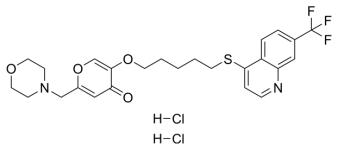
EHT 1864

Cat. No.:	HY-16659
CAS No.:	754240-09-0
Molecular Formula:	$C_{25}H_{29}Cl_2F_3N_2O_4S$
Molecular Weight:	581.48
Target:	Ras
Pathway:	GPCR/G Protein; MAPK/ERK Pathway
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (171.97 mM)
DMSO : ≥ 32 mg/mL (55.03 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7197 mL	8.5987 mL	17.1975 mL
5 mM	0.3439 mL	1.7197 mL	3.4395 mL
10 mM	0.1720 mL	0.8599 mL	1.7197 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (42.99 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: Saline
   Solubility: 25 mg/mL (42.99 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EHT 1864 is an inhibitor of Rac family small GTPases. EHT 1864 directly binds and impairs the ability of this small GTPase to engage critical downstream effectors required for growth transformation. The K_d values are 40, 50, 60, and 230 nM for Rac1,

	Rac1b, Rac2 and Rac3, respectively. EHT 1864 also potently inhibits other Rac-dependent transformation processes, Tiam1- and Ras-mediated growth transformation. EHT 1864 prevents Aβ 40 and Aβ 42 production in vivo. EHT 1864 dependently suppresses the release of migrasomes from podocytes induced by LPS, PAN, or HG[1][2][3][4].
In Vivo	EHT 1864 (oral administration) displays good tolerability, brain penetrance, and no genotoxicity. EHT 1864 (10 and 40 mg/kg/day; daily; 15 days; intraperitoneal injections) lowers brain Aβ 40 by 37% in guinea pigs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Bioact Mater. 2021 Jun 1.
- Sci Adv. 2023 May 24;9(21):eadg1778.
- Front Immunol. 2018 Aug 31;9:1987.
- J Pathol. 2017 Oct;243(2):208-219.
- Cell Signal. 2022 May 18;96:110358.

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REFERENCES

[1]. Ying Liu , et al. Podocyte-Released Migrasomes in Urine Serve as an Indicator for Early Podocyte Injury. Kidney Dis (Basel). 2020 Nov;6(6):422-433.

[2]. Desire L, et al. RAC1 inhibition targets amyloid precursor protein processing by gamma-secretase and decreases Abeta production in vitro and in vivo. J Biol Chem. 2005 Nov 11;280(45):37516-25.

[3]. Shutes A, et al. Specificity and mechanism of action of EHT 1864, a novel small molecule inhibitor of Rac family small GTPases. J Biol Chem. 2007 Dec 7;282(49):35666-78.

[4]. Onesto C, et al. Characterization of EHT 1864, a novel small molecule inhibitor of Rac family small GTPases. Methods Enzymol. 2008;439:111-29.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA