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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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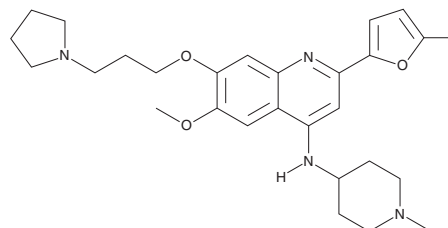
PRODUCT INFORMATION



CM-272

Item No. 25948

CAS Registry No.: 1846570-31-7
Formal Name: 6-methoxy-2-(5-methyl-2-furanyl)-N-(1-methyl-4-piperidinyl)-7-[3-(1-pyrrolidinyl)propoxy]-4-quinolinamine
MF: C₂₈H₃₈N₄O₃
FW: 478.6
Purity: ≥95%
UV/Vis.: λ_{max}: 223, 246, 284, 295, 336, 350 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

CM-272 is supplied as a crystalline solid. A stock solution may be made by dissolving the CM-272 in the solvent of choice, which should be purged with an inert gas. CM-272 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of CM-272 in these solvents is approximately 30 mg/ml.

CM-272 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CM-272 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. CM-272 has a solubility of approximately 0.15 mg/ml in a 1:6 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CM-272 is a reversible inhibitor of the histone methyltransferases G9a and GLP, as well as DNA methyltransferase 1 (DNMT1), DNMT3A, and DNMT3B (IC₅₀s = 8, 2, 382, 85, and 1,200 nM, respectively).¹ It inhibits the growth of, and induces apoptosis in, CEMO-1, MV4-11, and OCI-LY10 cancer cells (GI₅₀s = 218, 269, and 455 nM, respectively). It also induces the expression of IFN-stimulated genes, as well as increases the expression of calreticulin and secretion of high-mobility group protein B1 (HMGB1), markers of immunogenic cell death, in the same cells. CM-272 (2.5 mg/kg) reduces tumor growth in MV4-11 acute myeloid leukemia (AML) and EGI-1 cholangiocarcinoma mouse xenograft models.^{2,3} It increases survival in CEMO-1 acute lymphocytic leukemia (ALL) and RT112 bladder cancer mouse xenograft models when administered at doses of 2.5 and 5 mg/kg, respectively.^{1,4}

References

1. San José-Enériz, E., Agirre, X., Rabal, O., *et al.* Discovery of first-in-class reversible dual small molecule inhibitors against G9a and DNMTs in hematological malignancies. *Nat. Commun.* **8**, 15424 (2017).
2. Rabal, O., San José-Enériz, E., Agirre, X., *et al.* Discovery of reversible DNA methyltransferase and lysine methyltransferase G9a inhibitors with antitumoral in vivo efficacy. *J. Med. Chem.* **61(15)**, 6518-6545 (2018).
3. Colyn, L., Bárcena-Varela, M., Álvarez-Sola, G., *et al.* Dual targeting of G9a and DNMT1 for the treatment of experimental cholangiocarcinoma. *Hepatology* (2020).
4. Segovia, C., San José-Enériz, E., Munera-Maravilla, E., *et al.* Inhibition of a G9a/DNMT network triggers immune-mediated bladder cancer regression. *Nat. Med.* **25(7)**, 1073-1081 (2019).

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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