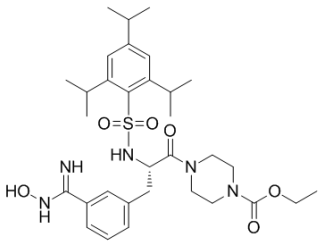
Upamostat

Cat. No.:	HY-16511
CAS No.:	590368-25-5
Molecular Formula:	$C_{32}H_{47}N_5O_6S$
Molecular Weight:	629.81
Target:	Ser/Thr Protease; PAI-1
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 250 mg/mL (396.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5878 mL	7.9389 mL	15.8778 mL
5 mM	0.3176 mL	1.5878 mL	3.1756 mL
10 mM	0.1588 mL	0.7939 mL	1.5878 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Upamostat (WX-671) is a serine protease inhibitor. Upamostat is the orally available prodrug of the WX-UK1, which is a urokinase plasminogen activator (uPA) inhibitor.

IC_{50} & Target

Serine protease, uPA[1]

In Vitro

Upamostat is the urokinase plasminogen activator (uPA) inhibitor. Upamostat is the oral pro-drug of the active metabolite WX-UK1, a novel uPA inhibitor[1]. Upamostat inhibits the urokinase-type plasminogen activator (uPA) system, which plays a major role in tumor invasion and metastasis. Upamostat is the orally available amidoxime- (i.e. hydroxyamidine-) prodrug of the pharmacologically active form, WX-UK1[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	The validated method is used to evaluate the pharmacokinetics of Upamostat (Mesupron) in rats. The mean plasma concentrations of Upamostat after a single intravenous injection of 2 mg/kg in five rats are measured. The substance decays in a mono-phasic pattern with a terminal half-life of 0.5 h; its volume of distribution is 2.0 L/kg, and clearance is about 2.7 L/h/kg[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	Rats[3] Five 9-week old Sprague-Dawley rats are administered a single intravenous injection of 2 mg/kg of Upamostat. Upamostat is dissolved in a mixture of normal saline, dimethylacetamide, polyethylene glycol 400 and DMSO (3:3:3:1). Blood samples (0.15 mL) are taken serially for up to 10 h after drug administration and collected in heparinized centrifuge tubes. After centrifugation at 13,200 rpm for 10 min, the plasma samples are analyzed[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Heinemann V, et al. Phase II randomised proof-of-concept study of the urokinase inhibitor upamostat (WX-671) in combination with gemcitabine compared with gemcitabine alone in patients with non-resectable, locally advanced pancreatic cancer. Br J Cancer. 2013 Mar 5;108(4):766-70.

[2]. Froriep D, et al. Activation of the anti-cancer agent upamostat by the mARC enzyme system. Xenobiotica. 2013 Sep;43(9):780-4.

[3]. Park C, et al. HPLC-MS/MS analysis of mesupron and its application to a pharmacokinetic study in rats. J Pharm Biomed Anal. 2018 Feb 20;150:39-42.

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