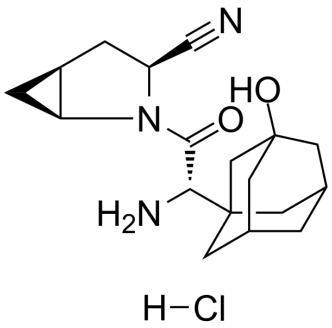
Saxagliptin hydrochloride

Cat. No.:	HY-16448
CAS No.:	709031-78-7
Molecular Formula:	$C_{18}H_{26}ClN_3O_2$
Molecular Weight:	351.87
Target:	Dipeptidyl Peptidase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (355.24 mM; Need ultrasonic)

H_2O : 100 mg/mL (284.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8420 mL	14.2098 mL	28.4196 mL
5 mM	0.5684 mL	2.8420 mL	5.6839 mL
10 mM	0.2842 mL	1.4210 mL	2.8420 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

Ki: 0.6-1.3 nM (Dipeptidyl peptidase-4 (DPP-4))[2]

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 Viability 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.
- J Biol Chem. 2018 Dec 7;293(49):18864-18878.
- Front Oncol. 24 September 2021.
- 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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