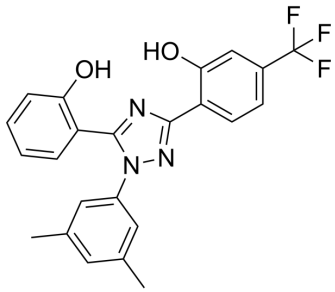
Nrf2 activator-3

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:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (235.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3507 mL	11.7536 mL	23.5073 mL
	5 mM	0.4701 mL	2.3507 mL	4.7015 mL
	10 mM	0.2351 mL	1.1754 mL	2.3507 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.88 mM); Clear solution

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA