ONO-8711 dicyclohexylamine

Cat. No.: HY-12182A

Molecular Formula: $C_{34}H_{53}ClN_2O_4S$

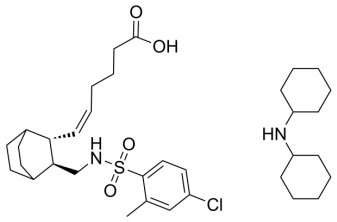
Molecular Weight: 621.31

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (53.64 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6095 mL	8.0475 mL	16.0950 mL
	5 mM	0.3219 mL	1.6095 mL	3.2190 mL
	10 mM	0.1610 mL	0.8048 mL	1.6095 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: ≥ 2.5 mg/mL (4.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ONO-8711 dicyclohexylamine is a selective and orally active EP1 competitive antagonist with K_i value of 0.6 nM and 1.7 nM for human and mouse EP1 respectively. ONO-8711 dicyclohexylamine effectively reduces tumor incidence and multiplicity in mouse models of colon, breast, and oral cancer[1].

IC_{50} & Target

K_i: 0.6 nM (human EP1), 1.7 nM (mouse EP1)[1]

In Vitro

ONO-8711 (10 and 30 μM; 30 min) blocks the contractions induced by sulprostone in human pulmonary veins in a non-competitive manner[2].

ONO-8711 inhibits PGE_2-induced increase in cytosolic Ca^{2+} concentration with IC_{50}s of 0.21 μM, 0.05 μM, and 0.22 μM for the mouse, human, and rat receptors, respectively[3].

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

In Vivo

ONO-8711 (400 or 800 p.p.m.; p.o.; for 20 weeks) suppresses cancer incidence and delays occurrence of breast tumors[3].

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Animal Model:	Female Sprague-Dawley rats (induced breast cancer by gavage of 85 mg/kg PhIP (HY-118716) 4 times for 2 weeks)
Dosage:	400 or 800 p.p.m.
Administration:	p.o.; for 20 weeks
Result:	Did not induce any symptoms of toxicity at 800 p.p.m.. Delayed occurrence of breast tumors for 2 or 4 weeks at 400 or 800 p.p.m., respectively. Significantly suppressed cancer incidence compared with the control diet group at 800 p.p.m. (56% versus 79%, $P < 0.05$).

REFERENCES

[1]. Watanabe K, et al. Role of the prostaglandin E receptor subtype EP1 in colon carcinogenesis. Cancer Res. 1999 Oct 15;59(20):5093-6.

[2]. Norel X, et al. Vasoconstriction induced by activation of EP1 and EP3 receptors in human lung: effects of ONO-AE-248, ONO-DI-004, ONO-8711 or ONO-8713. Prostaglandins Other Lipid Mediat. 2004 Oct;74(1-4):101-12.

[3]. Kawamori T, et al. Chemopreventive effects of ONO-8711, a selective prostaglandin E receptor EP(1) antagonist, on breast cancer development. Carcinogenesis. 2001 Dec;22(12):2001-4.

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