Saxagliptin hydrate

Cat. No.: HY-10285A

CAS No.: 945667-22-1

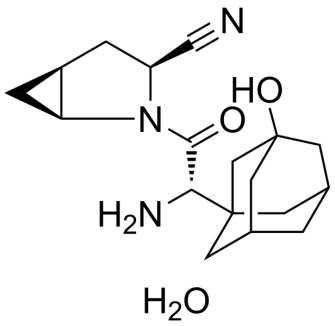
Molecular Formula: $C_{18}H_{27}N_3O_3$

Molecular Weight: 333.43

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Saxagliptin hydrate (BMS-477118 hydrate) is a potent, selective, reversible, competitive and orally active dipeptidyl peptidase-4 (DPP-4) (K_i = 0.6-1.3 nM) inhibitor. Saxagliptin hydrate has the peotential for type 2 diabetes mellitus research[1][2][3].

IC_{50} & Target

DPP-4

In Vitro

Saxagliptin (100 nM; 48 hours; INS-1 832/13 cells) treatment significantly induceS β-cell proliferation[1].
Saxagliptin (100 nM; 48 hours; INS-1 832/13 cells) treatment increases the p-AKT and active β-catenin protein levels, paralleled with the increase of c-myc and cyclin D1 protein expression[1].
Saxagliptin acts by preventing the degradation of glucagon-like peptide-1 and hence increases secretion of insulin and decreases secretion of glucagon[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	INS-1 832/13 cells
Concentration:	100 nM
Incubation Time:	48 hours
Result:	Significantly induced β-cell proliferation.

Western Blot Analysis[1]

Cell Line:	INS-1 832/13 cells
Concentration:	100 nM
Incubation Time:	48 hours
Result:	Increased the p-AKT and active β-catenin protein levels, paralleled with the increase of c-myc and cyclin D1 protein expression.

In Vivo

Saxagliptin (1 mg/kg; for 12 weeks) treatment in high-fat diet/streptozotocin-induced diabetic rats, significant improvement in pancreas insulin secretion capacity evaluated by hyperglycemia clamp and increased β-cell to α-cell areas ratio are

observed[1].

Saxagliptin dose-dependently inhibits plasma DPP-4 activity in Han-Wistar rats, by ~70% at 7 hours postdose with 1 mg/kg and by ~90% at 7 hours postdose with 10 mg/kg. At 24 hours postdose, ~20% and 70% inhibition, respectively, remained[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2020 Jul;177:113951.
- Front Oncol. 24 September 2021.
- J Biol Chem. 2018 Dec 7;293(49):18864-18878.
- Andrology. 2022 Sep 16.

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REFERENCES

[1]. Chun-Jun Li, et al. Saxagliptin Induces β-Cell Proliferation through Increasing Stromal Cell-Derived Factor-1α In Vivo and In Vitro. Front Endocrinol (Lausanne). 2017 Nov 27;8:326.

[2]. Darshan J Dave. Saxagliptin: A dipeptidyl peptidase-4 inhibitor in the treatment of type 2 diabetes mellitus. J Pharmacol Pharmacother. 2011 Oct;2(4):230-5.

[3]. Carolyn F Deacon, et al. Saxagliptin: a new dipeptidyl peptidase-4 inhibitor for the treatment of type 2 diabetes. Adv Ther. 2009 May;26(5):488-99.

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