

Grapiprant

Chemical Properties

CAS No. : 415903-37-6

Formula: C₂₆H₂₉N₅O₃S

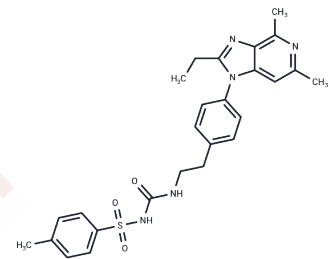
Molecular Weight: 491.61

Storage:

Keep away from direct sunlight, Keep away from moisture, Store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Grapiprant (AAT-007) is a selective EP4 receptor antagonist. Grapiprant displaces [3H]-PGE2 (1 nM) binding to dog recombinant EP4 receptor (IC50: 35 nM, Ki: 24 nM).
Targets(IC50)	Prostaglandin Receptor
In vivo	Grapiprant (0-50 mg/kg; p.o; every 24 hours; for 9 months; beagles) is safe for long-term oral administration in dogs [2].
Animal Research	Animal Model: 36 beagles of both sexes (9-month-old). Dosage: 0 mg/kg, 1 mg/kg, 6 mg/kg, or 50 mg/kg. Administration: Oral administration; every 24 hours; for 9 months [2]

Solubility Information

Solubility	DMSO: 250 mg/mL (508.53 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (5.09 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0407 mL	0.2034 mL	0.4068 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- 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.
- 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.
- 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.

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