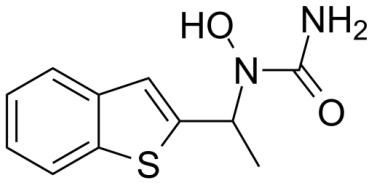
Data Sheet

Product Name:	Zileuton
Cat. No.:	CS-1563
CAS No.:	111406-87-2
Molecular Formula:	C11H12N2O2S
Molecular Weight:	236.29
Target:	Ferroptosis; Lipoxygenase
Pathway:	Apoptosis; Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 100 mg/mL (423.21 mM)



BIOLOGICAL ACTIVITY:

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

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Zileuton is dissolved in DMSO, and then diluted in saline to achieve a final DMSO concentration of 1%.[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%.

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

CAIndexNames:

Urea, N-(1-benzo[b]thien-2-ylethyl)-N-hydroxy-

SMILES:

CC(N(O)C(N)=O)C1=CC2=CC=CC=C2S1

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