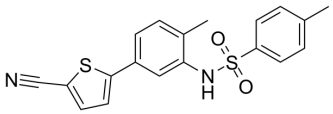
ELR510444

Cat. No.:	HY-16191
CAS No.:	1233948-35-0
Molecular Formula:	$C_{19}H_{16}N_2O_2S_2$
Molecular Weight:	368.47
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
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 : ≥ 37 mg/mL (100.42 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7139 mL	13.5696 mL	27.1392 mL
	5 mM	0.5428 mL	2.7139 mL	5.4279 mL
	10 mM	0.2714 mL	1.3570 mL	2.7139 mL

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

BIOLOGICAL ACTIVITY

Description

ELR510444 is a novel microtubule disruptor; inhibits MDA-MB-231 cell proliferation with IC50 of 30.9 nM; not a substrate for the P-glycoprotein drug transporter and retains activity in βIII-tubulin-overexpressing cell lines.IC50 value: 30.9 nM(MDA-MB-231 cell) [1]Target: Microtubule disruptorELR510444 is not a substrate for the P-glycoprotein drug transporter and retains activity in βIII-tubulin-overexpressing cell lines, suggesting that it circumvents both clinically relevant mechanisms of drug resistance to this class of agents. ELR510444 also shows potent antitumor activity in the MDA-MB-231 xenograft model with at least a 2-fold therapeutic window. Studies in tumor endothelial cells show that a low concentration of ELR510444 (30 nM) rapidly alters endothelial cell shape, similar to the effect of the vascular disrupting agent combretastatin A4. ELR510444 is a novel microtubule-disrupting agent with potential antivascular effects and in vivo antitumor efficacy [1]. ELR510444 decreased HIF-1α and HIF-2α levels, reduced RCC cell viability and clonogenic survival, and induced apoptosis. VHL-deficient RCC cells were more sensitive to ELR510444-mediated apoptosis and restoration of VHL promoted drug resistance. Higher concentrations of ELR51044 promoted apoptosis independently of VHL status, possibly due to the microtubule destabilizing properties of this agent. ELR510444 significantly reduced tumor burden in the 786-O and A498 RCC xenograft models [2].

CUSTOMER VALIDATION

- RSC Adv. 2021, 11, 18938-18944.

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REFERENCES

[1]. Risinger AL, et al. ELR510444, a novel microtubule disruptor with multiple mechanisms of action. J Pharmacol Exp Ther. 2011 Mar;336(3):652-60.

[2]. Carew JS, et al. ELR510444 inhibits tumor growth and angiogenesis by abrogating HIF activity and disrupting microtubules in renal cell carcinoma. PLoS One. 2012;7(1):e31120.

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