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

I-BET151

Catalog No: tcsc0930

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1300031-49-5

Formula:
$C_{23}H_{21}N_5O_3$

Pathway:
Epigenetics

Target:
Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (240.71 mM)

Alternative Names:
GSK1210151A

Observed Molecular Weight:
415.44

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Product Description

I-BET151 is a **BET bromodomain** inhibitor, inhibits **BRD4**, **BRD2**, and **BRD3** with **pIC_{50}** of 6.1, 6.3, and 6.6, respectively.

IC50 & Target: pIC50: 6.1 (BRD4), 6.3 (BRD2), 6.6 (BRD3)[1]

In Vitro: I-BET151 (GSK1210151A) causes a significant dose- and time-dependent decrease in the proportion of myeloma cells in S/G_2 phase at 24, 48, and 72 hours. The most pronounced effect is observed at 72 hours in all 6 myeloma cell lines, starting at 100 nM. Dual Ki67/propidium iodide staining confirmed that the majority of live cells resided in the G_0 phase after treatment with I-BET151 at 1 μM for 72 hours commensurate with a dose- and time-dependent decrease in cell proliferation and abrogation of bromodeoxyuridine incorporation[2].

In Vivo: I-BET151 (GSK1210151A) demonstrates low blood clearance in the rat (~20% liver blood flow) and good oral systemic exposure which resulted in good oral bioavailability. High clearance is observed in the dog (~95% liver blood flow). The systemic exposure in the dog is low, resulting in a poor oral bioavailability of 16%. The high blood clearance in dog correlates well with the high intrinsic clearance observed in dog microsomes and hepatocytes, whereas the low intrinsic clearances seen in rat and mouse (mouse IVC 1.6 mL/min/g; CLb 8 mL/min/kg) correlate with lower in vivo blood clearances in these species. Due to the low systemic exposure observed in the dog, I-BET151 is investigated in the mini-pig as a potential second species for toxicological evaluation where it showed low clearance (~32% liver blood flow) and good bioavailability (65%)[1]. In an in vivo model of subcutaneous myeloma, I-BET151 (50 mg/kg)-treated mice has four- to five fold smaller myeloma tumors (P[2].