Zileuton

Cat. No.: HY-14164

CAS No.: 111406-87-2

Molecular Formula: $C_{11}H_{12}N_2O_2S$

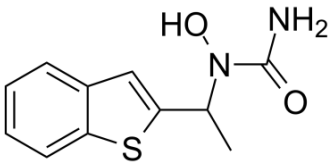
Molecular Weight: 236.29

Target: Lipoxygenase; Ferroptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (423.21 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2321 mL	21.1604 mL	42.3209 mL
5 mM	0.8464 mL	4.2321 mL	8.4642 mL
10 mM	0.4232 mL	2.1160 mL	4.2321 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: ≥ 10 mg/mL (42.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 10 mg/mL (42.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 10 mg/mL (42.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zileuton is a potent and selective inhibitor of 5-lipoxygenase with antiasthmatic properties.

IC_{50} & Target

5-LOX

In Vitro

In anti-CD3-treated cells, IL-2 decreases in zileuton-treated and untreated cells with increasing incubation time. Zileuton likely reduces IL-2 levels by inhibiting 5-lipoxygenase, hence leukotriene B4 production, an IL-2 inducer[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In zileuton (5 mg/kg, p.o.) treated I/R rat, the effect of zileuton to decrease NF-κB expression does not change significantly in the presence of COX inhibitors, and the group reveals significantly lower level of NF-κB staining. Zileuton (5 mg/kg, p.o.) treatment given to I/R rats decreases apoptotic index significantly. Zileuton has no significant effect on increased serum TNF-α levels in I/R group[1]. Zileuton (1,200 mg/kg) inhibits the polyp formation in $APC^{\Delta 468}$ colon and small intestine. Zileuton treatment inhibits the proliferation rates of non epithelial cells in polyps, and increases the apoptosis rates in polyps in rat. There is significant increase in the number of apoptotic cells in the Zileuton-treated cells both in small intestine and in the colon. The reduced proliferation rate may significantly contribute to the reduction of polyposis in both the small intestine and colon of Zileuton-fed $APC^{\Delta 468}$ mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: Rats are randomized into 6 groups (n=12 per group): sham I/R group, I/R group, zileuton+I/R group, zileuton+indomethacin+I/R group, zileuton+ketorolac+I/R group, and zileuton+nimesulide+I/R group. 5-LOX inhibitor zileuton (5 mg/kg, orally twice daily) is given alone or with non-selective COX inhibitor indomethacin (5 mg/kg, intraperitoneally), selective COX-1 inhibitor ketorolac (10 mg/kg, orally) or selective COX-2 inhibitor nimesulide (10 mg/kg, subcutaneously). COX inhibitors are given 15 minutes before zileuton administration. All drugs are given for 3 days prior to I/R or sham I/R procedure. Dose of zileuton (5 mg/kg, twice daily) is used in this study. Rats in sham I/R group receive the vehicle of zileuton orally. Zileuton is dissolved in dimethyl sulfoxide (DMSO) and further dilutions are made using saline to achieve a final DMSO concentration of 1%. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oxid Med Cell Longev. 2019 Nov 3;2019:7536803.
- Proteomics. 2018 Aug;18(15):e1700388.
- Biochem Biophys Res Commun. 2018 Sep 3;503(1):297-303.

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REFERENCES

[1]. Abueid L, et al. Inhibition of 5-lipoxygenase by zileuton in a rat model of myocardial infarction. Anatol J Cardiol. 2016 Nov 10

[2]. Kuvibidila S, et al. Hydroxyurea and Zileuton Differentially Modulate Cell Proliferation and Interleukin-2 Secretion by Murine Spleen Cells: Possible Implication on the Immune Function and Risk of Pain Crisis in Patients with Sickle Cell Disease. Ochsner

[3]. Gounaris E, et al. Zileuton, 5-lipoxygenase inhibitor, acts as a chemopreventive agent in intestinal polyposis, by modulating polyp and systemic inflammation. PLoS One. 2015 Mar 6;10(3):e0121402

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

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA