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Zuschläge

- Mindermengenzuschlag
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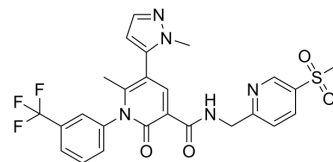
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Alvelestat

Cat. No.:	HY-15651
CAS No.:	848141-11-7
Molecular Formula:	C ₂₅ H ₂₂ F ₃ N ₅ O ₄ S
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.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- Add each solvent one by one: 20% DMSO, 60% PEG400, 20% Water
Solubility: 25 mg/mL (45.83 mM); Suspended solution; Need ultrasonic
- 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
- 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
- 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 pIC₅₀ value of 7.9 nM, a K_i value of 9.4 nM and a K_d value of 9.5 nM^[1].

IC₅₀ & Target

pIC: 7.9 nM; Ki: 9.4 nM; Kd: 9.5 nM (neutrophil elastase)^[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.

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

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