Alvelestat

Cat. No.: HY-15651

CAS No.: 848141-11-7

Molecular Formula: $C_{25}H_{22}F_3N_5O_4S$

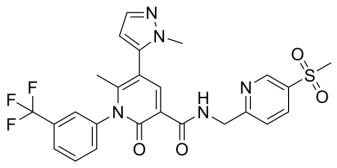
Molecular Weight: 545.53

Target: Elastase

Pathway: Metabolic Enzyme/Protease

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 : ≥ 33 mg/mL (60.49 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8331 mL	9.1654 mL	18.3308 mL
	5 mM	0.3666 mL	1.8331 mL	3.6662 mL
	10 mM	0.1833 mL	0.9165 mL	1.8331 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 20% DMSO, 60% PEG400, 20% Water
   Solubility: 25 mg/mL (45.83 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Alvelestat (AZD9668) is an orally bioavailable, affinity and selective inhibitor of neutrophil elastase (NE) with a pIC_{50} value of 7.9 nM, a K_i value of 9.4 nM and a K_d value of 9.5 nM[1].

IC_{50} & Target

pIC: 7.9 nM; Ki: 9.4 nM; Kd: 9.5 nM (neutrophil elastas)[1]

In Vitro

Alvelestat (20 μg/mL; 16 hours; HBE and A549 cells) treatment decreases cells death and decreases the levels of IL-1β, IL-6, and TNF-α in vitro[2].

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

Cell Viability Assay[2]

Cell Line:	Human bronchial epithelial cells (HBE) and human alveolar epithelial cells (A549)
Concentration:	20 μg/mL
Incubation Time:	16 hours
Result:	Decreased cytotoxicity and inflammatory responses.

In Vivo

Alvelestat (1-10 mg/kg; oral administration; twice daily; for 4 days; Female BALB/cJBomTac mice) treatment reduces the inflammatory response to cigarette smoke as indicated by a reduction in BAL neutrophils and interleukin-1β[1].

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

Animal Model:	Female BALB/cJBomTac mice exposed to smoke cigarette smoke[1]
Dosage:	1 mg/kg , 3 mg/kg , 6 mg/kg , 10 mg/kg
Administration:	Oral administration; twice daily; for 4 days
Result:	Reduced the inflammatory response to cigarette smoke as indicated by a reduction in BAL neutrophils and interleukin-1β.

CUSTOMER VALIDATION

- Cancer Cell. 2021 Mar 8;39(3):423-437.e7.
- Biomed Pharmacother. 2020 Dec 26;134:111152.
- NPJ Regen Med. 2020 Oct 30;5(1):19.
- Neural Regen Res. 2023 Oct;18(10):2252-2259
- FEBS Open Bio. 2018 Mar 25;8(5):751-763.

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REFERENCES

[1]. Stevens T, et al. AZD9668: pharmacological characterization of a novel oral inhibitor of neutrophil elastase. J Pharmacol Exp Ther. 2011 Oct;339(1):313-20.

[2]. Li H, et al. Neutrophil extracellular traps contribute to the pathogenesis of acid-aspiration-induced ALI/ARDS. Oncotarget. 2017 Nov 28;9(2):1772-1784.

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