产品名称：EHop-016
产品别名：EHop-016

生物活性：

Description

EHop-016 is a novel potent and selective inhibitor of Rac GTPase; inhibits Rac1 activity in MDA-MB-435 cells with an IC50 of 1.1 uM. IC50 value: 1.1 uM (MDA-MB-435 cell) [1] Target: Rac1 inhibitor in vitro: The IC(50) of 1.1 μM for Rac inhibition by EHop-016 is ～100-fold lower than for NSC23766. EHop-016 is specific for Rac1 and Rac3 at concentrations of ≤5 μM. 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), which has a high affinity for activated GEFs. 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. Moreover, at effective concentrations (<5 μM), EHop-016 does not affect the viability of transformed mammary epithelial cells (MCF-10A) and reduces viability of MDA-MB-435 cells by only 20% [1]. At higher concentrations (10μM), EHop-016 inhibits the related Rho GTPase Cdc42, but not Rho, and also reduces cell viability. Moreover, EHop-016 inhibits the activation of the Rac downstream effector p21-activated kinase, extension of motile actin-based structures, and cell migration [2]. in vivo: As quantified by UPLC MS/MS, EHop-016 was detectable in the plasma of nude mice at 17 to 23 ng/ml levels at 12 h following intraperitoneal (i.p.) administration of 10 to 25 mg/kg BW EHop-016. The EHop-016 mediated inhibition of angiogenesis In Vivo was confirmed by immunohistochemistry of excised tumors and by In Vitro tube formation assays of endothelial cells. Moreover, EHop-016 affected 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 [3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 32 mg/mL (74.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (5.81 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.81 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.81 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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]. Dharmawardhane S, et al. Development of EHop-016: a small molecule inhibitor of Rac. Enzymes. 2013;33 Pt A:117-46. [3]. 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.