

PF-3644022

Chemical Properties

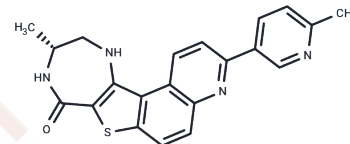
CAS No. : 1276121-88-0

Formula: C₂₁H₁₈N₄O₅

Molecular Weight: 374.46

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	PF-3644022 is an effective, selective, and ATP-competitive MAPKAPK2 (MK2) inhibitor (IC ₅₀ : 5.2 nM and a K _i of 3 nM). PF-3644022 potently inhibits TNF α production and has an anti-inflammatory effect. PF-3644022 also inhibits MK3 and p38 regulated/activated kinase (PRAK) (IC ₅₀ s: 53 nM and 5.0 nM, respectively).
Targets(IC ₅₀)	MAPK,p38 MAPK,Serine Protease
In vitro	PF-3644022 potently inhibits TNF α production with similar activity (IC ₅₀ of 160 nM), in the human U937 monocytic cell line or peripheral blood mononuclear cells. PF-3644022 blocks TNF α and IL-6 production in LPS-stimulated human whole blood (IC ₅₀ : 1.6 and 10.3 μ M, respectively). The inhibitory activity of PF-3644022 against other MAPKAP kinase family members is evaluated. Other than MNK2 with an IC ₅₀ of 148 nM, other family members are largely not inhibited, showing at least several hundred-fold selectivity versus MK2[1].
In vivo	PF-3644022 (3-100 mg/kg; oral gavage; twice daily for 12 days; Lewis rats) treatment exhibits dose-dependent inhibition of chronic paw swelling, measured on day 21 post-treatment (ED ₅₀ : 20 mg/kg)[1].

Solubility Information

Solubility	DMSO: 41.67 mg/mL (111.28 mM),Sonication and heating to 80°C are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6705 mL	13.3526 mL	26.7051 mL
5 mM	0.5341 mL	2.6705 mL	5.341 mL
10 mM	0.2671 mL	1.3353 mL	2.6705 mL
50 mM	0.0534 mL	0.2671 mL	0.5341 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Mourey RJ, et al. A benzothiophene inhibitor of mitogen-activated protein kinase-activated protein kinase 2 inhibits tumor necrosis factor alpha production and has oral anti-inflammatory efficacy in acute and chronic models of inflammation. *J Pharmacol Exp Ther.* 2010 Jun;333(3):797-807

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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