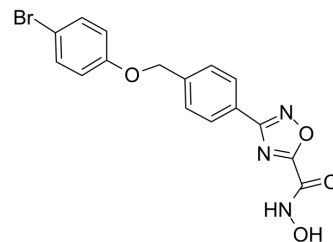


ASM-IN-1

Cat. No.:	HY-149120
CAS No.:	2913151-46-7
Molecular Formula:	C ₁₆ H ₁₂ BrN ₃ O ₄
Molecular Weight:	390.19
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ASM-IN-1 is a potent and orally active acid sphingomyelinase (ASM) inhibitor with an IC ₅₀ value of 1.5 μM. ASM-IN-1 reduces lipid plaques in the aortic arch and aorta and reduces plasma ceramide concentration and Ox-LDL levels. ASM-IN-1 shows antiatherosclerotic and anti-inflammatory activity ^[1] .													
In Vitro	<p>ASM-IN-1 (compound 4i) (0-20 μM) dose not affect cell growth in HUVECs^[1].</p> <p>ASM-IN-1 (0, 1, 5 μM) reduces the expressions of IL-6 and TNF-α with LPS stimulated in a dose-dependent manner, decreases the expression of MCP-1 mRNA in HUVECs^[1].</p> <p>ASM-IN-1 (5 μM) reduces Ox-LDL-stimulated MCP-1 mRNA expression and restore IL-6 mRNA to a normal level^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td colspan="2">HUVECs</td> </tr> <tr> <td>Concentration:</td> <td colspan="2">0.5, 1, 5, 10, 20 μM</td> </tr> <tr> <td>Incubation Time:</td> <td colspan="2">24, 48 h</td> </tr> <tr> <td>Result:</td> <td colspan="2">Showed no affect on cell growth.</td> </tr> </table>		Cell Line:	HUVECs		Concentration:	0.5, 1, 5, 10, 20 μM		Incubation Time:	24, 48 h		Result:	Showed no affect on cell growth.	
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In Vivo	<p>ASM-IN-1 (1 mg/kg for i.v.; 10 mg/kg for p.o.) shows good pharmacokinetic properties with good oral bioavailability of 35.42% in ICR mice^[1].</p> <p>ASM-IN-1 (6, 12, 40 mg/kg; i.p.; twice a day for 8 weeks) antiatherosclerotic activity by inhibiting ASM in mice^[1].</p> <p>Pharmacokinetic Parameters of ASM-IN-1 in ICR mice^[1].</p> <table border="1"> <thead> <tr> <th>parameter</th> <th>iv</th> <th>po</th> </tr> </thead> <tbody> <tr> <td>T_{1/2} (h)</td> <td>0.20 ± 0.04</td> <td>0.83 ± 0.32</td> </tr> <tr> <td>T_{max} (h)</td> <td>0.083 ± 0.00</td> <td>0.083 ± 0.00</td> </tr> <tr> <td>C_{max} (ng/mL)</td> <td>787 ± 64.7</td> <td>2763 ± 485</td> </tr> </tbody> </table>		parameter	iv	po	T _{1/2} (h)	0.20 ± 0.04	0.83 ± 0.32	T _{max} (h)	0.083 ± 0.00	0.083 ± 0.00	C _{max} (ng/mL)	787 ± 64.7	2763 ± 485
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AUC _{0-t} (h·ng/mL)	227 ± 14.3	805 ± 76.7
AUC _{0-∞} (h·ng/mL)	228 ± 15.1	809 ± 75.1
V _z (mL/kg)	1277 ± 216	
CL (mL/h/kg)	4390 ± 291	
MRT _{0-t} (h)	0.077 ± 0.012	0.32 ± 0.078
MRT _{0-∞} (h)	0.087 ± 0.019	0.35 ± 0.064
F (%)		35.42 ± 0.033%

ICR mice, 1 mg/kg iv ; 10 mg/kg po^[1]

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REFERENCES

[1]. Yang K, et al. Discovery of Novel N-Hydroxy-1,2,4-oxadiazole-5-formamides as ASM Direct Inhibitors for the Treatment of Atherosclerosis. J Med Chem. 2023 Feb 23;66(4):2681-2698.

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

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