

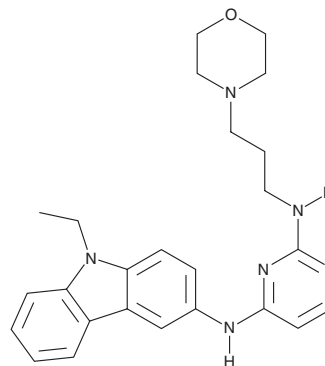
PRODUCT INFORMATION



EHop-016

Item No. 21557

CAS Registry No.: 1380432-32-5
Formal Name: N⁴-(9-ethyl-9H-carbazol-3-yl)-N²-[3-(4-morpholinyl)propyl]-2,4-pyrimidinediamine
MF: C₂₅H₃₀N₆O
FW: 430.6
Purity: ≥98%
UV/Vis.: λ_{max}: 232, 305 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EHop-016 is supplied as a crystalline solid. A stock solution may be made by dissolving the EHop-016 in the solvent of choice. EHop-016 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of EHop-016 in these solvents is approximately 10 and 20 mg/ml, respectively.

EHop-016 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EHop-016 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. EHop-016 has a solubility of approximately 0.125 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EHop-016 is an inhibitor of the small Rho GTPases Rac1 and Rac3.¹ It inhibits Rac1 activity in MDA-MB-435 breast cancer cells with an IC₅₀ value of 1.1 μM in a Rac1 activation assay.² At a concentration of 1 μM, EHop-016 inhibits 58% of Rac3 activity, and it inhibits Cdc42 activity but only at higher concentrations (5-10 μM). It also increases Rho GTPase RhoA activity ~1.3-fold at concentrations greater than 2 μM. EHop-016 (2-4 μM) inhibits Rac-regulated functions in MDA-MB-435 cells, including lamellipodia formation and serine/threonine p21-activated kinase 1 (PAK1)-mediated cell migration. In a nude mouse model of breast cancer metastasis, EHop-016 (25 mg/kg) significantly lowers mammary fat pad tumor growth, metastasis, and angiogenesis.³ It also inhibits mutant receptor tyrosine kinase (KIT)-induced growth in systemic mastocytosis and acute myeloid leukemia (AML) cells (≥2.5 μM).⁴

References

1. Dharmawardhane, S., Hernandez, E., and Vlaar, C. Development of EHop-016: A small molecule inhibitor of Rac. *Enzymes* **33 Pt A**, 117-146 (2013).
2. Montalvo-Ortiz, B.L., Castillo-Pichardo, L., Hernández, E., *et al.* Characterization of EHop-016, novel small molecule inhibitor of Rac GTPase. *J. Biol. Chem.* **287(16)**, 13228-13238 (2012).
3. 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. *Transl. Oncol.* **7(5)**, 546-555 (2014).
4. Martin, H., Mali, R.S., Ma, P., *et al.* Pak and Rac GTPases promote oncogenic KIT-induced neoplasms. *J. Clin. Invest.* **123(10)**, 4449-4463 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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