ESI-08

Cat. No.: HY-136172

CAS No.: 301177-43-5

Molecular Formula: $C_{20}H_{23}N_3OS$

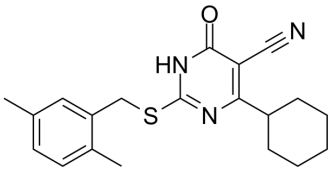
Molecular Weight: 353.48

Target: Ras

Pathway: GPCR/G Protein

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (282.90 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8290 mL	14.1451 mL	28.2901 mL
	5 mM	0.5658 mL	2.8290 mL	5.6580 mL
	10 mM	0.2829 mL	1.4145 mL	2.8290 mL

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

BIOLOGICAL ACTIVITY

Description

ESI-08 is a potent and selective EPAC antagonist, which can completely inhibit both EPAC1 and EPAC2 (IC_{50} of 8.4 μM) activity. ESI-08 selectively blocks cAMP-induced EPAC activation, but does not inhibit cAMP-mediated PKA activation[1].

IC_{50} & Target

IC50: 8.4 μM (EPAC2)[1]
EPAC1[1]

In Vitro

Exchange proteins directly activated by cAMP (EPAC) are a family of guanine nucleotide exchange factors that regulate a wide variety of intracellular processes in response to second messenger cAMP. ESI-08 at 25 μM has been found not to alter cAMP-induced type I and II PKA holoenzymes activation while H89, a selective PKA inhibitor, blocked the type I or II PKA activities completely[1].

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

REFERENCES

[1]. Chen H, et al. 5-Cyano-6-oxo-1,6-dihydro-pyrimidines as potent antagonists targeting exchange proteins directly activated by cAMP. Bioorg Med Chem Lett. 2012 Jun

15;22(12):4038-43.

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