

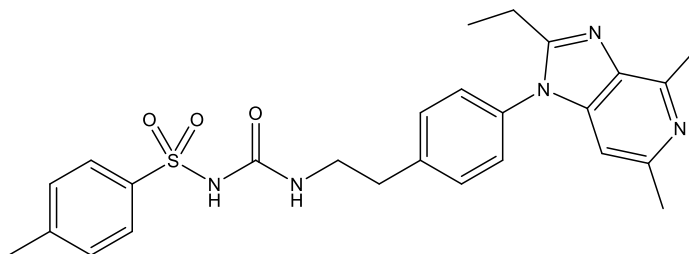
**Catalog # 10-4006**

**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 ( $K_i = 13$  nM for human and 20 nM for rat) and selective prostaglandin EP4 receptor antagonist.<sup>1</sup> It produced antihyperalgesic effects in animal models of pain. It had significant anti-inflammatory effects in a rat model of adjuvant-induced arthritis.<sup>2</sup> 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.<sup>3,4</sup> Grapiprant/Pembrolizumab combination is in clinical trials for advanced or metastatic NSCLC adenocarcinoma.<sup>5</sup>

- 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 <sub>26</sub> H <sub>29</sub> N <sub>5</sub> O <sub>3</sub> S
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>10 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**