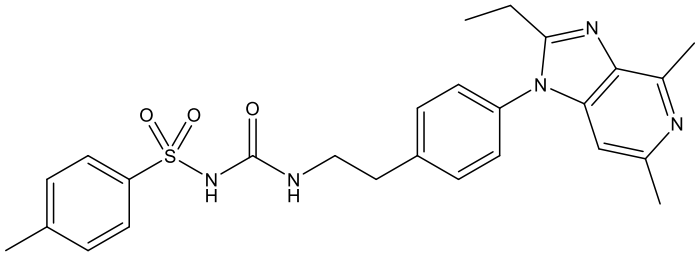
Catalog # 10-4006
Grapiprant

CAS# 415903-37-6

1—[2-[4-(2-Ethyl-4,6-dimethylimidazo[4,5-c]pyridine-1-yl)phenyl]ethyl]-3-(4-methylphenyl)sulfonylurea; CJ-023,423

Lot # FBS2002



Grapiprant is a potent (Ki = 13 nM for human and 20 nM for rat) and selective prostaglandin EP4 receptor antagonist.[1] It produced antihyperalgesic effects in animal models of pain. It had significant anti-inflammatory effects in a rat model of adjuvant-induced arthritis.[2] EP4 receptors have been shown to be involved in PGE2 stimulation of Th1 differentiation and Th17 expansion revealing a potential role for PGE2 receptors in immunosuppression.[3,4] Grapiprant/Pembrolizumab combination is in clinical trials for advanced or metastatic NSCLC adenocarcinoma.[5]

1) Nakao *et al.* (2007*), CJ-023,423, a novel, potent and selective prostaglandin EP4 receptor antagonist with antihyperalgesic properties;* J.Pharmacol.Exp.Ther. **332** 686
2) Okumura *et al.* (2008*), Effects of the selective EP4 antagonist, CJ-023,423 on chronic inflammation and bone destruction in rat adjuvant-induced arthritis;* J.Pharm.Pharmacol. **60** 723
3) Chen *et al.* (2010*), A novel antagonist of the prostaglandin E2 EP4 receptor inhibits Th1 differentiation and Th17 expansion and is orally active in arthritis models;* Br.J.Pharmacol. **160** 292
4) Wang and DuBois (2016*), The Role of Prostaglandin E2 in Tumor-Associated Immunosuppression;* Trends Mol.Med. **22** 1
5) https://clinicaltrials.gov/ct2/show/NCT03696212 and NCT03658772

PHYSICAL DATA

Molecular Weight:	491.61
Molecular Formula:	$C_{26}H_{29}N_5O_3S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>10 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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