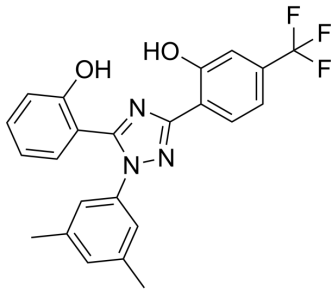
Nrf2 activator-3

Cat. No.:	HY-143333
CAS No.:	2766570-23-2
Molecular Formula:	$C_{23}H_{18}F_3N_3O_2$
Molecular Weight:	425.4
Target:	Keap1-Nrf2
Pathway:	NF-κB
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Nrf2 activator-3 is a potent Nrf2 activator. Nrf2 activator-3 is used for cerebral ischemic injury research[1].

In Vitro

Nrf2 activator-3 (compound 24) (1 μM, 5 μM, and 10 μM) is against SNP (400 μM)-induced cell death with IC_{50} values of 76.86±3.54 μM, 101.59±3.34 μM, and 105.1±1.84 μM at 1 μM, 5 μM, and 10 μM, respectively in PC12 cells[1].

Nrf2 activator-3 (1-200 μM) is against PC12 and hacat cell with IC_{50} values of 262.70±1.98 μM and 126.70±10.39 μM, respctively[1].

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

Cell Viability Assay[1]

Cell Line:	PC12 cell
Concentration:	1 μM, 5 μM, and 10 μM
Incubation Time:	
Result:	Alleviated SNP-induced apoptosis in a concentration-dependent manner.

In Vivo

In the acute toxicity study, Nrf2 activator-3 (compound 24) shows toxicity to the experimental mice at 1000 mg/kg, the LD50 of intraperitoneal injection is 789 mg/kg, and the 95% confidence interval was 550-1000 mg/kg in balb/c mice[1].

.In in vivo pharmacokinetic properties study, Nrf2 activator-3 (5 mg/kg; Intraperitoneal injection) shows that plasma reached a maximum (323.06 ng/mL) at 2 h. the T_{max}, C_{max}, AUC_{0-inf}, F% and $T_{1/2}$ values are 2 hour, 323.06 ng/mL, 2929.88 ng/mL*h, 28%, 12.75 hours respctively[1].

.Nrf2 activator-3 (5 mg/kg; .i.v.) shows T_{max}, C_{max}, AUC_{0-inf}, and $T_{1/2}$ values are 0.08 hours, 6911.14 ng/mL, 10182.73 ng/mL*h, and 8.26 hours respctively[1].

.Nrf2 activator-3 (3 mg/kg; 10 mg/kg;30 mg/kg) reduces the cerebral infarction volume and leads to decreased neurological deficits in MCAO rats[1].

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

Animal Model:	MCAO rats
Dosage:	3 mg/kg; 10 mg/kg; 30 mg/kg
Administration:	Intraperitoneal injection

Result:	Attenuated cerebral ischemic injury. (low dose: 16.37 ± 6.51%, medium dose: 14.49 ± 5.62%, high dose: 12.23 ± 8.50%), which was similar to the effect of Edaravone (12.77 ± 5.82%).

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REFERENCES

[1]. Yaoqiang Lao, et al. Synthesis and biological evaluation of 1,2,4-triazole derivatives as potential Nrf2 activators for the treatment of cerebral ischemic injury. Eur J Med Chem. 2022 Jun 5;236:114315.

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