

GSK591

Chemical Properties

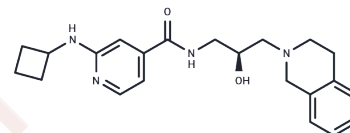
CAS No. : 1616391-87-7

Formula: C₂₂H₂₈N₄O₂

Molecular Weight: 380.48

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK591 (GSK3203591), Alternative Names are EPZ015866, GSK3203591, is a potent selective inhibitor of the arginine methyltransferase PRMT5 (IC ₅₀ =11 nM).
Targets(IC ₅₀)	Histone Methyltransferase
In vitro	In an in vitro biochemical assay, GSK591 potently inhibits the PRMT5/MEP50 complex from methylating (histone) H4 with IC ₅₀ = 11 nM. In Z-138 cells, GSK591 inhibits the symmetric arginine methylation of SmD3 with EC ₅₀ = 56 nM. Further, GSK591 is selective for PRMT5 (up to 50 micromolar) relative to a panel of methyltransferases[1][2].
Kinase Assay	Selectivity profiling experiments: The lysates (5 mg of total protein each) are preincubated with 0 (DMSO control), 2.5 nM, 25 nM, 250 nM, 2.5 μM or 25 μM free compound (GSK690693 or GSK2141795) on an end-over-end shaker for 45 min at 4 °C. Subsequently, lysates are incubated with beads (coupled Akt probe or kinobeads) for 1 h at 4 °C, for both qualitative and quantitative experiments. The beads are washed with 1× CP buffer and collected by centrifugation. Bound proteins are eluted with 2× NuPAGE LDS sample buffer, and eluates are reduced and alkylated by 50 mM dithiothreitol and 55 mM iodoacetamide.

Solubility Information

Solubility	DMSO: 250 mg/mL (657.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (26.28 mM),Suspension. 10% DMSO+90% Saline: < 10 mg/mL (26.28 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6283 mL	13.1413 mL	26.2826 mL
5 mM	0.5257 mL	2.6283 mL	5.2565 mL
10 mM	0.2628 mL	1.3141 mL	2.6283 mL
50 mM	0.0526 mL	0.2628 mL	0.5257 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Elayne Chan-Penebre, et al. Nat Chem Biol. 2015, 11(6):432-437.

Li W, He Y, Yang J, et al. Profiling PRMT methylome reveals roles of hnRNPA1 arginine methylation in RNA splicing and cell growth. Nature Communications. 2021, 12(1): 1-20

SGC. GSK591 A chemical probe for PRMT5.

Li W, He Y, Yang J, et al. Profiling PRMT methylome reveals roles of hnRNPA1 arginine methylation in RNA splicing and cell growth[J]. Nature Communications. 2021, 12(1): 1-20

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