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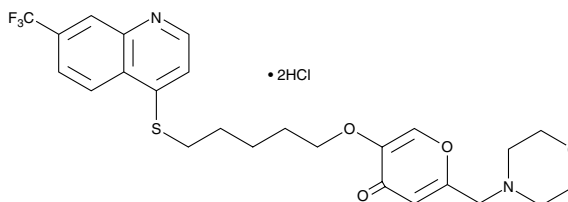
Product Information



EHT 1864

Item No. 17258

CAS Registry No.: 754240-09-0
Formal Name: 2-(4-morpholinylmethyl)-5-[[5-[[7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]oxy]-4H-pyran-4-one, dihydrochloride
MF: $C_{25}H_{27}F_3N_2O_4S \cdot 2HCl$
FW: 581.5
Purity: $\geq 98\%$
Stability: ≥ 2 years at $-20^\circ C$
Supplied as: A crystalline solid
UV/Vis.: λ_{max} : 221, 317, 329 nm



Laboratory Procedures

For long term storage, we suggest that EHT 1864 be stored as supplied at $-20^\circ C$. It should be stable for at least two years.

EHT 1864 is supplied as a crystalline solid. A stock solution may be made by dissolving the EHT 1864 in the solvent of choice. EHT 1864 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of EHT 1864 in ethanol and DMSO is approximately 30 mg/ml and approximately 50 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of EHT 1864 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of EHT 1864 in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

EHT 1864 is an inhibitor of the Rac subfamily of Rho GTPases, that binds to Rac1, Rac1b, Rac2, and Rac3 with K_d values of 40, 50, 50, and 250 nM, respectively.¹ It can reverse transformation of NIH 3T3 fibroblasts caused by constitutively activated Rac1, as well as Rac-dependent transformation caused by Tiam1 or Ras.¹ EHT 1864 inhibits APP processing by γ -secretase, reducing A β 40 and A β 42 accumulation.² It blocks breast cancer cell invasion in a collagen matrix assay and, at 10 μM , increases the size but decreases the density of dendritic spines of hippocampal neurons in culture.^{3,4}

References

1. Shutes, A., Onesto, C., Picard, V., *et al.* Specificity and mechanism of action of EHT 1864, a novel small molecule inhibitor of Rac family small GTPases. *J. Biol. Chem.* **282**(9), 35666-35678 (2007).
2. Désiré, L., Bourdin, J., Loiseau, N., *et al.* RAC1 inhibition targets amyloid precursor protein processing by γ -secretase and decreases A β production *in vitro* and *in vivo*. *J. Biol. Chem.* **280**(45), 37516-37525 (2005).
3. Katz, E., Sims, A.H., Sproul, D., *et al.* Targeting of Rac GTPases blocks the spread of intact human breast cancer. *Oncotarget* **3**(6), 608-619 (2012).
4. Raynaud, F., Moutin, E., Schmidt, S., *et al.* Rho-GTPase-activating protein interacting with Cdc-42-interacting protein 4 homolog 2 (Rich2): A new Ras-related C3 botulinum toxin substrate 1 (Rac1) GTPase-activating protein that controls dendritic spine morphogenesis. *J. Biol. Chem.* **289**(5), 2600-2609 (2014).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/17258

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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