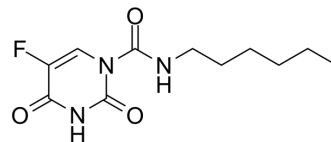


Carmofur

Cat. No.:	HY-B0182												
CAS No.:	61422-45-5												
Molecular Formula:	C ₁₁ H ₁₆ FN ₃ O ₃												
Molecular Weight:	257.26												
Target:	Nucleoside Antimetabolite/Analog; SARS-CoV; Virus Protease; FAAH; Ceramidase; Glutathione Peroxidase												
Pathway:	Cell Cycle/DNA Damage; Anti-infection; Metabolic Enzyme/Protease; Neuronal Signaling; Apoptosis												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
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SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (323.91 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.8871 mL	19.4356 mL	38.8712 mL
	5 mM	0.7774 mL	3.8871 mL	7.7742 mL
	10 mM	0.3887 mL	1.9436 mL	3.8871 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2.08 mg/mL (8.09 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (8.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (8.09 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2 mg/mL (7.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Carmofur (HCFU) is a rat recombinant acid ceramidase inhibitor with an IC₅₀ of 29 nM. Carmofur is also a protease inhibitor of SARS-CoV-2 main protease (Mpro), fatty acid amide hydrolase (FAAH) and N-acyl ethanolamine acid amidase (NAAA).

	Carmofur has anti-cancer, anti-inflammatory and anti-virus activities, and can be used for the study of COVID-19 and acute lung injury (ALI) ^{[1][2][3]} .																
IC₅₀ & Target	IC ₅₀ : 29 nM (acid ceramidase) ^[1] . N-Acylethanolamine Acidase (NAAA) ^[3] .																
In Vitro	<p>Carmofur (0.3-10 μM; 20 min-1 h) inhibits acid ceramidase (AC) activity in a concentration- and time-dependent manner in human colon cancer SW403 cells^[1].</p> <p>Carmofur (1-100 μM) inhibits the activity of SARS-CoV-2 with an EC₅₀ of 24.3 μM in Vero E6 cells^[2].</p> <p>Carmofur (5 μM; 6 h) inhibits the activities of FAAH and NAAH with IC₅₀ values of 0.11 μM and 0.71 μM, respectively, in HEK293 cells^[3].</p> <p>Carmofur (10 μM; 30 min) has anti-inflammatory activity in Raw264.7 cells^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Real Time qPCR^[3]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Raw264.7</td> </tr> <tr> <td>Concentration:</td> <td>10 μM. After LPS treatment (500 ng/mL; 72 h)</td> </tr> <tr> <td>Incubation Time:</td> <td>30 min</td> </tr> <tr> <td>Result:</td> <td>Effectively reduced the mRNA expression of pro-inflammatory cytokines such as IL-1β, IL-6, iNOS, TNF-α.</td> </tr> </table> <p>Western Blot Analysis^[3]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Raw264.7</td> </tr> <tr> <td>Concentration:</td> <td>1 μM, 3 μM, 10 μM. After LPS treatment (500 ng/mL; 72 h)</td> </tr> <tr> <td>Incubation Time:</td> <td>30 min</td> </tr> <tr> <td>Result:</td> <td>Down-regulated the expression levels of p-p65 and p-kbα proteins in a dose-dependent manner, blocking the nuclear translocation of p65.</td> </tr> </table>	Cell Line:	Raw264.7	Concentration:	10 μM. After LPS treatment (500 ng/mL; 72 h)	Incubation Time:	30 min	Result:	Effectively reduced the mRNA expression of pro-inflammatory cytokines such as IL-1β, IL-6, iNOS, TNF-α.	Cell Line:	Raw264.7	Concentration:	1 μM, 3 μM, 10 μM. After LPS treatment (500 ng/mL; 72 h)	Incubation Time:	30 min	Result:	Down-regulated the expression levels of p-p65 and p-kbα proteins in a dose-dependent manner, blocking the nuclear translocation of p65.
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In Vivo	<p>Carmofur (10-30 mg/kg; Intraperitoneal injection (I.P.), single dose) inhibits acid ceramidase (AC) activity in various tissues, including lung and cerebral cortex, in male Swiss Webster mice^[1].</p> <p>Carmofur (10 mg/kg; P.O. , single dose) significantly improves the LPS- (5 mg/kg; tracheal perfusion, single dose) (HY-D1056) induced inflammatory response by inhibiting the activities of FAAH and NAAA in acute lung injury (ALI) mice, promoting the resolution of lung injury^[3].</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>Male C57BL/6J mice model of LPS-induced ALI^[3]</td> </tr> <tr> <td>Dosage:</td> <td>3 mg/kg, 10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral gavage (p.o.), single dose. After LPS treatment (5 mg/kg; Tracheal perfusion, single dose)</td> </tr> <tr> <td>Result:</td> <td>Significantly inhibited MPO activity, which is a marker of neutrophil abundance. Alleviated alveolar edema and inhibited neutrophil accumulation.</td> </tr> </table>	Animal Model:	Male C57BL/6J mice model of LPS-induced ALI ^[3]	Dosage:	3 mg/kg, 10 mg/kg	Administration:	Oral gavage (p.o.), single dose. After LPS treatment (5 mg/kg; Tracheal perfusion, single dose)	Result:	Significantly inhibited MPO activity, which is a marker of neutrophil abundance. Alleviated alveolar edema and inhibited neutrophil accumulation.								
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- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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- [1]. Jin Z, et al. Structural basis for the inhibition of SARS-CoV-2 main protease by antineoplastic drug carmofur. Nat Struct Mol Biol. 2020 Jun;27(6):529-532.
- [2]. Realini N, Solorzano C, Pagliuca C, et al. Discovery of highly potent acid ceramidase inhibitors with in vitro tumor chemosensitizing activity[J]. Scientific reports, 2013, 3(1): 1035.
- [3]. Wu K, et al. A new use for an old drug: carmofur attenuates lipopolysaccharide (LPS)-induced acute lung injury via inhibition of FAAH and NAAA activities[J]. Frontiers in pharmacology, 2019, 10: 818.
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Caution: Product has not been fully validated for medical applications. For research use only.

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