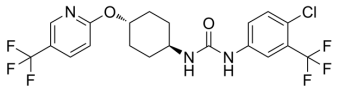
UC2288

Cat. No.:	HY-112780
CAS No.:	1394011-91-6
Molecular Formula:	$C_{20}H_{18}ClF_6N_3O_2$
Molecular Weight:	482
Target:	MDM-2/p53
Pathway:	Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (103.73 mM; Need ultrasonic)
Ethanol : 12.5 mg/mL (25.93 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0747 mL	10.3734 mL	20.7469 mL
5 mM	0.4149 mL	2.0747 mL	4.1494 mL
10 mM	0.2075 mL	1.0373 mL	2.0747 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 (4.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UC2288 is a novel, cell-permeable, and orally active p21 attenuator (relatively selective activity for p21), which is synthesized based Sorafenib (HY-10201). UC2288 decreases p21 mRNA expression independently of p53, and attenuates p21 protein levels with minimal effect on p21 protein stability. UC2288 has no inhibition of VEGFR2 and Raf kinases even at 10 μM[1].

In Vitro

UC2288 (0-10 μM; 24 hours) decreases p21 protein level, but has no effects on other proteins[1].
UC2288 (0-10 μM; 24 hours) decreases p21 mRNA expression transcriptionally or post-transcriptionally but independently of p53[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Western Blot Analysis[1]

Cell Line:	HK2 (normal kidney), 786-O (RCC), Caki-1 (RCC), ACHN (RCC) and HEY (ovarian cancer) cells
Concentration:	0 μM; 1 μM; 3 μM; 10 μM
Incubation Time:	24 hours
Result:	Decreased p21 protein expression.

RT-PCR[1]

Cell Line:	p53-mutant RCC cell line 786-O
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Decreased p21 mRNA independent of p53 expression.

In Vivo

UC2888 (oral gavage; 15 mg/kg; 3 times a week; 4 weeks) co-treatment with imetelstat significantly suppresses tumor growth?and does not effect mice weight[2].

UC2288 (intraperitoneal?injection; 10 mg/kg; 4 times in 7 days) attenuates MPTP-induced behavioral impairment, prevents activation of MAPK pathway in the MPTP-treated mice brain. MPTP treatment raises TNF-α, IL-6 and IL-1β levels in MPTP treated mice brain, but UC2288 signicantly decreases MPTP-induced TNF-α, IL-6 levels, but IL-1β is not decreased in brain[3].

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

Animal Model:	Eight-week old, athymic nude (NCr nu/nu) mice injected subcutaneously with HCT116 and ACHN cancer cells($2.5x10^6$)[2]
Dosage:	15 mg/kg
Administration:	Oral gavage; 3 times a week; 4 weeks; co-treatment with imetelstat
Result:	Combined treatment with imetelstat synergistically inhibited tumor growth in mice.

Animal Model:	MPTP-induced C57BL6 Parkinson's disease mice model[3]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 4 times in 7 days
Result:	Ameliorated MPTP induced PD progression through inhibition of neuroinammation.

REFERENCES

[1]. Hiromi I Wettersten, et al. A Novel p21 Attenuator Which Is Structurally Related to Sorafenib. Cancer Biol Ther. 2013 Mar;14(3):278-85.

[2]. Romi Gupta, et al. Synergistic tumor suppression by combined inhibition of telomerase and CDKN1A. Proc Natl Acad Sci U S A. 2014 Jul 29;111(30):E3062-71.

[3]. Jun Hyung Im, et al. p21 inhibitor UC2288 ameliorates MPTP induced Parkinson's disease progression through inhibition of oxidative stress and neuroinammation. Translational Medicine.Neurobiology of Disease

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA