

Product Data Sheet

Product Name: Vildagliptin (LAF-237)

Cat. No.: GC16733

Chemical Properties

Cas. No. 274901-16-5

Chemical Name (2S)-1-[2-[(3-hydroxy-1-adamantyl)amino]acetyl]pyrrolidine-2-carbonitrile

SMILES C1CC(N(C1)C(=O)CNC23CC4CC(C2)CC(C4)(C3)O)C#N

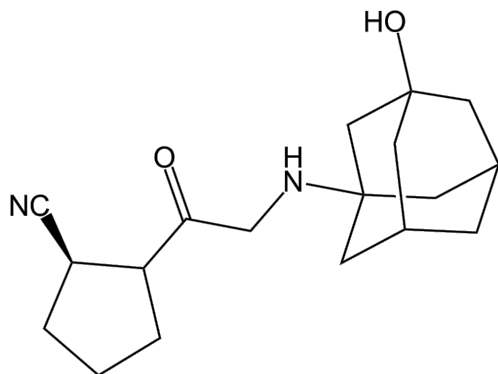
Formula $C_{17}H_{25}N_3O_2$ M.Wt 303.4

Solubility ≥ 12.05 mg/mL in DMSO, ≥ 96.4 mg/mL in EtOH with ultrasonic, ≥ 96.8 mg/mL in Water with ultrasonic
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

LAF-237 is a potent inhibitor of DPP-4 with IC_{50} value of 2.3 nM [1].

DPP-4 is an antigenic enzyme expressed on the surface of most cell types and is associated with signal transduction, apoptosis and immune regulation [1].

LAF-237 is a specific inhibitor of DPP4 and can reduce glycemia. When tested on Type II diabetes patients, LAF-237 inhibits the inactivation of GLP-1 by DPP-4, allowing GLP-1 to increase the

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

secretion of insulin and decrease glucagon release [2].

In the glucose tolerance test with obese male Zucker rats, LAF-237 can significantly decrease glucose excursion and stimulates insulin secretion. There are 95% inhibition activities of DDP-4 two hours after the injection of LAF-237[3]. Through enhances beta cell replication and reduces apoptosis, LAF-237 increases in the number of beta cell and can sustain for 12 days after washout LAF-237. Also, LAF-237 can protect nerve fiber loss in STZ-induced diabetic adult male Sprague Dawley rats [4].

References:

[1]. Chakraborty S, Rendón-Ramírez A, Ásgeirsson B, et al. The dipeptidyl peptidase IV inhibitors vildagliptin and K-579 inhibit a phospholipase C: a case of promiscuous scaffolds in proteins. *F1000Res*, 2013, 2: 286.

[2]. Åhrén B, Landin-Olsson M, Jansson PA, et al. Inhibition of dipeptidyl peptidase-4 reduces glycemia, sustains insulin levels, and reduces glucagon levels in type 2 diabetes. *The Journal of Clinical Endocrinology and Metabolism*, 2004, 89 (5): 2078–84.

[3] Villhauer EB, et al. 1-[[[(3-hydroxy-1-adamantyl) amino] acetyl]-2-cyano-(S)-pyrrolidine: a potent, selective, and orally bioavailable dipeptidyl peptidase IV inhibitor with antihyperglycemic properties. *J Med Chem*, 2003, 46(13), 2774-2789.

[4] Duttaroy A, et al. Combination therapy with nateglinide and vildagliptin improves postprandial metabolic derangements in Zucker fatty rats. *Eur J Pharmacol*, 2011, 650(2-3), 703-707.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA