ESI-05

Cat. No.: HY-117656

CAS No.: 5184-64-5

Molecular Formula: $C_{16}H_{18}O_2S$

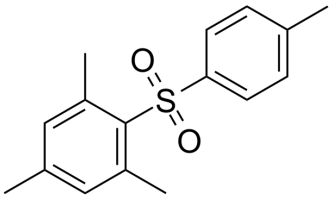
Molecular Weight: 274.38

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (182.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6446 mL	18.2229 mL	36.4458 mL
	5 mM	0.7289 mL	3.6446 mL	7.2892 mL
	10 mM	0.3645 mL	1.8223 mL	3.6446 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 (9.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ESI-05 is a specific exchange proteins directly activated by cAMP 2 (EPAC2) inhibitor. ESI-05 inhibits cAMP-mediated EPAC2 GEF activity with an IC_{50} of 0.43 μM. ESI-05 can be used for the research of diabetes, insulin secretion and neurological disorders[1][2].

IC_{50} & Target

IC50: 0.43 μM (EPAC2)[1]

In Vitro

ESI-05 (0.01 μM -1 nM) inhibits cAMP-mediated EPAC2 GEF activity with IC_{50} of 0.43 μM, but completely ineffective in suppressing EPAC1 GEF activity[1].

ESI-05 (1, 5, 10, and 25 μM; 5 min) selectively modulates EPAC2 activation in living cells[1].

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

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	1, 5, 10, and 25 μM
Incubation Time:	5 min
Result:	Led to a dose-dependent reduction of the EPAC-selective cAMP analog (007-AM) induced Rap1 activation.

In Vivo

ESI-05 (2, 4, and 8 mg/kg) decreases neuronal apoptosis by inhibiting the p38/BIM pathway in vivo[2].

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

Animal Model:	Intracranial hemorrhage (ICH) model[2]
Dosage:	2, 4, and 8 mg/kg
Administration:	
Result:	Decreased the apoptosis rate of nerve cells in the cortex accompanied by a corresponding decrease in the protein expression of phosphorylated p38, Bcl-2like protein 11 (BIM), and caspase-3.

CUSTOMER VALIDATION

- Cell Metab. 2022 Nov 11;S1550-4131(22)00490-9.
- Stem Cells. 2022 Jun 30;sxac046.
- Neurosci Lett. 2021 Jul 21;136124.

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REFERENCES

[1]. Yan Zhuang, et al. Inhibition of EPAC2 Attenuates Intracerebral Hemorrhage-Induced Secondary Brain Injury via the p38/BIM/Caspase-3 Pathway. J Mol Neurosci. 2019 Mar;67(3):353-363.

[2]. Tsalkova T, et al. Isoform-specific antagonists of exchange proteins directly activated by cAMP. Proc Natl Acad Sci U S A. 2012 Nov 6;109(45):18613-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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