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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

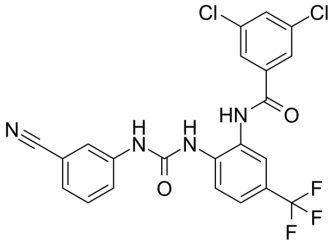
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

SC912

Cat. No.:	HY-161409
Molecular Formula:	$C_{22}H_{13}Cl_2F_3N_4O_2$
Molecular Weight:	493.27
Target:	Androgen Receptor; Apoptosis
Pathway:	Vitamin D Related/Nuclear Receptor; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SC912 is an AR-V7 inhibitor (IC_{50} = 0.36 μM). SC912 possesses safety, potency and selectivity. SC912 binds directly to AR-FL and AR-V7 proteins, inhibites nuclear localization and chromatin binding capabilities. SC912 exerts anticancer activity through inhibition of proliferation, induction of cell cycle arrest and apoptosis[1].

In Vitro

SC912 (0.1-10 μM; 24 h) effectively inhibits AR activation in PC3 Cells. No inhibition of GR and PR (AR IC_{50} = 0.57 μM)[1].
SC912 (0.03-100 μM; 1 h) binding to AR-FL and AR-V7 is attenuated in 293 T cells deleted for AR-NTD amino acids 507-531. Amino acids 507-531 are essential for the antagonistic activity[1].
SC912 (2 μM; 24 h) strongly represses the transcription of AR-regulated genes (PSA, FKBP5, TMPRSS2) that are uniquely regulated by AR-V7 in the LNCaP95 cell model, suggesting effective repression of AR-V7-mediated transcriptional activity[1].
SC912 (1 μM; 24 h) leads to G1 phase blockade and causes apoptosis in LNCaP, VCaP and 22Rv1 cells[1].
SC912 (3 μM; 5 h) significantly reduces the intranuclear accumulation of AR-FL and AR-V7 in LNCaP and LNCaP-AR-V7 cells, suggesting that is able to effectively block the nuclear localization of AR-V7. SC912 also significantly reducs the binding of AR proteins to the chromatin[1].

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

Apoptosis Analysis[1]

Cell Line:	LNCaP, VCaP, 22Rv1cell, PC3
Concentration:	1 μM
Incubation Time:	24 h
Result:	SC912 led to significant PARP cleavage in LNCaP, VCaP, and 22Rv1 cells, indicating effective induction of apoptosis. Flow cytometry analysis showed an increase in the percentage of apoptotic cells in LNCaP, VCaP, and 22Rv1 cell lines with SC912. This further supported the finding that SC912 induces apoptosis effectively in AR-positive cells.

Real Time qPCR[1]

Cell Line:	LNCaP, VCaP, 22Rv1
Concentration:	0, 0.1, 0.3, 1, 3 μM
Incubation Time:	24 h

Result:	SC912-dependent dose (0.33 μM) impaired the transcription of AR-regulated genes (PSA, FKBP5 and TMPRSS2) in these prostate cancer cell lines.This indicates effective inhibition of AR signaling by SC912. The inhibition of gene expression was dose-dependent.

Cell Cycle Analysis[1]

Cell Line:	LNCaP, VCaP, 22Rv1cell, PC3
Concentration:	3 μM
Incubation Time:	48 h
Result:	Induced a significant G1/S phase arrest in the treated cells. This effect was dose-dependent, with higher concentrations of SC912 leading to a more pronounced accumulation of cells in the G1 phase, suggesting a blockade in the transition from G1 to S phase.

In Vivo

SC912 (60 mg/kg; i.p.;5 times days for 3 weeks) halts the growth of VCaP tumors effectively. No noticeable loss in body weight of the mice, indicating good tolerability at the administered dose[1].
SC912 (90 mg/kg; i.p.; 5 days a week for 3 weeks) alleviates tumor progression even in this highly castration-resistant 22Rv1 model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD-SCID mice implanted with VCaP cells [1]
Dosage:	60 mg/kg, five times a week for 3 weeks
Administration:	i.p.
Result:	SC912 was found to effectively repress tumor growth in the xenograft models. This was evidenced by a marked reduction in tumor size in mice treated with SC912 compared to those treated with vehicle controls. The serum levels of human PSA, a marker of AR activity, were considerably lower , indicating effective inhibition of AR signaling.

Animal Model:	mice implanted with 22Rv1 cells [1]
Dosage:	90 mg/kg, five times a week for 3 weeks
Administration:	i.p.
Result:	SC912 markedly mitigated tumor progression in this highly castration-resistant 22Rv1 model. The growth rate of tumors was significantly reduced in the SC912-treated group compared to the vehicle-treated controls. Reduction in tumor size was associated with a significant decrease in AR-driven gene expression within the tumors, highlighting SC912's capability to interrupt AR-V7-mediated signaling pathways even under high AR-V7 expressing conditions.

REFERENCES

[1]. Qianhui Y et al. SC912 inhibits AR-V7 activity in castration-resistant prostate cancer by targeting the androgen receptor N-terminal domain Oncogene. 2024 Mar

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA