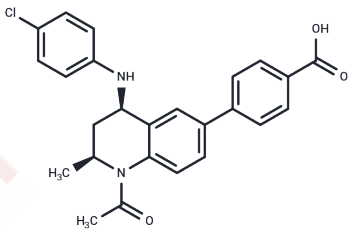
# Data Sheet (Cat.No.T6021)

TargetMol

GSK1324726A

## Chemical Properties



CAS No. : 1300031-52-0

Formula: C25H23ClN2O3

Molecular Weight: 434.91

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

## Biological Description

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|---|---|
| Description | GSK1324726A (I-BET726) is a greatly specific inhibitor of BET family proteins for BRD2 (IC50=41 nM), BRD3(IC50=31 nM), and BRD4 (IC50=22 nM). |
| Targets(IC50) | Apoptosis,Epigenetic Reader Domain |
| In vitro | In the mouse models SK-N-AS and CHP-212, GSK1324726A administered at 15 mg/kg orally (o.p.) was effective in inhibiting tumor growth and downregulating the expression of MYCN and BCL2. Additionally, at a dosage of 10 mg/kg intravenously (i.v.), GSK1324726A demonstrated potent anti-inflammatory effects and prevented mortality in mice experiencing septic shock. |
| In vivo | In neuroblastoma cell lines, GSK1324726A inhibits cell growth and induces cytotoxicity. It regulates the expression of genes in the MYC family pathway, including direct expression of BCL2 and MYCN. |
| Kinase Assay | Determination of BET Protein Binding Affinities to I-BET726: For determination of binding affinities to BET protein bromodomains, I-BET726 is titrated against truncates containing both BD1 and BD2 of BRD2 (10 nM), BRD3 (10 nM), and BRD4 (10 nM) in 50 mM HEPES pH7.5, 150 mM NaCl, 5% Glycerol, 1 mM DTT and 1 mM CHAPS in the presence of an Alexa 647 derivative (50 nM) of fluorescent ligand. After equilibrating for 1 h, the bromodomain protein: ligand interaction is detected using Time Resolved Fluorescence Resonance Energy Transfer (TR-FRET) following the addition of 1.5 nM europium chelate labeled anti-6His antibody. Plates are read using an Envision Plate reader (λEX = 337 nm, λEM = 615 nm, λEM = 665 nm; dual dichroic = 400 nm & 630 nm). These data are fitted to a four parameter IC50 model using Graphit data analysis software. |
| Cell Research | Briefly, cells are seeded into 384-well or 96-well plates at a density optimized for 6 days of growth. The following day, T0 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 T0 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 T0 values). Ymin-T0 values are calculated by subtracting the T0 value (100%) from the Ymin value on the curve, and are a measure of net population cell growth or death.(Only for |

| | |
|---|---|
| | Reference) |

## Solubility Information

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|---|---|
| Solubility | DMSO: 50 mg/mL (114.97 mM),Sonication is recommended.<br>Ethanol: 80 mg/mL (183.95 mM),Sonication is recommended.<br>H2O: < 1 mg/mL (insoluble or slightly soluble),<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.2993 mL | 11.4966 mL | 22.9933 mL |
| 5 mM | 0.4599 mL | 2.2993 mL | 4.5987 mL |
| 10 mM | 0.2299 mL | 1.1497 mL | 2.2993 mL |
| 50 mM | 0.046 mL | 0.2299 mL | 0.4599 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Wyce A, et al. PLoS One. 2013, 8(8), e72967.
Gosmini R, et al. J Med Chem. 2014, 57(19), 8111-8131.