

Product Data Sheet

Product Name: FOY 251

Cat. No.: GC60856

Chemical Properties

Cas. No. 71079-09-9

SMILES O=C(O)CC1=CC=C(OC(C2=CC=C(NC(N)=N)C=C2)=O)C=C1.CS(=O)(O)=O

Formula $C_{17}H_{19}N_3O_7S$ M.Wt 409.41

Solubility DMSO: 20 mg/mL (48.85 mM);
ultrasonic and adjust pH to 5 with
HCl); Water: < 0.1 mg/mL (insoluble)

Storage 4°C, away from moisture

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

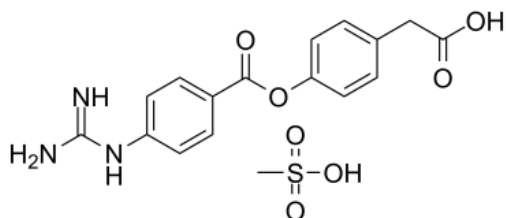
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines Calu-3 cells

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Calu-3 cells were cultivated in minimum essential medium (MEM) containing 10% fetal bovine serum (FBS), 100U/mL of penicillin and 0.1mg/mL of streptomycin, non-essential amino acid solution and 1mM sodium pyruvate at 37°C in a humidified atmosphere containing 5% CO₂. Calu-3 cells were pre-incubated for 2h with different concentrations of Camostat mesylate or FOY 251 Preparation Method (0, 2, 20, and 200µM), or DMSO (control), before inoculating with SARS-CoV-2 at an MOI of 0.01. Following 1h of incubation at 37°C and 5% CO₂, the culture supernatant was removed and cells were washed two times with excess PBS before culture medium containing 1-fold concentrated inhibitor was added. Supernatants were harvested at 24h post inoculation and subjected to plaque titration.

Reaction
Conditions 0, 2, 20, and 200µM; 48h

Applications FOY 251 treatment inhibit SARS-CoV-2 infection in Calu-3 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Male ob/ob mice

Male ob/ob mice (6 weeks old) were single housed in a temperature-controlled room with a 12:12h light-dark cycle and allowed ad libitum access to water and food. Mice (ob/ob) were acclimated to powdered chow [Formula B Diet 5008 (16.5% protein; 17.0% fat; 56.5% carbohydrate)] for 5-7 days. Afterward, mice Preparation Method were fed powdered chow formulated with vehicle alone [20% HP-β-cyclodextran (HP-β-CD)] or Camostat (0.08, 0.25 or 0.8mg/g food) or FOY 251 (46mg/kg) for 7 days. At the end of the experiment, mice were euthanized with CO₂. Blood was collected by cardiac puncture and centrifuged at 10,000 rpm for 10 min at 4°C to obtain plasma for analysis. Whole livers were dissected and weighed.

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Dosage form 46mg/kg/day for 7 days; p.o.

Applications FOY 251 treatment significantly decreased liver weight and increased plasma FGF21 levels in ob/ob mice.

References:

- [1] Hoffmann M, Hofmann-Winkler H, Smith J C, et al. Camostat mesylate inhibits SARS-CoV-2 activation by TMPRSS2-related proteases and its metabolite GBPA exerts antiviral activity[J]. EBioMedicine, 2021, 65.
- [2] Albarazanji K, Jennis M, Cavanaugh C R, et al. Intestinal serine protease inhibition increases FGF21 and improves metabolism in obese mice[J]. American Journal of Physiology-Gastrointestinal and Liver Physiology, 2019, 316(5): G653-G667.

Background

FOY 251 is an anti-proteolytic active metabolite Camostate, acts as a proteinase inhibitor [1]. FOY 251 can reversibly non-covalently bind to the active site of the TMPRSS2 enzyme, forming a covalent bond with serine proteases to inhibit the enzyme activity and prevent the cellular invasion of SARS-CoV-2[2]. FOY 251 has been widely used to prepare novel types of nanoparticles, which can inhibit trypsin activity both in vitro and on the intestinal mucosal surface [3].

In vitro, FOY 251 treatment (10 μ M) for 2 hours significantly inhibited the activity of SARS-CoV-2 virus in Calu-3 cells, with an IC₅₀ value of 178nM[4]. FOY 251 treatment (10 μ M) for 24 hours significantly inhibited the equivalent current and the protease activity of prostatic in mouse cortical collecting duct cells (M-1 cells)[5].

In vivo, FOY 251 treatment via oral administration at a dose of 46mg/kg daily for 7 days reduced the food intake and weight gain in ob/ob mice and increased the plasma FGF21 level[6]. A single oral administration of 100mg/kg dose of FOY 251 significantly delayed gastric emptying in diet-induced obese mice within 60 minutes[7].

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- [1] Beckh K, Göke B, Müller R, et al. Elimination of the low-molecular weight proteinase inhibitor camostate (FOY 305) and its degradation products by the rat liver[J]. Research in experimental medicine, 1987, 187(6): 401-406.
- [2] Kosinsky Y, Peskov K, Stanski D R, et al. Semi-Mechanistic pharmacokinetic-pharmacodynamic model of Camostat mesylate-predicted efficacy against SARS-CoV-2 in COVID-19[J]. Microbiology Spectrum, 2022, 10(2): e02167-21.
- [3] Chen J, Liu C, Shan W, et al. Enhanced stability of oral insulin in targeted peptide ligand trimethyl chitosan nanoparticles against trypsin[J]. Journal of microencapsulation, 2015, 32(7): 632-641.
- [4] Hoffmann M, Hofmann-Winkler H, Smith J C, et al. Camostat mesylate inhibits SARS-CoV-2 activation by TMPRSS2-related proteases and its metabolite GBPA exerts antiviral activity[J]. EBioMedicine, 2021, 65.
- [5] Maekawa A, Kakizoe Y, Miyoshi T, et al. Camostat mesilate inhibits prostasin activity and reduces blood pressure and renal injury in salt-sensitive hypertension[J]. Journal of hypertension, 2009, 27(1): 181-189.
- [6] Albarazanji K, Jennis M, Cavanaugh C R, et al. Intestinal serine protease inhibition increases FGF21 and improves metabolism in obese mice[J]. American Journal of Physiology-Gastrointestinal and Liver Physiology, 2019, 316(5): G653-G667.
- [7] Albarazanji K, Hinke S A, Cavanaugh C, et al. Role of CCK1 receptor in metabolic benefits of intestinal enteropeptidase inhibition in mice[J]. Plos one, 2025, 20(6): e0312927.

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