Product Data Sheet

Product Name: Vildagliptin dihydrate (LAF237 dihydrate)
Cat. No.: GC31492

Chemical Properties

Cas. No.	2133364-01-7		
SMILES	O[C@@]1(C[C@H](C2)C3)C[C@H]3C[C@@]2(NCC(N4CCC[C@H]4C#N)=O)C1.O.O		
Formula	$C_{17}H_{29}N_3O_4$	M.Wt	339.43
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

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Animal experiment:

Rats[3]Rats are randomly divided into four groups. (I) Normal control: Untreated control animals (n=12). (II) Diabetic control: Untreated diabetic group (n=18). (III) Vildagliptin: Diabetic rats treated with Vildagliptin (5 mg/kg) (n=12). (IV) Vildagliptin/Pio: Diabetic rats treated with Vildagliptin (5 mg/kg) and Pio (20 mg/kg) (n=12). Treatments are given once daily per-oral for 7 weeks starting from day 1 of confirmation of diabetes. Blood samples are collected from tail vein weekly for 7 weeks. Animals are sacrificed for further analysis[3].Mice[1]For chronic treatment, 4-week-old obese db/db male mice are randomly assigned to Vildagliptin dosage groups. Each group consists of 6 to 10 mice. The mice receive different concentrations of Vildagliptin dissolved in their drinking water for 8 weeks to determine optimal dose for reducing hyperglycemia and glucose intolerance. From initial pilot studies, the dose of Vildagliptin (1 mg/kg/day) is selected for further analysis. Two additional groups of 4-week-old db/db mice receive either valsartan alone (10 mg/kg/day) or combined with Vildagliptin (1 mg/kg/day)[1].

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'-

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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.

Background

Vildagliptin is an inhibitor of dipeptidyl peptidase 4 (DPP-4; K_i = 0.003 ?M).[1] It is selective for DPP-4 over DPP-2, DPP-8, and DPP-9 (K_is = >500, 0.81, and 0.095 ?M,

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.

1.Ikuma, Y., Hochigai, H., Kimura, H., et al.Discovery of 3H-imidazol[4,5-c]quinolin-4(5H)-ones as potent and selective dipeptidyl peptidase IV (DPP-4) inhibitorsBioorg. 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 ratsJ. 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 miceInt. J. Med. Nano Res.1(1)1-5(2014)