

Product Data Sheet

Product Name: EHOp-016

Cat. No.: GC10030

Chemical Properties

Cas. No. 1380432-32-5

Chemical Name 4-N-(9-ethylcarbazol-3-yl)-2-N-(3-morpholin-4-ylpropyl)pyrimidine-2,4-diamine

SMILES CCN1C2=C(C=C(C=C2)NC3=NC(=NC=C3)NCCCN4CCOCC4)C5=CC=CC=C51

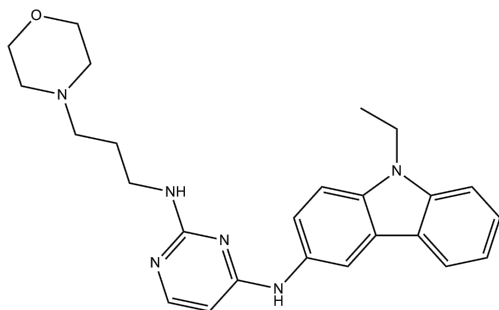
Formula $C_{25}H_{30}N_6O$ M.Wt 430.55

Solubility $\geq 25.6\text{mg/mL}$ in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines MDA-MB-435 cells

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

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MDA-MB-435 cells were cultured in DMEM medium containing 10% fetal bovine serum (pH 7.5) at 37°C and 5% CO₂. Cells were seeded in 96-well plates at a concentration of 2000 cells per well. EHOP-016 was incubated in various concentrations (0, 0.1, 0.5, 1, 2, 4, 6, 8, and 10µM) for 24h, then MTT reagent was added, and absorbance was measured at 600nm.

Preparation Method

Reaction Conditions 0, 0.1, 0.5, 1, 2, 4, 6, 8, and 10µM; 48h

Applications EHOP-016 treatment significantly inhibited the viability of MDA-MB-435 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Female nude mice

Preparation Method Female nude mice, aged 4 to 5 weeks, were housed in cages filtered by high-efficiency particulate air (HEPA) filters (5 mice per cage) and were maintained under pathogen-free (SPF) conditions. The lighting (12 hours of light/12 hours of darkness cycle), temperature (22 to 24°C), and humidity (25%) were all controlled. GFP-MDA-MB-435 cells (approximately 0.5×10^6 cells) were suspended in Matrigel and injected into the right fourth mammary fat pad of the mice under isoflurane inhalation (isoflurane concentration in the inhalation chamber was 1% to 3%, oxygen concentration was 2L/min) to establish an in situ primary tumor model. After tumor establishment (1 week after inoculation), mice from the same litter with similar body weight and tumor size were randomly divided into each experimental group. The mice received either the vehicle (12.5% ethanol, 12.5% Cremophor, and 75% 1×PBS pH 7.4) or 25mg/kg of EHOP-016 by intraperitoneal injection three times a week for 8 weeks, and the tumor tissues were analyzed.

Dosage form 25mg/kg; three times a week for 8 weeks; i.p.

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Applications EHop-016 treatment significantly reduced tumor growth without causing weight changes in GFP-MDA-MB-435 cell-xenograft mice.

References:

- [1] Montalvo-Ortiz B L, Castillo-Pichardo L, Hernández E, et al. Characterization of EHop-016, novel small molecule inhibitor of Rac GTPase[J]. Journal of Biological Chemistry, 2012, 287(16): 13228-13238.
- [2] Castillo-Pichardo L, Humphries-Bickley T, De La Parra C, et al. The Rac inhibitor EHop-016 inhibits mammary tumor growth and metastasis in a nude mouse model[J]. Translational oncology, 2014, 7(5): 546-555.

Background

EHop-016 is a potent inhibitor of Rac GTPase Rac1, with an IC₅₀ value of 1.1μM^[1]. EHop-016 targets the Rac protein and reduces the activity of the downstream effector molecule, p21-activated kinase (PAK), thereby demonstrating cytotoxicity^[2]. EHop-016 has been widely used as an anti-cancer agent, inhibiting tumor growth in both cell models and mouse models^[3].

In vitro, EHop-016 treatment for 48 hours significantly inhibited the proliferation of Calu1, A549, and HOP62 cells, with IC₅₀ values of 4.3, 9.12, and 4.8μM, respectively^[4]. EHop-016 reduced the number of viable MOLM-13 cells with an EC₅₀ of 11.3μM after 48h incubation^[5]. Treatment with 5μM EHop-016 for 1 hour can inhibit the glucose-induced actin remodeling in INS-1 832/13 cells^[6].

In vivo, EHop-016 treatment via intraperitoneal injection at a dose of 25mg/kg (three times a week) for 8 weeks significantly reduced tumor growth without causing weight changes in GFP-MDA-MB-435 cell-xenograft mice^[7]. Administering a 30mg/kg dose of EHop-016 by intraperitoneal injection three times a week for 55 days led to a reduction in macrophage infiltration in the tumors of breast cancer mouse models, and decreased the activation of myeloid cells^[8].

References:

- [1] Montalvo-Ortiz B L, Castillo-Pichardo L, Hernández E, et al. Characterization of EHop-016, novel small molecule inhibitor of Rac GTPase[J]. Journal of Biological Chemistry, 2012, 287(16): 13228-

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- [2] Crespo G E V, Hernández E, Vlaar C, et al. The novel Rac inhibitor HV-107 as a potential therapeutic for metastatic breast cancer[J]. Cancer Research, 2018, 78(13_Supplement): 4185-4185.
- [3] Asencio-Torres G, Hernández E, Vlaar C, et al. Novel Rac Inhibitors as Targeted Therapeutics for Metastatic Breast Cancer[J]. The FASEB Journal, 2018, 32: 804.14-804.14.
- [4] Yang J, Qiu Q, Qian X, et al. Long noncoding RNA LCAT1 functions as a ceRNA to regulate RAC1 function by sponging miR-4715-5p in lung cancer[J]. Molecular cancer, 2019, 18(1): 171.
- [5] Hemsing A L, Førde J L, Reikvam H, et al. The Rac1-inhibitor EHop-016 attenuates AML cell migration and enhances the efficacy of daunorubicin in MOLM-13 transplanted zebrafish larvae[J]. Translational Oncology, 2024, 40: 101876.
- [6] Veluthakal R, Tunduguru R, Arora D K, et al. VAV2, a guanine nucleotide exchange factor for Rac1, regulates glucose-stimulated insulin secretion in pancreatic beta cells[J]. Diabetologia, 2015, 58(11): 2573-2581.
- [7] Castillo-Pichardo L, Humphries-Bickley T, De La Parra C, et al. The Rac inhibitor EHop-016 inhibits mammary tumor growth and metastasis in a nude mouse model[J]. Translational oncology, 2014, 7(5): 546-555.
- [8] Torres-Sanchez A, Rivera-Robles M, Castillo-Pichardo L, et al. Rac and Cdc42 inhibitors reduce macrophage function in breast cancer preclinical models[J]. Frontiers in Oncology, 2023, 13: 1152458.

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