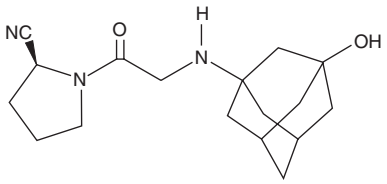
PRODUCT INFORMATION

Vildagliptin

Item No. 14705

CAS Registry No.: 274901-16-5
Formal Name: 1-[2-[(3-hydroxytricyclo[3.3.1.1^{3,7}]dec-1-yl) amino]acetyl]-2S-pyrrolidinecarbonitrile
Synonyms: LAF237, NVP-LAF237
MF: $C_{17}H_{25}N_3O_2$
FW: 303.4
Purity: ≥98%
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

Vildagliptin is supplied as a crystalline solid. A stock solution may be made by dissolving the vildagliptin in the solvent of choice, which should be purged with an inert gas. Vildagliptin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of vildagliptin 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 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of vildagliptin 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.* Discovery of 3*H*-imidazol[4,5-c]quinolin-4(5*H*)-ones as potent and selective dipeptidyl peptidase IV (DPP-4) inhibitors. *Bioorg. Med. Chem.* **20(19)**, 5864-5883 (2012).
2. Burkey, B.F., Li, X., Bolognese, L., *et al.* Acute and chronic effects of the incretin enhancer vildagliptin in insulin-resistant rats. *J. Pharmacol. Exp. Ther.* **315(2)**, 688-695 (2005).
3. Kamal, S.M. Anti-oxidant and anti-inflammatory effects of vildagliptin in non-alcoholic fatty liver disease of mice. Int. *J. Med. Nano Res.* **1(1)**, 1-5 (2014).

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