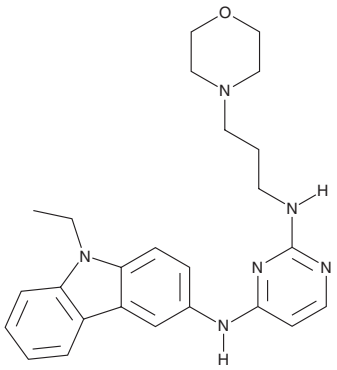
PRODUCT INFORMATION

EHop-016

Item No. 21557



CAS Registry No.:	1380432-32-5
Formal Name:	N^4-(9-ethyl-9H-carbazol-3-yl)-N^2-[3-(4-morpholinyl)propyl]-2,4-pyrimidinediamine
MF:	$C_{25}H_{30}N_6O$
FW:	430.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 305 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 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, which should be purged with an inert gas. EHop-016 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). 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 IC_{50} 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).

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