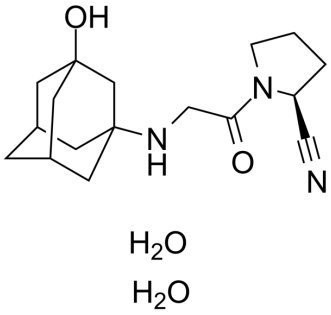
Vildagliptin dihydrate

Cat. No.:	HY-14291A
CAS No.:	2133364-01-7
Molecular Formula:	$C_{17}H_{29}N_3O_4$
Molecular Weight:	339.43
Target:	Dipeptidyl Peptidase; Ferroptosis; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Vildagliptin dihydrate (LAF237 dihydrate) is a potent, stable, selective dipeptidyl peptidase IV (DPP-IV) inhibitor with an IC_{50} of 3.5 nM in human Caco-2 cells. Vildagliptin dihydrate possesses excellent oral bioavailability and potent antihyperglycemic activity[1].
IC_{50} & Target	IC50: 3.5 nM (DPP-IV, in human Caco-2 cells)[1]
In Vitro	Vildagliptin promotes beta cell survival by inhibiting cell apoptosis. Vildagliptin also promotes cell proliferation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vildagliptin (35 mg/kg; once daily by oral gavage) increases plasma active GLP-1 levels in islets of db/db mice[2]. Vildagliptin (10 μmol/kg; orally) significantly decreases glucose excursions and stimulate insulin secretion in obese male Zucker rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male db/db mice (BKS) and wildtype mice[2]
Dosage:	35 mg/kg
Administration:	Oral gavage; once daily; for 6 weeks
Result:	Increased plasma active GLP-1 levels (22.63±1.19 vs. 11.69±0.44).

Animal Model:	Obese male Zucker rats[1]
Dosage:	10 μmol/kg (Pharmacokinetic Analysis)
Administration:	Orally
Result:	Significantly decreased glucose excursions and stimulate insulin secretion.

CUSTOMER VALIDATION

- Cancer Lett. 2018 Apr 28;420:26-37.
- J Biol Chem. 2018 Dec 7;293(49):18864-18878.

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REFERENCES

[1]. Cheng Q, et al. Combination of the dipeptidyl peptidase IV inhibitor LAF237 [(S)-1-[(3-hydroxy-1-adamantyl)ammo]acetyl-2-cyanopyrrolidine] with the angiotensin II type 1 receptor antagonist valsartan [N-(1-oxopentyl)-N-[[2'-(1H-tetrazol-5-yl)-[1,1'-biphenyl]-4-yl]methyl]-L-valine] enhances pancreatic islet morphology and function in a mouse model of type 2 diabetes. J Pharmacol Exp Ther. 2008 Dec;327(3):683-91.

[2]. Shen M, et al. The synergistic effect of valsartan and LAF237 [(S)-1-[(3-hydroxy-1-adamantyl)ammo]acetyl-2-cyanopyrrolidine] on vascular oxidative stress and inflammation in type 2 diabetic mice. Exp Diabetes Res. 2012;2012:146194.

[3]. Abdelhamid AM, et al. Vildagliptin/Pioglitazone Combination Improved The Overall Glycemic Control In Type I Diabetic Rats. Can J Physiol Pharmacol. 2018 Mar 6. doi: 10.1139/cjpp-2017-0680.

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