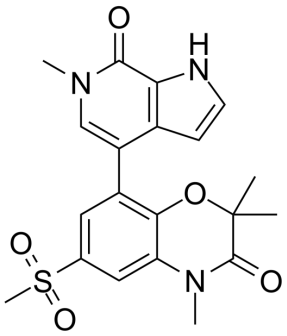
INCB-057643

Cat. No.:	HY-111485
CAS No.:	1820889-23-3
Molecular Formula:	$C_{20}H_{21}N_3O_5S$
Molecular Weight:	415.46
Target:	Epigenetic Reader Domain; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4070 mL	12.0349 mL	24.0697 mL
	5 mM	0.4814 mL	2.4070 mL	4.8139 mL
	10 mM	0.2407 mL	1.2035 mL	2.4070 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Matthew C. Stubbs, et al. Abstract 5071: Preclinical characterization of the potent and selective BET inhibitor INCB057643 in models of hematologic malignancies. AACR; Cancer Res 2017;77(13 Suppl):Abstract nr 5071.

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