Tiprelestat

Cat. No.:	HY-106216
CAS No.:	820211-82-3
Molecular Formula:	$C_{254}H_{416}N_{72}O_{75}S_{10}$
Molecular Weight:	5999.09
Sequence:	Ala-Gln-Glu-Pro-Val-Lys-Gly-Pro-Val-Ser-Thr-Lys-Pro-Gly-Ser-Cys-Pro-Ile-Ile-Leu-Ile-Arg-Cys-Ala-Met-Leu-Asn-Pro-Pro-Asn-Arg-Cys-Leu-Lys-Asp-Thr-Asp-Cys-Pro-Gly-Ile-Lys-Lys-Cys-Cys-Glu-Gly-Ser-Cys-Gly-Met-Ala-Cys-Phe-Val-Pro-Gln (Disulfide bridge: Cys16-Cys45, Cys23-Cys49, Cys32-Cys44, Cys38-Cys53)
Sequence Shortening:	AQEPVKGPVSTKPGSCPIILIRCAMLNPPNRCLKDTDCPGIKKCCEGSCGMACFVPQ (Disulfide bridge: Cys16-Cys45, Cys23-Cys49, Cys32-Cys44, Cys38-Cys53)
Target:	Elastase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Tiprelestat is a potent human neutrophil elastase inhibitor. Tiprelestat has antimicrobial and anti-inflammatory activities. Tiprelestat can be used in the research of inflammation/immune disease[1].

In Vitro

Tiprelestat (4 and 8 μM) inhibits P. aeruginosa-secreted peptidase[3].
Tiprelestat (10 μg/mL, 1 h) inhibits LPS-induced MCP-1 production in U937 cells[4].
Tiprelestat (10 μg/mL, 1 h) down-regulates LPS-induced AP-1 and NF-κB activation in U937 cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[4]

Cell Line:	U937 cells
Concentration:	10 μg/mL
Incubation Time:	1 h
Result:	Prevented LPS-induced degradation of IκBα, IκBβ, and IRAK.

In Vivo

Tiprelestat (1 mg/kg, intranasal inhalation) suppresses lung elastase activity and apoptosis in MV-O_2 mice[2].
Tiprelestat (0.2 mg/kg, s.c. for 2 weeks) attenuates hypoxic pulmonary hypertension in mice[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice, treated with Mechanical ventilation with O_2-rich gas[2]
Dosage:	1 mg/kg
Administration:	Intranasal inhalation

Result:	Increased the lung abundance of nuclear Klf4 protein.

Animal Model:	Su/Hx rat model[5]
Dosage:	0.2 mg/kg
Administration:	Subcutaneous injection (s.c.), daily for 2 weeks.
Result:	Reduced elastase activity and reversed pulmonary hypertension.

REFERENCES

[1]. Wang J, et al. Elafin inhibits obesity, hyperglycemia, and liver steatosis in high-fat diet-treated male mice. Sci Rep. 2020 Jul 30;10(1):12785.

[2]. Alejandre Alcazar MA, et al. Elafin Treatment Rescues EGFR-Klf4 Signaling and Lung Cell Survival in Ventilated Newborn Mice. Am J Respir Cell Mol Biol. 2018 Nov;59(5):623-634.

[3]. Bellemare A, et al. Human pre-elafin inhibits a Pseudomonas aeruginosa-secreted peptidase and prevents its proliferation in complex media. Antimicrob Agents Chemother. 2008 Feb;52(2):483-90.

[4]. Butler MW, et al. Elafin prevents lipopolysaccharide-induced AP-1 and NF-kappaB activation via an effect on the ubiquitin-proteasome pathway. J Biol Chem. 2006 Nov 17;281(46):34730-5.

[5]. Nickel NP, et al. Elafin Reverses Pulmonary Hypertension via Caveolin-1-Dependent Bone Morphogenetic Protein Signaling. Am J Respir Crit Care Med. 2015 Jun 1;191(11):1273-86.

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