Product Data Sheet

Product Name: AMG-009
Cat. No.: GC31830

Chemical Properties

Cas. No.	1027847-67-1		
SMILES	O=C(O)CC1=CC=C(OC2=CC=C(C(NCCCC)=O)C=C2NS(=O)(C3=CC=C(Cl)C=C3Cl)=O)C(OC)=C1		
Formula	$C_{26}H_{26}Cl_2N_2O_7S$	M.Wt	581.46
Solubility	DMSO : 125 mg/mL (214.98 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AMG-009		

Background

AMG-009 is a potent antagonist of prostaglandin D2, with IC50 of 3 nM and 12 nM for CRTH2 and DP receptors, respectively.

AMG-009 inhibits PGD2-induced down-modulation of CRTH2 on CD16 negative granulocytes (eosinophils) in human whole blood with a Ki of 1 nM. AMG 009 also inhibits PGD2-induced cAMP response mediated by DP in platelets in 80% human whole blood with a Ki of 148 nM. AMG 009 inhibits guinea pig CRTH2 receptors (IC50=3 nM) and a PGD2-induced cAMP response assay with cells expressing the guinea pig DP receptors (Ki=131 nM)[1].

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 Kb of 82 nM[2].

[1]. Liu J, et al. Discovery and optimization of CRTH2 and DP dual antagonists. Bioorg

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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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