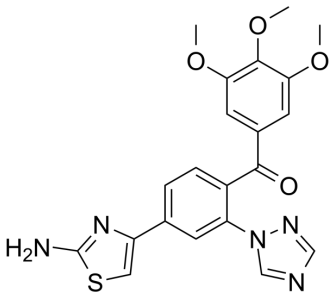
S516

Cat. No.:	HY-130233
CAS No.:	1016543-77-3
Molecular Formula:	$C_{21}H_{19}N_5O_4S$
Molecular Weight:	437.47
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
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 : 12.5 mg/mL (28.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2859 mL	11.4294 mL	22.8587 mL
	5 mM	0.4572 mL	2.2859 mL	4.5717 mL
	10 mM	0.2286 mL	1.1429 mL	2.2859 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: ≥ 1.25 mg/mL (2.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

S516 (Compound 22) is an active metabolite of CKD-516 and a potent tubulin polymerization inhibitor with an IC_{50} of 4.29 μM. S516 has marked antitumor activity[1].

IC_{50} & Target

IC50: 4.29 μM (tubulin polymerization)[1]

In Vitro

S516 has potent cytotoxicity with IC_{50}s of 4.8 nM, 42.8 nM and 24.9 nM for HL-60, HCT116 and HCT15 cells, respectively[1].
S516 (Compound 22; 30 nM; 16 hours; HL60 cells) treatmemt causes significant arrest of cells at the G2/M phase, resulting in apoptosis with concomitant loss of G0/G1 phase[1].

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

Cell Cycle Analysis[1]

Cell Line:	HL60 cells
Concentration:	30 nM
Incubation Time:	16 hours
Result:	Caused significant arrest of cells at the G2/M phase, resulting in apoptosis with concomitant loss of G0/G1 phase.

In Vivo

S516 (Compound 22; 5-10 mg/kg; intraperitoneal injection; mice) treatment has promising antitumor activity (inhibition ratio (IR)> 63%) in human LX-1 lung cancer and CX-1 colon cancer mouse xenografts[1].

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

Animal Model:	Mice bearing 3LL lung cancer[1]
Dosage:	5 mg/kg, 10 mg/kg
Administration:	Intraperitoneal injection
Result:	Had promising antitumor activity (inhibition ratio (IR)> 63%).

REFERENCES

[1]. Lee J, et al. Identification of CKD-516: a potent tubulin polymerization inhibitor with marked antitumor activity against murine and human solid tumors. J Med Chem. 2010 Sep 9;53(17):6337-54.

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