

Product Data Sheet

Product Name: GSK3368715

Cat. No.: GC36191

Chemical Properties

Cas. No. 1629013-22-4

SMILES CNCCN(CC1=CN=C1C2CCC(COCC)(COCC)CC2)C

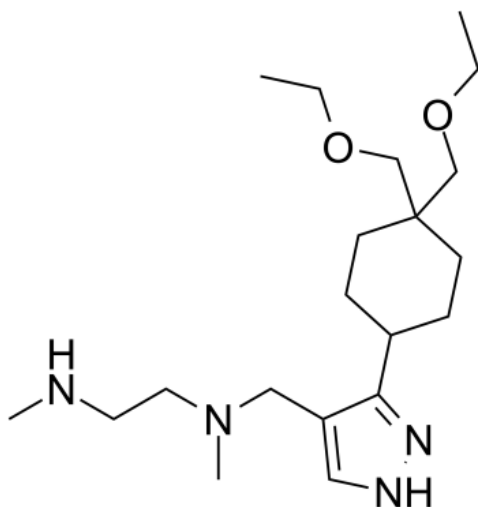
Formula $C_{20}H_{38}N_4O_2$ M.Wt 366.54

Solubility Soluble in DMSO 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.

Structure



Background

GSK3368715 (EPZ019997) is an orally active, reversible, and S-adenosyl-L-methionine (SAM) uncompetitive type I protein arginine methyltransferases (PRMTs) inhibitor (IC₅₀=3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)). GSK3368715 (EPZ019997) produces a shift in arginine methylation states, alters exon usage, and has strong anti-cancer activity[1]. IC₅₀: 3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)[1] Ki_{app}: 1.5 nM (PRMT1), 81 nM (PRMT3), 19 nM (PRMT4), 2.4 nM (PRMT6), 2 nM (PRMT8)[1]

Caution: Product has not been fully validated for medical applications. For research use only.

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GSK3368715 (EPZ019997) shows 50% or more growth inhibition relative to DMSO-treated cells in the majority of 249 cancer cell lines, representing 12 tumor types[1].

GSK3368715 (EPZ019997) significantly effects on the growth of BxPC3 xenografts at all doses tested, reducing tumor growth by 78% and 97% in the 150- and 300-mg/kg dose groups, respectively[1].

[1]. Fedoriw A, et al. Anti-tumor Activity of the Type I PRMT Inhibitor, GSK3368715, Synergizes with PRMT5 Inhibition through MTAP Loss. Cancer Cell. 2019 Jul 8;36(1):100-114.e25.

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