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Data Sheet

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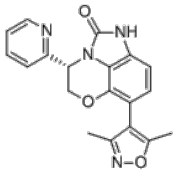
Product Name	:	INCB054329
Cat. No.	:	PC-62281
CAS No.	:	1628607-64-6
Molecular Formula	:	$C_{19}H_{16}N_4O_3$
Molecular Weight	:	348.362
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



Biological Activity

INCB 054329 (INCB054329) is a potent, selective, **pan-BET bromodomain** inhibitor with biochemical IC50 of 1-119 nM against BRD2, BRD3, and BRD4 and BRDT.
INCB 054329 exhibits broad antiproliferative activity against hematologic cancer cell lines and antagonizes c-MYC expression in vitro (mean IC50=152 nM) and in vivo.
INCB054329 reduces BRD4 binding to the IgH enhancer and reduces expression of target genes.
INCB054329 potently inhibited the binding of tetra-acetylated histone H4 peptide to BRD2, BRD3, and BRD4, with modest selectivity for BRDT-BD1 and BRDT-BD2, showed no inhibition against 16 non-BET bromodomains at 3 uM.
INCB 054329 strongly affected c-MYC, and other putative oncogenes such as FGFR3 and pathways including JAK–STAT, also suppressed secretion of IL6 by immortalized, human stromal cell lines, sensitizes myeloma cells to clinical JAK inhibitor ruxolitinib or itacitinib.
INCB054329 showed synergistic effects on tumor growth when combined with JAK inhibitor in the subcutaneous INA-6 human myeloma xenograft model.

References

Phillip CC Liu, et al. DOI: 10.1158/1538-7445.AM2015-3523 Published August 2015

Pérez-Salvia M, et al. Epigenetics. 2017 May 4;12(5):323-339.

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