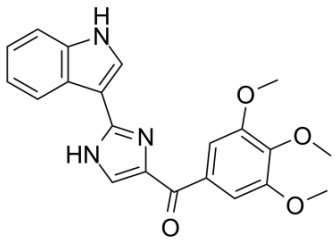
VERU-111

Cat. No.:	HY-120599
CAS No.:	1332881-26-1
Molecular Formula:	$C_{21}H_{19}N_3O_4$
Molecular Weight:	377.39
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (53.00 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6498 mL	13.2489 mL	26.4978 mL
	5 mM	0.5300 mL	2.6498 mL	5.2996 mL
	10 mM	0.2650 mL	1.3249 mL	2.6498 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 mg/mL (5.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (5.30 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

VERU-111 (ABI-231) is a potent and orally active α and β tubulin inhibitor, which displays strong antiproliferative activity, with an average IC_{50} of 5.2 nM against panels of melanoma and prostate cancer cell lines. VERU-111 (ABI-231) suppresses tumor growth and metastatic phenotypes of cervical cancer cells via targeting HPV E6 and E7, and has potential for the treatment of prostate cancer[1][2][3].

IC_{50} & Target

tubulin[1]

In Vitro

VERU-111 (2.5-80 nM; 24-48 hours) inhibits Panc-1, AsPC-1 and HPAF-II cells growth in a dose and time-dependent manner (24 hours: IC_{50}s of 25, 35 and 35 nM, respectively; 48 hours: IC_{50}s of 11.8, 15.5, and 25 nM, respectively)[4].
VERU-111 (5-20 nM; 24 hours) arrests Panc-1 and AsPC-1 cells in G2/M phase in a dose-dependent manner[4].
VERU-111 (5-20 nM; 24 hours) shows dose-dependent inhibition of pro-Caspase 3 and 9 and activation of Caspase-3 and 9,

induces the expression of Bax and Bad, and inhibits the expression of Bcl-2 and Bcl-xl proteins in both AsPC-1 and Panc-1 cells[4].

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

Cell Proliferation Assay[4]

Cell Line:	Panc-1, AsPC-1, HPAF-II cells
Concentration:	2.5, 5, 10, 20, 40, 80 nM
Incubation Time:	24, 48 hours
Result:	Inhibited the growth of PanCa cells in a dose and time-dependent manner. The IC_{50} of VERU-111 was 25, 35 and 35 nM in Panc-1, AsPC-1 and HPAF-II, respectively after 24 h treatment, while 48 h post-treatment it was 11.8, 15.5, and 25 nM.

Apoptosis Analysis[4]

Cell Line:	Panc-1, AsPC-1 cells
Concentration:	5, 10, 20 nM
Incubation Time:	24 hours
Result:	Arrested Panc-1 and AsPC-1 cells in G2/M phase in a dose-dependent manner.

Western Blot Analysis[4]

Cell Line:	AsPC-1 and Panc-1 cells
Concentration:	5, 10, 20 nM
Incubation Time:	24 hours
Result:	Dose-dependent inhibition of pro-Caspase 3 and 9 and activation of Caspase-3 and 9 in both AsPC-1 and Panc-1 cells. Induces the expression of Bax and Bad and inhibited the expression of Bcl-2 and Bcl-xl proteins.

In Vivo

VERU-111 (50 μg/mouse; intra-tumorally; 3 times per week for 3 weeks) effectively inhibits tumor growth as compared to vehicle-treated group. None of the mouse showed any apparent toxicity as constant increase of body weight in VERU-111 treated mice[4].

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

Animal Model:	Six-week-old female athymic nude mice (bearing AsPC-1 cells)
Dosage:	50 μg/mouse
Administration:	Intra-tumorally; 3 times per week for 3 weeks
Result:	Effectively inhibited tumor growth.

REFERENCES

[1]. Wang Q, et al. Structure-Guided Design, Synthesis, and Biological Evaluation of (2-(1H-Indol-3-yl)-1H-imidazol-4-yl)(3,4,5-trimethoxyphenyl) Methanone (ABI-231) Analogues Targeting the Colchicine Binding Site in Tubulin. J Med Chem. 2019 Jul 12.

[2]. Qinghui Wang, et al. Discovery of ABI-231 analogs targeting the colchicine site in tubulin for advanced melanoma. Cancer Research 76(14 Supplement):4848-4848.

[3]. Vivek Kashyap, et al. ABI-231: A novel small molecule suppresses tumor growth and metastatic phenotypes of cervical cancer cells via targeting Human papilloma virus (HPV) E6 and E7. Cancer Research 78(13 Supplement):679-679.

[4]. Kashyap VK, et al. Therapeutic efficacy of a novel βIII/βIV-tubulin inhibitor (VERU-111) in pancreatic cancer. J Exp Clin Cancer Res. 2019 Jan 23;38(1):29.

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