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

INCB-057643

Catalog No: tcsc0042192

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1820889-23-3

Formula:
$C_{20}H_{21}N_3O_5S$

Pathway:
Epigenetics

Target:
Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : 62.5 mg/mL (150.44 mM; Need ultrasonic)

Observed Molecular Weight:
415.46

Product Description

INCB-057643 is a novel, orally bioavailable **BET** inhibitor.

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IC50 & Target: BET[1]

In Vitro: INCB-057643 is a novel, orally bioavailable BET inhibitor. INCB-057643 inhibits binding of BRD2/BRD3/BRD4 to an acetylated histone H4 peptide in the low nM range, and is selective against other bromodomain containing proteins. *In vitro* analyses show that INCB-057643 inhibits proliferation of human AML, DLBCL, and multiple myeloma cell lines, with a corresponding decrease in MYC protein levels. Cell cycle analyses indicate that G_1 arrest and a concentration-dependent increase in apoptosis are seen within 48 hours of treatment with INCB-057643[1].

In Vivo: Production of several cytokines, including IL-6, IL-10 and MIP-1α, is repressed by INCB-057643 in human and mouse whole blood stimulated ex vivo with LPS. Oral administration of INCB-057643 results in significant anti-tumor efficacy in xenograft models of AML, myeloma, and DLBCL. Additionally, combining INCB-057643 with standard of care agents used for the treatment of DLBCL including rituximab and bendamustine results in enhanced anti-tumor efficacy relative to that achieved with single agent therapies at doses that are well tolerated[1].