Zileuton sodium

Cat. No.: HY-14164A

CAS No.: 118569-21-4

Molecular Formula: $C_{11}H_{11}N_2NaO_2S$

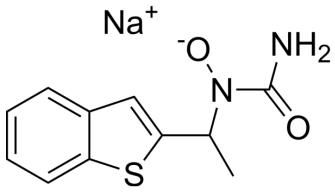
Molecular Weight: 258.27

Target: Lipoxygenase

Pathway: Metabolic Enzyme/Protease

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 (387.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8719 mL	19.3594 mL	38.7189 mL
	5 mM	0.7744 mL	3.8719 mL	7.7438 mL
	10 mM	0.3872 mL	1.9359 mL	3.8719 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 (9.68 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (9.68 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (9.68 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Zileuton sodium (A 64077 sodium) is a potent and selective inhibitor of 5-lipoxygenase, exhibiting inflammatory activities.

IC_{50} & Target

5-Lipoxygenase

In Vitro

In anti-CD3-treated cells, IL-2 decreases in Zileuton sodium (A 64077 sodium)-treated and untreated cells with increasing incubation time. Zileuton sodium (A 64077 sodium) 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 sodium (A 64077 sodium) (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 sodium (A 64077 sodium) (1200 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

- Emerg Microbes Infect. 2022 Dec;11(1):1806-1818.
- Redox Biol. 2024 Feb 19:71:103096.
- J Cachexia Sarcopenia Muscle. 2022 Jun 9.
- Front Cell Infect Microbiol. 2022 Feb 4;12:825824.
- Int J Mol Sci. 2022 Apr 28;23(9):4910.

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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

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

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