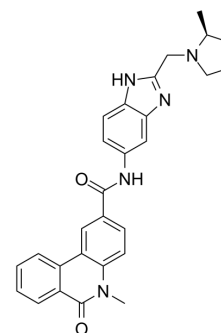


Eleven-Nineteen-Leukemia Protein IN-3

Cat. No.:	HY-152471
CAS No.:	2894121-83-4
Molecular Formula:	C ₂₈ H ₂₇ N ₅ O ₂
Molecular Weight:	465.55
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Eleven-Nineteen-Leukemia Protein IN-3 is an orally active inhibitor of ENL YEATS domain with an IC ₅₀ value of 15.4 nM. Eleven-Nineteen-Leukemia Protein IN-3 down-regulates MYC expression through ENL in cells and can enhance the thermal stability of ENL protein in vitro ^[1] .																													
IC₅₀ & Target	Eleven-Nineteen-Leukemia YEATS domain 15.4 nM (IC ₅₀)																													
In Vitro	<p>Eleven-Nineteen-Leukemia Protein IN-3 (Compound 28) has significant inhibitory effect on MV4-11 and MOLM-13 cell lines with IC₅₀ values of 4.8 μM and 8.3 μM, respectively^[1].</p> <p>Eleven-Nineteen-Leukemia Protein IN-3 (5 μM; 6 h) significantly improves the thermal stability of endogenous ENL protein, but has insignificant effect on the thermal stability of GAS41 protein. Eleven-Nineteen-Leukemia Protein IN-3 can effectively inhibit the growth of MOLM-13 cells^[1].</p> <p>Eleven-Nineteen-Leukemia Protein IN-3 (5 μM; 72 h) inhibits the key oncogene MYC (about 50 %). Eleven-Nineteen-Leukemia Protein IN-3 can further down-regulate the expression of MYC in the ENL target gene when works with JQ-1 (HY-13030)^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td colspan="5">MOLM-13 cells.</td> </tr> <tr> <td>Concentration:</td> <td colspan="5">5 μM.</td> </tr> <tr> <td>Incubation Time:</td> <td colspan="5">72 h.</td> </tr> <tr> <td>Result:</td> <td colspan="5">Showed inhibitory for MYC.</td> </tr> </table>						Cell Line:	MOLM-13 cells.					Concentration:	5 μM.					Incubation Time:	72 h.					Result:	Showed inhibitory for MYC.				
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In Vivo	<p>Eleven-Nineteen-Leukemia Protein IN-3 (Compound 28) (30 mg/kg; p.o.; single dose) shows oral exposure characteristics^[1].</p> <p>Eleven-Nineteen-Leukemia Protein IN-3 (5 mg/kg; i.v.; single dose) highly exposes in vivo^[1].</p> <p>Pharmacokinetic (PK) study in Male BALB/c mice^[1]</p> <table border="1"> <thead> <tr> <th>Administration</th> <th>t_{1/2} (h)</th> <th>T_{max} (h)</th> <th>C_{max} (ng/mL)</th> <th>AUC_{0-t} (h•ng/mL)</th> <th>AUC_{0-∞} (h•ng/mL)</th> <th>MRT_{0-∞} (h)</th> </tr> </thead> <tbody> <tr> <td></td> <td></td> <td></td> <td></td> <td></td> <td></td> <td></td> </tr> </tbody> </table>						Administration	t _{1/2} (h)	T _{max} (h)	C _{max} (ng/mL)	AUC _{0-t} (h•ng/mL)	AUC _{0-∞} (h•ng/mL)	MRT _{0-∞} (h)																	
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Administration	t _{1/2} (h)	AUC _{0-t} (h•ng/mL)	AUC _{0-∞} (h•ng/mL)	V _{ss} (L/kg)	CL (mL/min/kg)	MRT _{0-∞} (h)	F (%)
p.o.	5.2	0.5	71.8	257	272	5.5	
i.v.	4.0	8290	8690	0.8	9.6	1.3	0.5

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

Animal Model: Male BALB/c mice^[1].

Dosage: 30 mg/kg.

Administration: Oral gavage; single dose.

Result: Showed an oral activity.

Animal Model: Male BALB/c mice^[1].

Dosage: 5 mg/kg.

Administration: Intravenous injection; single dose.

Result: Exhibited efficacy.

REFERENCES

[1]. Guo S, et al. Design, synthesis of novel benzimidazole derivatives as ENL inhibitors suppressing leukemia cells viability via downregulating the expression of MYC. *Eur J Med Chem.* 2023 Feb 15;248:115093.

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

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