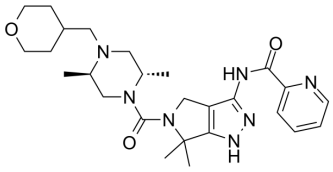
PF-04577806

Cat. No.:	HY-139467
CAS No.:	1072100-81-2
Molecular Formula:	$C_{26}H_{37}N_7O_3$
Molecular Weight:	495.62
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PF-04577806 is a potent, selective and ATP competitive PKC inhibitor. PF-04577806 shows potent inhibitory activity towards PKCα, PKCβI, PKCβII, PKCγ, and PKCθ with IC_{50}s of 2.4 nM, 8.1 nM, 6.9 nM, 45.9 nM, and 29.5 nM, respectively. PF-04577806 can reverse retinal vascular leakage in diabetic rats[1].

IC_{50} & Target

PKCα 2.4 nM (IC_{50})	PKCβI 8.1 nM (IC_{50})	PKCβII 6.9 nM (IC_{50})	PKCγ 45.9 nM (IC_{50})
PKCδ 586 nM (IC_{50})	PKCθ 29.5 nM (IC_{50})	PKCε 522 nM (IC_{50})	

In Vitro

PF-04577806 (0.001-10 μM; 10 min) inhibits PKC activity in diabetic rat retinal lysates, with IC_{50} of 0.18 μM[1].

PF-04577806 (0.12-10 μM; pretreated for 60 min) inhibits phorbol myristate acetate-stimulated phosphorylation of ERK1/2 in Jurkat cells, with an IC_{50} of 0.28 μM[1].

PF-04577806 (0.001-10 μM; pretreated for 1 h) inhibits phosphorylation of SHP2 in HEK293 cells, with an IC_{50} of 5.8 nM. PF-04577806 concentration-dependently inhibits interleukin 8 release from phorbol myristate acetate-stimulated HEK293 cells, with an IC_{50} of 0.12 μM[1].

PF-04577806 (1 μM; 48 h) shows low cytotoxicity against human umbilical vein endothelial cells with remaining cell viability at 100.5% viable[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Jurkat T cells
Concentration:	0, 0.12, 0.37, 1.11, 3.33, 10.0 μM
Incubation Time:	Pretreated for 1 hours
Result:	Showed a dose-dependent decrease in phospho-ERK1/2 but not total ERK1/2.

REFERENCES

[1]. Grant S, et, al. Discovery of a novel class of targeted kinase inhibitors that blocks protein kinase C signaling and ameliorates retinal vascular leakage in a diabetic rat

model. Eur J Pharmacol. 2010 Feb 10;627(1-3):16-25.

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