# Saxagliptin

**Cat. No.:** HY-10285

**CAS No.:** 361442-04-8

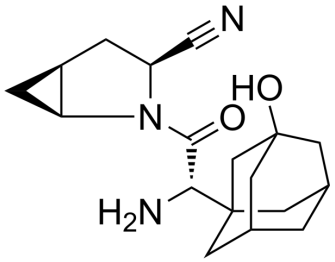
**Molecular Formula:** $C_{18}H_{25}N_3O_2$

**Molecular Weight:** 315.41

**Target:** Dipeptidyl Peptidase

**Pathway:** Metabolic Enzyme/Protease

**Storage:** -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 34 mg/mL (107.80 mM)
$H_2O$ : 10 mg/mL (31.70 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 3.1705 mL | 15.8524 mL | 31.7048 mL |
| 5 mM | 0.6341 mL | 3.1705 mL | 6.3410 mL |
| 10 mM | 0.3170 mL | 1.5852 mL | 3.1705 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
Solubility: 8.33 mg/mL (26.41 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

## BIOLOGICAL ACTIVITY

**Description**

Saxagliptin (BMS-477118) is a potent, selective, reversible, competitive and orally active dipeptidyl peptidase-4 (DPP-4) ($K_i$ = 0.6-1.3 nM) inhibitor. Saxagliptin 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 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.
- 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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