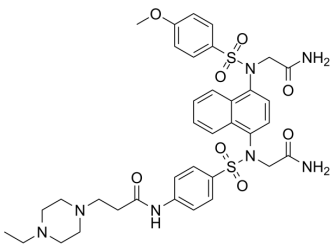
Keap1-Nrf2-IN-11

Cat. No.:	HY-147924
CAS No.:	2796292-75-4
Molecular Formula:	$C_{36}H_{43}N_7O_8S_2$
Molecular Weight:	765.9
Target:	Keap1-Nrf2; NO Synthase; ROS Kinase
Pathway:	NF-κB; Immunology/Inflammation; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Keap1-Nrf2-IN-11 (compound 6k) is a Keap1-Nrf2 inhibitor with K_{D2} value of 0.21 nM. Keap1-Nrf2-IN-11 inhibits the productions of ROS and NO and the expression of TNF-α. Keap1-Nrf2-IN-11 relieves inflammations by increasing the Nrf2 nuclear translocation. Keap1-Nrf2-IN-11 can be used for anti-inflammatory research[1].

In Vitro

Keap1-Nrf2-IN-11 (compound 6k) (10 μM) suppresses the production of ROS and NO and inhibits the concentration of proinflammatory cytokine TNF-α in LPS-induced murine peritoneal macrophage model[1].

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

In Vivo

Keap1-Nrf2-IN-11 (compound 6k) (5-10 mg/kg; i.p.; ALI mouse model) has anti-inflammatory activity in vivo[1].

Keap1-Nrf2-IN-11 (compound 6k) (5 mg/kg; i.v.; SD rats) has the half time ($T_{1/2}$), the clearance rate (CL) and apparent distribution volume (V) were 4.31 h, 5.57 mL/min/kg and 959 L/kg, respectively[1].

Keap1-Nrf2-IN-11 (compound 6k) (5 mg/kg; i.p.; ALI mouse model) has the half time ($T_{1/2}$), maximum plasma concentration (C_{max}), area under curve (AUC) and oral bioavailability (F) were 10.92 h, 707 ng/mL, 3702 ng•h/mL and 19.86%, respectively[1].

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

Animal Model:	ALI mouse model[1]
Dosage:	5, 10 and 20 mg/kg
Administration:	Intraperitoneal injection; 30 mins.
Result:	Had lower TNF-α, IL-1β and IL-6 levels in BALF in a dose-dependent manner.

Animal Model:	ALI mouse model[1]
Dosage:	5, 10 and 20 mg/kg
Administration:	Intraperitoneal injection; 30 mins.
Result:	Induced the Nrf2 nuclear accumulation in lung tissue cells by decreasing the cytosolic Nrf2 and increasing the nuclear Nrf2 in a dose−response manner.

Animal Model:	SD rats[1]
Dosage:	5 and 20 mg/kg
Administration:	Intraperitoneal injection and oral gavage
Result:	

Administration	i.g. (20 mg/kg)	i.v. (5 mg/kg)
T_{max} (h)	1.38	0.72
T_{max} (h)	10.92	4.31
C_{max} (ng/mL)	707.62	2631.69
AUC_{0-24} (ng·h/mL)	3061.55	4561.21
$AUC_{0-\infty}$ (ng·h/mL)CL (mL/min/kg)	3702.22	4799.24
CL (L/h/kg)	6503.05	5.57
V (L/kg)	114127.5	959.54
MRT_{0-24} (h)	6.71	4.64
$MRT_{0-\infty}$ (h)	13.97	5.94
F %	19.86	

REFERENCES

[1]. Liu G, et al. Crystallography-Guided Optimizations of the Keap1-Nrf2 Inhibitors on the Solvent Exposed Region: From Symmetric to Asymmetric Naphthalenesulfonamides. J Med Chem. 2022 Jun 23;65(12):8289-8302.

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