Zafirlukast

Cat. No.: HY-17492

CAS No.: 107753-78-6

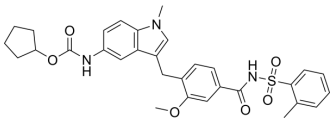
Molecular Formula: $C_{31}H_{33}N_3O_6S$

Molecular Weight: 575.68

Target: Leukotriene 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 : 100 mg/mL (173.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7371 mL	8.6854 mL	17.3708 mL
	5 mM	0.3474 mL	1.7371 mL	3.4742 mL
	10 mM	0.1737 mL	0.8685 mL	1.7371 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 (3.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zafirlukast (ICI 204219) is a potent orally active leukotriene D_4 (LTD_4) receptor antagonist. Zafirlukast shows anti-asthmatic, anti-inflammatory and anti-bacterial effects.

IC_{50} & Target

LTD_4

In Vivo

Zafirlukast is a peptidyl leukotriene antagonist and inhibitor of LTD_4. After 13 weeks of exposure, the yield of lung tumors is significantly decreased by both dose levels of Zafirlukast (270 and 540 mg/kg), the high dose of Zileuton (1200 mg/kg), and the combinations containing 600 mg/kg Zileuton with either Zafirlukast or MK-866. The efficacy of the combination containing Zileuton and Zafirlukast to prevent lung tumors is not significantly different from the efficacy of either inhibitor administered alone. Although when administered alone at the dose level in their combination, neither Zileuton or MK-886 prevents lung tumors; the combination containing them does significantly prevent tumors. In contrast, the combination

containing Zafirlukast and MK-886 does not reduce the yield of tumors, whereas Zafirlukast administered alone does significantly reduce the yield of tumors[2].

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

PROTOCOL

Animal Administration [2]

Mice[2]

Female A/J mice (5-6 weeks of age) are used. When the mice are 7-8 weeks of age, they are administered the first of two i.p. injections of vinyl carbamate of 16 mg/kg each and 7 days apart. Two weeks after the second dose of vinyl carbamate, the mice receive the leukotriene inhibitors in their diet. Zafirlukast (270 or 540 mg/kg), Zileuton (600 or 1200 mg/kg), and MK-886 (30 mg/kg) is provided at the indicated mg/kg concentrations in the diet. Mice are weighed weekly through the first 6 weeks of exposure to the leukotriene inhibitors. After which, they are then weighed every 2-4 weeks until sacrificed. Mice are sacrificed by carbon dioxide asphyxiation after 13 and 43 weeks of exposure to the drugs. The lungs are harvested, fixed overnight in formalin, transferred to 70% alcohol, and evaluated for tumors before embedding in paraffin for histology[1].

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

CUSTOMER VALIDATION

- ACS Appl Mater Interfaces. 2023 Feb 22.
- J Mol Histol. 2021 May 11.

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REFERENCES

[1]. Finnerty JP, et al. Role of leukotrienes in exercise-induced asthma. Inhibitory effect of ICI 204219, a potent leukotriene D_4 receptor antagonist. Am Rev Respir Dis. 1992 Apr;145(4 Pt 1):746-9.

[2]. Gunning WT, et al. Chemoprevention by lipoxygenase and leukotriene pathway inhibitors of vinyl carbamate-induced lung tumors in mice. Cancer Res. 2002 Aug 1;62(15):4199-201.

[3]. Lei C, et al. Zafirlukast attenuates advanced glycation end-products (AGEs)-induced degradation of articular extracellular matrix (ECM). Int Immunopharmacol. 2019;68:68-73.

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