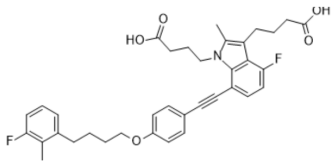
Gemilukast

Cat. No.:	HY-16780
CAS No.:	1232861-58-3
Molecular Formula:	$C_{36}H_{37}F_2NO_5$
Molecular Weight:	601.68
Target:	Leukotriene 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 : 250 mg/mL (415.50 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6620 mL	8.3101 mL	16.6201 mL
	5 mM	0.3324 mL	1.6620 mL	3.3240 mL
	10 mM	0.1662 mL	0.8310 mL	1.6620 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 (3.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gemilukast is an orally active and potent dual cysteinyl leukotriene 1 and 2 receptors ($CysLT_1$ and $CysLT_2$) antagonist, with IC_{50}s of 1.7, 25 nM for human $CysLT_1$ and $CysLT_2$, respectively. Gemilukast is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

$CysLT_1$	$CysLT_2$
1.7 nM (IC_{50})	25 nM (IC_{50})

In Vitro

Gemilukast is an orally active and potent dual cysteinyl leukotriene 1 and 2 receptors ($CysLT_1$ and $CysLT_2$) antagonist, with IC_{50}s of 1.7, 25 nM for human $CysLT_1$ and $CysLT_2$, respectively[1]. Both Gemilukast (ONO-6950) and montelukast inhibit human $CysLT_1$ receptor-mediated calcium response with IC_{50} values of 1.7 and 0.46 nM, respectively[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Gemilukast at 0.03 to 10 mg/kg, p.o. dose-dependently attenuates LTC4-induced bronchoconstriction with almost complete inhibition at 3 mg/kg. The inhibitory effect of Gemilukast on LTC4-induced bronchoconstriction is significantly stronger than that of montelukast at the dose of 1 mg/kg or more. Gemilukast (0.03 to 1 mg/kg, p.o.) dose-dependently attenuates LTD4-induced airway vascular hyperpermeability with complete inhibition at 0.3 mg/kg. Gemilukast at 0.1 to 3 mg/kg, p.o. dose-dependently inhibits OVA-induced bronchoconstriction. The inhibitory effect of Gemilukast at 3 mg/kg is significantly greater than that of montelukast alone and comparable to that of combination therapy with montelukast and BayCysLT2RA [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Itadani S, et al. Discovery of Gemilukast (ONO-6950), a Dual CysLT1 and CysLT2 Antagonist As a Therapeutic Agent for Asthma. J Med Chem. 2015 Aug 13;58(15):6093-113.

[2]. Yonetomi Y, et al. Effects of ONO-6950, a novel dual cysteinyl leukotriene 1 and 2 receptors antagonist, in a guinea pig model of asthma. Eur J Pharmacol. 2015 Oct 15;765:242-8.

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