

Linagliptin

Catalog No: tcsc0637



Available Sizes

Size: 100mg

Size: 250mg

Size: 1g



Specifications

CAS No:

668270-12-0

Formula:

$C_{25}H_{28}N_8O_2$

Pathway:

Metabolic Enzyme/Protease

Target:

Dipeptidyl Peptidase

Purity / Grade:

>98%

Solubility:

DMSO : 10 mg/mL (21.16 mM; Need ultrasonic)

Alternative Names:

BI 1356

Observed Molecular Weight:

472.54

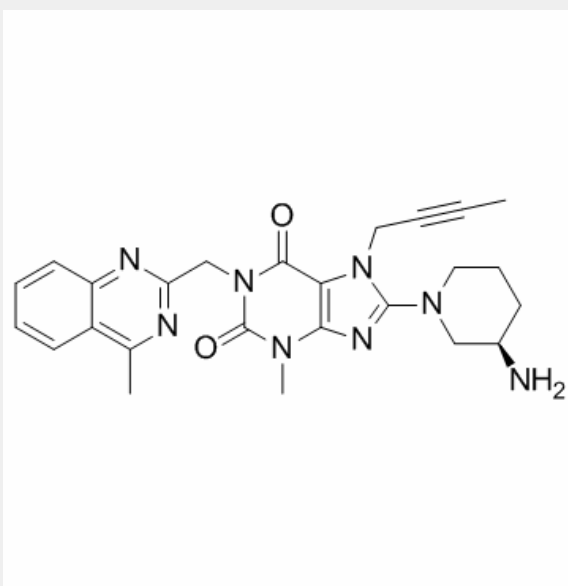
Product Description

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

IC50 & Target: IC50: 1 nM (DPP-4)

In Vitro: Linagliptin inhibits DPP-4 activity in vitro in several independent experiments with IC₅₀ values of 0.4, 0.5, 0.9, and 1.1 nM (mean IC₅₀, approximately 1 nM). Linagliptin inhibits FAP with an IC₅₀ of 89 nM (approximately 90-fold selectivity versus DPP-4)^[2].

In Vivo: In male Wistar rats, Beagle dogs, and Rhesus monkeys, xanthine linagliptin proves to be a highly efficacious, long-lasting, and potent DPP-4 inhibitor providing >70% inhibition for >7 h for all three species after oral administration of 1 mg/kg. Single oral administration of linagliptin to db/db mice 45 min prior to an oral glucose tolerance test reduced plasma glucose excursion in a dose-dependent manner from 0.1 mg/kg (15% inhibition) to 1 mg/kg (66% inhibition)^[1]. Linagliptin (3 and 10 mg/kg) dose-dependently inhibits the DPP-4 enzyme in plasma within 30 min of administration. Linagliptin (1 mg/kg, p.o.) significantly reduces glucose excursion by approximately 50%^[2]. Oral administration of the DPP-4 inhibitor linagliptin (3 mg/kg, p.o.) strongly reduces DPP-4 activity, stabilizes active GLP-1 in chronic wounds, and improves healing in ob/ob mice. At day 10 postwounding, linagliptin-treated ob/ob mice show largely epithelialized wounds characterized by the absence of neutrophils^[3].



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