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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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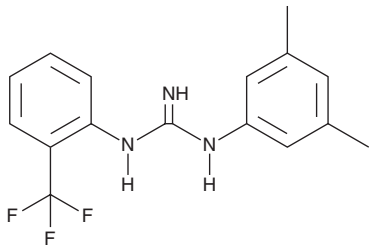
PRODUCT INFORMATION

1A-116

Item No. 40781

CAS Registry No.:	1430208-73-3
Formal Name:	N-(3,5-dimethylphenyl)-N′-[2-(trifluoromethyl)phenyl]-guanidine
MF:	$C_{16}H_{16}F_3N_3$
FW:	307.3
Purity:	≥98%
Supplied as:	A 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

1A-116 is supplied as a solid. A stock solution may be made by dissolving the 1A-116 in the solvent of choice, which should be purged with an inert gas. 1A-116 is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

1A-116 is an inhibitor of Rac1 (IC_{50} = 4 µM).[1] It reduces the secretion of chemokine (C-X-C motif) ligand 5 (CXCL5), CXCL10, hepatocyte growth factor (HGF), chemokine (C-C motif) ligand 2 (CCL2), and matrix metalloproteinase-2 (MMP-2) from patient-derived acute myeloid leukemia (AML) cells when used at a concentration of 20 µM.[2] 1A-116 (20 µM) inhibits the proliferation and migration of, and induces apoptosis in, LN-229 glioblastoma cells at 10 hours, but not 23 hours, post-synchronization.[3] *In vivo*, IA-116 (3 mg/kg per day) decreases the number of lung nodules and macronodules, as well as total lung weight, in a F3II murine breast cancer model of lung tumor formation.[1] It also inhibits the asexual replication of the *P. falciparum* strains D10 and W2 (IC_{50}s = 3.21 and 6.89 µM, respectively) and sexual replication in *P. falciparum* 3D7 immature and mature gametocytes (IC_{50}s = 78.13 and 56.64 µM, respectively).[4]

References

1. Cardama, G.A., Comin, M.J., Hornos, L., *et al*. Preclinical development of novel Rac1-GEF signaling inhibitors using a rational design approach in highly aggressive breast cancer cell lines. *Anticancer Agents Med. Chem.* 14(6), 840-851 (2014).
2. Hemsing, A.L., Rye, K.P., Hatfield, K.J., *et al*. NPM1-mutated patient-derived AML cells are more vulnerable to Rac1 inhibition. *Biomedicines* **10(8)**, 1881 (2022).
3. Trebucq, L.L., Cardama, G.A., Lorenzano Menna, P., *et al*. Timing of novel drug 1A-116 to circadian rhythms improves therapeutic effects against glioblastoma. *Pharmaceutics* **13(7)**, 1091 (2021).
3. Parapini, S., Paone, S., Erba, E., *et al*. *In vitro* antimalarial activity of inhibitors of the human GTPase Rac1. *Antimicrob. Agents Chemother.* **66(1)**, e0149821 (2022).

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