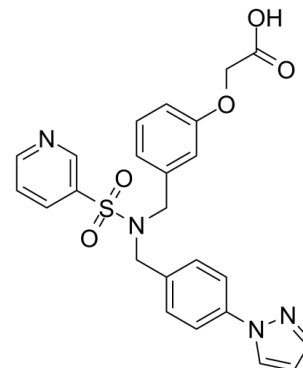


Data Sheet

Product Name:	Taprenepag
Cat. No.:	CS-5590
CAS No.:	752187-80-7
Molecular Formula:	C ₂₄ H ₂₂ N ₄ O ₅ S
Molecular Weight:	478.52
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 100 mg/mL (208.98 mM)



BIOLOGICAL ACTIVITY:

Taprenepag (CP-544326) is a potent and selective **prostaglandin E2 receptor** agonist with an EC₅₀ of 2.8 nM.

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] In the cell-based assay, hEP2-HEK293 cells (5000 cells/well) and rEP2-HEK293 cells (4000 cells/well) were harvested and suspended into a 96-well plate (n = 2 independent experiments). CP-544326 (0.01, 0.1, 1, 10, 100, and 1000 nM) was incubated for different times with hEP2 or rEP2 cells leading to cAMP production. This cAMP second messenger was then measured using HitHunter cAMP XS+ assay. In this assay, free cAMP from cell lysate and cAMP conjugated to a fragment of β-galactosidase compete for antibody binding. The cAMP conjugated to the enzyme fragment which is not bound by the antibody, complements β-galactosidase enzyme acceptor and forms a fully active enzyme. A chemiluminescent signal from β-galactosidase substrate hydrolysis detected by TopCount instrument is directly proportional to the amount of free cAMP produced by the cells following exposure to agonist. EC₅₀ data are reported as the average of two independent experiments. Animal administration [1] Pigmented male Dutch-belted (DB) rabbits (n = 3 rabbits/time point) weighing 1.5-2.0 kg were used. All animals received a 2 × 25 μL topical dose of PF-04217329 or vehicle in each eye. At indicated times (e.g. 1, 3, 6, and 24 h post-dose), the rabbits were euthanized and enucleated and ocular tissues were dissected. PF-04217329 and CP-544326 were isolated from the homogenized rabbit ocular tissue (cornea, iris/ciliary body (ICB), and aqueous humor (AH)) by protein precipitation and quantitated using high performance liquid chromatography/mass spectrometry (LC/MS/MS). Quantification of samples was performed by adding known amounts of CP-544326 acid to blank matrix for standard curve preparation. The LC/MS/MS system used consisted of two Shimadzu LC-10AD HPLC pumps, a CTC HTS PAL autosampler and a Sciex API 4000 triple quadrupole mass spectrometer. Peak area determination, calculation of the ratio between the analyte to internal standard peak area and determination of sample concentrations was performed using Analyst software.

References:

[1]. Prasanna G, et al. Effect of PF-04217329 a prodrug of a selective prostaglandin EP(2) agonist on intraocular pressure in preclinical models of glaucoma. Exp Eye Res. 2011 Sep;93(3):256-64.

CAIndexNames:

Acetic acid, 2-[3-[[[4-(1H-pyrazol-1-yl)phenyl]methyl](3-pyridinylsulfonyl)amino]methyl]phenoxy]-

SMILES:

O=S(C1=CN=CC=C1)(N(CC2=CC(OCC(O)=O)=CC=C2)CC3=CC=C(N4C=CC=N4)C=C3)=O

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