AM211

Cat. No.: HY-13213

CAS No.: 1175526-27-8

Molecular Formula: $C_{27}H_{27}F_3N_2O_4$

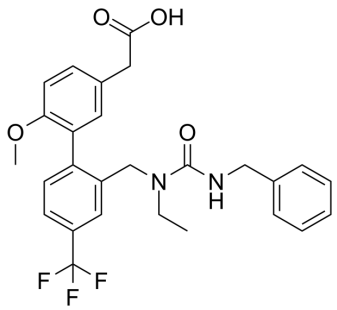
Molecular Weight: 500.51

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (249.75 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9980 mL	9.9898 mL	19.9796 mL
5 mM	0.3996 mL	1.9980 mL	3.9959 mL
10 mM	0.1998 mL	0.9990 mL	1.9980 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.16 mM); Suspended solution; Need ultrasonic and warming
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AM211 is a potent, selective and orally bioavailable prostaglandin D2 (PGD2) receptor type 2 (DP2) antagonist, with IC_{50}s of 4.9 nM, 7.8 nM, 4.9 nM, 10.4 nM for human, mouse, guinea pig, and rat DP2, respectively.

IC_{50} & Target

IC50: 4.9 nM (Human DP2), 7.8 nM (Mouse DP2), 4.9 nM (Guinea pig DP2), 10.4 nM (Rat DP2)[1]

In Vitro

AM211 is a potent, selective and orally bioavailable prostaglandin D2 (PGD2) receptor type 2 (DP2) antagonist, with IC_{50}s of

	4.9 nM, 7.8 nM, 4.9 nM, 10.4 nM for human, mouse, guinea pig, and rat DP2, respectively. In the presence of 0.2% serum albumin, AM211 inhibits radiolabeled PGD2 binding to human, mouse, guinea pig, and rat DP2 with IC_{50} values of 12.2, 20.1, 22.9, and 34.2 nM, respectively. AM211 displays high selectivity for DP2 versus other receptors in the prostanoid family, with IC_{50} values for the inhibition of radioligand binding to human TP, IP, DP1, and FP of more than 100 μM. AM211 (100 μM) shows no activity at COX-1, COX-2 enzymes as well as PPAR family of nuclear receptors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AM211 (1, 10, and 30 mg/kg, p.o.) dose-dependently decreases in the number of DK-PGD2-induced peripheral blood leukocytes, with a calculated ED_{50} of 0.85 mg/kg. AM211 (30 mg/kg) also decreases antigen-induced pulmonary inflammation in guinea pigs. AM211 (10 mg/kg, p.o.) causes significant decrease in ovalbumin (OVA)-induced sneezing in a mouse model of allergic rhinitis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] In brief, mice are immunized by an intraperitoneal injection of 10 μg of ovalbumin (OVA) complexed with Imject Alum in a volume of 0.2 mL on days 1 and 8. Seven days later (day 15) mice are challenged intranasally with 20 μL of a 10 mg/mL solution of OVA. The challenge period occurs daily from days 15 to 19. Mice (seven/group) are randomLy assigned to receive either compound (AM211, 10 mg/kg) or vehicle (methyl cellulose, 10 mL/kg) and treated by oral gavage 1 h before each OVA challenge. The number of sneezes are counted for 8 min immediately after the OVA challenge on days 15, 17, and 19 by an independent observer who is blinded to the treatment groups[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bain G, et al. Pharmacology of AM211, a potent and selective prostaglandin D2 receptor type 2 antagonist that is active in animal models of allergic inflammation. J Pharmacol Exp Ther. 2011 Jul;338(1):290-301.

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