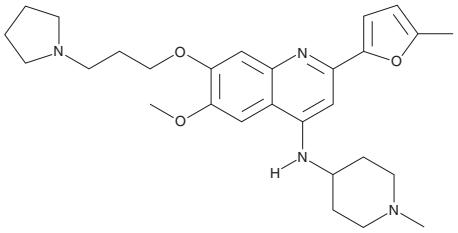
PRODUCT INFORMATION

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:	$C_{28}H_{38}N_4O_3$
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 (IC_{50}s = 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 (GI_{50}s = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM