

Data Sheet

 Product Name:
 DBPR108

 Cat. No.:
 CS-3825

 CAS No.:
 1186426-66-3

 Molecular Formula:
 C16H25FN4O2

Molecular Weight: 324.39

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease Solubility: DMSO: \geq 25 mg/mL (77.07 mM)

BIOLOGICAL ACTIVITY:

DBPR108 is a potent, selective, and orally bioavailable dipeptide-derived inhibitor of DPP4 with IC50 of 15 nM; no inhibition on DDP8 and DPP9. IC50 value: 15 nM [1] Target: DPP4 inhibitor DBPR108 is an IC50=15 nM DPP IV inhibitor displays a more than 3000-fold selectivity over DPP8 DPP9, FAP and DPP-II. TThe in vivo effects of DBPR108, including inhibition of plasma DPP-IV activity and suppression of blood glucose elevation, were also demonstrated. DBPR108 is a potent, selective, long-acting and safe DPP-IV inhibitor as a potential treatment of type 2 diabetes mellitus.

PROTOCOL (Extracted from published papers and Only for reference)

Animal adminstration [1]: Adult male Wistar rats were orally gavaged with the test compounds dissolved in 0.5% methyl cellulose at a single dose of 3.0 mg/kg. Blood samples of 25–50 μ L were collected from the tail veins at the time points indicated in Table 3, and the plasma fraction was kept frozen until DPP-IV activity measurement. The plasma DPP-IV activity was determined by the cleavage rate of Gly-Pro-AMC (H-glycyl-prolyl-7-amino-4-methylcoumarin; BACHEM). Plasma (10 μ L) was mixed with 140 μ L of 150 μ M Gly-Pro-AMC in assay buffer that was composed of 25 mM tris(hydroxymethyl)aminomethane HCl (pH 7.4), 140 mM NaCl, 10 mM KCl, and 0.1% bovine serum albumin. The fluorescence was determined by using a Fluoroskan Ascent FL (excitation at 390 nm and emission at 460 nm) (Thermo LabSystems; Thermo Electron Corporation). DPP-IV activity in plasma was described as units per milliliter (U/mL). One unit of activity is defined as the amount of enzyme that produces 1 μ M products per minute.

References:

[1]. Yeh TK, et al. (2S,4S)-1-[2-(1,1-dimethyl-3-oxo-3-pyrrolidin-1-yl-propylamino)acetyl]-4-fluoro-pyrrolidine-2-carbonitrile: a potent, selective, and orally bioavailable dipeptide-derived inhibitor of dipeptidyl peptidase IV. Bioorg Med Chem Lett. 2010 Jun 15;20(12):3596-600.

CAIndexNames:

2-Pyrrolidinecarbonitrile, 1-[2-[[1,1-dimethyl-3-oxo-3-(1-pyrrolidinyl)propyl]amino]acetyl]-4-fluoro-, (2S,4S)-

SMILES:

N#C[C@H]1N(C(CNC(C)(C)CC(N2CCCC2)=O)=O)C[C@@H](F)C1

Page 1 of 2 www.ChemScene.com

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

Page 2 of 2 www.ChemScene.com