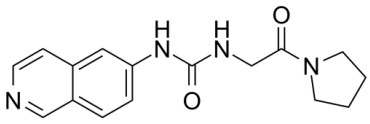
Data Sheet

Product Name:	SGC707
Cat. No.:	CS-5370
CAS No.:	1687736-54-4
Molecular Formula:	C16H18N4O2
Molecular Weight:	298.34
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 100 mg/mL (335.19 mM)



BIOLOGICAL ACTIVITY:

SGC707 is a first-in-class PRMT3 chemical probe which is a potent, selective, and cell-active allosteric inhibitor of PRMT3 with IC50 of 31 nM. IC50 value: 31 nM Target: PRMT3 in vitro: SGC707 is the first PRMT3 chemical probe. SGC707 is a potent PRMT3 inhibitor (IC50=31±2 nM, KD=53±2 nM) with outstanding selectivity (selective against 31 other methyltransferases and more than 250 non-epigenetic targets). SGC707 can engage PRMT3 and effectively inhibit its catalytic activity in cells and that overexpressed PRMT3 can methylate histone H4 in cells. SGC707 stabilizes PRMT3 in both HEK293 and A549 cells with EC50 values of 1.3 μM and 1.6 μM in PRMT3 InCELL Hunter Assays. in vivo: SGC707 is bioavailable and suitable for animal studies. This well characterized chemical probe is an excellent tool to further study the role of PRMT3 in health and disease. We assessed in vivo pharmacokinetic (PK) properties of SGC707. Intraperitoneal injection of SGC707 at 30 mg/kg gave good plasma exposure in CD-1 male mice over 6 h with the peak plasma level of 38000 nM. The plasma level of SGC707 at 6 h post injection was 208 nM, more than 2-fold higher than its IC50 value in the cellular assay and the half-life of SGC707 was about 1 h. This mdose was well tolerated by the test animals. These results suggest that SGC707 is suitable for animal studies in addition to cell-based studies.

References:

[1]. Kaniskan H?, et al. A potent, selective and cell-active allosteric inhibitor of protein arginine methyltransferase 3 (PRMT3). Angew Chem Int Ed Engl. 2015 Apr 20;54(17):5166-70.

CAIndexNames:

Urea, N-6-isoquinolinyl-N'-[2-oxo-2-(1-pyrrolidinyl)ethyl]-

SMILES:

O=C(NCC(N1CCCC1)=O)NC2=CC=C3C=NC=CC3=C2

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA