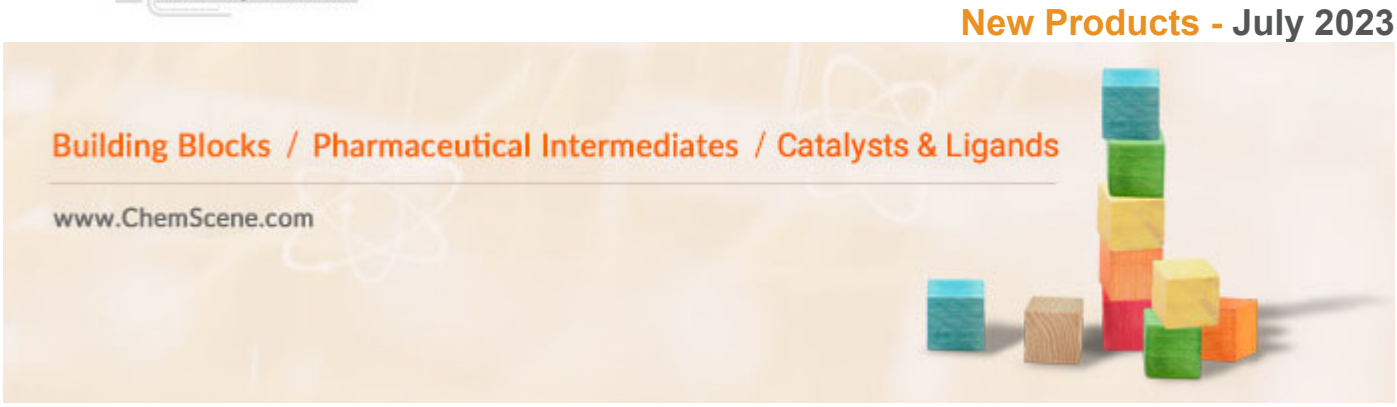
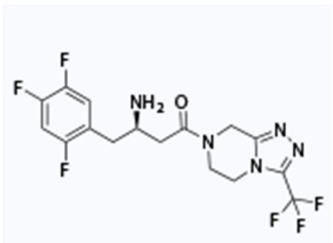
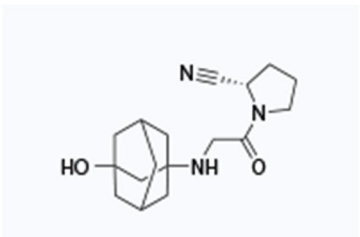
ChemScene
Chemical Reagents For Life Science

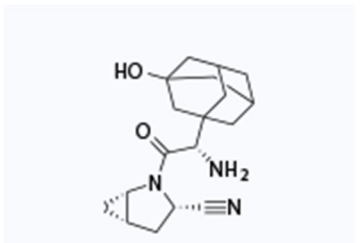
New Products - July 2023

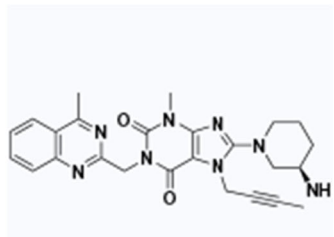


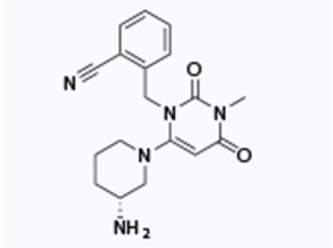
Dipeptidyl peptidase-4 (DPP-4) is a serine protease and widely distributed throughout the body like expressed as an ectoenzyme on endothelial cells, present on the surface of T lymphocytes, and in a circulating form[1]. It is responsible for the rapid inactivation of the incretin hormones glucagon-like peptide (GLP)-1 and glucose-dependent insulinotropic peptide (GIP) via cleavage of a dipeptide from the N-terminus of these oligopeptides. Inhibition of GLP-1 and GIP metabolic breakdown improves glycemic control in patients with type 2 diabetes, making DPP-4 a good therapeutic target. Thus, a number of potent DPP-4 inhibitors were developed and play a rapidly evolving role in the management of type 2 diabetes in recent years. Approved DPP-4 inhibitors such as sitagliptin, vildagliptin, saxagliptin, linagliptin, and alogliptin have demonstrated their efficacy in improving glycaemic control, and major advantages including low risk of hypoglycemia and beneficial effect on weight[2-8].

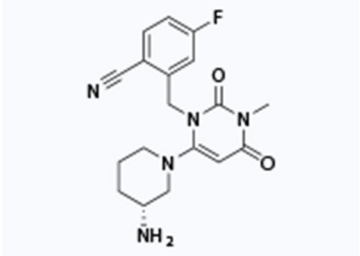

Sitagliptin (approved)
DPP-4 inhibitor

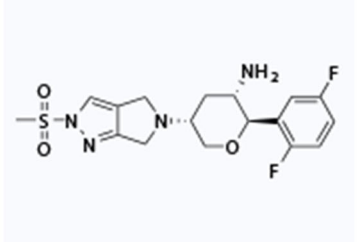

Vildagliptin (approved)
DPP-4 inhibitor

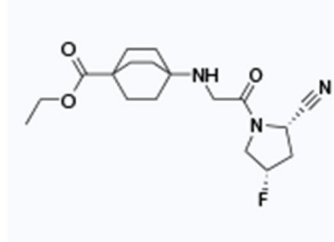

Saxagliptin (approved)
DPP-4 inhibitor


Linagliptin (approved)
DPP-4 inhibitor


Alogliptin (approved)
DPP-4 inhibitor


Trelagliptin (approved)
DPP-4 inhibitor


Omarigliptin (approved)
DPP-4 inhibitor


KRP-104 (Phase II)
DPP-4 inhibitor

A series of building blocks will be used as molecular fragments in the design of DPP-4 inhibitors.

[1] Journal of Medicinal Chemistry (**2021**), 64, 14, 9639-9648.

[2] Journal of Medicinal Chemistry (**2020**), 63, 13, 7108-7126.

[3] Journal of Medicinal Chemistry (**2016**), 59, 16, 7466-7477.

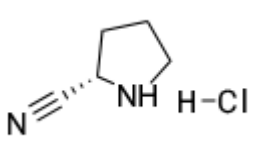
[4] Bioorganic & Medicinal Chemistry (**2021**), 46, 116354.

[5] Bioorganic & Medicinal Chemistry (**2021**), 29, 115861.

[6] European Journal of Medicinal Chemistry (**2019**), 180, 509-523.

[7] European Journal of Medicinal Chemistry (**2018**), 151, 145-157.

[8] European Journal of Medicinal Chemistry (**2017**), 141, 519-529.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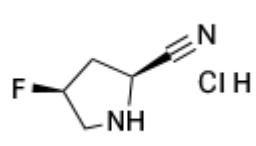
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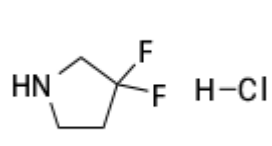
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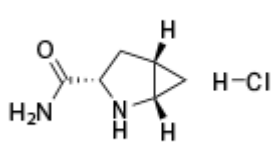
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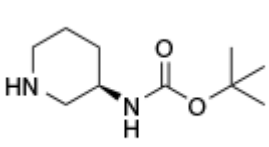
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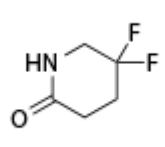
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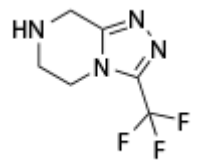
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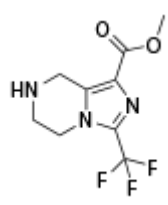
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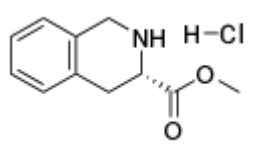
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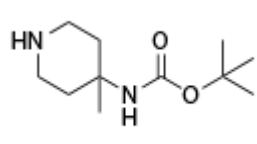
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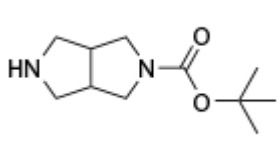
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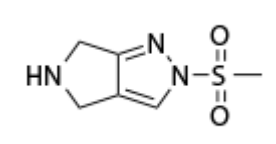
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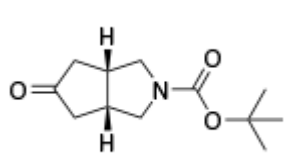
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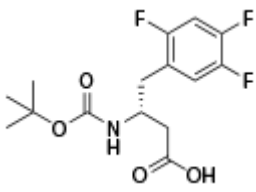
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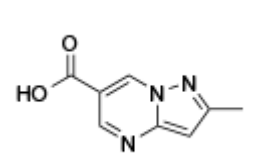
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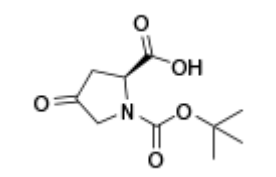
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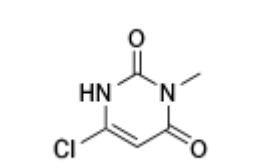
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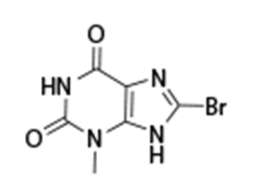
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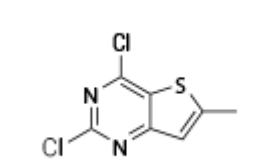
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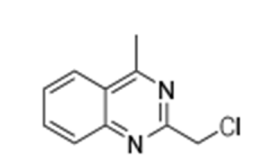
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