For Research Use Only

PF-3644022

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Catalog Number: CM06684

产品信息

Catalog Number:
CM06684

CAS号:
1276121-88-0

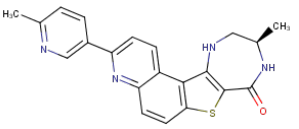
分子式:
$C_{21}H_{18}N_4OS$

主要靶点:
Serine Protease|p38 MAPK|MAPK

主要通路:
MAPK信号通路|蛋白酶体

分子量:
374.46

溶解度:
DMSO:41.67 mg/mL (111.28 mM),ultrasonic and warming and heat to 80°C



靶点活性

PRAK:5.0 nM|MK2:(ki)3 nM|MK2:5.2 nM|MK3:53 nM

体外活性

PF-3644022 potently inhibits TNF α production with similar activity (IC50 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 (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].

体内活性

PF-3644022 (3-100 mg/kg; oral gavage; twice a day; for 12 days; Lewis rats) treatment displays dose-dependent inhibition of chronic paw swelling. It is measured on day 21 after 12 days of oral dosing (ED50: 20 mg/kg)[1].

描述

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 TNF α 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).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years