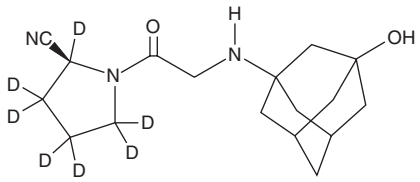
PRODUCT INFORMATION

Vildagliptin-d_7

Item No. 22373

CAS Registry No.: 1133208-42-0
Formal Name: (2S)-1-[2-[(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)amino] acetyl]-2-pyrrolidine-2,3,3,4,4,5,5-d_7-carbonitrile acid
MF: $C_{17}H_{18}D_7N_3O_2$
FW: 310.4
Chemical Purity: ≥98% (Vildagliptin)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_7); ≤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

Vildagliptin-d_7 is intended for use as an internal standard for the quantification of vildagliptin (Item No. 14705) 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).

Vildagliptin-d_7 is supplied as a solid. A stock solution may be made by dissolving the vildagliptin-d_7 in the solvent of choice. Vildagliptin-d_7 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of vildagliptin-d_7 in ethanol and DMSO is approximately 15 mg/ml and approximately 20 mg/ml in 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 vildagliptin-d_7 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of vildagliptin-d_7 in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Vildagliptin is an inhibitor of dipeptidyl peptidase 4 (DPP-4; K_i = 0.003 µM).[1] It is selective for DPP-4 over DPP-2, DPP-8, and DPP-9 (K_is = >500, 0.81, and 0.095 µM, respectively). Vildagliptin (3 mg/kg) decreases plasma glucose levels and increases plasma insulin levels during an oral glucose challenge in Zucker *fa/fa* rats.[2] It prevents increases in liver triglyceride and thiobarbituric acid reactive substance (TBARS) levels in a mouse model of non-alcoholic fatty liver disease (NAFLD) induced by a high-cholesterol diet.[3] Formulations containing vildagliptin have been used in the treatment of type 2 diabetes.

References

1. Ikuma, Y., Hochigai, H., Kimura, H., *et al. Bioorg. Med. Chem.* **20(19)**, 5864-5883 (2012).
2. Burkey, B.F., Li, X., Bolognese, L., *et al. J. Pharm. Exper. Ther.* **315(2)**, 688-695 (2005).
3. Kamal, S.M. *Int. J. Med. Nano Res.* **1(1)**, 1-5 (2014).

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