Product Data Sheet

Product Name: CM-272
Cat. No.: GC12367

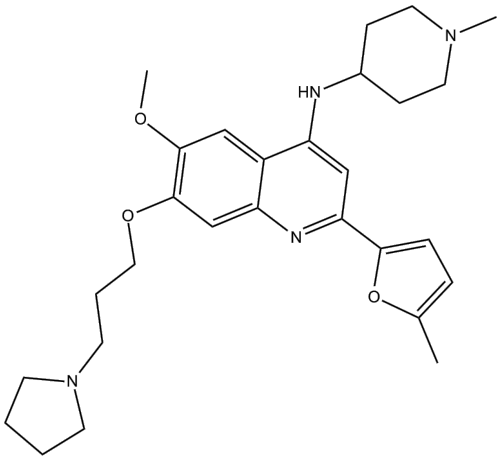
Chemical Properties

Cas. No.	1846570-31-7		
Chemical Name	6-methoxy-2-(5-methylfuran-2-yl)-N-(1-methylpiperidin-4-yl)-7-(3-(pyrrolidin-1-yl)propoxy)quinolin-4-amine		
SMILES	COC1=C(C=C(N=C(C2=CC=C(C)O2)C=C3NC4CCN(C)CC4)C3=C1)OCCCN5CCCC5		
Formula	$C_{28}H_{38}N_4O_3$	M.Wt	478.63
Solubility	DMF: 30 mg/ml,DMSO: 30 mg/ml,Ethanol: 30 mg/ml,Ethanol:PBS (pH 7.2) (1:6): 0.15 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Structure



Background

CM-272 is a first-in-class reversible dual inhibitor against G9a and DNMTs with IC50 values of 8 nM and 382 nM, respectively [1].

G9a is a histone methyltransferase that is overexpressed in many tumors. Inhibition of G9a expression reduces cancer cell proliferation, delays disease progression and inhibits tumor metastasis. G9a interacts with DNA methyltransferase-1 (DNMT1) to coordinate DNA and histone methylation during cell division promoting transcriptional silencing of target genes. Reduction of both DNA and H3K9 methylation levels leads to reactivation of tumor suppressor genes and inhibits cancer cell proliferation [1].

CM-272 is a first-in-class reversible and substrate-competitive dual inhibitor of G9a and DNMTs. In ALL, AML and DLBCL-derived cell lines, CM-272 reduced DNA methylation in the promoter region of specific tumor suppressor genes. CM-272 also inhibited cell proliferation, blocked cell cycle progression and induced apoptosis in a dose-dependent way [1].

In immunodeficient Rag2-/-γc-/- mice injected i.v. with ALL-derived CEMO cells, CM-272 significantly increased overall survival (OS) in mice (median OS; 92±5.7 days versus 55±10.5 days; P=0.0009)

without significant weight loss. In immunodeficient Rag2-/-γc-/- mice injected i.v. with AML-derived MV4-11 cells, CM-272 also prolonged OS in mice. CM-272 exerted a potent anti-tumour activity in vivo against different types of haematological malignancies by inhibiting the methyltransferase activity of both G9a/GLP and DNMTs [1].

Reference:

[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. 2017 May 26;8:15424. doi: 10.1038/ncomms15424.