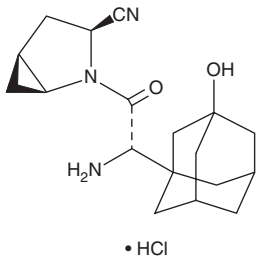
PRODUCT INFORMATION

Saxagliptin (hydrochloride)

Item No. 23697

CAS Registry No.: 709031-78-7
Formal Name: (1S,3S,5S)-2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.1^{3,7}]dec-1-yl)acetyl]-2-azabicyclo[3.1.0]hexane-3-carbonitrile, monohydrochloride
Synonym: BMS-477118
MF: $C_{18}H_{25}N_3O_2$ • HCl
FW: 351.9
Purity: ≥98%
UV/Vis.: λ_{max}: 202 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Saxagliptin (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the saxagliptin (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Saxagliptin (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of saxagliptin (hydrochloride) in these solvents is approximately 10 mg/ml in ethanol and 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of saxagliptin (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of saxagliptin (hydrochloride) in PBS (pH 7.2), is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Saxagliptin is a potent inhibitor of dipeptidyl peptidase 4 (DPP-4; K_i = 0.6 nM).[1] It inhibits DPPp-4 *ex vivo* (ED_{50} = 0.12 µmol/kg) in plasma from normal fasted rats. Saxagliptin (0.3-3 mg/kg) reduces plasma glucose levels in Zucker$^{fa/fa}$ diabetic rats in a dose-dependent manner. Oral administration at doses ranging from 1-10 µmol/kg increases plasma insulin levels and improves glucose clearance in *ob/ob* mice, a transgenic model of obesity. Saxagliptin induces systolic and diastolic dysfunction, reduces contractile force, and exacerbates ischemia-reperfusion injury-induced cardiac dysfunction in isolated guinea pig hearts.[2] Formulations containing saxagliptin have been used for the treatment of type 2 diabetes.

References

1. Augeri, D.J., Robl, J.A., Betebenner, D.A., *et al.* Discovery and preclinical profile of Saxagliptin (BMS-477118): A highly potent, long-acting, orally active dipeptidyl peptidase IV inhibitor for the treatment of type 2 diabetes. *J. Med. Chem.* **48(15)**, 5025-5037 (2005).
2. Koyani, C.N., Kolesnik, E., Wölkart, G., *et al*. Dipeptidyl peptidase-4 independent cardiac dysfunction links saxagliptin to heart failure. *Biochem. Pharmacol.* **145(1)**, 64-80 (2017).

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