

Product Data Sheet

Product Name: SC428
Cat. No.: GC74075

Chemical Properties

Cas. No. 1898232-70-6

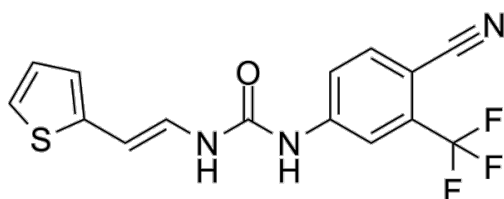
Formula $C_{15}H_{10}F_3N_3OS$ M.Wt 337.32

Solubility Storage $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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

SC428 is an androgen receptor (AR) inhibitor that targets the N-terminal domain. SC428 potentially decrease the transactivation of (AR)-V7, (AR)v567es, as well as full-length (AR) (AR-FL) and its LBD mutants, substantially. SC428 inhibits androgen-stimulated (AR)-FL nuclear translocation, chromatin binding, and (AR) -regulated gene transcription. SC428 inhibits the proliferation of tumor cells in vitro. SC428 inhibits tumor cell growth by inducing apoptosis in mice transplanted with 22RV1.

SC428 (10 nM- 1 μ M, 48 h), which inhibits AR-V7 (IC₅₀ is 0.42 μ M) and ARv567es (IC₅₀ is 1.31 μ M)

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

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activity in 293T (PSA-Luc)[1]. SC428 (5 μ M, 5 h) reverses DHT-induced thermal stabilization of AR-FL (EC₅₀ is 0.31 μ M) in LNCaP (CETSA), inhibits ligand-induced activation of AR in a concentration-dependent manner and is an antagonist of the F887 L mutant[1]. SC428 (1, 2.5 and 5 μ M, 30 min) inhibits the proliferation of LNCaP (IC₅₀ is 1.39 μ M), VCaP (IC₅₀ is 1.01 μ M), 22RV1 (IC₅₀ is 1.13 μ M) AR-positive cell line. The ability of anti-proliferation is weak in AR-negative cell line PC3 (IC₅₀ is 6.49 μ M)[1]. SC428 (5 μ M, 5 h) attenuates transcription of AR-regulated genes in LNCaP-AR cells (ChIP experiments), blocks AR-FL chromatin binding (confocal imaging experiments), and reduces nuclear translocation[1]. SC428 (2.5, 5 μ mol/L, 24 h) inhibits AR signaling in prostate cancer cells that overexpress AR-V7[1]. SC428 (0.5, 1, 2.5 and 5 μ M, 24 h) has inhibitory effects on both LNCaP-ARV7 and LNCaP- wt cells (Enzalutamide -resistant), inhibiting PSA and UBE2C at both protein and mRNA levels (WB and qPCR) [1]. SC428 (5 μ M, 5 h) disrupts AR-V7 dimer (immunoprecipitation assay) and nuclear localization (confocal imaging technique) in 22RV1 cells[1].

SC428 (60 mg/kg; intraperitoneal injection; once daily; 18 days) inhibited AR-V7 tumor growth by inducing tumor cell apoptosis in mice[1]. SC428 (90 mg/kg; intraperitoneal injection; five times a week; 3 weeks) inhibited the growth of AR-V7 in mice[1].

References:

[1]. Qianhui Yi, et al. Discovery of a Small-Molecule Inhibitor Targeting the Androgen Receptor N-Terminal Domain for Castration-Resistant Prostate Cancer. 2023 May 4;22(5):570-582.

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