Product Data Sheet

Valecobulin hydrochloride

Cat. No.: HY-13598A CAS No.: 1240321-53-2 Molecular Formula: $C_{26}H_{29}CIN_6O_5S$

Molecular Weight: 573.06

Target: Microtubule/Tubulin

Pathway: Cell Cycle/DNA Damage; Cytoskeleton Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (218.13 mM; Need ultrasonic) H₂O: 50 mg/mL (87.25 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing 1 mM 1. Stock Solutions	1.7450 mL	8.7251 mL	17.4502 mL	
2123 22.00.0013	5 mM	0.3490 mL	1.7450 mL	3.4900 mL
	10 mM	0.1745 mL	0.8725 mL	1.7450 mL

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 50 mg/mL (87.25 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: ≥ 2.25 mg/mL (3.93 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.25 mg/mL (3.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Valecobulin hydrochloride (CKD-516 hydrochloride) is a valine proagent of S516 (HY-130233) and a vascular disrupting agent (VDA). Valecobulin hydrochloride is a potent β -tubulin polymerization inhibitor with marked antitumor activity against murine and human solid tumors [1][2].
IC ₅₀ & Target	β -tubulin polymerization $^{[1]}$
In Vivo	Valecobulin (5 mg/kg; intraperitoneal injection; administered on days 2, 6, 10, and 14; male BALB/C nu/nu mice) treatment shows markedly antitumor efficacy in various human tumor xenograft models ^[1] .

Animal Model:	Male BALB/C nu/nu mice (5-6 weeks of age) with HCT-116 or HCT-15 ${\sf cells}^{[1]}$	
Dosage:	5 mg/kg	
Administration:	Intraperitoneal injection; administered on days 2, 6, 10, and 14	
Result:	Had shown marked antitumor efficacy in various human tumor xenograft models.	

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.

[2]. Joo I, et al. Intravoxel incoherent motion diffusion-weighted MR imaging for monitoring the therapeutic efficacy of the vascular disrupting agent CKD-516 in rabbit VX2 liver tumors. Radiology. 2014 Aug;272(2):417-26.

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

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