MK-2894

Cat. No.: HY-10413

CAS No.: 1006036-87-8

Molecular Formula: $C_{25}H_{22}F_3NO_3S$

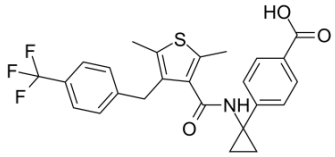
Molecular Weight: 473.51

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (105.59 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.1119 mL	10.5594 mL	21.1189 mL
5 mM	0.4224 mL	2.1119 mL	4.2238 mL
10 mM	0.2112 mL	1.0559 mL	2.1119 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.28 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-2894 is a potent, selective, orally active and high affinity (K_i=0.56 nM) full antagonist against E prostanoid receptor 4 (EP4 receptor) (IC_{50}=2.5 nM). MK-2894 possesses potent anti-inflammatory activity in animal models of pain/inflammation and can be used for the research of arthritis[1][2].

IC_{50} & Target	EP4	EP4

	0.56 nM (Ki)	2.5 nM (IC_{50})
In Vitro	MK-2894 shows inhibitory effects on PGE2-induced cAMP accumulation, the EP4 functional potency in HEK 293 and HWB cells with IC_{50} values of 2.5 nM and 11 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	MK-2894 (oral administration, 20 mg/kg; intravenous injection, 5 mg/kg) exhibits a favorable pharmacokinetic profile in mice, the moderate bioavailability F=21%, and slow to moderate clearance rate (CL=23 mL/min/kg), the volume of distribution (V_{dss}=7.6 L/kg), good elimination half-lives ($T_{1/2}$=15 h) and the maximum concentration reached (C_{max}=1.4 μM) in mice[1]. MK-2894 (oral administration, 20 mg/kg; intravenous injection, 5 mg/kg) exhibits a favorable pharmacokinetic profile in SD-rats, the moderate bioavailability F=29%, and slow to moderate clearance rate (CL=9.2 mL/min/kg), the volume of distribution (V_{dss}=2.6 L/kg), good elimination half-lives ($T_{1/2}$=4.5 h) and the maximum concentration reached (C_{max}=4.5 μM) in mice[1]. MK-2894 (oral administration, 5 mg/kg; intravenous injection, 1 mg/kg) exhibits a favorable pharmacokinetic profile in dogs, the moderate bioavailability F=32%, and slow to moderate clearance rate (CL=23 mL/min/kg), the volume of distribution (V_{dss}=0.91 L/kg), good elimination half-lives ($T_{1/2}$=8.8 h) and the maximum concentration reached (C_{max}=3.3 μM) in mice[1]. MK-2894 (oral administration; 0.1 mg/kg-10 mg/kg; single dose) inhibits the acute carrageenan-induced mechanical hyperalgesia model in SD rats in a dose-dependent manner, it displays a inhibition of pain response when measured at 3 h post subplantar injection of carrageenan[1]. MK-2894 (oral administration; 0.1 mg/kg-10 mg/kg;5 days) exhibits potent activity in inhibiting chronic paw swelling, in both the primary paw and the secondary paw, in a dose-dependent manner, the ED_{50} value is 0.02 mg/kg/day. The complete inhibition of the secondary paw swelling is at an ED_{100} of 0.1 mg/kg/day with a plasma concentration of 4 nM at 24 h after the final dose in an adjuvant-induced arthritis rat model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Blouin M, Han Y, Burch J, Farand J, Mellon C, Gaudreault M, Wrona M, Lévesque JF, Denis D, Mathieu MC, Stocco R, Vigneault E, Therien A, Clark P, Rowland S, Xu D, O'Neill G, Ducharme Y, Friesen R.The discovery of 4-{1-[({2,5-dimethyl-4-[4-(trifluoromethyl)benzyl]-3-thienyl}carbonyl)amino]cyclopropyl}benzoic acid (MK-2894), a potent and selective prostaglandin E2 subtype 4 receptor antagonist.J Med Chem. 2010 Mar 11;53(5):2227-38.

[2]. Tijana Markovič, et al. Structural features of subtype-selective EP receptor modulators. Drug Discov Today. 2017 Jan;22(1):57-71.

India Contact:
Life Technologies (India) Pvt. Ltd.
306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444
Email: customerservice@lifetechindia.com Website: www.lifetechindia.com