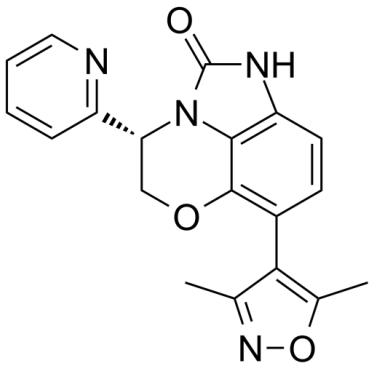
Data Sheet

Product Name:	INCB054329
Cat. No.:	CS-0046160
CAS No.:	1628607-64-6
Molecular Formula:	$C_{19}H_{16}N_4O_3$
Molecular Weight:	348.36
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 100 mg/mL (287.06 mM)



BIOLOGICAL ACTIVITY:

INCB054329 is a potent **BET** inhibitor. IC50 & 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]. **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].

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.

CAIndexNames:

Imidazo[1,5,4-de][1,4]benzoxazin-2(1H)-one, 7-(3,5-dimethyl-4-isoxazolyl)-4,5-dihydro-4-(2-pyridinyl)-, (4S)-

SMILES:

O=C1NC2=C3N1[C@@H](C4=NC=CC=C4)COC3=C(C5=C(C)ON=C5C)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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