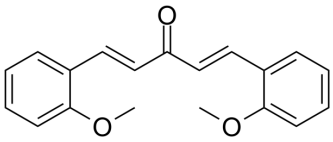
TFEB activator 1

Cat. No.:	HY-135825
CAS No.:	39777-61-2
Molecular Formula:	$C_{19}H_{18}O_3$
Molecular Weight:	294.34
Target:	Autophagy
Pathway:	Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years * The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (424.68 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3974 mL	16.9872 mL	33.9743 mL
	5 mM	0.6795 mL	3.3974 mL	6.7949 mL
	10 mM	0.3397 mL	1.6987 mL	3.3974 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: ≥ 6.25 mg/mL (21.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TFEB activator 1 is an orally effective, mTOR-independent activator of TFEB. TFEB activator 1 significantly promotes the nuclear translocation of Flag-TFEB with an EC_{50} of 2167 nM. TFEB activator 1 enhances autophagy without inhibiting the mTOR pathway and has the potential for neurodegenerative diseases treatment[1].

IC_{50} & Target

EC50: 2167 nM (Flag-TFEB nuclear translocation)[1]

In Vitro

TFEB activator 1 (Compound C1) activates TFEB (transcription factor EB) by directly binding to TFEB and promotes its entry into the nucleus, without affecting TFEB phosphorylation or inhibiting the activities of MTOR and MAPK1/ERK2-MAPK3/ERK1[1].

TFEB activator 1 (1 μM; for 12 h) significantly increases the levels of LC3B-II, the lipidated and phagophore- or autophagosome-associated form of MAP1LC3B/LC3B (microtubule-associated protein 1 light chain 3 β) in N2a cells[1].

TFEB activator 1 (0.2-1 μM) dose-dependently increases the levels of LC3-II and SQSTM1/p62 (sequestosome 1) in N2a cells[1].

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

Western Blot Analysis[1]

Cell Line:	N2a cells
Concentration:	0, 0.2, 0.4, 0.6, 0.8 and 1 μM
Incubation Time:	12 hours
Result:	Treatment dose-dependently increased the levels of LC3-II and SQSTM1/p62 (sequestosome 1).

In Vivo

The medium lethal dose (LD_{50}) value of TFEB activator 1 (Compound C1) is 175 mg/kg in the acute toxicity assay (single-dose i.v. tail vein injection; in rats) [1].

Short-term oral administration of TFEB activator 1 (low dosage 10 mg/kg and high dosage 25 mg/kg; for 24 h) dose-dependently increases the expression of LC3B-II and TFEB in the liver, frontal cortex and striatum of the brain[1].

Chronic administration of TFEB activator 1 (10 mg/kg per day; orally administered by gavage) activates TFEB and enhances autophagy in rat brains[1].

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

Animal Model:	Adult male Sprague-Dawley (SD) rats weighing 350 to 400 g[1]
Dosage:	10 mg/kg and 25 mg/kg
Administration:	Short-term oral administration; for 24 hours
Result:	Activated TFEB and enhanced autophagy and lysosome biogenesis in rat brain.

Animal Model:	Adult male Sprague-Dawley (SD) rats weighing 350 to 400 g[1]
Dosage:	10 mg/kg
Administration:	Chronic oral administration; daily; for 21 days
Result:	Activated TFEB and enhanced autophagy in rat brains.

REFERENCES

[1]. Song JX, et al. A novel curcumin analog binds to and activates TFEB in vitro and in vivo independent of MTOR inhibition. Autophagy. 2016 Aug 2;12(8):1372-89.

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