

Product Name: EHT 1864

Catalog No.: 3872

Batch No.: 3

CAS Number: 754240-09-0

IUPAC Name: 5-(5-(7-(Trifluoromethyl)quinolin-4-ylthio)pentyl)oxy)-2-(morpholinomethyl)-4H-pyran-4-one dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₅H₂₇F₃N₂O₄S.2HCl.¾H₂O

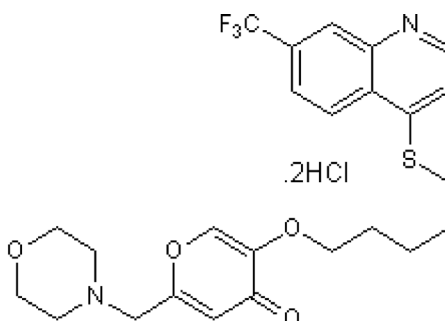
Batch Molecular Weight: 594.98

Physical Appearance: Off White solid

Solubility: water to 20 mM
DMSO to 75 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.1% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen	Chlorine
Theoretical	50.47	5.17	4.71	11.92
Found	49.72	5.2	4.41	11.94

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Description:

EHT 1864 is an inhibitor of Rac family GTPases. Blocks activation by direct binding to Rac1, Rac1b, Rac2 and Rac3 (K_D values are 40, 50, 60 and 250 nM respectively). Inhibits Rac, Ras and Tiam-induced growth transformation of NIH-3T3 fibroblasts. Reduces β -amyloid peptide production in vivo.

Physical and Chemical Properties:

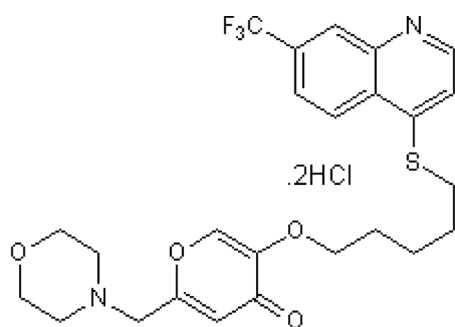
Batch Molecular Formula: $C_{25}H_{27}F_3N_2O_4S \cdot 2HCl \cdot \frac{3}{4}H_2O$

Batch Molecular Weight: 594.98

Physical Appearance: Off White solid

Minimum Purity: $\geq 98\%$

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

water to 20 mM

DMSO to 75 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research use only under license from ExonHit Therapeutics S.A.

References:

Onesto et al (2008) Characterization of EHT1864, a novel small molecule inhibitor of Rac family small GTPases. *Methods Enzymol.* **439** 111. PMID: 18374160.

Shutes et al (2007) Specificity and mechanism of action of EHT 1864, a novel small molecule inhibitor of rac family small GTPases. *J.Biol.Chem.* **282** 35666. PMID: 17932039.

Desire et al (2005) RAC1 inhibition targets amyloid precursor protein processing by γ -secretase and decreases A β production *in vitro* and *in vivo*. *J.Biol.Chem.* **280** 37516. PMID: 16150730.

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