For Research Use Only

GSK343

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Catalog Number: CM04568

产品信息

Catalog Number:
CM04568

CAS号:
1346704-33-3

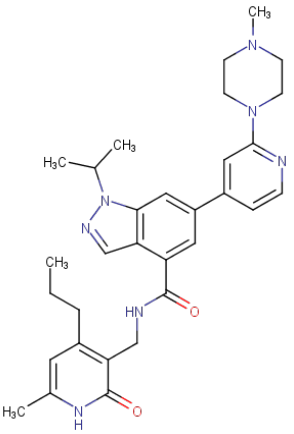
分子式:
$C_{31}H_{39}N_7O_2$

主要靶点:
Autophagy|Histone Methyltransferase

主要通路:
表观遗传|自噬

分子量:
541.69

溶解度:
DMSO:10.8 mg/mL (20 mM),with gentle warming



靶点活性

EZH2:4 nM

体内活性

GSK343 (5 mg/kg)-treated mice exhibits significantly inhibited tumor growth than controls. 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[3].

细胞实验

To account for varying doubling rates among cancer cell lines, the optimal cell seeding is determined empirically for all cell lines by examining their growth in a 384-well plate over 6 days with a wide range of seeding densities. Cells are then plated at the optimal seeding density and allowed to adhere overnight. Cells are treated in duplicate with a 20-point 2-fold dilution series of compound or 0.147% DMSO (vehicle control) and incubated for 6 days at 37C in 5% CO2. Cells are then lysed with 25 μl CellTiter-Glo per well and chemiluminescence is quantified with a TECAN Safire2 microplate reader. In addition, an untreated plate of cells is harvested at the time of compound addition (T0) to quantify the starting number of cells. CTG values after 6 days of treatment were expressed as a percent of the T0 value and plotted against compound concentration. Data are fit with a 4-parameter equation to generate a concentration response curve and the concentration of compound required to inhibit 50% of growth (gIC50) is determined(Only for Reference)

描述

GSK343, a specific and effective EZH2 inhibitor (IC50=4 nM), exhibits 60 fold specificity activity against EZH1, and >1000 fold specificity activity against other histone methyltransferases.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years