# **PRODUCT** INFORMATION



## Saxagliptin-<sup>13</sup>C-d<sub>2</sub> (hydrochloride)

Item No. 26709

CAS Registry No.: Formal Name:	2699827-95-5 (1S,3S,5S)-2-[(2S)-2-amino-2-(3- hydroxytricyclo[3.3.1.1 <sup>3,7</sup> ]dec-1-yl)acetyl]-2- azabicyclo[3.1.0]hexane-6- <sup>13</sup> C-6,6-d <sub>2</sub> -3-carbonitrile, monohydrochloride	D <sup>13</sup> C N OH
MF:	$C_{17}[^{13}C]H_{23}D_2N_3O_2 \bullet HCI$	D
FW:	354.9	H <sub>2</sub> N
Chemical Purity:	≥95% (Saxagliptin)	
Deuterium		
Incorporation:	≥99% deuterated forms (d₁-d₂); ≤1% d₀	• HCI
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		

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#### Laboratory Procedures

Saxagliptin-13C-d<sub>2</sub> (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<sub>2</sub> (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-<sup>13</sup>C-d<sub>2</sub> (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of saxagliptin-<sup>13</sup>C-d<sub>2</sub> (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;  $K_i = 0.6 \text{ nM}$ ).<sup>1</sup> It inhibits DPP-4 ex vivo  $(ED_{50} = 0.12 \mu mol/kg)$  in plasma from normal fasted rats. Saxagliptin (0.3-3 mg/kg) reduces plasma glucose levels in Zucker<sup>fa/fa</sup> 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.<sup>2</sup> 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM