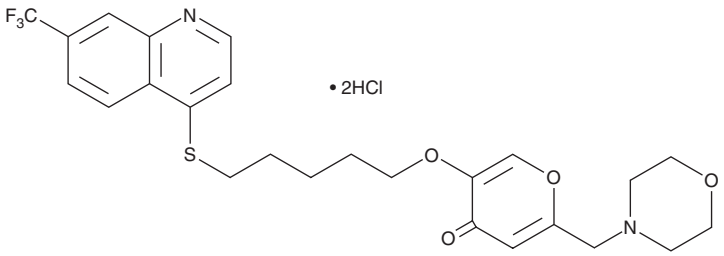
PRODUCT INFORMATION

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:	$C_{25}H_{27}F_3N_2O_4S \bullet 2HCl$
FW:	581.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 221, 317, 329 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Description

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 Aβ40 and Aβ42 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 Aβ 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM