

# 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

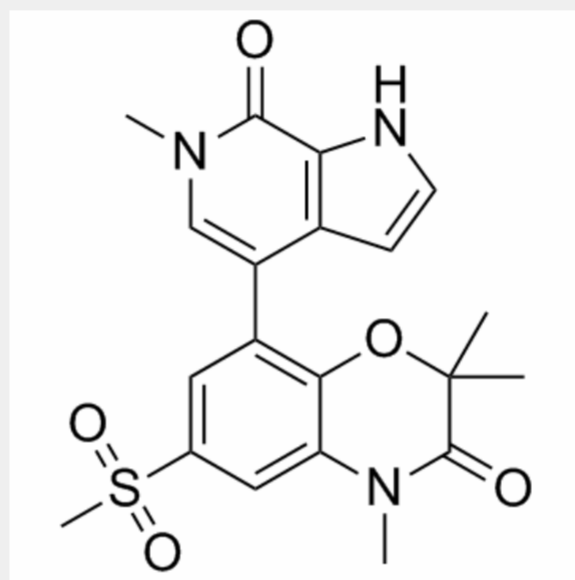
## Product Description

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

IC50 & Target: BET<sup>[1]</sup>

**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<sub>1</sub> arrest and a concentration-dependent increase in apoptosis are seen within 48 hours of treatment with INCB-057643<sup>[1]</sup>.

**In Vivo:** Production of several cytokines, including IL-6, IL-10 and MIP-1 $\alpha$ , 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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!