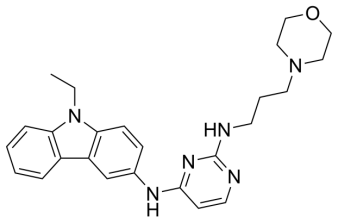
EHop-016

Cat. No.:	HY-12810
CAS No.:	1380432-32-5
Molecular Formula:	$C_{25}H_{30}N_6O$
Molecular Weight:	430.55
Target:	Ras
Pathway:	GPCR/G Protein; MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (74.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3226 mL	11.6131 mL	23.2261 mL
	5 mM	0.4645 mL	2.3226 mL	4.6452 mL
	10 mM	0.2323 mL	1.1613 mL	2.3226 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (23.23 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EHop-016 is a potent and selective Rac GTPase Rac1 and Rac3 inhibitor. EHop-016 inhibits Rac1 activity with an IC_{50} of 1.1 μM in MDA-MB-435 cells. EHop-016 inhibits Vav2 interaction with Rac, Rac-activated PAK1, lamellipodia formation, and cell migration[1][2].

In Vitro

EHop-016 (1-10 μM; 24 hours; MDA-MB-435 cells) treatment inhibits Rac1 and Rac3 activity. At higher concentrations, EHop-016 inhibits the close homolog Cdc42. In MDA-MB-435 cells that demonstrate high active levels of the Rac GEF Vav2, EHop-016 inhibits the association of Vav2 with a nucleotide-free Rac1(G15A) [1].

EHop-016 also inhibits the Rac activity of MDA-MB-231 metastatic breast cancer cells and reduces Rac-directed lamellipodia formation in both cell lines. EHop-016 decreases Rac downstream effects of PAK1 (p21-activated kinase 1) activity and directed migration of metastatic cancer cells[1].

EHop-016 affectes cell viability by down-regulating Akt and Jun kinase activities and c-Myc and Cyclin D expression, as well as increasing caspase 3/7 activities in metastatic cancer cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MDA-MB-435 cells
Concentration:	1 μM, 2 μM, 4 μM, 5 μM, 10 μM
Incubation Time:	24 hours
Result:	The activity Rac3 was inhibited by 58%.

In Vivo

EHop-016 (10-25 mg/kg; intraperitoneal injection; 3 times a week; for 55 days; nu/nu mice) treatment significantly reduces mammary fat pad tumor growth, metastasis, and angiogenesis[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nu/nu mice (4-5 weeks old) injected with GFP-MDA-MB-435 cells[2]
Dosage:	10 mg/kg, 25 mg/kg
Administration:	Intraperitoneal injection; 3 times a week; for 55 days
Result:	Significantly reduced mammary fat pad tumor growth, metastasis, and angiogenesis.

CUSTOMER VALIDATION

- J Exp Med. 2023 Mar 6;220(3):e20221316.
- Mol Oncol. 2019 Sep;13(9):2010-2030.
- Biochem Pharmacol. 2021 Feb;184:114399.
- Appl Microbiol Biotechnol. 2018 Jul;102(14):5965-5975.

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REFERENCES

[1]. Montalvo-Ortiz BL, et al. Characterization of EHop-016, novel small molecule inhibitor of Rac GTPase. J Biol Chem. 2012 Apr 13;287(16):13228-38.

[2]. Castillo-Pichardo L, et al. The Rac Inhibitor EHop-016 Inhibits Mammary Tumor Growth and Metastasis in a Nude Mouse Model. Transl Oncol. 2014 Oct 24;7(5):546-55.

Caution: Product has not been fully validated for medical applications. For research use only.

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