



ESI-09

Catalog No: tcsc3420



Available Sizes

Size: 5mg

Size: 10mg



Specifications

CAS No:

263707-16-0

Formula:

 $C_{16}^{H_{15}}CIN_4^{O_2}$

Pathway:

Others

Target:

Others

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 47 mg/mL (142.09 mM)

Observed Molecular Weight:

330.77

Product Description

ESI-09 is a novel noncyclic nucleotide **EPAC** antagonist with IC_{50} values of 3.2 and 1.4 μ M for EPAC1 and EPAC2, respectively.

IC50 & Target: IC50: 3.2 μ M (EPAC1), 1.4 μ M (EPAC2)^[1]

In Vitro: While cAMP competes with 8-NBD-cAMP binding with an IC $_{50}$ of 39 μ M, ESI-09 shows an increased potency with an apparent IC $_{50}$ of 10 μ M. ESI-09 inhibis cAMP-mediated EPAC2 and EPAC1 GEF activity with an IC $_{50}$ of 1.4 and 3.2 μ M, respectively. ESI-





09 could fit well into the functional cAMP-binding pocket of EPAC1, establishing favorable hydrophobic and hydrogen bonding interactions with the protein's active-site residues. ESI-09 inhibits 007-AM-stimulated Akt phosphorylation at T308 and S473 in a dose-dependent manner. ESI-09 inhibits pancreatic cancer cells AsPC-1 and PANC-1 migration. ESI-09 inhibits EPAC1-mediated adhesion of PDA cells on collagen I^[1]. Exposure to ESI-09 significantly reduces intracellular and total bacterial counts in HUVECs at 30 min postinfection with 10 multiplicities of infection (MOI) of *R. australis* compared with similarly infected controls^[2].

In Vivo: Treatment with ESI-09 dramatically protects WT mice against *R. australis* infection with much milder disease manifestations and significantly improves survival^[2].

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!