# GSK3368715 dihydrochloride

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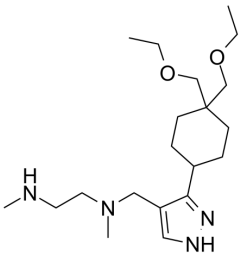
|---|---|
| **Cat. No.:** | HY-128717A |
| **CAS No.:** | 1628925-77-8 |
| **Molecular Formula:** | $C_{20}H_{40}Cl_2N_4O_2$ |
| **Molecular Weight:** | 439.46 |
| **Target:** | Histone Methyltransferase |
| **Pathway:** | Epigenetics |
| **Storage:** | 4°C, stored under nitrogen<br>* In solvent : -80°C, 2 years; -20°C, 1 year (stored under nitrogen) |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 230 mg/mL (523.37 mM; Need ultrasonic)
$H_2O$ : 140 mg/mL (318.57 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.2755 mL | 11.3776 mL | 22.7552 mL |
| 5 mM | 0.4551 mL | 2.2755 mL | 4.5510 mL |
| 10 mM | 0.2276 mL | 1.1378 mL | 2.2755 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (227.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

GSK3368715 dihydrochloride (EPZ019997 dihydrochloride) is an orally active, reversible, and S-adenosyl-L-methionine (SAM) uncompetitive type I protein arginine methyltransferases (PRMTs) inhibitor ($IC_{50}$=3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)). GSK3368715 dihydrochloride (EPZ019997 dihydrochloride) produces a shift in arginine methylation states, alters exon usage, and has strong anti-cancer activity[1].

**$IC_{50}$ & Target**

IC50: 3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)[1]

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|---|---|
| | $Ki^{app}$: 1.5 nM (PRMT1), 81 nM (PRMT3), 19 nM (PRMT4), 2.4 nM (PRMT6), 2 nM (PRMT8)[1] |
| **In Vitro** | GSK3368715 dihydrochloride (EPZ019997 dihydrochloride) shows 50% or more growth inhibition relative to DMSO-treated cells in the majority of 249 cancer cell lines, representing 12 tumor types[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | GSK3368715 dihydrochloride (EPZ019997 dihydrochloride) 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Nat Commun. 2023 Feb 23;14(1):1011.
- Sci Adv. 2023 Sep 8;9(36):eadi2232.
- Cell Death Dis. 2021 Nov 13;12(11):1080.
- Cell Rep. 2023 Mar 29;42(4):112316.
- Front Pharmacol. 2020 Sep 8;11:569661.

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## REFERENCES

[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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