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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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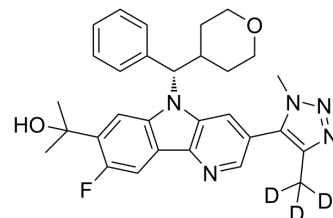
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BET-IN-12

Cat. No.:	HY-150516S
CAS No.:	1800343-11-6
Molecular Formula:	C ₃₀ H ₂₉ D ₃ FN ₅ O 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 active inhibitor of bromodomain and extra-terminal (BET) with an IC ₅₀ 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 IC₅₀s 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] <table border="1"> <thead> <tr> <th></th><th>dose (iv/po, mg/kg)</th><th>CL_p ((mL/min)/kg)</th><th>V_d (L/kg)</th><th>half-life (ie, h)</th><th>F (%)</th><th>AUC (po, nM•h)</th></tr> </thead> <tbody> <tr> <td>mouse</td><td>1.0/3.0</td><td>4.2</td><td>1.4</td><td>3.4</td><td>100</td><td>25000</td></tr> <tr> <td>rat</td><td>1.0/5.0</td><td>18</td><td>2.9</td><td>4.1</td><td>74</td><td>6600</td></tr> <tr> <td>dog</td><td>0.1/0.3</td><td>13</td><td>5.8</td><td>7.6</td><td>73</td><td>550</td></tr> <tr> <td>monkey</td><td>0.1/0.3</td><td>4.3</td><td>1.3</td><td>4.0</td><td>85</td><td>1900</td></tr> </tbody> </table> MCE has not independently confirmed the accuracy of these methods. They are for reference only.							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
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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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