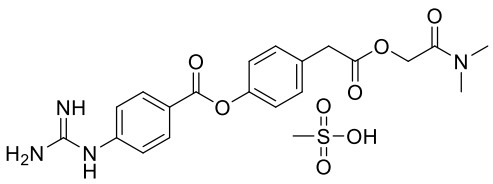
Product data sheet

MedKoo Cat#: 329455 Name: Camostat mesylate CAS#: 59721-29-8 (mesylate) Chemical Formula: $C_{21}H_{26}N_4O_8S$ Molecular Weight: 494.519	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Camostat, also known as FOY 305, is a serine protease inhibitor. Camostat is used in the treatment of some forms of cancer and is also effective against some viral infections, as well as inhibiting fibrosis in liver or kidney disease or pancreatitis. It is an inhibitor of the enzyme transmembrane protease, serine 2 (TMPRSS2). Inhibition of TMPRSS2 partially blocked infection by SARS-CoV and Human coronavirus NL63 in HeLa cell cultures. In vitro study showed that camostat significantly reduces the infection of Calu-3 lung cells by SARS-CoV-2, the virus responsible for COVID-19.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	74.86	151.38
DMF	25.0	50.55
Ethanol	2.0	4.04
Water	35.91	72.62

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.02 mL	10.11 mL	20.22 mL
5 mM	0.40 mL	2.02 mL	4.04 mL
10 mM	0.20 mL	1.01 mL	2.02 mL
50 mM	0.04 mL	0.20 mL	0.40 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Hoffmann M, Hofmann-Winkler H, Smith JC, Krüger N, Arora P, Sørensen LK, Søgaard OS, Hasselstrøm JB, Winkler M, Hempel T, Raich L, Olsson S, Danov O, Jonigk D, Yamazoe T, Yamatsuta K, Mizuno H, Ludwig S, Noé F, Kjolby M, Braun A, Sheltzer JM, Pöhlmann S. Camostat mesylate inhibits SARS-CoV-2 activation by TMPRSS2-related proteases and its metabolite GBPA exerts antiviral activity. EBioMedicine. 2021 Mar;65:103255. doi: 10.1016/j.ebiom.2021.103255. Epub 2021 Mar 4. PMID: 33676899; PMCID: PMC7930809.
2. Yamaya M, Shimotai Y, Hatachi Y, Lusamba Kalonji N, Tando Y, Kitajima Y, Matsuo K, Kubo H, Nagatomi R, Hongo S, Homma M, Nishimura H. The serine protease inhibitor camostat inhibits influenza virus replication and cytokine production in primary cultures of human tracheal epithelial cells. Pulm Pharmacol Ther. 2015 Aug;33:66-74. doi: 10.1016/j.pupt.2015.07.001. Epub 2015 Jul 10. PMID: 26166259; PMCID: PMC7110702.

In vivo study

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1. Mizumoto T, Kakizoe Y, Nakagawa T, Iwata Y, Miyasato Y, Uchimura K, Adachi M, Deng Q, Hayata M, Morinaga J, Miyoshi T, Izumi Y, Kuwabara T, Sakai Y, Tomita K, Kitamura K, Mukoyama M. A serine protease inhibitor camostat mesilate prevents podocyte apoptosis and attenuates podocyte injury in metabolic syndrome model rats. J Pharmacol Sci. 2021 Aug;146(4):192-199. doi: 10.1016/j.jphs.2021.04.003. Epub 2021 Apr 24. PMID: 34116732.
2. Ueda M, Uchimura K, Narita Y, Miyasato Y, Mizumoto T, Morinaga J, Hayata M, Kakizoe Y, Adachi M, Miyoshi T, Shiraishi N, Kadowaki D, Sakai Y, Mukoyama M, Kitamura K. The serine protease inhibitor camostat mesilate attenuates the progression of chronic kidney disease through its antioxidant effects. Nephron. 2015;129(3):223-32. doi: 10.1159/000375308. Epub 2015 Mar 3. PMID: 25766432.

7. Bioactivity

Biological target:

Camostat mesylate (Camostat mesilate) is a serine protease, TMPRSS2, prostasin, trypsin, and matriptase inhibitor.

In vitro activity

This study finally compared the antiviral activity of Camostat mesylate and FOY-251, the methanesulfonate of GBPA, in cell culture. The reduced ability of FOY-251 to block the enzymatic activity of recombinant TMPRSS2 as compared to Camostat mesylate would suggest that the compound should also exert reduced antiviral activity. On the other hand, analysis of antiviral activity encompasses preincubation of target cells with Camostat mesylate for 2 h in the presence of FCS, which allows conversion of Camostat mesylate into GBPA, as demonstrated above. Indeed, titration experiments with VSV pseudotypes and Calu-3 lung cells as targets revealed that entry inhibition by FOY-251 was only slightly reduced as compared to Camostat mesylate, with EC50 values of 107 nM (Camostat mesylate) and 178 nM (FOY-251) (Fig. 7). Thus, under the conditions chosen Camostat mesylate and GBPA exerted roughly comparable antiviral activity, likely due to conversion of Camostat mesylate into GBPA.

Reference: EBioMedicine. 2021 Mar; 65: 103255. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7930809/

In vivo activity

Next, this study investigated whether CM (Camostat mesylate) could mitigate podocyte injury in MetS. The HS diet decreased the mRNA expression and immunofluorescence staining of podocyte-specific molecules such as nephrin, podocin, and synaptopodin. These changes were significantly recovered by CM, but not by Hyd (Fig. 3A–D). A mean podocyte number per glomerulus was determined by WT-1 positive cells, and its reduction in the HS group was ameliorated only in the HS + CM group (Fig. 3E and F). Next, this study evaluated apoptotic changes of podocytes in those animals. The HS diet increased glomerular apoptotic cells, whereas CM suppressed such changes more efficiently than Hyd (Fig. 4A and B). Furthermore, the immunoblotting analysis demonstrated that CM but not Hyd markedly reduced the apoptotic signals such as the increased Bax/Bcl-2 ratio and cleaved caspase-3 expression, upregulated by the HS diet (Fig. 4C and D).

Reference: J Pharmacol Sci. 2021 Aug;146(4):192-199. https://pubmed.ncbi.nlm.nih.gov/34116732/