For Research Use Only GSK1324726A



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Catalog Number: CM03968

产品信息

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CAS号: 1300031-52-0

分子式: C₂₅H₂₃ClN₂O₃

主要靶点: Apoptosis|Epigenetic Reader

Domain 主要通路: 凋亡|表观遗传 分子量: 434.91 溶解度:

DMSO:80 mg/mL (183.9 mM),H2O: <1 mg/mL,Ethanol:80 mg/mL (183.9 mM) NH NH

靶点活性

BRD2:41 nM|BRD3:31 nM|BRD4:22 nM

体外活性

在小鼠SK-N-AS和CHP-212模型中,GSK1324726A(15 mg/kg o.p.)抑制肿瘤生长,并下调MYCN 和 BCL2的表达.在小鼠感染性休克模型中,GSK1324726A(10 mg/kg i.v.)表现出有效的抗炎作用,并防止患病动物的死亡.

体内活性

在神经母细胞瘤细胞系中,GSK1324726A抑制细胞生长并诱导细胞毒性。GSK1324726A能够调节MYC家族通路的基因表达,包括BCL2 和 MYCN的直接表达。

细胞实验

Briefly, cells are seeded into 384-well or 96-well plates at a density optimized for 6 days of growth. The following day, TO measurements are taken using CellTiter-Glo, CellTiter-Fluor, or CyQuant Direct, following the manufacturer's instructions. Plates are read on an Envision, Safire 2, or SpectraMax Gemini EM plate reader. Remaining plates are treated with DMSO or a titration of I-BET726. Cells are incubated for 6 days and developed as described above. Results are plotted as a percentage of the TO value, normalized to 100%, versus concentration of compound. A 4-parameter equation is used to generate concentration response curves. Growth IC50 (gIC50) values are calculated at the mid-point of the growth window (between DMSO and TO values). Ymin-TO values are calculated by subtracting the TO value (100%) from the Ymin value on the curve, and are a measure of net population cell growth or death.(Only for Reference)

描述

GSK1324726A is a greatly specific inhibitor of BET family proteins for BRD2(IC50=41 nM), BRD3(IC50=31 nM), and BRD4 (IC50=22 nM).

似女方

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