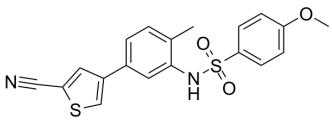
EL-102

Cat. No.:	HY-16187
CAS No.:	1233948-61-2
Molecular Formula:	$C_{19}H_{16}N_2O_3S_2$
Molecular Weight:	384.47
Target:	HIF/HIF Prolyl-Hydroxylase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; 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 : ≥ 36 mg/mL (93.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6010 mL	13.0049 mL	26.0098 mL
	5 mM	0.5202 mL	2.6010 mL	5.2020 mL
	10 mM	0.2601 mL	1.3005 mL	2.6010 mL

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

BIOLOGICAL ACTIVITY

Description

EL-102 is a hypoxia-induced factor 1 (Hif1α) inhibitor. EL-102 induces apoptosis, inhibits tubulin polymerisation and shows activities against prostate cancer. EL-102 can be used for the research of cancer[1].

IC_{50} & Target

IC50: 24 nM (CWR22), 21.7 nM (22Rv1), 40.3 nM (DU145), 37.0 nM (PC-3), 14.4 nM (DLKP), 16.3 nM (DLKPA)[1]

In Vitro

EL-102 (0-120 nM; 72 h) inhibits prostate cancer cells proliferation in vitro[1].
EL-102 (0-100 nM; 72 h) shows cytotoxicity to prostate cancer cell lines[1].
EL-102 (10-100 nM; 24-72 h) induces cellular apoptosis and affects cell cycle[1].
EL-102 (10-100 nM; 24-48 h) affects PARP cleavage in DU145 cells[1].
EL-102 (5 nM; 0-60 min) inhibits tubulin polymerisation activity[1].
EL-102 (0-100 nM; 1 hour) inhibits Hif1α protein expression[1].

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

Cell Proliferation Assay[1]

Cell Line:	CWR22, 22Rv1, DU145, PC-3, DLKP and DLKPA cell lines
Concentration:	0-120 nM
Incubation Time:	72 hours
Result:	Inhibited proliferation of CWR22, 22Rv1, DU145, PC-3, DLKP and doxorubicin-selected variant DLKPA cells with IC_{50}s of 24, 21.7, 40.3, 37.0, 14.4 and 16.3 nM, respectively.

Cell Cytotoxicity Assay[1]

Cell Line:	CWR22, 22Rv1, DU145 and PC-3 cell lines
Concentration:	0-100 nM
Incubation Time:	72 hours
Result:	Exibited cytotoxicity to prostate cancer cell lines, and showed no additive effect on the inhibition of cell viability with docetaxel.

Apoptosis Analysis[1]

Cell Line:	CWR22, 22Rv1, DU145, PC-3, DLKP and DLKPA cell lines
Concentration:	10 and 100 nM
Incubation Time:	24, 48 and 72 hours
Result:	Induced cell apoptosis to inhibits cell viability with a dose of 100 nM.

Western Blot Analysis[1]

Cell Line:	DU145 cell line
Concentration:	10 and 100 nM
Incubation Time:	24 and 48 hours
Result:	Increased PARP cleavage in DU145 cells and showed a more dramatic effect with docetaxel adding.

Cell Cycle Analysis[1]

Cell Line:	DU145 cell line
Concentration:	10 and 100 nM
Incubation Time:	24, 48 and 72 hours
Result:	Increased loss of cells from G1 phase and accumulated cells in G2/M phase.

Western Blot Analysis[1]

Cell Line:	Prostate cancer cells
Concentration:	10 , 50 and 100 nM
Incubation Time:	24 and 48 hours

Result:	Modestly inhibited Hif1α expression at doses of 50 and 100 nM in normoxia.

In Vivo

EL-102 (12 and 15 mg/kg; p.o. 5-day on and 2-day off, from 13 to 37 days after tumour transplantation) potentiates effects of docetaxel in vivo[1].

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

Animal Model:	Nude mice with CWR22 xenografts[1]
Dosage:	12 and 15 mg/kg
Administration:	Oral gavage; 12 and 15 mg/kg 5-day on and 2-day off; from 13 to 37 days after tumour transplantation
Result:	Showed no effect on tumor growth, but enhanced the effect of docetaxel on tumor .

REFERENCES

[1]. A P Toner et al. The novel toluidine sulphonamide EL102 shows pre-clinical in vitro and in vivoactivity against prostate cancer and circumvents MDR1 resistance. Br J Cancer, 2013 Oct 15, 109(8): 2131-2141.

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