Grapiprant

Cat. No.: HY-16781

CAS No.: 415903-37-6

Molecular Formula: $C_{26}H_{29}N_5O_3S$

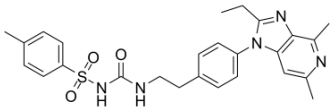
Molecular Weight: 491.61

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 (101.71 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0341 mL	10.1707 mL	20.3413 mL
5 mM	0.4068 mL	2.0341 mL	4.0683 mL
10 mM	0.2034 mL	1.0171 mL	2.0341 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: ≥ 3 mg/mL (6.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (6.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (6.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Grapiprant (CJ-023423) is a selective EP4 receptor antagonist whose physiological ligand is prostaglandin E_2 (PGE_2). Grapiprant displaces [^{3}H]-PGE_2 (1 nM) binding to dog recombinant EP4 receptor with IC_{50} value of 35 nM and K_i value of 24 nM. Grapiprant has the potential for osteoarthritic pain and inflammation treatment[1][2][3].

IC_{50} & Target

EP4

35 nM (IC_{50})

In Vivo

Grapiprant (0-50 mg/kg; oral administration; every 24 hours; for 9 months; beagles) is safe for dogs by long-term oral administration. Efficacy of Grapiprant in the treatment of dogs with osteoarthritis needs to be evaluated in other studies[3] .

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

Animal Model:	36 beagles of both sexes (9-month-old)[3]
Dosage:	0 mg/kg, 1 mg/kg, 6 mg/kg, or 50 mg/kg
Administration:	Oral administration; every 24 hours; for 9 months
Result:	Long-term oral administration was safe for dogs.

CUSTOMER VALIDATION

- JCI Insight. 2018 Feb 8;3(3). pii: 97843.
- Xenobiotica. 2019 Feb;49(2):177-186.
- General Veterinary Medicine, Auburn University. 2018 May.

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REFERENCES

[1]. Vito VD, et al. Detection and quantification of the selective EP4 receptor antagonist CJ-023423 (grapiprant) in canine plasma by HPLC with spectrofluorimetric detection. J Pharm Biomed Anal. 2016 Jan 25;118:251-8.

[2]. Nagahisa A, et al. Pharmacology of grapiprant, a novel EP4 antagonist: receptor binding, efficacy in a rodent postoperative pain model, and a dose estimation for controlling pain in dogs. J Vet Pharmacol Ther. 2017 Jun;40(3):285-292.

[3]. Rausch-Derra LC, et al. Evaluation of the safety of long-term, daily oral administration of grapiprant, a novel drug for treatment of osteoarthritic pain and inflammation, in healthy dogs.Am J Vet Res. 2015 Oct;76(10):853-9.

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