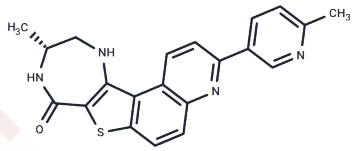
# Data Sheet (Cat.No.T16501)

PF-3644022

## Chemical Properties



CAS No. : 1276121-88-0

Formula: C21H18N4OS

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 (IC50: 5.2 nM and a Ki of 3 nM). PF-3644022 potently inhibits TNFα production and has an anti-inflammatory effect. PF-3644022 also inhibits MK3 and p38 regulated/activated kinase (PRAK) (IC50s: 53 nM and 5.0 nM, respectively). |
| Targets(IC50) | MAPK,p38 MAPK,Serine Protease |
| In vitro | PF-3644022 potently inhibits TNFα production with similar activity (IC50 of 160 nM), in the human U937 monocytic cell line or peripheral blood mononuclear cells. PF-3644022 blocks TNFα and IL-6 production in LPS-stimulated human whole blood (IC50: 1.6 and 10.3 μM, respectively). The inhibitory activity of PF-3644022 against other MAPKAP kinase family members is evaluated. Other than MNK2 with an IC50 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 (ED50: 20 mg/kg)[1]. |

## Solubility Information

| | |
|---|---|
| Solubility | DMSO: 30 mg/mL (80.12 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3 mg/mL (8.01 mM),Solution.<br>10% DMSO+90% Saline: < 3 mg/mL (8.01 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

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