UKI-1

Cat. No.: HY-100415

CAS No.: 220355-63-5

Molecular Formula: $C_{32}H_{47}N_5O_5S$

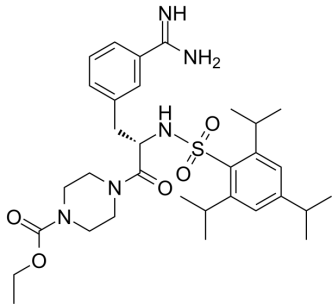
Molecular Weight: 613.81

Target: PAI-1; Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (162.92 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6292 mL	8.1458 mL	16.2917 mL
5 mM	0.3258 mL	1.6292 mL	3.2583 mL
10 mM	0.1629 mL	0.8146 mL	1.6292 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UKI-1 (WX-UK1) is a potent urokinase-type plasminogen activator (uPA) inhibitor with a K_i of 0.41 μM. UKI-1 is also a low molecular weight serine protease inhibitor. UKI-1 is a potent antimetastatic agent and inhibits the invasive capacity of carcinoma cells[1][2].

IC_{50} & Target

Ki: 0.41 μM (Urokinase-type plasminogen activator (uPA))[2]
Serine protease[1]

In Vitro	UKI-1 (WX-UK1; 0.1-1.0 μg/mL) treatment shows a decrease of tumor cell invasion by up to 50% is achieved in both models with the SCCHN line FaDu and the cervical carcinoma line HeLa[1]. UKI-1 (WX-UK1) interferes with the plasminogen activation system at 2 levels: it inhibits plasmin formation directly and via inhibition of uPA. In vitro invasion models with highly invasive fibrosarcoma and breast cancer cells showed that UKI-1 effectively inhibits migration of the cells through fibrin matrices[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	UKI-1 (WX-UK1) treatment has antimetastatic activities that significantly reduces the number of metastatic lesions and tumor growth in metastasizing rat pancreatic and mammary adenocarcinoma tumor models[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ertongur S et al. Inhibition of the invasion capacity of carcinoma cells by WX-UK1, a novel synthetic inhibitor of the urokinase-type plasminogen activator system. Int J Cancer. 2004 Jul 20;110(6):815-24.

[2]. Ewa Zeslawska et al. Crystals of the urokinase type plasminogen activator variant βc-uPA in complex with small molecule inhibitors open the way towards structure-based drug design. J Mol Biol. 2000 Aug 11;301(2):465-75.

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