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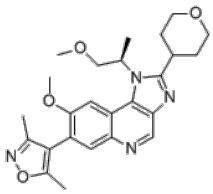
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	I-BET282
Cat. No.	:	PC-20162
CAS No.	:	1422554-34-4
Molecular Formula	:	$C_{25}H_{30}N_4O_4$
Molecular Weight	:	450.54
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



Biological Activity

I-BET282 is a potent and selective pan-inhibitor of bromodomain and extra terminal (**BET**) proteins with pIC50 of 7.4 for BRD4-BD1, ITC Kd of 47.5 nM for BRD4, shows equivalent potency against BD1 and BD2 domains.
I-BET282 is a pan-inhibitor of all eight BET bromodomains (BRD2, BRD3, BRD4, and BRDT, each one contains two bromodomains (BD1 and BD2), and selectivity over other representative bromodomain-containing proteins.
I-BET282 binds to the bromodomain of CBP with an affinity constant of 1.73 uM by ITC assays, 36-fold window versus BRD4.
I-BET282 shows cellular potency with pIC50 of 7.0 and 6.5 in the human whole blood assays and in the IL-6 assays, respectively.
I-BET282 showed a low potential to inhibit CYP proteins in vitro, with no evidence of time-dependent inhibition of 2D6 or3A4.
I-BET282E (I-BET282 mesylate) (3 mg/kg, p.o.) shows in vivo efficacy in the rat collagen-induced arthritis (CIA) model.

References

Katherine L Jones, et al. ***J Med Chem***. 2021 Aug 26;64(16):12200-12227.

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