Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Linagliptin is a highly potent, selective **DPP-4** inhibitor with **IC_{50}** of 1 nM.

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

In Vitro: Linagliptin inhibits DPP-4 activity in vitro in several independent experiments with IC_{50} values of 0.4, 0.5, 0.9, and 1.1 nM (mean IC_{50}, approximately 1 nM). Linagliptin inhibits FAP with an IC_{50} 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].