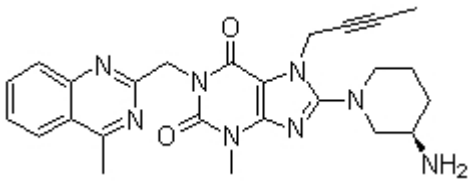
Product Introduction

Linagliptin

Linagliptin is a highly potent, selective **DPP-4** inhibitor with **IC50** of 1 nM.

Technical Data:

Molecular Weight (MW):	472.54	
Formula:	$C_{25}H_{28}N_8O_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 17 mg/mL	
	Water <1 mg/mL	
	Ethanol 1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	668270-12-0	

Biological Activity

Linagliptin shows a potent inhibition effect against DPP-4 in vitro and a low affinity for hERG channel and M1 receptor (IC50 295 nM). [1] Linagliptin acts as a competitive inhibitor with a K_i of 1 nM, and also shows 10,000-fold more selectivity for DPP-4 than DPP-8, DPP-9, amino-peptidases N and P, prolyloligopeptidase, trypsin, plasmin, and thrombin, and 90-fold more selectivity than fibroblast activation protein in vitro. [2] In male Wistar rats, Beagle dogs, and Rhesus monkeys, Linagliptin shows a highly efficacious, long-lasting, and potent inhibitory activity against DPP-4 by more than 70% inhibition for all three species after oral administration of 1 mg/kg. Oral administration of Linagliptin to db/db mice 45 min before an oral glucose tolerance test reduces plasma glucose excursion in a dose-dependent manner from 0.1 mg/kg (15%

inhibition) to 1 mg/kg (66% inhibition). [1] By inhibiting DPP-4 activity, Linagliptin reduces the expression of the proinflammatory markers cyclooxygenase-2 and macrophage inflammatory protein-2, and enhances the formation of myofibroblasts in healing wounds from ob/ob mice. [3]

References

[1] Eckhardt M, et al. J Med Chem. 2007, 50(26), 6450-6453.

[2] Thomas L, J Pharmacol Exp Ther. 2008, 325(1), 175-182.

[3] Schürmann C, et al. J Pharmacol Exp Ther. 2012, 342(1), 71-8

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