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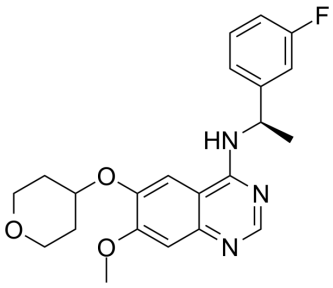
SOS1/EGFR-IN-1

Cat. No.:	HY-158310
CAS No.:	2956724-20-0
Molecular Formula:	$C_{22}H_{24}FN_3O_3$
Molecular Weight:	397.44
Target:	EGFR; Ras
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; GPCR/G Protein; MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SOS1/EGFR-IN-1 (compound SE-9) is a dual-target inhibitor for the prostate cancer. SOS1/EGFR-IN-1 inhibits effectively SOS1 (IC_{50}=42.13±1.55 nM) and EGFR(IC_{50}=1.01±0.04 nM) by inhibiting their downstream effector molecules. SOS1/EGFR-IN-1 induces apoptosis and G1 phase cell cycle arrest, reducing angiogenesis and migration. SOS1/EGFR-IN-1 shows significant antitumor effects in prostate cancer cells PC-3 (IC_{50}=0.45±0.03 μM)[1].

In Vitro

SOS1/EGFR-IN-1 (0.5, 12.5 μM; 15 min) inhibits the proliferation of PC-3 cells and has a stronger inhibitory effect on SOS1 and EGFR activity than either SOS1 or EGFR inhibitors alone or a combination of both[1].

SOS1/EGFR-IN-1 (0.5, 2.5, 12.5 μM; 15 days) can cause cell apoptosis and cell cycle arrest to exert potent antiproliferative activity in PC-3 cells[1].

SOS1/EGFR-IN-1 (0.5, 12.5 μM; 15 min) reduces RAS-GTP levels and blocks EGF-mediated up-regulation of pAKT levels in cell line PC-3[1].

SOS1/EGFR-IN-1 (0.5, 2.5, 12.5 μM; 48 h) decreases the number of HUVECs migrating to the scratched area relative to the control group and the migration indexes dropped significantly with increasing concentrations of SE-9[1].

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

Western Blot Analysis[1]

Cell Line:	Prostate cancer cell line PC-3
Concentration:	0.5, 2.5, 12.5 μM
Incubation Time:	15 day
Result:	Resulted in a concentration-dependent decrease in cyclin D1 and CDK4 expression.

Cell Viability Assay[1]

Cell Line:	DLD-1, K562, HepG2, A549, PC-3 and RWPE-1 cells
Concentration:	
Incubation Time:	72 h
Result:	Had better cytotoxicity of PC-3 cells (IC_{50} = 0.45±0.03 μM) compared to KRAS mutation-driven cancer cells (IC_{50}= 0.55 ~ 0.81 μM).

Western Blot Analysis[1]

Cell Line:	Prostate cancer cell line PC-3
Concentration:	0.5, 12.5 μM
Incubation Time:	15 min
Result:	Blocked significantly EGF-mediated upregulation of pAKT levels. Reduced RAS-GTP levels and the corresponding pAKT and pERK levels. Attenuated EGF-induced upregulation of pEGFR and pAKT levels

Cell Cycle Analysis[1]

Cell Line:	Prostate cancer cell line PC-3
Concentration:	0.5, 2.5, 12.5 μM
Incubation Time:	15 day
Result:	Enhanced remarkably cell distribution in the G1 phase in a dose-dependent manner and Reduced S and G2 phase distribution.

In Vivo

SOS1/EGFR-IN-1 (5, 20 mg/kg, ip; every 4 days for a total of six times) could exert effective apoptosis-inducing and angiogenesis- and proliferation-reducing activities. SOS1/EGFR-IN-1 possesses strong in vivo antitumor activity without obvious adverse side effects in PC-3 cell-derived prostate cancer xenograft model[1].

Pharmacokinetic Analysis inPC-3 cell-derived prostate cancer xenograft mode[1]

Compound name	Route	Dose (mg/kg)	$T_{1/2}$ (h)	C_{max} (μg/mL)	T_{max} (h)	AUC (ng/h/mL)
AXE	p.o.	10	1.74	637	4.13	7502
SE-9	p.o.	10	2.81	865	3.94	8530

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

Animal Model:	Six-week-old female BALB/c nude mice[1]
Dosage:	5, 20 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Increased significantly TUNEL staining and decreased obviously the staining of CD31 and Ki67.

REFERENCES

[1]. Zheng L, et al. Discovery of a Potent Dual Son of Sevenless 1 (SOS1) and Epidermal Growth Factor Receptor (EGFR) Inhibitor for the Treatment of Prostate Cancer[J]. Journal of Medicinal Chemistry, 2024.

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

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