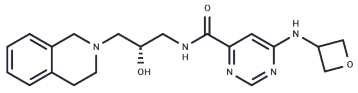
Data Sheet (Cat.No.T6076)

TargetMol
YOUR TARGET MOLECULES

EPZ015666

Chemical Properties



CAS No. : 1616391-65-1

Formula: C20H25N5O3

Molecular Weight: 383.44

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	EPZ015666 (GSK3235025) is an orally available inhibitor of PRMT5 enzymatic activity.
Targets(IC50)	Histone Methyltransferase
In vitro	Orally administered at a dosage of 200 mg/kg, EPZ015666 exhibits potent antitumor activity in the MCL xenograft animal model.
In vivo	EPZ015666 demonstrates effective cellular activity by blocking the symmetrical dimethylation of SmD3 and inhibiting the proliferation of MCL cell lines, with an IC50 range of 96-904 nM.
Kinase Assay	EPZ015666 is serially diluted threefold from 1,000 to 0.051 nM and spotted into a 384-well polypropylene V-bottom microplate. 3H-SAM is serially diluted twofold in assay buffer for a seven-point dilution series with a top concentration of 700 nM (final assay concentration). Reactions are initiated by the addition of 4 nM enzyme and 40 nM peptide (final assay concentrations for both). Reactions are incubated for 60 min and quenched by the addition of 10 µL per well of 600 µM unlabeled SAM in assay buffer (final assay concentration). For the peptide competition, EPZ015666 is serially diluted threefold from 1,000 to 0.051 nM and spotted into a 384-well polypropylene V-bottom microplate. Peptide is serially diluted twofold in assay buffer for a seven-point dilution series with a top concentration of 480 nM (final assay concentration). Reactions are initiated by the addition of 4 nM enzyme and 75 nM 3H-SAM (final assay concentrations for both). Reactions are incubated for 60 min, and the reactions are quenched by the addition of 10 µL per well of 600 µM unlabeled SAM in assay buffer (final assay concentration)[1].
Cell Research	EPZ015666 is dissolved in DMSO and stored, and then diluted with appropriate medium (final DMSO 0.2%) before use[1]. Cultured cells in linear/log-phase growth are split to a seeding density of 2×105 cells/mL in 2-20 mL of media, depending on the yield required at the end of the growth period. Compound is diluted in DMSO and added to each culture vessel with a final DMSO concentration of 0.2%. Cells are allowed to grow for 96 h undisturbed. At the conclusion of each treatment period, cells are harvested by centrifugation (5 min, 1,200 rpm), and cell pellets are rinsed once with PBS before being frozen on dry ice pending further processing. Long-term proliferation assays are performed on all MCL lines, with slight adjustments to initial seeding densities, depending on growth characteristics for each cell line. All assays are carried out for 12 d

	[1].

Solubility Information

Solubility	DMSO: 45 mg/mL (117.36 mM), Ethanol: 45 mg/mL (117.4 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.608 mL	13.0398 mL	26.0797 mL
5 mM	0.5216 mL	2.608 mL	5.2159 mL
10 mM	0.2608 mL	1.304 mL	2.608 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Penebre et al. Epizyme, Inc.