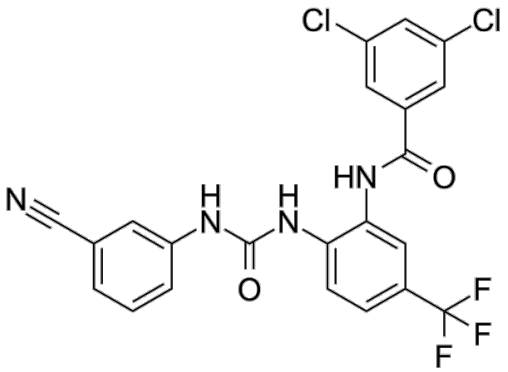
Product Data Sheet

Product Name: SC912
Cat. No.: GC73950

Chemical Properties

Cas. No.			
Formula	$C_{22}H_{13}Cl_2F_3N_4O_2$	M.Wt	493.27
Solubility	DMSO : 100 mg/mL (202.73 mM; Need ultrasonic)	Storage	-20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

SC912 is an AR-V7 inhibitor (IC50 = 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.

SC912 (0.1-10 μM; 24 h) effectively inhibits AR activation in PC3 Cells. No inhibition of GR and PR (AR IC50 = 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].

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].

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