**

GHRP-2 metabolite 1 is one of the GHRP-2 metabolites.

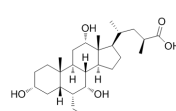
Target: **GHSR**  
Effect: **Agonist**

**HY-14734A****Anamorelin hydrochloride**

Anamorelin hydrochloride is a novel ghrelin receptor agonist with EC<sub>50</sub> value of 0.74 nM in the FLIPR assay.

Target: **GHSR**  
Effect: **Agonist**

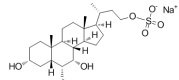
(CAS No. : 861998-00-7)

**HY-15677****INT-777**

INT-777 is a potent TGR5 agonist with EC<sub>50</sub> of 0.82 μM.

Target: **GPCR19**  
Effect: **Agonist**

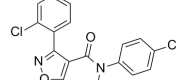
(CAS No. : 1199796-29-6)

**HY-12434****INT-767**

INT-767 is a potent agonist for both FXR (mean EC<sub>50</sub>, 30 nM by PerkinElmer AlphaScreen assay) and TGR5 (mean EC<sub>50</sub>, 630 nM by time resolved-fluorescence resonance energy transfer).

Target: **GPCR19**  
Effect: **Agonist**

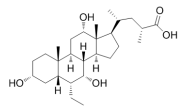
(CAS No. : 1000403-03-1)

**HY-14229****TGR5 Receptor Agonist**

TGR5 Receptor Agonist, a potent TGR5 (GPCR19) agonist, showed improved potency in the U2-OS cell assay (pEC<sub>50</sub> = 6.8) and in melanophore cells (pEC<sub>50</sub> = 7.5).

Target: **GPCR19**  
Effect: **Agonist**

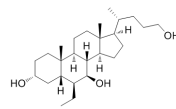
(CAS No. : 1197300-24-5)

**HY-15677A****INT-777 R-enantiomer**

INT-777 R-enantiomer is the R-enantiomer of INT-777, with EC<sub>50</sub> of 4.79 μM for TGR5, and less potent than INT-777.

Target: **GPCR19**  
Effect: **Agonist**

(CAS No. : 1198786-98-9)

**HY-101274****BAR501**

BAR501 is a potent and selective agonist of GPBAR1 with an EC<sub>50</sub> of 1 μM.

Target: **GPCR19**  
Effect: **Agonist**

(CAS No. : 1632118-69-4)

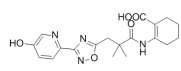
(全ての製品は試験研究用です。試験研究以外の用途にはご利用いただけません。)

# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-10680

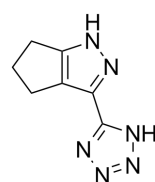
MK-6892



MK-6892 is a potent, selective, and full agonist for the high affinity nicotinic acid (NA) receptor GPR109A.

Target: **GPR109A**  
Effect: **Agonist**

(CAS No. : 917910-45-3)



## HY-13008

MK-0354

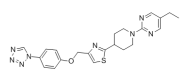
MK-0354 is a partial agonist of GPR109a receptor, for hGPR109a/ mGPR109a with EC50 of 1.65/1.08  $\mu$ M, showed no activation of GPR109b.

Target: **GPR109A**  
Effect: **Agonist**

(CAS No. : 851776-28-8)

## HY-15291

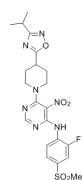
MBX-2982



MBX-2982 is a selective, orally-available G protein-coupled receptor 119 (GPR119) agonist.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 1037792-44-1)



## HY-15564

AR 231453

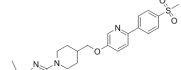
AR231453 is a potent and selective small molecule agonist of GPR119 that enhances glucose-dependent insulin secretion and glucagon-like peptide 1 (GLP-1) release; Antidiabetic agent.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 733750-99-7)

## HY-12066

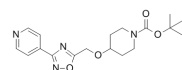
GSK1292263



GSK1292263 is a novel GPR119 receptor agonist used for the treatment of type 2 diabetes.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 1032823-75-8)



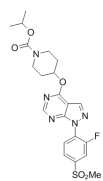
## HY-16673

PSN632408

PSN632408 is an optimized agonist of GPR119 receptors that shows similar potency to OEA at both recombinant mouse and human GPR119 receptors, exhibiting EC50 values of 5.6 and 7.9  $\mu$ M, respectively.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 857652-30-3)



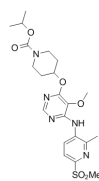
## HY-15565

APD668

APD668 is a potent GPR119 agonist with EC50 of 2.7 nM and 33 nM for hGPR119 and ratGPR119 respectively.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 832714-46-2)



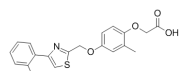
## HY-15566

APD597

APD597 is a GPR119 agonist intended for the treatment of type 2 diabetes, with EC50 of 46 nM for hGPR119.

Target: **GPR119**  
Effect: **Agonist**

(CAS No. : 897732-93-3)



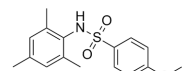
## HY-50162

GPR120 modulator 1

GPR120 modulator 1 is useful for modulating G protein-coupled receptor 120 (GPR120).

Target: **GPR120**  
Effect: **Modulator**

(CAS No. : 1050506-75-6)



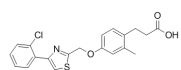
## HY-19995

GSK137647A

GSK137647A is a selective FFA4 agonist, with pEC50 of 6.3, 6.2, and 6.1 for human, Mouse and Rat FFA4, respectively.

Target: **GPR120**  
Effect: **Agonist**

(CAS No. : 349085-82-1)



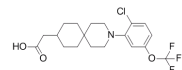
## HY-50172

GPR120 modulator 2

GPR120 modulator 2 is useful for modulating G protein-coupled receptor 120 (GPR120).

Target: **GPR120**  
Effect: **Modulator**

(CAS No. : 1050506-87-0)



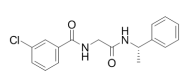
## HY-101492

GPR120-IN-1

GPR120-IN-1 is a selective Gpr120 agonist with a logEC50 of -7.62.

Target: **GPR120**  
Effect: **Agonist**

(CAS No. : 1599477-75-4)



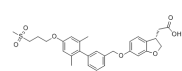
## HY-19838

JNJ-63533054

JNJ-63533054 is a potent and selective agonist of hGPR139 with an EC50 = 16 nM.

Target: **GPR139**  
Effect: **Agonist**

(CAS No. : 1802326-66-4)



## HY-10480

TAK-875

TAK-875 is a potent, selective and orally bioavailable GPR40 agonist with EC50 of 72 nM.

Target: **GPR40**  
Effect: **Activator**

(CAS No. : 1000413-72-8)

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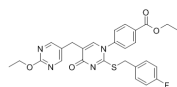
# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-50691

### GW-1100

GW-1100 is a selective GPR40 antagonist with a pIC<sub>50</sub> 6.9.



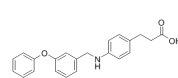
Target: **GPR40**  
Effect: **Antagonist**

(CAS No. : 306974-70-9)

## HY-15589

### GW9508

GW9508 is a potent and selective agonist for FFA1 (GPR40) with pEC<sub>50</sub> of 7.32, 100-fold selective against GPR120, stimulates insulin secretion in a glucose-sensitive manner.



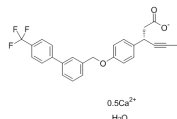
Target: **GPR40**  
Effect: **Agonist**

(CAS No. : 885101-89-3)

## HY-13967B

### AMG 837 calcium hydrate

AMG 837 calcium hydrate is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.



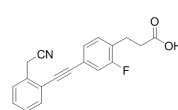
Target: **GPR40**  
Effect: **Agonist**

(CAS No. : 1259389-38-2)

## HY-15697

### TUG-770

TUG-770 is a highly potent free fatty acid receptor 1 (FFA1/GPR40) agonist with EC<sub>50</sub> of 6 nM for hFFA1.



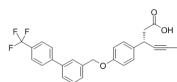
Target: **GPR40**  
Effect: **Agonist**

(CAS No. : 1402601-82-4)

## HY-13967

### AMG 837

AMG 837 is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.



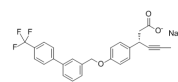
Target: **GPR40**  
Effect: **Agonist**

(CAS No. : 865231-46-5)

## HY-13967A

### AMG 837 sodium salt

AMG 837 sodium salt is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.



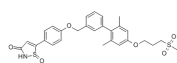
Target: **GPR40**  
Effect: **Agonist**

(CAS No. : 865231-45-4)

## HY-12647

### GPR40 Activator 2

GPR40 Activator 2 is a potent GPR40 activator from patents WO 2012147516 A1, WO 2012046869A1 and WO 2011078371 A1.



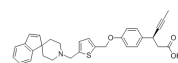
Target: **GPR40**  
Effect: **Activator**

(CAS No. : 1312787-30-6)

## HY-13971

### GPR40 Activator 1

GPR40 Activator 1 is a potent GPR40 activator for treatment of type 2 diabetes.



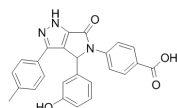
Target: **GPR40**  
Effect: **Activator**

(CAS No. : 1309435-60-6)

## HY-16697

### CID 16020046

CID 16020046 is a potent and selective GPR55 (LPI receptor) antagonist; inhibits GPR55 constitutive activity with IC<sub>50</sub> of 0.15 μM.



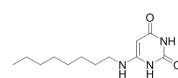
Target: **GPR55**  
Effect: **Antagonist**

(CAS No. : 834903-43-4)

## HY-12764

### 6-OAU

6-OAU (GTPL5846; 6-n-octylaminouracil) is a surrogate agonist of GPR84; activates human GPR84 in the presence of Gqi5 chimera in HEK293 cells with an EC<sub>50</sub> of 105 nM in the PI assay.



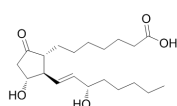
Target: **GPR84**  
Effect: **Agonist**

(CAS No. : 83797-69-7)

## HY-B0131

### Prostaglandin E1

Prostaglandin E1 (Alprostadil) is a prostaglandin, which is used in the treatment of erectile dysfunction and has vasodilatory properties.



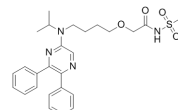
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 745-65-3)

## HY-14870

### NS-304

NS-304 is an orally available and potent agonist for the Prostacyclin (PGI<sub>2</sub>) receptor (IP receptor).



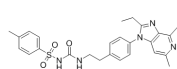
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 475086-01-2)

## HY-16781

### Grapiprant

Grapiprant is a selective EP4 receptor antagonist whose physiological ligand is prostaglandin E2 (PGE<sub>2</sub>).



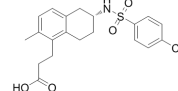
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 415903-37-6)

## HY-16991

### Terutroban

Terutroban is a thromboxane/prostaglandin endoperoxide receptor antagonist.



Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 165538-40-9)

(全ての製品は試験研究用です。試験研究以外の用途にはご利用いただけません。)



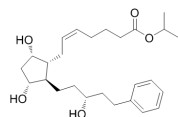
# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-B0577

### Latanoprost

Latanoprost is a selective agonist for the FP prostanoid receptor, is used as a powerful antiglaucoma agent.



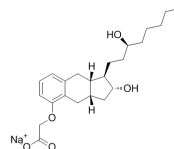
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 130209-82-4)

## HY-16504

### Treprostinil sodium

Treprostinil sodium is a potent DP1 and EP2 agonist with EC50 values of  $0.6 \pm 0.1$  and  $6.2 \pm 1.2$  nM, respectively.



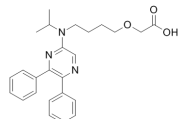
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 289480-64-4)

## HY-79593

### MRE-269

MRE-269 is an active metabolite of selexipag, and acts as a selective IP receptor agonist.



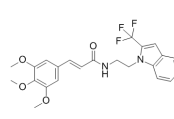
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 475085-57-5)

## HY-16978

### TG6-10-1

TG6-10-1 is an EP2 antagonist, shows low-nanomolar antagonist activity against only EP2, >300-fold selectivity over human EP3, EP4, and IP receptors, 100-fold selectivity over EP1 receptors.



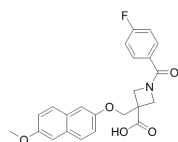
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 1415716-58-3)

## HY-18966

### PF-04418948

PF-04418948 is a novel, potent and selective prostaglandin EP2 receptor antagonist with IC50 of 16 nM, displays >2000-fold functional selectivity for the human EP2 receptor over antagonist activity against the human EP1, EP3, EP4, DP1 and CRTH2



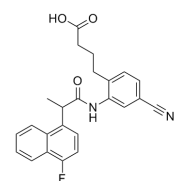
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 1078166-57-0)

## HY-50901

### ONO-AE3-208

ONO-AE3-208(AE 3-208) is an EP4 antagonist; suppresses cell invasion, migration, and metastasis of prostate cancer.



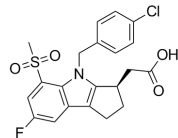
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 402473-54-5)

## HY-50175

### Laropiprant

Laropiprant is a potent, selective DP1 receptor antagonist with Ki value of 0.57 nM, and exhibits >1,000 fold selectivity over DP2 receptor (Ki=0.75  $\mu$ M).



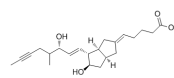
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 571170-77-9)

## HY-A0096

### Iloprost

Iloprost (ZK 36374) is a synthetic analogue of prostacyclin PGI2.



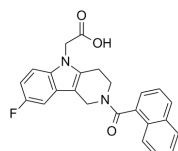
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 78919-13-8)

## HY-16635

### Setipiprant

Setipiprant is an orally available, selective CRTH2 antagonist. CRTH2 is a G protein-coupled receptor for PGD2.



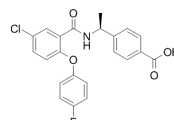
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 866460-33-5)

## HY-10797

### CJ-42794

CJ-42794 is a selective prostaglandin E receptor subtype 4 (EP4) antagonist, inhibits [<sup>3</sup>H]-PGE2 binding to the human EP4 receptor with a mean pKi of 8.5, a binding affinity that was at least 200-fold more selective for the human EP4 receptor than



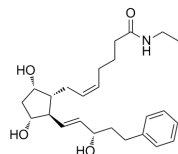
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 847728-01-2)

## HY-B0191

### Bimatoprost

Bimatoprost is a prostaglandin analog used topically (as eye drops) to control the progression of glaucoma and in the management of ocular hypertension.



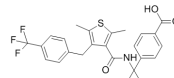
Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 155206-00-1)

## HY-10413

### MK-2894

MK-2894 is a highly potent and selective second generation EP4 antagonist.



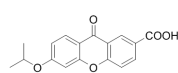
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 1006036-87-8)

## HY-10418

### AH 6809

AH 6809 is an EP and DP receptor antagonist with nearly equal affinity for the cloned human EP1, EP2, EP3-III, and DP1 receptors.



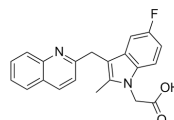
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 33458-93-4)

## HY-15342

### OC000459

OC000459 is a potent and selective D prostanoid receptor 2 (DP2) antagonist with IC50 of 13 nM.



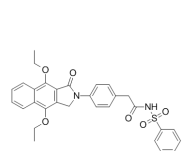
Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 851723-84-7)

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# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~



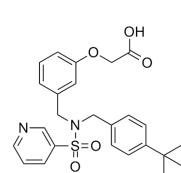
**HY-16963**

**GW627368**

GW627368(GW627368X) is a novel, potent and selective competitive antagonist of prostanoid EP4 receptor ( $K_i = 100$  nM) with additional human TP receptor affinity ( $K_i = 150$  nM).

Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 439288-66-1)



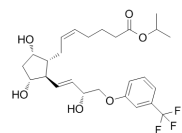
**HY-14839**

**Evatanepag**

Evatanepag (CP-533536) is an EP2 receptor selective prostaglandin E2 (PGE2) agonist that induces local bone formation with EC50 of 0.3 nM.

Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 223488-57-1)



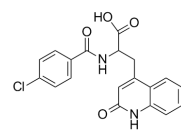
**HY-B0584**

**Travoprost**

Travoprost is used to treat glaucoma and ocular hypertension.

Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 157283-68-6)



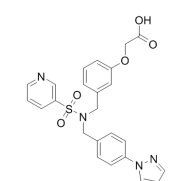
**HY-B0360**

**Rebamipide**

Rebamipide is an inducer of endogenous prostaglandin and a oxygen-derived free radical scavenger.

Target: **Prostaglandin Receptor**  
Effect: **Activator**

(CAS No. : 90098-04-7)



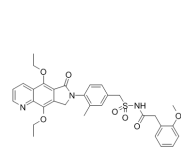
**HY-14899**

**Taprenepag**

CP-544326 is a potent and selective prostaglandin E2 receptor agonist (EC50 = 2.8 nM).

Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 752187-80-7)



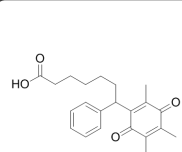
**HY-10794**

**MF498**

MF498 is a novel and selective E prostanoid receptor 4 (EP4 receptor) antagonist, displayed strong binding affinity for the EP4 receptor with  $K_i$  of 0.7 nM.

Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 915191-42-3)



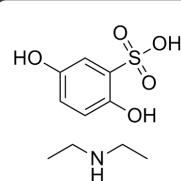
**HY-B0774**

**Seratrodast**

Seratrodast(AA 2414) is a potent and selective thromboxane A2 receptor (TP) antagonist.

Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 112665-43-7)



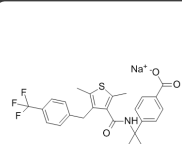
**HY-B1074**

**Ethamsylate**

Ethamsylate is a haemostatic drug, also inhibits biosynthesis and action of those prostaglandins.

Target: **Prostaglandin Receptor**  
Effect: **Inhibitor**

(CAS No. : 2624-44-4)



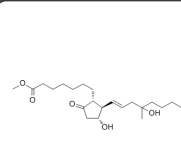
**HY-10414**

**MK-2894 sodium salt**

MK-2894 sodium salt is a highly potent and selective second generation EP4 antagonist.

Target: **Prostaglandin Receptor**  
Effect: **Antagonist**

(CAS No. : 1006036-88-9)



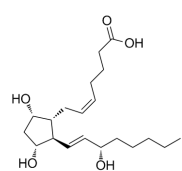
**HY-B0610**

**Misoprostol**

Misoprostol(SC29333) is a synthetic prostaglandin E1 (PGE1) analog that is used to prevent gastric ulcers, to treat missed miscarriage, to induce labor, and to induce abortion.

Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 59122-46-2)



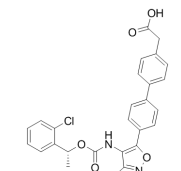
**HY-12956**

**Dinoprost**

Dinoprost(Prostaglandin F2 $\alpha$ ) is a naturally occurring prostaglandin used in medicine to induce labor and as an abortifacient.

Target: **Prostaglandin Receptor**  
Effect: **Agonist**

(CAS No. : 551-11-1)



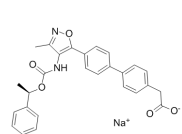
**HY-15277**

**AM966**

AM966 is a high affinity, selective, oral LPA1-antagonist, inhibits LPA-stimulated intracellular calcium release (IC50=17 nM).

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 1228690-19-4)



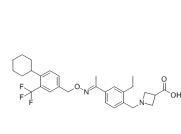
**HY-16039**

**AM095**

AM095 is a selective LPA1 receptor antagonist.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 1345614-59-6)



**HY-12355**

**Siponimod**

Siponimod(BAF-312) is a potent and selective S1P1 receptor agonist with EC50 of 0.4 nM; >10,000 fold potency for S1P3.

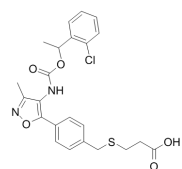
Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 1230487-00-9)

(全ての製品は試験研究用です。試験研究以外の用途にはご利用いただけません。)

# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~



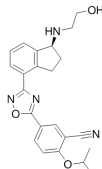
## HY-13285

Ki16425

Ki16425 is a competitive, potent and reversible antagonist to LPA1, LPA2 and LPA3 with  $K_i$  of 0.34  $\mu$ M, 6.5  $\mu$ M and 0.93  $\mu$ M, respectively, shows no activity at LPA4, LPA5, LPA6.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 355025-24-0)



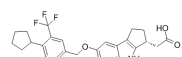
## HY-12288

Ozanimod

Ozanimod is a novel, oral, once daily, selective sphingosine 1-phosphate 1 and 5 receptor modulator in development for autoimmune indications including relapsing multiple sclerosis (RMS) and ulcerative colitis (UC).

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 1306760-87-1)



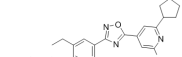
## HY-12789

Etrasimod

Etrasimod (APD334) is a potent, selective and orally available antagonist of the sphingosine-1-phosphate -1 (S1P1) receptor with an  $IC_{50}$  value of 1.88 nM in CHO cells.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 1206123-37-6)



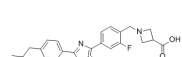
## HY-17606

Cenerimod

Cenerimod (ACT-334441) is a potent and orally available sphingosine 1-phosphate 1 receptor (S1P1) agonist extracted from patent WO 2016184939 A1 and WO 2011007324 A1, example 1, with an  $EC_{50}$  of 2.7 nM.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 1262414-04-9)



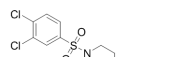
## HY-101265

S1p receptor agonist 1

S1p receptor agonist 1 is an S1P receptor agonist extracted from patent WO 2015039587 A1, compound example 2.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 1514888-56-2)



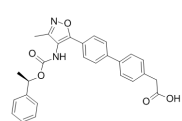
## HY-18075

LPA2 antagonist 1

LPA2 antagonist 1 is a LPA2 antagonist with an  $IC_{50}$  of 17 nM.

Target: **LPL Receptor**  
Effect: **Inhibitor**

(CAS No. : 1017606-66-4)



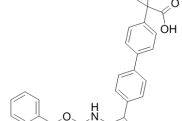
## HY-16040

AM095 free acid

AM095 is a potent LPA1 receptor antagonist with  $IC_{50}$  values of 0.98 and 0.73  $\mu$ M for recombinant human or mouse LPA1 respectively.

Target: **LPL Receptor**  
Effect: **Inhibitor**

(CAS No. : 1228690-36-5)



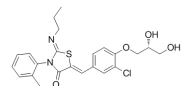
## HY-100619

BMS-986020

BMS-986020 is an LPA1 antagonist.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 1257213-50-5)



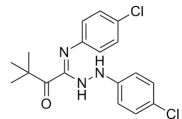
## HY-10569

Ponesimod

Ponesimod (ACT-128800) is an orally active, selective sphingosine-1-phosphate receptor 1 (S1P1) immunomodulator.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 854107-55-4)



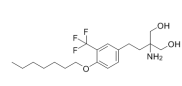
## HY-19736

TY-52156

TY-52156 is a potent S1P3 receptor antagonist in a competitive manner, and the  $K_i$  value is estimated to be 110 nM for S1P3 receptor.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 934369-14-9)



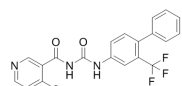
## HY-16734A

Amiselimod hydrochloride

Amiselimod hydrochloride is a novel sphingosine 1-phosphate receptor-1 (S1P1) modulator, designed to reduce the bradycardia effects associated with fingolimod and other S1P receptor modulators.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 942398-84-7)



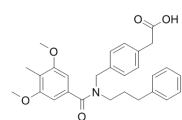
## HY-12835

S1P1 Agonist III

S1P1 Agonist III is a potent and orally active S1P1 agonist with  $EC_{50}$  of 18 nM; no activity on S1P3.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 1324003-64-6)



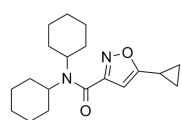
## HY-100882

ONO-7300243

ONO-7300243 is a novel, potent lysophosphatidic acid receptor 1 (LPA1) antagonist with  $IC_{50}$  of 0.16  $\mu$ M.

Target: **LPL Receptor**  
Effect: **Antagonist**

(CAS No. : 638132-34-0)



## HY-101419

CYM-5541

CYM-5541 (ML249) is a selective and allosteric S1P3 receptor agonist with an  $EC_{50}$  between 72 and 132 nM.

Target: **LPL Receptor**  
Effect: **Agonist**

(CAS No. : 945128-26-7)

(全ての製品は試験研究用です。試験研究以外の用途にはご利用いただけません。)

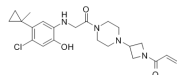
# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-19706

### ARS-853

ARS-853 is a selective, covalent KRASG12C inhibitor with IC50 of 2.5  $\mu$ M.



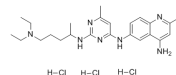
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1629268-00-3)

## HY-15723A

### NSC 23766 trihydrochloride

NSC 23766 trihydrochloride is a specific inhibitor of the binding and activation of Rac GTPase, used for cancer treatment.



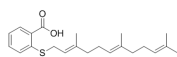
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1177865-17-6)

## HY-14754

### Salirasib

Salirasib is a potent and competitive prenylated protein methyltransferase (PPMTase) inhibitor with Ki of 2.6  $\mu$ M, which inhibits Ras methylation.



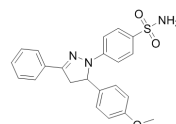
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 162520-00-5)

## HY-12755

### ML141

ML141(CID-2950007) is a potent, selective and reversible non-competitive inhibitor of Cdc42 GTPase(IC50=200 nM) with low micromolar potency and selectivity against other members of the Rho family of GTPases (Rac1, Rab2, Rab7).



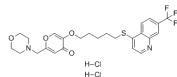
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 71203-35-5)

## HY-16659

### EHT 1864

EHT 1864 is a small molecule inhibitor of Rac1 signaling; modulate  $\gamma$ -Secretase-mediated APP processing.



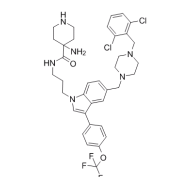
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 754240-09-0)

## HY-101295

### Pan-RAS-IN-1

Pan-RAS-IN-1 is a pan-Ras inhibitor that disrupts the interaction of Ras proteins and their effectors.



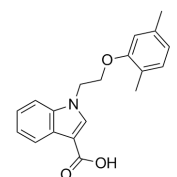
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1835283-94-7)

## HY-19800

### ML-098

ML-098 (CID-7345532) is an activator of the GTP-binding protein Rab7 with an EC50 of 77.6 nM.



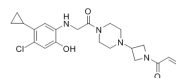
Target: **RAS**  
Effect: **Activator**

(CAS No. : 878978-76-8)

## HY-18605

### K-Ras G12C-IN-2

K-Ras G12C-IN-2 is a novel and irreversible inhibitor of mutant K-ras G12C.



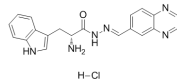
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1629267-75-9)

## HY-12646

### Rhosin hydrochloride

Rhosin Hcl is a specific Rho inhibitor; binds to WT RhoA with an affinity  $\sim$ 0.4  $\mu$ M Kd; does not interfere with the binding of Cdc42 or Rac1.



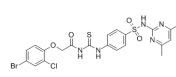
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1281870-42-5)

## HY-13963

### ZCL278

ZCL278 is a selective Cdc42 modulator that directly binds to Cdc42 and inhibits its functions with Kd of 11.4  $\mu$ M for Cdc42-ZCL278 affinity in surface plasmon resonance (SPR) experiment.



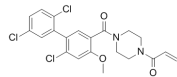
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 587841-73-4)

## HY-18606

### K-Ras G12C-IN-3

K-Ras G12C-IN-3 is a novel and irreversible inhibitor of mutant K-ras G12C.



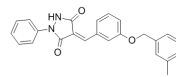
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1629268-19-4)

## HY-12649

### Y16

Y16 is an inhibitor of G-protein-coupled Rho GEFs; works synergistically with Rhosin/G04 in inhibiting LARG-RhoA interaction, RhoA activation, and RhoA-mediated signaling functions.



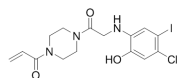
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 429653-73-6)

## HY-18707

### K-Ras(G12C) inhibitor 12

K-Ras(G12C) inhibitor 12 is a K-Ras(G12C) inhibitor, the half-maximum effective concentration (EC50) for K-Ras(G12C) inhibitor 12 in H1792 cells is 0.32  $\mu$ M.



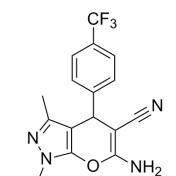
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1469337-95-8)

## HY-12875

### BQU57

BQU57 shows selective inhibition for Ral relative to Ras or Rho and inhibit xenograft tumor growth similar to depletion of Ral by siRNA. The IC50 for BQU57 of 2.0  $\mu$ M in H2122 and 1.3  $\mu$ M in H358.



Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1637739-82-2)

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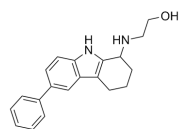
# GPCR/Gタンパク 関連化合物

~GPCR/G Protein~

## HY-12874

CASIN

CASIN is a selective GTPase Cdc42 inhibitor with IC50 of 2  $\mu$ M.



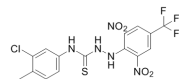
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 425399-05-9)

## HY-15716

Kobe0065

Kobe0065 is a novel and effective small-molecule compound inhibiting Ras-Raf interaction by SBDD; exhibits potent activity to competitively inhibit the binding of H-Ras-GTP to c-Raf-1 RBD with a Ki value of  $46 \pm 13 \mu$ M.



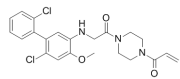
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 436133-68-5)

## HY-18604

K-Ras G12C-IN-1

K-Ras G12C-IN-1 is a novel and irreversible inhibitor of mutant K-ras G12C.



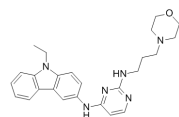
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1629265-17-3)

## HY-12810

EHop-016

EHop-016 is a novel potent and selective inhibitor of Rac GTPase; inhibits Rac1 activity in MDA-MB-435 cells with an IC50 of 1.1  $\mu$ M.



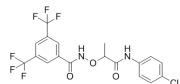
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1380432-32-5)

## HY-13991

CCG-1423

CCG-1423 is a novel inhibitor of RhoA/C-mediated gene transcription that is capable of inhibiting invasion of PC-3 prostate cancer cells in a Matrigel model of metastasis.



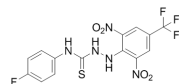
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 285986-88-1)

## HY-15717

kobe2602

kobe2602 is a novel and effective small-molecule compound inhibiting Ras-Raf interaction by SBDD; exhibits potent activity to competitively inhibit the binding of H-Ras-GTP to c-Raf-1 RBD with a Ki value of  $149 \pm 55 \mu$ M.



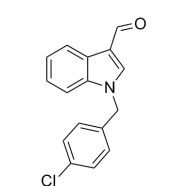
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 454453-49-7)

## HY-16662

Oncrasin-1

Oncrasin-1 is a potent and effective anticancer inhibitor that kills various human lung cancer cells with K-Ras mutations at low or submicromolar concentrations; also led to abnormal aggregation of PKC  $\zeta$  in nucleus of sensitive cells but not in



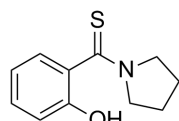
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 75629-57-1)

## HY-18674

K-Ras-IN-1

K-Ras-IN-1 is a K-Ras inhibitor, by binding to K-Ras in a hydrophobic pocket that is occupied by Tyr-71 in the apo-Ras crystal structure.(the detailed information refer to the reference)



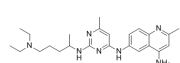
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 84783-01-7)

## HY-15723

NSC 23766

NSC 23766 is a specific inhibitor of the binding and activation of Rac GTPase, used for cancer treatment.



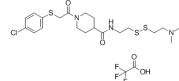
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 733767-34-5)

## HY-12408A

6H05 trifluoroacetate

6H05 trifluoroacetate is a selective, and allosteric inhibitor of oncogenic mutant K-Ras(G12C).

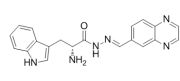


Target: **RAS**  
Effect: **Inhibitor**

## HY-12646A

Rhosin

Rhosin is a specific Rho inhibitor; binds to WT RhoA with an affinity  $\sim 0.4 \mu$ M Kd; does not interfere with the binding of Cdc42 or Rac1.



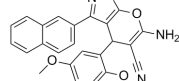
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1173671-63-0)

## HY-12873

RBC8

RBC8 is a novel small molecule inhibitor of Ral GTPase; has IC50 of 3.5  $\mu$ M in H2122 cell and 3.4  $\mu$ M in H358 cell.



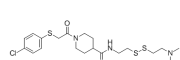
Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 361185-42-4)

## HY-12408

6H05

6H05 is a selective, and allosteric inhibitor of oncogenic mutant K-Ras(G12C).



Target: **RAS**  
Effect: **Inhibitor**

(CAS No. : 1469338-01-9)

他にも多数の  
GPCR/Gタンパク 関連化合物  
を取扱っています。

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