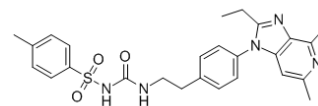


Data Sheet

Product Name:	Grapiprant
Cat. No.:	HY-16781
CAS No.:	415903-37-6
Molecular Formula:	C ₂₆ H ₂₉ N ₅ O ₃ S
Molecular Weight:	491.61
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

Grapiprant is a selective EP₄ receptor antagonist whose physiological ligand is prostaglandin E₂ (PGE₂).

Target: prostaglandin receptor

in vitro: Grapiprant is a novel pharmacologically active ingredient, acts as a selective EP₄ receptor antagonist whose physiological ligand is prostaglandin E₂ (PGE₂). [1]

in vivo: Grapiprant is currently under development for use in humans and dogs for the control of pain and inflammation associated with osteoarthritis. [1] Results suggested the safety of long-term oral administration of grapiprant to dogs. Efficacy of grapiprant in the treatment of dogs with osteoarthritis needs to be evaluated in other studies. [2]

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] Blood samples were obtained from one healthy male Beagle dog administered with an intravenous injection of Grapiprant (0.5 mg/kg) in the right jugular vein. The drug was prior dissolved on ethanol (10 mg/mL), then diluted with sterile water for injection (9:1 v:v) and immediately injected (injection rate 5 mL/min). The blood (2–3 mL) was collected via catheter, previously inserted in the left jugular vein, at assigned times (0, 15, 30, 45 min and 1, 2, 4, 6, 8, 10 and 24 h). The blood was immediately placed into collection tubes containing lithium heparin. The samples were centrifuged at 1006 g within 30 min of collection and the harvested plasma was frozen immediately and stored at –20°C. Samples were analysed within 1 week from the collection. Immediately prior to the analysis, the samples were thawed at room temperature. Standard animal care and handling were performing. The dog was fed with standard food to avoid potential food impurities (i.e. preservatives) in the blood.

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]. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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