Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GSK343

Catalog No: tcsc1626

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1346704-33-3

Formula:
$C_{31}H_{39}N_7O_2$

Pathway:
Epigenetics;Epigenetics;Autophagy

Target:
Histone Methyltransferase;Epigenetic Reader Domain;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 31 mg/mL (57.23 mM)

Observed Molecular Weight:
541.69

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Product Description

GSK343 is a highly potent, selective, and cell-active **EZH2** inhibitor with **IC_{50}** of 4 nM.

IC50 & Target: IC50: 4 nM (EZH2), 240 nM (EZH1)[1]

In Vitro: GSK343, which contains an n-propyl group at the 4-position of the pyridone, has EZH2 K_i^{app}=1.2±0.2 nM. In this 6-day proliferation assay, among the cell lines evaluated in this study, the prostate cancer cell line LNCaP is the most sensitive to EZH2 inhibition, with growth IC_{50} value of 2.9 μM for GSK343[1]. GSK343 is found to have half maximal inhibitory concentration values of 13 μM in HeLa cells and 15 μM in SiHa cells[2].

In Vivo: Compare with the controls, GSK343 (5 mg/kg)-treated mice exhibits significantly inhibited tumor growth. The average tumor volume and weight of the GSK343-treated cohort is remarkably reduced. As early as 20 days post-implantation, a significant reduction in tumor growth is observed in the GSK343-treated cohort relative to the control cohort; this difference persisted through the remainder of the study. In addition, compare with the control cohort, the GSK343-treated animals in the xenograft model show a remarkable increase in messenger RNA levels of E-cadherin but a significant decrease in vimentin messenger RNA levels[2].