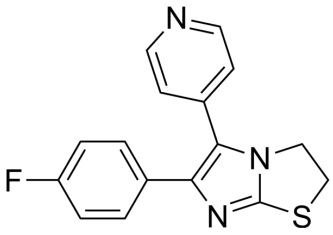
SKF-86002

Cat. No.:	HY-12511
CAS No.:	72873-74-6
Molecular Formula:	$C_{16}H_{12}FN_3S$
Molecular Weight:	297.35
Target:	p38 MAPK
Pathway:	MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (112.09 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3630 mL	16.8152 mL	33.6304 mL
	5 mM	0.6726 mL	3.3630 mL	6.7261 mL
	10 mM	0.3363 mL	1.6815 mL	3.3630 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SKF-86002 is an orally active p38 MAPK inhibitor, with anti-inflammatory, anti-arthritic and analgesic activities. SKF-86002 inhibits lipopolysaccharide (LPS)-stimulate human monocyte IL-1 and TNF-α production (IC_{50} = 1 μM). SKF-86002 inhibits lipoxygenase- and cyclooxygenase-mediated metabolism of arachidonic acid[1][2][3].

In Vitro

SKF-86002 (10 μM; 1 hour) inhibits apoptosis induced by stress stimulation with UV irradiation (UV)[1].
?SKF-86002 does not inhibit UV-induced apoptosis in undifferentiated HL-60 cells[1].
?SKF-86002 (10 μM; 72 hours) prevent IL-4-induced monocyte or U937 cell CD23 surface expression and protein formation with no effect on CD23 mRNA levels[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[4]

Cell Line:	U937 cells
Concentration:	10 μM
Incubation Time:	72 hours
Result:	Significantly reduced CD23 levels on IL-4-treated U937 cells.

In Vivo

SKF-86002 (10-90 mg/kg; p.o.; daily; for 22 days) has antiarthritic activity[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lewis rats, with adjuvant-induced arthritis (AA)[5]
Dosage:	10 mg/kg, 30 mg/kg, 90 mg/kg
Administration:	Oral administration, daily, for 22 days
Result:	Significantly decreased hindleg volumes after injection of adjuvant.

CUSTOMER VALIDATION

- Sci Transl Med. 2023 May 10;15(695):eabq6089.
- Stem Cells. 2022 Jun 30;sxac046.

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REFERENCES

[1]. Griswold DE, et al. SK&F 86002: a structurally novel anti-inflammatory agent that inhibits lipoxygenase- and cyclooxygenase-mediated metabolism of arachidonic acid. Biochem Pharmacol. 1987 Oct 15;36(20):3463-70.

[2]. Frasch SC, et al. p38 mitogen-activated protein kinase-dependent and -independent intracellular signal transduction pathways leading to apoptosis in human neutrophils. J Biol Chem. 1998 Apr 3;273(14):8389-97.

[3]. M J DiMartino, et al. Pharmacologic characterization of the antiinflammatory properties of a new dual inhibitor of lipoxygenase and cyclooxygenase. Agents Actions. 1987 Feb;20(1-2):113-23.

[4]. L A Marshall, et al. Inhibitors of the p38 mitogen-activated kinase modulate IL-4 induction of low affinity IgE receptor (CD23) in human monocytes. J Immunol. 1998 Dec 1;161(11):6005-13.

[5]. Lee JC, et al. A protein kinase involved in the regulation of inflammatory cytokine biosynthesis. Nature. 1994;372(6508):739-746.

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