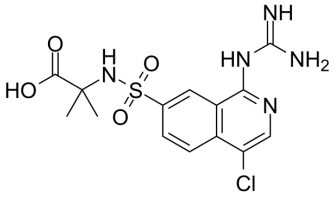
UK-371804

Cat. No.:	HY-101214
CAS No.:	256477-09-5
Molecular Formula:	$C_{14}H_{16}ClN_5O_4S$
Molecular Weight:	385.83
Target:	PAI-1
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 : 8.33 mg/mL (21.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5918 mL	12.9591 mL	25.9182 mL
	5 mM	0.5184 mL	2.5918 mL	5.1836 mL
	10 mM	0.2592 mL	1.2959 mL	2.5918 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: 0.83 mg/mL (2.15 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (2.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UK-371804 is a urokinase-type plasminogen activator (uPA) inhibitor with a K_i of 10 nM.

IC_{50} & Target

Ki: 10 nM (uPA)[1]

In Vitro

UK-371804 is able to inhibit exogenous uPA in human chronic wound fluid (IC_{50}=0.89 μM). UK-371804 has its excellent enzyme potency (K_i=10 nM) and selectivity profile (4000-fold versus tPA and 2700-fold versus plasmin)[1].

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

In Vivo

In a porcine acute excisional wound model, following topical delivery, UK-371804 is able to penetrate into pig wounds and inhibit exogenous uPA activity with no adverse effect on wound healing parameters. Concentrations of UK-371804 in the

	dermis are 41.8 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Pigs: Two female pigs are subjected to eight excisional wounds. The wounds are dressed and treated daily for 10 days with either 1 mL of a 10 mg/mL formulation of UK-371804 in hydrogel vehicle, or hydrogel vehicle alone (control). On day 11 the animals are sacrificed, terminal blood samples are taken to assess any systemic exposure of the compounds, and the wounds are excised from the surrounding normal skin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fish PV, et al. Selective urokinase-type plasminogen activator inhibitors. 4. 1-(7-sulfonamidoisoquinolinyl)guanidines. J Med Chem. 2007 May 17;50(10):2341-51.

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