PF-184

Cat. No.: HY-107591B

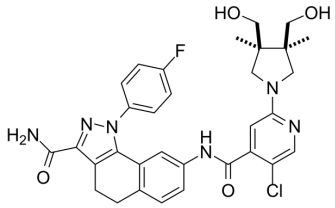
Molecular Formula: $C_{32}H_{32}ClFN_6O_4$

Molecular Weight: 619.09

Target: IKK

Pathway: NF-κB

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-184 is a potent and selective IKK-2 inhibitor (IC_{50}: 37 nM) over rhIKK-1, IKKi, and more than 30 tyrosine and serine/threonine kinases. PF-184 can be used in the research of inflammation, such as asthma and chronic obstructive pulmonary disease[1].
IC_{50} & Target	IKK-2 37 nM (IC_{50})
In Vitro	PF-184 (0.7 nM-10 μM, 1 h) displays inhibitory activity after successive washes of LPS-stimulated PBMC kinase activation[1]. PF-184 (1 h) broadly inhibits IKK-2-dependent inflammatory products in human disease-relevant cells (such as PBMC, neutrophils, airway epithelial cells, and airway endothelial cells), with IC_{50} values ranging from 8 nM to 343 nM[1]. PF-184 (2 nM-10 μM, 1 h) inhibits IL-1β-induced TNF-α in a concentration-dependent manner in PBMCs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-184 (Intratracheal administration, 0.3-2.5 mg/mL, 100 μL) dose-dependently inhibits neutrophil infiltration and BAL cell cytokine production in rat airway inflammation model[1]. PF-184 (i.v. 2 mg/kg or p.o. 5 mg/kg, rats) shows a $T_{1/2}$ (i.v.) value of 1 h, low oral bioavailability (5%), and high i.v. clearance (59 mL/min/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat airway model of neutrophilia[1]
Dosage:	0.3-2.5 mg/mL, 100 μL
Administration:	Intratracheal administration
Result:	Suppressed neutrophil infiltration with an EC_{50} value of 1 mg/mL. Suppressed BAL fluid TNF-α and PGE_2 levels, and inhibited p65 translocation.

REFERENCES

[1]. Cynthia D Sommers, et al. Novel tight-binding inhibitory factor-kappaB kinase (IKK-2) inhibitors demonstrate target-specific anti-inflammatory activities in cellular

assays and following oral and local delivery in an in vivo model of airway inflammation. J Pharmacol Exp Ther. 2009 Aug;330(2):377-88.

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