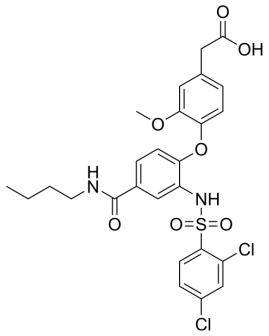
AMG-009

Cat. No.:	HY-19499
CAS No.:	1027847-67-1
Molecular Formula:	$C_{26}H_{26}Cl_2N_2O_7S$
Molecular Weight:	581.46
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (214.98 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7198 mL	8.5990 mL	17.1981 mL
	5 mM	0.3440 mL	1.7198 mL	3.4396 mL
	10 mM	0.1720 mL	0.8599 mL	1.7198 mL

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

BIOLOGICAL ACTIVITY

Description

AMG-009 is a potent antagonist of prostaglandin D2, with IC_{50} of 3 nM and 12 nM for CRTH2 and DP receptors, respectively.

IC_{50} & Target

DP	CRTH2
12 nM (IC_{50})	3 nM (IC_{50})

In Vitro

AMG-009 inhibits PGD2-induced down-modulation of CRTH2 on CD16 negative granulocytes (eosinophils) in human whole blood with a K_i of 1 nM. AMG 009 also inhibits PGD2-induced cAMP response mediated by DP in platelets in 80% human whole blood with a K_i of 148 nM. AMG 009 inhibits guinea pig CRTH2 receptors (IC_{50}=3 nM) and a PGD2-induced cAMP response assay with cells expressing the guinea pig DP receptors (K_i=131 nM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AMG 009 (3, 10 or 30 mg/kg, s.c.) results in a dose dependent decrease in airway resistance provoked by PGD2 aerosol in an acute guinea pig model[1]. In a guinea pig model of PGD2-induced airway constriction, AMG 009 significantly improves DP potency, with K_b of 82 nM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liu J, et al. Discovery and optimization of CRTH2 and DP dual antagonists. Bioorg Med Chem Lett. 2009 Nov 15;19(22):6419-23.

[2]. 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.

[3]. Johnson MG, et al. Solving time-dependent CYP3A4 inhibition for a series of indole-phenylacetic acid dual antagonists of the PGD(2) receptors CRTH2 and DP. Bioorg Med Chem Lett. 2014 Jul 1;24(13):2877-80.

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