I-BET432

Cat. No.: HY-151894

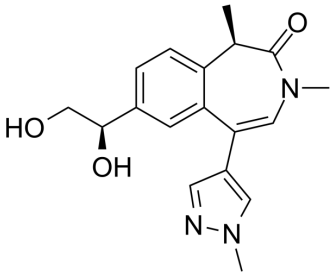
Molecular Formula: $C_{18}H_{21}N_3O_3$

Molecular Weight: 327.38

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

I-BET432 is a BET inhibitor. I-BET432 inhibits BRD4 N-terminal bromodomain (BD1) and the C-terminal bromodomain (BD2) with pIC_{50} values of 7.5 and 7.2, respectively. I-BET432 can be used as an oral candidate quality molecule for the research of multiple oncology and inflammatory diseases[1].

In Vitro

I-BET432 inhibits BRD4 BD1 and BD2 with pIC_{50} values of 7.5 and 7.2, respectively[1].
I-BET432 inhibits human whole blood MCP-1 with an pIC_{50} value of 7.4[1].
I-BET432 inhibits hERG with an pIC_{50} value ⊠4.3[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

I-BET432 shows great oral bioavailability in rats and dogs[1].
Pharmacokinetic Properties of I-BET432 in Rats and Dogs[1].

	Rats PO/IV 3/1 mg/kg	Dogs PO/IV 1.5/0.5 mg/kg
CL_b (mL/min/kg)	26	28
$CL_{b,u}$ (mL/min/kg)	38	20
V_{ss} (L/kg)	1.3	2.7
$V_{ss,u}$ (L/kg)	1.9	5.7
$t_{1/2}$ (h)	0.74	1.4
Fpo (%)	67	79
fu_b	0.68	0.47

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Humphreys PG, et al. Identification and Optimization of a Ligand-Efficient Benzoazepinone Bromodomain and Extra Terminal (BET) Family Acetyl-Lysine Mimetic into the Oral Candidate Quality Molecule I-BET432. J Med Chem. 2022 Nov 24;65(22):15174-15207.

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