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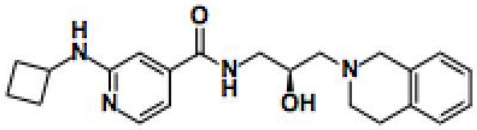
PRMT5 Inhibitor - GSK591 (EPZ015866)

Chemical Name: (S)-2-(cyclobutylamino)-N-(3-(3,4-dihydroisoquinolin-2(1H)-yl)-2-hydroxypropyl)isonicotinamide



Molecular Weight:	380.48
Formula:	$C_{22}H_{28}N_4O_2$
Purity:	≥98%
CAS#:	1616391-87-7
Solubility:	DMSO and EtOH up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

GSK591 (EPZ015866) is a potent and selective Protein arginine methyltransferase-5 (PRMT5) Inhibitor with IC_{50} of 11 nM. It is selective for PRMT5 (up to 50 micromolar) relative to a panel of methyltransferases. It potently inhibits the PRMT5/MEP50 complex from methylating (histone) H4. In Z-138 cells, GSK591 inhibits the symmetric arginine methylation of SmD3 with EC_{50} of 56 nM. Further, GSK591 is selective for PRMT5 (up to 50 micromolar) relative to a panel of methyltransferases

How to Use:

In vitro: GSK591 was used at 1-10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Duncan KW, et al. Structure and Property Guided Design in the Identification of PRMT5 Tool Compound EPZ015666. (2015) ACS Med Chem Lett. 7(2):162-6.
2. http://www.thesgc.org/chemical-probes/GSK591