Vidupiprant

Cat. No.: HY-14973

CAS No.: 1169483-24-2

Molecular Formula: $C_{28}H_{27}Cl_2FN_2O_6S$

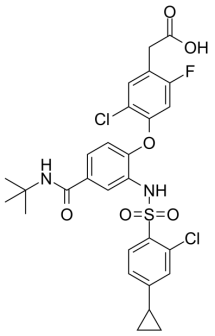
Molecular Weight: 609.49

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 : 100 mg/mL (164.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6407 mL	8.2036 mL	16.4072 mL
	5 mM	0.3281 mL	1.6407 mL	3.2814 mL
	10 mM	0.1641 mL	0.8204 mL	1.6407 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vidupiprant (AMG 853) is a phenylacetic acid derivative. Vidupiprant is a potent and orally active CRTH2 (DP2) and prostanoid D receptor (DP or DP1) dual antagonist with IC_{50}s of 3 nM and 4 nM in buffer, and 8 nM and 35 nM in human plasma, respectively. Vidupiprant has the potential for asthma treatment[1].

IC_{50} & Target

Prostaglandin Receptor[1]

In Vitro

Vidupiprant (AMG 853, Compound 2) inhibits the prostaglandin D2 (PGD2)-induced down-modulation of CRTH2 on CD16 negative granulocytes (eosinophils) in human whole blood with a K_b of 0.2 nM. Vidupiprant also inhibits PGD2-induced cAMP response in platelets in 80% human whole blood with a K_b of 4.7 nM, which is significantly improved, as compared to the K_b of 148 nM of AMG 009. In addition, Vidupiprant demonstrates similar antagonist activity in an aequorin assay using CRTH2-transfected HEK 293 cells and an eosinophil shape change assay, as compared to the CRTH2 receptor down-modulation human whole blood assay[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The significant improvement of DP potency of Vidupiprant (AMG 853, Compound 2) over AMG 009 is also demonstrated in vivo in a guinea pig model of PGD2-induced airway constriction. In this model, airway resistance is measured in response to PGD2 challenge. The in vitro guinea pig DP potency is evaluated in guinea pig whole blood cAMP assay.Vidupiprant has a K_b of 5 nM, while the K_b of AMG 009 is 82 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liu J, et al. Discovery of AMG 853, a CRTH2 and DP Dual Antagonist. ACS Med Chem Lett. 2011 Mar 2;2(5):326-30.

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