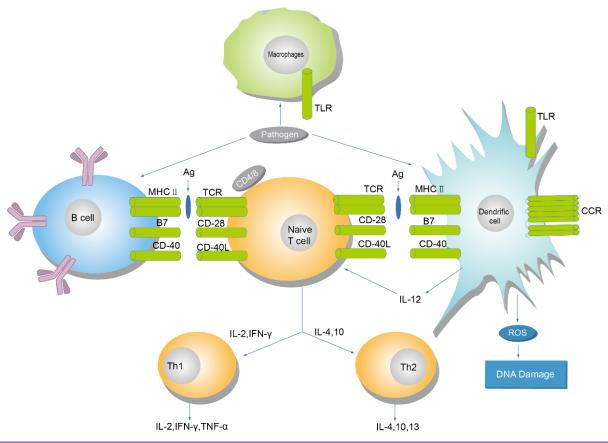
## インヒビターやアゴニスト、モジュレーターを幅広く供給



# 免疫/炎症 関連化合物



- ●免疫/炎症関連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。
- ●免疫学、炎症学、薬物スクリーニング、その他の薬学的 および生物学的応用の背後にあるメカニズムを研究に有用。
- ●主な標的はCCR、COX、CXCR、FLAP、インターロイキン関連、IRAK、MyD88、PDE、PGEシンターゼ、SIKおよびTLR。
- ●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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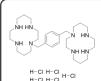
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## ~Immunology/Inflammation Compounds~





### HY-50912

### Plerixafor octahydrochloride

Plerixafor octahydrochloride is a CXCR4 antagonist, the IC50 values for GTP-binding and chemotaxis are  $27\pm2.2$  and  $51\pm17$  nM, respectively.

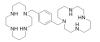
Target: CXCR Effect: Antagonist

Reparixin(DF 1681Y) is an inhibitor of CXCL8 receptor, also inhibit CXCR1 and CXCR2 activation, which has been shown to attenuate inflammatory responses in various injury models.

Target: CXCR Effect: Inhibitor (CAS No.: 266359-83-5)

### HY-10046

### Plerixafor



Plerixafor is a selective CXCR4 inhibitor with IC50 of

(CAS No.: 155148-31-5)

Target: CXCR Effect: Inhibitor

HY-10198 SCH 527123

HY-15251

Reparixin

SCH 527123 is a potent, allosteric antagonist of both CXCR1 and CXCR2, with IC50 values of 1000 nM and 3-6 nM, respectivelly.

(CAS No.: 473727-83-2)

(CAS No.: 911715-90-7)

Target: CXCR Effect: Antagonist

(CAS No.: 110078-46-1)

### HY-15252

### Reparixin L-lysine salt

Reparixin L-lysine salt is a potent and specific allosteric inhibitor of both CXCL8 receptors CXCR1/2, it inhibits weakly CXCR2-mediated cell migration (IC50=100 nM), whereas it strongly blocks CXCR1-mediated chemotaxis (IC50=1 nM).

Target: CXCR Effect: Inhibitor

(CAS No.: 266359-93-7)

### HY-13848 AZD8797

AZD8797 is an allosteric non-competitive modulator of the human CX3CR1 receptor; antagonizes CX3CL1 with IC50 values of 6 and 300 nM in B-lymphocyte cell line and human whole blood, respectively.

Target: CXCR Effect: Antagonist



### HY-101022 CXCR2-IN-1

CXCR2-IN-1 is a central nervous system penetrant CXCR2 antagonists with a pIC50 of 9.3.

Target: CXCR Effect: Inhibitor

Target: CXCR Effect: Antagonist



### HY-101458

IT1t is a potent CXCR4 antagonist; inhibits CXCL12/CXCR4 interaction with an IC50 of 2.1 nM.

(CAS No.: 864677-55-4)



### HY-50101A

antagonist of CXCR4 with an IC50 value of 13 nM in a CXCR4 125I-SDF inhibition binding assay, and inhibits the replication of T-tropic HIV-1 (NL4.3 strain) in MT

Target: CXCR

Effect: Antagonist

### AMD-070 hydrochloride

AMD-070 (hydrochloride) is a potent and selective -4 cells and PBMCs.

(CAS No.: 880549-30-4)

(CAS No.: 906805-42-3)

(CAS No.: 954126-98-8)

(CAS No.: 1873376-49-8)

### HY-15971

### AMD 3465 hexahydrobromide

AMD 3465 (hexahydrobromide) is a potent, selective CXCR4 antagonist, and inhibits SDF-1 lpha -ligand binding with Ki of 41.7 nM.

Target: CXCR Effect: Antagonist

(CAS No.: 185991-07-5)



### HY-10017 SCH 546738

SCH 546738 is a novel, potent and non-competitive CXCR3 antagonist, the affinity constant (Ki) of SCH 546738 binding to human CXCR3 receptor is determined to be 0.4 nM in multiple experiments.

Target: CXCR Effect: Antagonist

### HY-16711 SB225002



SB225002 is a potent and selective CXCR2 antagonist with an IC50 of 22 nM.

Target: CXCR Effect: Antagonist

(CAS No.: 182498-32-4)

(CAS No.: 473719-41-4)

### HY-19768

Danirixin is a selective, and reversible CXCR2 antagonist, with IC50 of 12.5 nM for CXCL8.

Target: CXCR Effect: Antagonist

## HY-15319

AMG 487

AMG 487 is an antagonist of the chemokine receptor CXCR3, which inhibits binding of 125I-IP-10 and 125I-ITAC to CXCR3 with IC50 values of 8.0 and 8.2 nM respectively.

Target: CXCR Effect: Inhibitor

## ∼Immunology/Inflammation Compounds∼



(CAS No.: 897657-95-3)

(CAS No.: 1204707-73-2)

(CAS No.: 664334-36-5)

(CAS No.: 473720-30-8)



### HY-15320 NBI-74330

NBI-74330 is a potent antagonist for CXCR3, and exhibits potent inhibition of (125I)CXCL10 and (125I) CXCL11 specific binding with Ki of 1.5 and 3.2 nM,

Target: CXCR Effect: Antagonist

## HY-10011 SCH 563705

SCH 563705 is a potent dual CXCR2(IC50= 1.3 nM) /CXCR1(IC50= 7.3 nM) antagonist.

Target: CXCR

(CAS No.: 473728-58-4) Effect: Antagonist

### HY-15478

HY-15462

SRT3109

### WZ811

WZ811 is a novel small molecular and potency CXCR4 antagonist with EC50 of 0.3 nM.

Target: CXCR Effect: Antagonist

## HY-13696

HY-13021

### MSX-122



MSX-122 is a novel small molecule and partial CXCR4 antagonist, with potent inhibition of CXCR4/CXCL12 actions (IC50 = 10 nM).

Target: CXCR Effect: Antagonist

(CAS No.: 55778-02-4)

SRT3109 is a CXCR2 ligand for use in the treatment

of chemokine mediated diseases and conditions.



SRT3190 SRT3190 is CXCR2 ligand.

Target: CXCR



Target: CXCR Effect: Antagonist

(CAS No.: 1204707-71-0)

(CAS No.: 855527-92-3)

## Effect: Antagonist

### HY-P0171

## **BKT140**



BKT140 is a novel CXCR4 antagonist with an IC50 value of ~1 nM.

Target: CXCR Effect: Antagonist



### HY-N0011 Baohuoside I

Baohuoside I (Icariside-II) is a component of Epimedium koreanum; a regulator of CXCR4 expression as well as function in cervical cancer and breast cancer cells; Apoptosis inducer.

Target: CXCR Effect: Inhibitor

(CAS No.: 113558-15-9)

(CAS No.: 1092776-63-0)

(CAS No.: 1986-81-8)

(CAS No.: 558447-26-0)

### HY-15319B

## AMG 487 S-enantiomer

AMG 487 (S-enantiomer) is the enantiomer of AMG 487. AMG 487 is an antagonist of the chemokine receptor CXCR3.

Target: CXCR

### HY-101458A

### IT1t dihydrochloride

IT1t dihydrochloride is a potent CXCR4 antagonist; inhibits CXCL12/CXCR4 interaction with an IC50 of 2.1 nM.

Target: CXCR Effect: Antagonist

Effect: Antagonist

### HY-101407

### Nicotinamide N-oxide

Nicotinamide N-oxide, an in vivo nicotinamide metabolite, is a potent, and selective antagonist of the CXCR2 receptor.

Target: CXCR Effect: Antagonist

## HY-15971A

### AMD 3465



AMD 3465 is a potent, selective CXCR4 antagonist, and inhibits SDF-1  $\alpha$  -ligand binding with Ki of 41.7

Effect: Antagonist

Target: CXCR

(CAS No.: 185991-24-6)

### HY-50101 AMD-070

AMD-070 is a potent and selective antagonist of CXCR4 with an IC50 value of 13 nM in a CXCR4 125I-SDF inhibition binding assay; inhibit the replication of T-tropic HIV-1 (NL4.3 strain) in MT-4 cells and PBMCs.

Target: CXCR Effect: Antagonist

### HY-16509 UNBS5162

UNBS5162 is a novel naphthalimide that decreases CXCL chemokine expression in experimental prostate cancers; the mean antiproliferative activity IC50 value is 17.9 uM for 9 cancer cell lines; hydrolysis product of UNBS3157.

Target: CXCR Effect: Antagonist

(CAS No.: 956590-23-1)

## ~Immunology/Inflammation Compounds~





### HY-13245 PF-4136309

INCB8761(PF-4136309) is a potent, Selective, and orally bioavailable CCR2 antagonist.

Target: CCR Effect: Antagonist

(CAS No.: 1341224-83-6)

(CAS No.: 376348-65-1)

INCB3344 is a potent CCR2 antagonist with IC50  $\,$ values of 5.1 nM (hCCR2) and 9.5 nM (mCCR2) in binding antagonism and 3.8 nM (hCCR2) and 7.8 nM (mCCR2) in antagonism of chemotaxis activity.

Target: CCR Effect: Antagonist

(CAS No.: 1262238-11-8)





Maraviroc is a selective CCR5 antagonist, inhibits MIP-1  $\alpha$  (IC50, 3.3 nM), MIP-1  $\beta$  (IC50, 7.2 nM), and RANTES (IC50, 5.2 nM) binding to cell membrane preparations of CCR5-expressing HEK-293.

Target: CCR Effect: Antagonist

### HY-15724 Vercirnon

HY-50674

**INCB3344** 

Vercirnon is a highly potent antagonist of CCR9, which has been implicated in the aetiology of inflammatory bowel diseases such as Crohn's disease.

(CAS No.: 698394-73-9)

(CAS No.: 497223-25-3)

(CAS No.: 217645-70-0)

Target: CCR Effect: Antagonist

HY-15418



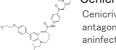
RS 504393 RS 504393 is a selective CCR2 chemokine receptor antagonist (IC50 values are 98 nM and > 100  $\,\mu$  M for inhibition of human recombinant CCR2b and CCR1

Target: CCR (CAS No.: 300816-15-3) Effect: Antagonist

receptors respectively).

### HY-14882





Cenicriviroc is an orally active, dual CCR2/CCR5 antagonist, and displays potent anti-inflammatory and aninfective activity

Target: CCR Effect: Antagonist

### HY-101713 CCX140

CCX140 is a potent CCR2 antagonist.

Target: CCR Effect: Inhibitor

### HY-12080 BX471



BX471 is a potent, selective non-peptide CCR1 antagonist with Ki of 1 nM for human CCR1, and exhibits 250-fold selectivity for CCR1 over CCR2, CCR5 and CXCR4.

Target: CCR Effect: Antagonist

## HY-50669



MK-0812 is a potent and selective CCR2 antagonist with low nM affinity for CCR2 on human monocytes.

(CAS No.: 624733-88-6)

(CAS No.: 599179-03-0)

Target: CCR Effect: Antagonist

### HY-15450A **INCB 3284**

HY-13406



INCB 3284 is a potent, selective and orally bioavailable hCCR2 antagonist with IC50 of 3.7 nM (inhibition of monocyte chemoattractant protein-1 binding to hCCR2).

Target: CCR Effect: Antagonist

(CAS No.: 887401-92-5)

### HY-17377

### Vicriviroc maleate

Vicriviroc maleate(Sch-417690) is a CCR5 antagonist with IC50 of 0.91 nM in clinical development for the treatment of HIV-1.

Target: CCR Effect: Antagonist



TAK-779 is a highly potent and selective nonpeptide CCR5 antagonist with a IC50 value of 1.4 nM in the binding assay, TAK-779 also inhibited the replication of macrophage (M)-tropic HIV-1 (Ba-L strain) in both MAGI-CCR5 cells and PBMCs with EC50 values of

Target: CCR Effect: Antagonist

(CAS No.: 229005-80-5)

### HY-50669A

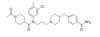
### MK-0812 Succinate

MK-0812 Succinate is a potent and selective CCR2 antagonist with low nM affinity for CCR2 on human

Target: CCR Effect: Antagonist

(CAS No.: 851916-42-2)

## HY-19974



TAK-220 is an orally bioavailable small-molecule CCR5 antagonist.

Target: CCR Effect: Antagonist

(CAS No.: 333994-00-6)

## ~Immunology/Inflammation Compounds~



(CAS No.: 288262-96-4)

(CAS No.: 887401-93-6)

(CAS No.: 894787-30-5)



### HY-100033 NSC5844

NSC5844 (RE640) is a bisquinoline compound with C-C chemokine receptor type 1 (CCR1)-agonistic properties.

Target: CCR Effect: Agonist

(CAS No.: 140926-75-6)

(CAS No.: 300815-41-2)

(CAS No.: 1173022-16-6)

## HY-18611A

RS102895

RS102895 is a potent and specific CCR2 antagonist with binding IC50 of 360 nM, no significant inhibition on CCR1(IC50 > 17 uM).

Target: CCR Effect: Antagonist

## HY-18611

### RS102895 hydrochloride

RS102895 Hcl is a potent and specific CCR2 antagonist with binding IC50 of 360 nM, no significant inhibition on CCR1(IC50 > 17 uM).

Target: CCR Effect: Antagonist

## HY-15306A

### Eltrombopag Olamine

Eltrombopag olamine is a new, orally active thrombopoietin-receptor (c-mpl) agonist that stimulates thrombopoiesis.

Target: Thrombopoietin Receptor

(CAS No.: 496775-62-3) Effect: Agonist

### HY-13463

### Avatrombopag

Avatrombopag(AKR-501; AS1670542) is a novel orally-active thrombopoietin(TPO) receptor agonist with EC50 of 3.3 nM.

Target: Thrombopoietin Receptor

(CAS No.: 570406-98-3) Effect: Agonist

### HY-19883

### Lusutrombopag

Lusutrombopag is an orally bioavailable thrombopoietin (TPO) receptor agonist, used for treatment of chronic liver disease.

Target: Thrombopoietin Receptor

Effect: Agonist

### HY-12815A

### MCC950 sodium

MCC950 sodium is a potent, selective NLRP3 inhibitor with IC50 of 7.5 nM and 8.1 nM in BMDMs and HMDMs, respectively.

Target: NOD-like Receptor (NLR)

(CAS No.: 256373-96-3) Effect: Inhibitor

### HY-12080A

### BX471 hydrochloride



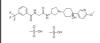
BX471 Hcl is a potent, selective non-peptide CCR1 antagonist (Ki = 1 nM for human CCR1); exhibits 250fold selectivity for CCR1 over CCR2, CCR5 and

Target: CCR

Effect: Antagonist

### HY-15450

### INCB 3284 dimesylate



INCB 3284 is a potent, selective and orally bioavailable hCCR2 antagonist with IC50 of 3.7 nM.

Target: CCR

Effect: Antagonist

### HY-50937

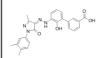


ST 2825 is a MyD88 homodimerization inhibitor.



### HY-15306

### Eltrombopag



Eltrombopag(SB-497115) is a new, orally active thrombopoietin-receptor (c-mpl) agonist that stimulates thrombopoiesis.

Target: Thrombopoietin Receptor

(CAS No.: 496775-61-2) Effect: Agonist

### HY-100380

### TPO agonist 1



TPO agonist 1 can increase production of platelets by stimulating the TPO receptor in people with chronic ITP.

MCC950 is a potent, selective NLRP3 inhibitor with

IC50 of 7.5 nM and 8.1 nM in BMDMs and HMDMs,

Target: Thrombopoietin Receptor

Target: NOD-like Receptor (NLR)

(CAS No.: 1033040-23-1) Effect: Agonist

(CAS No.: 1110766-97-6)

## HY-16059

HY-12815 MCC950

respectively.



Effect: Inhibitor

Arglabin is a sesquiterpene gamma-lactone is isolated from Artemisia glabella; anticancer natural compound.

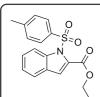
(CAS No.: 210826-40-7)

Target: NOD-like Receptor (NLR)

(CAS No.: 84692-91-1) Effect: Inhibitor

## ∼Immunology/Inflammation Compounds∼





### HY-100691

### NOD-IN-1

NOD-IN-1 is a potent mixed inhibitor of nucleotidebinding oligomerization domain (NOD)-like receptors, NOD1 and NOD2, with IC50 of 5.74  $\mu$  M and 6.45 μ M. respectively.

Target: NOD-like Receptor (NLR)

(CAS No.: 132819-92-2) Effect: Inhibitor

### HY-18639

### Nodinitib-1

Nodinitib-1 (ML130;CID-1088438) is a NOD1 inhibitor with an IC50 of 0.56  $\,\mu$  M.



Target: NOD-like Receptor (NLR)

(CAS No.: 799264-47-4) Effect: Inhibitor



### HY-19711

### STING agonist-1

STING agonist-1 (G10) is a novel human-specific STING agonist that elicits antiviral activity against emerging Alphaviruses. G10 potently blocks replication of Alphavirus species Venezuelan Equine Encephalitis Virus (VEEV) (IC90 = 24.57  $\mu$  M).

Target: STING Effect: Agonist

(CAS No.: 702662-50-8)



HY-12885 ML RR-S2 CDA

ML RR-S2 CDA (STING-Inducer-1) is a highly active cvclic-di-nucleotide immune stimulators that activate DCs via the cytoplamtic receptor STING (Stimulator of Interferon Genes).

Target: STING Effect: Activator

(CAS No.: 1638241-89-0)

(CAS No.: 849214-04-6)

(CAS No.: 217099-43-9)

(CAS No.: 147030-01-1)

(CAS No.: 118414-82-7)

### HY-12885B

### ML RR-S2 CDA ammonium salt

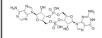
ML RR-S2 CDA ammonium salt is an inducer of STING (stimulator of interferon genes).

Target: STING Effect: Activator

(CAS No.: 1638750-96-5)

### HY-12512

### cGAMP



cGAMP(Cyclic GMP-AMP) is an endogenous second messenger in metazoans and triggers interferon production in response to cytosolic DNA; STING

Target: STING Effect: Activator

### HY-50874 **BCX 1470**



BCX 1470 inhibits the esterolytic activity of factor D (IC50=96 nM) and C1s (IC50=1.6 nM), 3.4- and 200fold better, respectively, than that of trypsin.

Target: Complement System (CAS No.: 217099-44-0) Effect: Inhibitor

BCX 1470 methanesulfonate

Target: Complement System Effect: Inhibitor

### HY-15701

HY-50875

### Leukadherin-1

Leukadherin-1 is a specific agonist of CR3 and the leukocyte surface integrin CD11b/CD18.

BCX 1470 inhibits the esterolytic activity of factor D

(IC50=96 nM) and C1s (IC50=1.6 nM), 3.4- and 200-

fold better, respectively, than that of trypsin.

Target: Complement System

(CAS No.: 344897-95-6) Effect: Agonist

### HY-16768

### Fevipiprant

Fevipiprant(QAW039) is a selective, potent, reversible competitive CRTh2 antagonist with an in vitro dissociation constant KD value of 1.1nM at the CRTh2 receptor and an IC50 value of 0.44 nM for inhibition of PGD2-induced eosinophil shape change

Target: CRTH2 (GPR44)

(CAS No.: 872365-14-5) Effect: Antagonist



### HY-15950 AZD1981

AZD1981 is a potent and selective CRTh2 antagonist; displaces radio-labelled PGD2 from human recombinant DP2 with high potency (pIC50 = 8.4).

(CAS No.: 802904-66-1)

(CAS No.: 936350-00-4)

Target: CRTH2 (GPR44) Effect: Antagonist

# HY-50714

MK591

MK591(Quiflapon sodium) is a selective and specific 5-Lipoxygenase-activating protein (FLAP) inhibitor.

Target: FLAP Effect: Inhibitor



### HY-15874 GSK2190915

GSK2190915(AM-803; Fiboflapon) is a potent FLAP (5-Lipoxygenase-activating protein) inhibitor with binding IC50 of 2.9 nM.

Target: FLAP Effect: Inhibitor

## HY-14166

MK886 is a potent 5-lipoxygenase activating protein inhibitor (FLAP) also a non-competitive inhibitor of PPAR alpha, a potent inhibitor of leukotriene (LT) biosynthesis in intact human polymorphonuclear leukocytes with IC 50 of 2.5 nM. Block the synthessis

Target: FLAP Effect: Inhibitor

## ~Immunology/Inflammation Compounds~



### HY-10037 MK-0591

MK-0591 is a selective and specific 5-Lipoxygenaseactivating protein (FLAP) inhibitor with an IC50 value of 1.6 nM in a FLAP binding assav.

Target: FLAP Effect: Inhibitor

### (CAS No.: 136668-42-3)

(CAS No.: 844882-93-5)

(CAS No.: 895158-95-9)

### HY-15874A

### GSK2190915 sodium salt

GSK2190915(AM-803; Fiboflapon) sodium salt is a potent FLAP(5-Lipoxygenase-activating protein) inhibitor with binding IC50 of 2.9 nM.

Target: FLAP Effect: Inhibitor

### (CAS No.: 1196070-26-4)





AM679 is a potent and selective FLAP inhibitor with IC50s of 2.2 nM/0.6 nM/154 nM for FLAP binding/hLA/hWB respectively.

Target: FLAP Effect: Inhibitor

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H-CI Target: IFNAR

Effect: Inhibitor

HY-12836A

IFN alpha-IFNAR-IN-1 hydrochloride IFN alpha-IFNAR-IN-1 hydrochloride is a nonpeptidic,

low-molecular-weight inhibitor of the interaction between IFN-  $\alpha$  and IFNAR; inhibit MVA-induced IFN- $\alpha$  responses by BM-pDCs (IC50=2-8 uM).

(CAS No.: 1206880-66-1)

### HY-12836 IFN alpha-IFNAR-IN-1



IFN alpha-IFNAR-IN-1 is a nonpeptidic, lowmolecular-weight inhibitor of the interaction between IFN- $\alpha$  and IFNAR: inhibit MVA-induced IFN- $\alpha$ responses by BM-pDCs (IC50=2-8 uM).

Target: IFNAR Effect: Inhibitor

### HY-14908

### Vidofludimus



Vidofludimus(4SC-101; SC12267) is a novel immunosuppressive drug that inhibits DHODH; inhibits IL-17 secretion in vitro independently of effects on lymphocyte proliferation.

(CAS No.: 717824-30-1)

Target: Interleukin Related Effect: Inhibitor

## HY-15614



SC144 is the first-in-class orally active smallmolecule gp130 inhibitor; inhibits cell growth in a panel of human ovarian cancer cell lines with IC50 values in a submicromolar range.

Target: Interleukin Related

Effect: Inhibitor

### HY-15982 APY0201



APY0201 is a potent, highly selective, ATPcompetitive PIKfyve inhibitor (IC50=5.2 nM) that interrupts the conversion of phosphatidylinositol 3phosphate (PtdIns3P) to PtdIns(3,5)P2; a unique small molecular IL-12/23 production inhibitor.

Target: Interleukin Related

(CAS No.: 1232221-74-7) Effect: Inhibitor

### HY-15507 VGX-1027



VGX-1027(GIT27) is an isoxazole compound that exhibits various immunomodulatory properties; reduce the secretion of IL-1beta, TNF-alpha and IL-10 from purified murine macrophages.

Target: Interleukin Related

(CAS No.: 6501-72-0) Effect: Inhibitor

### HY-17002 Suplatast Tosilate



Suplatast tosilate(IPD 1151T) is a Th2 cytokine inhibitor that attenuates IL-2, IL-5 and IL-13 production and has no effect on IFN-  $\gamma$  production.

Target: Interleukin Related

(CAS No.: 94055-76-2) Effect: Inhibitor

### HY-16668

Tyrphostin A1



Tyrphostin A1(AG9) inhibits CD40L-stimulated IL-12 production in macrophage cultures and antigeninduced generation of Th1 cells.

Target: Interleukin Related

Effect: Inhibitor (CAS No.: 2826-26-8)

### HY-15898



Y-320 is a new phenylpyrazoleanilide immunomodulator; inhibits IL-17 production by CD4 T cells stimulated with IL-15 with IC50 values of 20 to 60 nM

Target: Interleukin Related

(CAS No.: 288250-47-5) Effect: Inhibitor

### HY-N0283 Diacerein



Diacerein, a interleukin-1 beta inhibitor, is a slowacting medicine of the class anthraquinone used to treat joint diseases.

Target: Interleukin Related

(CAS No.: 13739-02-1) Effect: Inhibitor

HY-19969 YM-90709

YM-90709 is a novel antagonist which inhibits the binding of interleukin-5 to interleukin-5 receptor.

Target: Interleukin Related

(CAS No.: 163769-88-8) Effect: Inhibitor

## ~Immunology/Inflammation Compounds~



(CAS No.: 80573-04-2)



### HY-15614A

### SC144 hydrochloride

SC144 hydrochloride is the first-in-class orally active small-molecule gp130 inhibitor; inhibits cell growth in a panel of human ovarian cancer cell lines with IC50 values in a submicromolar range.

Target: Interleukin Related

(CAS No.: 917497-70-2) Effect: Inhibitor

### HY-B0667A

the treatment of Inflammatory Bowel Disease.

Target: Interleukin Related

Effect: Inhibitor (CAS No.: 150399-21-6)

### HY-13329

### IRAK-1-4 Inhibitor I

IRAK-1-4 Inhibitor I is a dual inhibitor of IRAK4 and IRAK1 with IC50 of 0.2  $\mu$  M and 0.3  $\mu$  M,

(CAS No.: 509093-47-4)

(CAS No.: 1042672-97-8)

(CAS No.: 1012343-93-9)

Target: IRAK Effect: Inhibitor

### HY-13280 IRAK inhibitor 6

IRAK inhibitor 6 is interleukin-1 receptor associated kinase 4 (IRAK-4) inhibitor .

Target: IRAK

Effect: Inhibitor

### HY-13277

### IRAK inhibitor 3

IRAK inhibitor 3 is an interleukin-1 (IL-I) receptorassociated kinase (IRAK) kinase modulator extracted from patent WO2008030579 A2.

Target: IRAK

Effect: Modulator

### Balsalazide sodium hydrate

ு்் ங Balsalazide is an anti−inflammatory compound used in

Effect: Inhibitor

Mulberroside A, the major active anti-tyrosinase compound in the root bark extract of Morus alba  $\ensuremath{\mathsf{L}}$  . (Moraceae), is widely employed as an active ingredient in whitening cosmetics.

Balsalazide is an anti-inflammatory drug used in the

treatment of Inflammatory Bowel Disease.

Target: Interleukin Related

Target: Interleukin Related

Effect: Inhibitor (CAS No.: 102841-42-9)

### HY-13275

HY-B0667

Balsalazide

HY-N0619

Mulberroside A

### IRAK inhibitor 1

IRAK inhibitor 1 is a potent IRAK-4 inhibitor with IC50 of 216 nM, is poorly active against JNK-1 and JNK-2 with IC50 of 3.801  $\mu$  M, and >10  $\mu$  M, respectively.

Target: IRAK Effect: Inhibitor

(CAS No.: 1042224-63-4)



### HY-13278

IRAK inhibitor 4

IRAK inhibitor 4 is an interleukin-1 receptor associated kinase 4(IRAK4) inhibitor.

Target: IRAK Effect: Inhibitor



### HY-19836

### PF06650833

PF06650833 is an inhibitor of Interleukin-1 receptor associated kinase 4 (IRAK4), and used to treat diseases such as rheumatoid arthritis, lupus, and lymphomas

Target: IRAK Effect: Inhibitor

(CAS No.: 1817626-54-2)

(CAS No.: 1012104-68-5)

### HY-13276

### IRAK inhibitor 2

IRAK inhibitor 2 is interleukin-1 receptor associated kinase inhibitor.

Target: IRAK Effect: Inhibitor

(CAS No.: 928333-30-6)

### HY-13278A

### IRAK inhibitor 4 trans

IRAK inhibitor 4 (trans) is the trans form of IRAK inhibitor 4.

Target: IRAK Effect: Inhibitor

### HY-N0455A

### L-Arginine hydrochloride

L-Arginine is the nitrogen donor for synthesis of nitric oxide, a potent vasodilator that is deficient during times of sickle cell crisis.

Target: NO Synthase Effect: Activator

(CAS No.: 1119-34-2)

### HY-N0455

### L-Arginine

L-Arginine is the nitrogen donor for synthesis of nitric oxide, a potent vasodilator that is deficient during times of sickle cell crisis.

Target: NO Synthase Effect: Activator

(CAS No.: 74-79-3)

## ~Immunology/Inflammation Compounds~





### HY-30235A

### Benzydamine hydrochloride

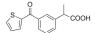
Benzydamine Hcl is a locally-acting nonsteroidal antiinflammatory drug with local anaesthetic and analgesic properties: selectively binds to prostaglandin synthetase and has notable in vitro antibacterial activity.

Target: PGE synthase

Effect: Inhibitor (CAS No.: 132-69-4)

### HY-B0270

### Suprofen



Suprofen is a non-steroidal anti-inflammatory drug (NSAID)

Target: PGE synthase

Effect: Inhibitor (CAS No.: 40828-46-4)

### HY-B0550



OH Bismuth Subsalicylate

Bismuth Subsalicylate is the active ingredient in Pepto-Bismol and inhibits prostaglandin G/H

Target: PGE synthase

(CAS No.: 14882-18-9) Effect: Inhibitor

### HY-B0336

### Pranoprofen

Pranoprofen is a non-steroidal anti-inflammatory drug used in ophthalmology.

Target: PGE synthase

(CAS No.: 52549-17-4) Effect: Inhibitor

### HY-12791

### hPGDS-IN-1



hPGDS-IN-1 is a hPGDS inhibitor ,with IC50 of 12 nM in the Fluorescence Polarization Assay or the EIA

Target: PGE synthase

(CAS No.: 1234708-04-3) Effect: Inhibitor

### HY-B0890

### Zomepirac sodium salt

Zomepirac sodium salt is a pyrrole-acetic acid structurally related to tolmetin sodium; a prostaglandin synthetase inhibitor.

Target: PGE synthase Effect: Inhibitor

### HY-101147

### YKL-05-099

YKL-05-099 is a salt-inducible kinase (SIK) probe; inhibits SIK2 with an IC50 of 40 nM.

(CAS No.: 64092-48-4)

Target: Salt-inducible Kinase (SIK)

(CAS No.: 1936529-65-5) Effect: Inhibitor

## HY-13283 MF63

MF63 is a selective mPGES-1 inhibitor with an IC50 of 0.9 nM and 1.3 nM for pig mPGES-1 and human mPGES-1 enzyme, respectively.

Target: PGE synthase

(CAS No.: 892549-43-8) Effect: Inhibitor

### HY-10582

### Flurbiprofen



Flurbiprofen is a nonsteroidal anti-inflammatory agent (NSAIA) with antipyretic and analgesic activity.

Target: PGE synthase

Effect: Inhibitor (CAS No.: 5104-49-4)

### HY-N0297

## Sinensetin

Sinensetin is a methylated flavone found in certain citrus fruits. pocess potent antiangiogenesis and antiinflammatory, sinensetin enhances adipogenesis and lipolysis.

(CAS No.: 2306-27-6)

(CAS No.: 1033836-12-2)

Target: PGE synthase Effect: Inhibitor

### HY-10439

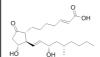
### HPGDS inhibitor 1



Target: PGE synthase

Effect: Inhibitor

### HY-B0683 Limaprost



Limaprost(OP1206) is a PGE1 analog and potent platelet adhesion inhibitor.

Target: PGE synthase

(CAS No.: 74397-12-9) Effect: Agonist

### HY-15776

### HG-9-91-01

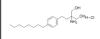
HG-9-91-01 is a potent and highly selective saltinducible kinase (SIKs) inhibitor with IC50s of 0.92 nM, 6.6 nM and 9.6 nM for SIK1, SIK2 and SIK3 respectively.

Target: Salt-inducible Kinase (SIK)

(CAS No.: 1456858-58-4) Effect: Inhibitor

### HY-12005

### Fingolimod hydrochloride



Fingolimod hydrochloride is a sphingosine 1phosphate (S1P) antagonist with IC50 of 0.033 nM in K562 and NK cells.

Target: SPHK Effect: Antagonist

(CAS No.: 162359-56-0)

## ~Immunology/Inflammation Compounds~



(CAS No.: 1415562-83-2)

(CAS No.: 312636-16-1)

(CAS No.: 1309444-75-4)

(CAS No.: 1415562-82-1)

(CAS No.: 169590-42-5)

### HY-16015 ABC294640

ABC294640 is a selective, competitive sphingosine kinase 2 (SK2) inhibitor with Ki of 9.8  $\mu$  M.

Target: SPHK Effect: Inhibitor

### (CAS No.: 915385-81-8)

## HY-15779A

K145 hydrochloride

K145 is a selective SphK2 inhibitor with an IC50 of  $4.30\pm0.06~\mu$  M , while no inhibition of SphK1 at concentrations up to 10  $\,\mu$  M.

(CAS No.: 1449240-68-9)

(CAS No.: 162359-55-9)

(CAS No.: 219832-49-2)

(CAS No.: 53-86-1)

Target: SPHK Effect: Inhibitor

## HY-11063

## Fingolimod



Fingolimod is a sphingosine 1-phosphate (S1P) antagonist with IC50 of 0.033 nM in K562 and NK

Target: SPHK Effect: Antagonist

### HY-19794 MP-A08



MP-A08 is a highly selective ATP competitive SK inhibitor that targets both SK1 and SK2 with Kivalues of 6.9  $\pm$  0.8  $\mu$  M and 27  $\pm$  3  $\mu$  M, respectively.

Target: SPHK Effect: Inhibitor

СООН

### HY-14397

### Indomethacin

Indomethacin is a nonselective inhibitor of COX1 and COX2, used to reduce fever, pain, stiffness, and swelling.

Target: COX Effect: Inhibitor

### HY-66005

### Acetaminophen



Acetaminophen (paracetamol) is a selective cyclooxygenase-2 (COX-2) inhibitor with an IC50 of 25.8  $\mu$  M; is a widely used antipyretic and analgesic

Target: COX Effect: Inhibitor

.OH

### HY-14654

### Aspirin

Aspirin (acetylsalicylic acid ) is an inhibitor of COX-1 with an IC50 of 5  $\,\mu$  g/mL; commonly used for the treatment of pain, fever, and inflammation.

Target: COX Effect: Inhibitor

(CAS No.: 50-78-2)

(CAS No.: 103-90-2)

### HY-15425A PF-543 Citrate



PF-543 Citrate is a novel cell-permeant inhibitor of SPHK1 with a Ki of 4.3 nM and more than 100-fold selectivity for SPHK1 over SPHK2.

Target: SPHK Effect: Inhibitor

### HY-13822

### SKI II



SKI-II is a synthetic inhibitor of sphingosine kinase (SK) activity with IC50 of 78  $\,\mu$  M for SK1 and 45  $\,\mu$  M

Target: SPHK Effect: Inhibitor

### HY-15779

### K145



 $\mathsf{K}145$  is a selective  $\mathsf{SphK2}$  inhibitor with an  $\mathsf{IC}50$  of  $4.30\pm0.06~\mu\,\mathrm{M}$  , while no inhibition of SphK1 at concentrations up to 10  $\,\mu$  M.

Target: SPHK Effect: Inhibitor

### HY-15425

### PF-543



PF-543 is a novel cell-permeant inhibitor of SPHK1 with a Ki of 4.3 nM and more than 100-fold selectivity for SPHK1 over SPHK2.

Target: SPHK Effect: Inhibitor

### HY-14398

### Celecoxib



Celecoxib is a selective COX-2 inhibitor with IC50 of

Target: COX Effect: Inhibitor

### HY-14617

### Paradol



Paradol is a pungent phenolic substance found in ginger and other Zingiberaceae plants.

Target: COX Effect: Inhibitor

## (CAS No.: 27113-22-0)

### HY-N1067 Xanthohumol

Xanthohumol is one of the principal flavonoids isolated from hops, the inhibitor of diacylglycerol acetyltransferase (DGAT), COX-1 and COX-2, and shows anti-cancer and anti-angiogenic activities.

Target: COX Effect: Inhibitor

(CAS No.: 6754-58-1)

## ~Immunology/Inflammation Compounds~



(CAS No.: 15687-27-1)

(CAS No.: 51146-57-7)

(CAS No.: 15307-79-6)

## HY-N0147

### Rutaecarpine

HY-13913

NS-398

Rutaecarpine, an alkaloid of Evodia rutaecarpa, is an inhibitor of COX-2 with an IC50 value of 0.28  $\,\mu$  M.

Target: COX Effect: Inhibitor

### HY-78131

### Ibuprofen

Ibuprofen (Motrin) is an anti-inflammatory inhibitor targeting COX-1 and COX-2, of which is used for pain relief, fever reduction and for reducing swelling.

Target: COX Effect: Inhibitor

### HY-78131B

### (R)-(-)-Ibuprofen



(R)-Ibuprofen, a nonsteroidal anti-inflammatory, is the less active enantiomer of ibuprofen, an inhibitor of Cox-1 and Cox-2.

Target: COX Effect: 98.49%

(CAS No.: 84-26-4)

0=\$=0

NS-398 is a COX-2 inhibitor. The COX-1 activity is completely unaffected by 100  $\mu$  M NS-398, whereas the COX-2 activity was concentration-dependently inhibited, the IC50 value being 3.8  $\mu$  M.

Target: COX Effect: Inhibitor

(CAS No.: 123653-11-2)

### HY-15037

## O Na\* Diclofenac Sodium

Diclofenac Sodium is a non-selective COX inhibitor with IC50 of 60 and 220 nM for ovine COX-1 and -2,

Target: COX Effect: Inhibitor

### HY-15036

### Diclofenac

Diclofenac is a non-selective COX inhibitor with IC50 of 60 and 220 nM for ovine COX-1 and -2,

Target: COX Effect: Inhibitor

## HY-17372

### Rofecoxib

Rofecoxib(MK 966) is a potent inhibitor of the COX -2-dependent production of PGE2 in human osteosarcoma cells (IC50= 26±10 nM) and Chinese hamster ovary cells expressing human COX-2  $(IC50=18\pm7 \text{ nM}).$ 

Target: COX Effect: Inhibitor

(CAS No.: 162011-90-7)

(CAS No.: 15307-86-5)

### HY-17009

### Iguratimod



Iguratimod(T-614) is a selective inhibitor of cyclooxygenase-2 (COX-2), and inhibits the production of interleukin-1 (IL-1), IL-6, IL-8 and tumour necrosis

Target: COX Effect: Inhibitor

### (CAS No.: 123663-49-0)

### HY-15762

### Valdecoxib

Valdecoxib (SC 65872) is a COX-2 selective inhibitor with an IC50 value of 5 nM.

Target: COX Effect: Inhibitor

(CAS No.: 181695-72-7)

### HY-17474

### Parecoxib

Parecoxib is a potent and selective COX-2 inhibitor.



## HY-15321

### Etoricoxib

Etoricoxib(MK-0663) selectively inhibited COX-2 in human whole blood assays in vitro, with an IC50 value of 1.1  $\pm$  0.1  $\mu$  M for COX-2 (LPS-induced prostaglandin E2 synthesis), compared with an IC50 value of 116  $\pm$  8  $\mu$  M for COX-1 (serum

Target: COX Effect: Inhibitor

(CAS No.: 202409-33-4)

### HY-15030

### Naproxen

Naproxen is a COX inhibitor for COX-1 and COX-2 with IC50 of 8.7  $\mu$  M and 5.2  $\mu$  M, respectively.

Target: COX Effect: Inhibitor

### (CAS No.: 22204-53-1)

(CAS No.: 198470-84-7)

### HY-B1489

### Tolmetin sodium dihydrate

Tolmetin sodium dihydrate is a non-steroidal antiinflammatory agent (NSAID) with antioxidant and neuroprotective properties.

Target: COX Effect: Inhibitor

(CAS No.: 64490-92-2)

### HY-B0559

### Nabumetone

Nabumetone(BRL14777) is a non-steroidal antiinflammatory drug and its active metabolite inhibits the COX.

Target: COX

(CAS No.: 42924-53-8) Effect: Inhibitor

## ~Immunology/Inflammation Compounds~





### HY-13980 **UNC0642**

UNC0642 is a potent and selective G9a/GLP inhibitor, inhibits G9a/GLP with an IC50 of less than

Target: Histamine Receptor

(CAS No.: 1481677-78-4) Effect: Inhibitor

### HY-12199B

### Pitolisant hydrochloride

Pitolisant hydrochloride is a potent and selective nonimidazole inverse agonist at the recombinant human histamine H3 receptor (Ki=0.16 nM).

Target: Histamine Receptor

(CAS No.: 903576-44-3) Effect: Antagonist

### HY-A0077

### Perphenazine

Perphenazine is a typical antipsychotic drug, inhibits 5-HT2A receptor (5-HT2A), Alpha-1A adrenergic receptor (  $\alpha$  1A), Dopamine receptor D2/D3, D2L receptor, and Histamine H1 receptor (H1) with Ki of 5.6, 10, 0.765/0.13, 3.4, and 8 nM.

Target: Histamine Receptor

Effect: Inhibitor (CAS No.: 58-39-9)

### HY-N0054

### Osthole

Osthole is a natural antihistamine alternative.

Target: Histamine Receptor

(CAS No.: 484-12-8) Effect: Inhibitor



### HY-B0298A

### Clemastine fumarate

Clemastine Fumarate is a selective histamine H1 receptor antagonist with IC50 of 3 nM.

Target: Histamine Receptor

(CAS No.: 14976-57-9) Effect: Antagonist



### HY-101420

### VUF10460

VUF10460 is a non-imidazole histamine H4 receptor agonist; binds to rat H4 receptor with a pKi of 7.46.

Target: Histamine Receptor

(CAS No.: 1028327-66-3) Effect: Agonist

### HY-14111 GSK189254A



GSK189254A (GSK189254) is a novel, potent and selective histamine H3 receptor antagonist with pKi values of 9.59-9.90 and 8.51-9.17 for human and rat H3, respectively.

Target: Histamine Receptor

(CAS No.: 720690-73-3) Effect: Antagonist



### HY-B2168

### Mequitazine

Mequitazine is a potent, nonsedative and long-acting histamine H1 antagonist.

Target: Histamine Receptor

(CAS No.: 29216-28-2) Effect: Antagonist



### HY-30234A

### Clemizole hydrochloride

Clemizole hydrochloride is an H1 histamine receptor antagonist, is found to substantially inhibit HCV replication.

Target: Histamine Receptor

(CAS No.: 1163-36-6) Effect: Antagonist



### HY-A0015

### Bepotastine Beslilate

Bepotastine Beslilate (Bepreve) is a histamine H1 receptor anatagonist.

Target: Histamine Receptor

(CAS No.: 190786-44-8) Effect: Antagonist



H-CI

### HY-B0781

### Promethazine hydrochloride

Promethazine Hcl(NSC-231688) is the firstgeneration antihistamine; strong antagonist of the H1 receptor and moderate mACh receptor antagonist, moderate affinity for 5-HT2A, 5-HT2C, D2 and  $\,\alpha$  1adrenergic receptors.

Target: Histamine Receptor

(CAS No.: 58-33-3) Effect: Antagonist



### HY-B0349

### Meclizine dihydrochloride

Meclizine is a histamine H1 receptor antagonist used to treat nausea and motion sickness

Target: Histamine Receptor

(CAS No.: 1104-22-9) Effect: Antagonist



### HY-17039

### Alcaftadine

Alcaftadine(R89674) is a H1 histamine receptor antagonist, which is used to prevent eye irritation brought on by allergic conjunctivitis.

Target: Histamine Receptor

(CAS No.: 147084-10-4) Effect: Antagonist

### HY-B1204 Histamine



Histamine is an organic nitrogenous compound involved in local immune responses as well as regulating physiological function in the gut and acting as a neurotransmitter.

Target: Histamine Receptor

(CAS No.: 51-45-6) Effect: Activator

## ~Immunology/Inflammation Compounds~



(CAS No.: 113-92-8)

### HY-B0377

### Famotidine



Famotidine is a competitive histamine H2-receptor antagonist. Its main pharmacodynamic effect is the inhibition of gastric secretion.

Target: Histamine Receptor

Effect: Antagonist

(CAS No. : 76824-35-6)

# N

### HY-B0970

### Diphenylpyraline hydrochloride

Diphenylpyraline Hcl is a first-generation antihistamine with anticholinergic effects, acts as a dopamine reuptake inhibitor, shows to be useful in the treatment of Parkinsonism

Target: Histamine Receptor

Effect: Inhibitor (CAS No. : 132–18–3)



### HY-B0539

### Desloratadine

Desloratadine(Sch34117) is a potent antagonist for human histamine H1 receptor used to treat allergies.

Target: Histamine Receptor

Effect: Antagonist (CAS No.: 100643-71-8)

その他多数 (COX、Histamine Receptor関連化合物)



### HY-B0286A

### Chlorpheniramine maleate

Chlorpheniramine maleate is an histamine H1 receptor antagonist with IC50 of 12 nM.

Target: **Histamine Receptor** 

Effect: Antagonist

### JNJ-JNJ-JNJ-4 +1

### **HY-13508** JNJ-7777120

JNJ-7777120 is a selective H4R antagonist with Ki of 4  $\pm1$  nM, exhibits >1000-fold selectivity over the other histamin receptors.

Target: Histamine Receptor

Effect: Antagonist

## **HY-B0303A**Diphenhydramine hydrochloride



Diphenhydramine HCI (Benadryl), a histamine H1 antagonist used as an antiemetic, antitussive, for dermatoses and pruritus, for hypersensitivity

reactions, as a hypnotic, an antiparkinson, and as an ingredient in common cold preparations.

Target: Histamine Receptor Effect: Antagonist

(CAS No.: 147-24-0)

(CAS No.: 459168-41-3)