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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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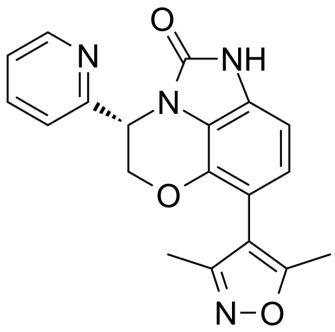
INCB054329

Cat. No.:	HY-112504
CAS No.:	1628607-64-6
Molecular Formula:	$C_{19}H_{16}N_4O_3$
Molecular Weight:	348.36
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
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 : ≥ 100 mg/mL (287.06 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8706 mL	14.3530 mL	28.7059 mL
	5 mM	0.5741 mL	2.8706 mL	5.7412 mL
	10 mM	0.2871 mL	1.4353 mL	2.8706 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: ≥ 2.5 mg/mL (7.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	INCB054329 is a potent BET inhibitor.
IC_{50} & Target	BET[1]
In Vitro	INCB054329 is a bromodomain and extra-terminal motif (BET) inhibitor[1]. INCB054329 inhibits binding of BRD2, BRD3 and BRD4 to an acetylated histone H4 peptide with low nanomolar potency. In myeloma cell lines, treatment with INCB054329

	inhibits expression of c-MYC and induced HEXIM1. The majority of myeloma, AML, and lymphoma cell lines tested are growth inhibited by INCB054329 with potencies less than 200 nM. Selectivity is seen when compared with nontransformed cells as the potency for growth inhibition of IL-2 stimulated T-cells from normal donors is greater than 1300 nM. Cell cycle analysis reveals treatment-induced G1 arrest. Furthermore in both AML and lymphoma cell lines, INCB054329 induces apoptosis consistent with increased expression of pro-apoptotic regulators[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral administration of INCB054329 inhibits tumor growth in several models of hematologic cancers. In the MM1.S multiple myeloma xenograft model, inhibition of tumor growth is correlated with reduction of c-MYC levels. PK-PD analysis shows c-MYC suppression is associated with an IC_{50} value of less than 100 nM in vivo[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2021 Apr 15;184(8):2167-2182.e22.
- Nucl Med Biol. May-Jun 2020;84-85:96-101.

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REFERENCES

[1]. Pérez-Salvia M, et al. Bromodomain inhibitors and cancer therapy: From structures to applications. Epigenetics. 2017 May 4;12(5):323-339.

[2]. Phillip CC Liu, et al. Abstract 3523: Discovery of a novel BET inhibitor INCB054329.

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