BET-IN-12

Cat. No.: HY-150516S

CAS No.: 1800343-11-6

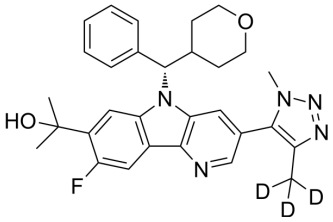
Molecular Formula: $C_{30}H_{29}D_3FN_5O_2$

Molecular Weight: 516.62

Target: Epigenetic Reader Domain

Pathway: Epigenetics

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



BIOLOGICAL ACTIVITY

Description	BET-IN-12 is an orally avtive inhibitor of bromodomain and extra-terminal (BET) with an IC50 of 0.9 nM for BRD4[1].
IC_{50} & Target	0.9 nM (BRD4)[1]
In Vitro	BET-IN-12 (compound 15) (24 hours) displays BRD4 and c-Myc inhibition, MM proliferation with IC_{50}s values of 0.9 nM, 2.0 nM, and 6.0 nM, respectively[1]. BET-IN-12 (compound 15) (24 hours) has high oxidative stability across species and low glucuronidation across species, so that translate into low orally administered minimum efficacious doses (MEDs) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BET-IN-12 (compound 15) is 100% oral bioavailability in mouse[1]. BET-IN-12 (compound 15) impairs the growth of established PDX tumors (TGI = 88%) at MED = 2 mg/kg (AUC = 4800 nM•h)[1]. pharmacokinetic (PK) profile[1]

	dose (iv/po, mg/kg)	CL_p ((mL/min)/kg)	V_d (L/kg)	half-life (ie, h)	*F* (%)	AUC (po, nM·h)
mouse	1.0/3.0	4.2	1.4	3.4	100	25000
rat	1.0/5.0	18	2.9	4.1	74	6600
dog	0.1/0.3	13	5.8	7.6	73	550
monkey	0.1/0.3	4.3	1.3	4.0	85	1900

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

REFERENCES

[1]. Hill, Matthew D., et al. Development of BET Inhibitors as Potential Treatments for Cancer: Optimization of Pharmacokinetic Properties. ACS Med Chem Lett. 2022.

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

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