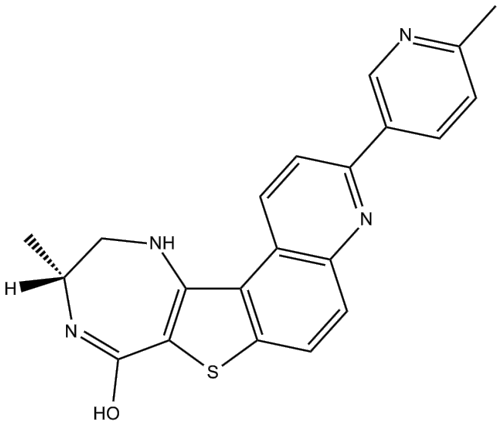
Product Data Sheet

Product Name: PF-3644022
Cat. No.: GC14645

Chemical Properties

Cas. No.	1276121-88-0		
Chemical Name	(R)-10-methyl-3-(6-methylpyridin-3-yl)-11,12-dihydro-10H-[1,4]diazepino[5',6':4,5]thieno[3,2-f]quinolin-8-ol		
SMILES	CC1=NC=C(C2=NC(C=CC(S3)=C4C5=C3C(O)=N[C@](CN5)([H])C)=C4C=C2)C=C1		
Formula	$C_{21}H_{18}N_4OS$	M.Wt	374.46
Solubility	<14.98mg/ml in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

PF-3644022 is a potent and selective mitogen-activated protein kinase (MAPK)-activated protein kinase-2 (MK2) inhibitor (Ki =3 nM). [1]

PF-3644022 is the first oral MK2 inhibitor in both acute and chronic models of inflammation. PF-3644022 reversibly ATP-competitive inhibits MK2 enzyme activity with good selectivity across 200 human kinases. [1]

Caution: Product has not been fully validated for medical applications. For research use only.
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In the human U937 monocytic cell line or peripheral blood mononuclear cells, PF-3644022 potently inhibits TNF production with IC50 value of 160 nM. In LPS-stimulated human whole blood, PF-3644022 blocks TNF and IL-6 production with IC50 values of 1.6 and 10.3 μM, respectively. In U937 cells and blood, Inhibition of TNF correlates closely with inhibition of phospho-heat shock protein 27, a target biomarker of MK2 activity. [1]

In the rat LPS-induced TNF model, PF-3644022 efficacy in the chronic inflammation model is strongly correlated with maintaining a Cmin higher than the EC50 measured. PF-3644022 exhibits efficaciously oral activity and good pharmacokinetic parameters in both the chronic streptococcal cell wall-induced arthritis model and the rat acute LPS-induced TNF model. [1]

References:

1. Mourey RJ, Burnette BL, Brustkern SJ 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. doi: 10.1124/jpet.110.166173. Epub 2010 Mar 17.

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