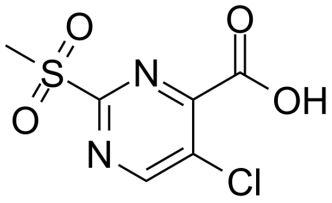
PK11000

Cat. No.:	HY-U00447
CAS No.:	38275-34-2
Molecular Formula:	$C_6H_5ClN_2O_4S$
Molecular Weight:	236.63
Target:	MDM-2/p53; DNA Alkylator/Crosslinker
Pathway:	Apoptosis; Cell Cycle/DNA Damage
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 : 100 mg/mL (422.60 mM; Need ultrasonic)
H_2O : 25 mg/mL (105.65 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2260 mL	21.1300 mL	42.2601 mL
5 mM	0.8452 mL	4.2260 mL	8.4520 mL
10 mM	0.4226 mL	2.1130 mL	4.2260 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 (10.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PK11000 is an alkylating agent, and stabilizes the DNA-binding domain of both WT and mutant p53 proteins by covalent cysteine modification without compromising DNA binding. PK11000 has anti-tumor activities[1].

IC_{50} & Target

p53[1]

In Vitro

PK11000 (0-120 μM, 24 h) mildly inhibits mutant p53 cancer cells[1].

PK11000 (0-50 μM, 5 d) shows anti-proliferation effects of breast cell lines[2].

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

Cell Viability Assay[1]

Cell Line:	WI-38, HUH-6, NUGC-4, SJSA-1, HUH-7, NUGC-3, SW480 and MKN1 cells
Concentration:	0-120 μM
Incubation Time:	24 hours
Result:	Inhibited NUGC-3 cells mildly.

Cell Proliferation Assay[2]

Cell Line:	UACC812, BT474, ZR-75-1, SUM 159, MDA-MD-468, MDA-MD-453, T47D, Hs578T(i8), MCF7, HCC1937, JimT1, BT20, HCC1143, BT549, SKBR3, MCF12A, MCF10A cells
Concentration:	0-50 μM
Incubation Time:	5 days
Result:	Inhibited breast cell lines with IC_{50} values ranging from 2.5 to >50 μM.

REFERENCES

[1]. Naoise C Synnott, et al. Mutant p53 as a therapeutic target for the treatment of triple-negative breast cancer: Preclinical investigation with the anti-p53 drug, PK11007. Cancer Lett. 2018 Feb 1;414:99-106.

[2]. Bauer MR, et al. 2-Sulfonylpyrimidines: Mild alkylating agents with anticancer activity toward p53-compromised cells. Proc Natl Acad Sci U S A. 2016 Sep 6;113(36):E5271-80.

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