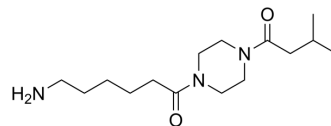


ENMD-1068

Cat. No.:	HY-124748
CAS No.:	789488-77-3
Molecular Formula:	C ₁₅ H ₂₉ N ₃ O ₂
Molecular Weight:	283.41
Target:	Protease Activated Receptor (PAR); Apoptosis
Pathway:	GPCR/G Protein; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ENMD-1068 is a selective protease-activated receptor 2 (PAR2) antagonist. ENMD-1068 reduces hepatic stellate cell activation and collagen expression by inhibiting TGF- β 1/Smad signaling. ENMD-1068 also inhibits the proliferation of endometrial cells and induces apoptosis of epithelial cells in the lesion. ENMD-1068 can be used in the study of endometriosis and liver fibrosis ^{[1][2]} .								
In Vitro	<p>ENMD-1068 (10 mM; 24 h) blocks TGF-β1/Smad signaling in primary mouse HSCs (TGF-β1/Smad signal pathway plays a crucial role in HSCs activation and collagen production)^[1].</p> <p>ENMD-1068 (10 mM) inhibits trypsin or SLIGRL-NH2 stimulated calcium release in HSCs^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Hepatic stellate cells (HSCs) (TGF-β1-stimulated)</td> </tr> <tr> <td>Concentration:</td> <td>10 mM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h</td> </tr> <tr> <td>Result:</td> <td>Inhibited TGF-β1-induced expression of α-SMA, Col α1(I), Col α1(III), and Smad2/3 C-terminal phosphorylation.</td> </tr> </table>	Cell Line:	Hepatic stellate cells (HSCs) (TGF- β 1-stimulated)	Concentration:	10 mM	Incubation Time:	24 h	Result:	Inhibited TGF- β 1-induced expression of α -SMA, Col α 1(I), Col α 1(III), and Smad2/3 C-terminal phosphorylation.
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Result:	Inhibited TGF- β 1-induced expression of α -SMA, Col α 1(I), Col α 1(III), and Smad2/3 C-terminal phosphorylation.								
In Vivo	<p>ENMD-1068 (25, 50 mg/kg; i.p.; twice per week for 4 weeks) inhibits liver fibrosis of mice^[1].</p> <p>ENMD-1068 (25, 50 mg/kg; i.p.; single daily for 5 days) inhibits endometriosis growth and suppresses the levels of IL-6 and MCP-1 in a dose-dependent manner^[2].</p> <p>ENMD-1068 (25, 50 mg/kg; i.p.; single daily for 5 days) causes a decrease in epithelial cell proliferation and an increase in the apoptotic index in mice^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>ICR mice (8-week-old; CCl4-induced liver fibrosis model)^[1].</td> </tr> <tr> <td>Dosage:</td> <td>25, 50 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; twice per week for 4 weeks</td> </tr> </table>	Animal Model:	ICR mice (8-week-old; CCl4-induced liver fibrosis model) ^[1] .	Dosage:	25, 50 mg/kg	Administration:	Intraperitoneal injection; twice per week for 4 weeks		
Animal Model:	ICR mice (8-week-old; CCl4-induced liver fibrosis model) ^[1] .								
Dosage:	25, 50 mg/kg								
Administration:	Intraperitoneal injection; twice per week for 4 weeks								

Result:	Markedly attenuated collagen deposition.
Animal Model:	Mice with surgically induced endometriosis ^[2] .
Dosage:	25, 50 mg/kg
Administration:	Intraperitoneal injection; single daily for 5 days
Result:	Reduced the volume of observed lesions in a dose-dependent manner. Inhibited the expression of IL-6 and MCP-1. Decreased the proliferation rate of endometriotic cells and increased the percentage of apoptotic epithelial cells in the lesions.

CUSTOMER VALIDATION

- bioRxiv. 2023 Mar 22.

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REFERENCES

[1]. Sun Q, et al. ENMD-1068 inhibits liver fibrosis through attenuation of TGF- β 1/Smad2/3 signaling in mice. Sci Rep. 2017 Jul 14;7(1):5498. doi: 10.1038/s41598-017-05190-7. Erratum in: Sci Rep. 2019 Dec 10;9(1):19125.

[2]. Wang Y, et al. ENMD-1068, a protease-activated receptor 2 antagonist, inhibits the development of endometriosis in a mouse model. Am J Obstet Gynecol. 2014 Jun;210(6):531.e1-8.

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

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