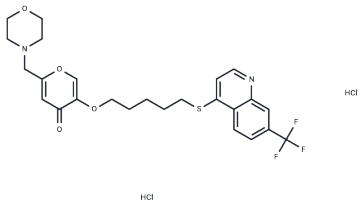
Data Sheet (Cat.No.T6483)

TargetMol
YOUR TARGET MOLECULES

EHT 1864

Chemical Properties



CAS No. : 754240-09-0

Formula: C25H29Cl2F3N2O4S

Molecular Weight: 581.47

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	EHT 1864 is a effective Rac family GTPase inhibitor for Rac1/Rac1b/Rac2/Rac3 (Kd: 40/50/60/250 nM).
Targets(IC50)	Rho,Ras
In vitro	EHT 1864 selectively inhibits Rac-induced lamellipodia formation, and specifically reverses cell transformation induced by constitutively activated mutants of Rac1 and Tiam1. EHT 1864 strongly impaires oncogenic Ras-induced cell proliferation in NIH 3T3 cells stably expressing H-Ras(61L) protein. [1] EHT 1864 also reduces both extracellular and intracellular levels of Aβ peptides by inhibiting the γ-secretase-dependent cleavage of APP. [2] In cultured hippocampal pyramidal neurons, EHT 1864, via inhibition of Rac1, rescues the phenotype induced by Rich2 knock-down. [3]
In vivo	EHT 1864 (40 mg/kg i.p.) significantly reduces Abeta 40 and Abeta 42 levels in guinea pig brains. [2]
Kinase Assay	Inhibitor:GTPase binding analyses: For inhibitor:GTPase binding analyses, aliquots of small GTPase solution (containing 1 μM inhibitor) are titrated into a solution of 1 μM inhibitor in the cuvette. Changes in fluorescence anisotropy are monitored at λex = 360 nm, λem = 440 nm, 30 s after each addition. All data analysis and curve fitting were performed using Microsoft Excel and QuantumSoft's ProFit for Mac OS X.
Cell Research	NIH 3T3 cells stably expressing oncogenic Ras are plated in 96-well plates. The cells are cultured for up to 4 days in complete growth medium, either alone, or supplemented with 5 μM EHT 1864. Cell growth is then assessed using the conversion of MTT to a formazan product. Briefly, the MTT reagent (from a 5 mg/ml solution diluted in PBS) is added to the wells at a final concentration of 0.5 mg/ml, and the cells are further incubated for 4 h at 37°C. The medium is then removed, and the reaction is terminated by adding 100 μl/well Me2SO. The absorbance is read at 570 nm using a microplate reader.(Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (85.99 mM), H2O: 58.2 mg/mL (100 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7198 mL	8.5989 mL	17.1978 mL
5 mM	0.344 mL	1.7198 mL	3.4396 mL
10 mM	0.172 mL	0.8599 mL	1.7198 mL
50 mM	0.0344 mL	0.172 mL	0.344 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Weddle E A, Köseoğlu V K, DeVasure B A, et al. The type three secretion system effector protein IpgB1 promotes Shigella flexneri cell-to-cell spread through double-membrane vacuole escape. PLoS Pathogens. 2022, 18(2):