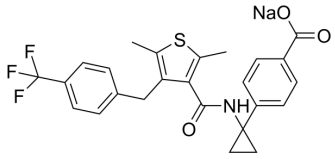
MK-2894 sodium salt

Cat. No.:	HY-10414
CAS No.:	1006036-88-9
Molecular Formula:	$C_{25}H_{21}F_3NNaO_3S$
Molecular Weight:	495.49
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

MK-2894 sodium salt 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 sodium salt possesses potent anti-inflammatory activity in animal models of pain/inflammation and can be used for the research of arthritis[1][2].

In Vivo

MK-2894 sodium salt (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 sodium salt (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 sodium salt (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 sodium salt (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 sodium salt (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.

CUSTOMER VALIDATION

- Cell Rep. 2021 Mar 16;34(11):108860.

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REFERENCES

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

[2]. Blouin M, et al. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA