

Product data sheet



MedKoo Cat#: 526894 Name: EHT-1864 HCl CAS#: 754240-09-0 (HCl) Chemical Formula: C ₂₅ H ₂₉ Cl ₂ F ₃ N ₂ O ₄ S Molecular Weight: 581.4722	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

EHT-1864 is a potent Rac family GTPase inhibitor with K_d of 40 nM, 50 nM, 60 nM and 250 nM for Rac1, Rac1b, Rac2 and Rac3, respectively. EHT 1864 attenuates glucose-stimulated insulin secretion in pancreatic β-cells. EHT 1864 specifically inhibits glucose-induced Rac1 activation and membrane association and associated downstream signaling events culminating in inhibition of GSIS.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	51.40	88.40
DMF	50.0	85.99
Ethanol	30.0	51.59
PBS (pH 7.2)	10.0	17.20
Water	86.05	147.99

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.72 mL	8.60 mL	17.20 mL
5 mM	0.34 mL	1.72 mL	3.44 mL
10 mM	0.17 mL	0.86 mL	1.72 mL
50 mM	0.03 mL	0.17 mL	0.34 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Sidarala V, Veluthakal R, Syeda K, Kowluru A. EHT 1864, a small molecule inhibitor of Ras-related C3 botulinum toxin substrate 1 (Rac1), attenuates glucose-stimulated insulin secretion in pancreatic β-cells. *Cell Signal*. 2015 Jun;27(6):1159-67. doi: 10.1016/j.cellsig.2015.02.020. Epub 2015 Feb 26. PMID: 25725286; PMCID: PMC4380787.
2. Onesto C, Shutes A, Picard V, Schweighoffer F, Der CJ. Characterization of EHT 1864, a novel small molecule inhibitor of Rac family small GTPases. *Methods Enzymol*. 2008;439:111-29. doi: 10.1016/S0076-6879(07)00409-0. PMID: 18374160.

In vivo study

TBD

7. Bioactivity

Biological target:

EHT 1864 is an inhibitor of Rac family small GTPases.

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In vitro activity

Furthermore, this study noticed a complete inhibition of GSIS by EHT 1864 at 10 μ M concentration. It should also be noted that, while 5 μ M EHT 1864 exerted no significant effects on basal secretion, it did modestly increase the basal secretion at 10 μ M concentration (~ 50%). Therefore, in all the subsequent experiments, this study studied the effects of EHT 1864 at 10 μ M. Furthermore, EHT 1864 inhibited GSIS in INS-1 832/13 cells elicited at 10–20 mM glucose (Figure 3).

Reference: Cell Signal. 2015 Jun; 27(6): 1159–1167. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4380787/>

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.