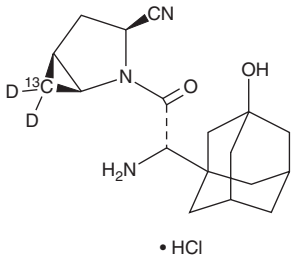
PRODUCT INFORMATION

Saxagliptin-^{13}C-d_2 (hydrochloride)

Item No. 26709

CAS Registry No.: 2699827-95-5
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-6-^{13}C-6,6-d_2-3-carbonitrile, monohydrochloride
MF: $C_{17}[^{13}C]H_{23}D_2N_3O_2$ • HCl
FW: 354.9
Chemical Purity: ≥95% (Saxagliptin)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_2); ≤1% d_0
Supplied as: A 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-^{13}C-d_2 (hydrochloride) is intended for use as an internal standard for the quantification of Saxagliptin (Item No. 23697) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Saxagliptin-^{13}C-d_2 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the saxagliptin-^{13}C-d_2 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Saxagliptin-^{13}C-d_2 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of saxagliptin-^{13}C-d_2 (hydrochloride) in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Description

Saxagliptin is a potent inhibitor of dipeptidyl peptidase 4 (DPP-4; K_i = 0.6 nM).[1] It inhibits DPP-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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