

SAR405838

Catalog No: tcsc5003



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1303607-60-4

Formula:

$C_{29}H_{34}Cl_2FN_3O_3$

Pathway:

Apoptosis

Target:

MDM-2/p53

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

MI-77301

Observed Molecular Weight:

562.5

Product Description

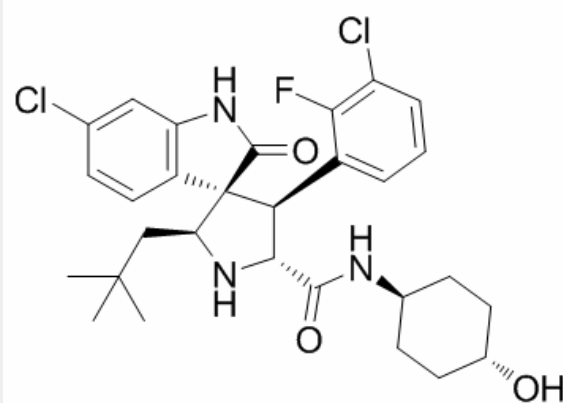
SAR405838 is a highly potent and selective MDM2 inhibitor, binds to MDM2 with $K_i = 0.88$ nM and has high specificity over other proteins.

IC₅₀ value: 0.88 nM (K_i) [1]

Target: MDM2

in vitro: SAR405838 potently inhibits cell growth in cancer cell lines, including SJSA-1 (IC₅₀, 0.092 μ M), RS4;11 (IC₅₀, 0.089 μ M), LNCaP (IC₅₀, 0.27 μ M), and HCT-116 (IC₅₀, 0.20 μ M) cells, and displays high selectivity over cancer cell lines with mutated or deleted p53, including SAOS-2 (IC₅₀, >10 μ M), PC-3 (IC₅₀, >10 μ M), SW620 (IC₅₀, >10 μ M), and HCT-116 (p53^{-/-}) (IC₅₀, >20 μ M) cells.[1] SAR405838 effectively induces apoptosis in the RS4;11 cell line. SAR405838 potently inhibits cell growth and induces dose-dependent apoptosis in the ABTR1 and ABTR2 sublines, albeit with modestly reduced potency compared with that in the control RS4;11 cell line.[2]

in vivo: At well-tolerated dose schedules, SAR405838 achieves either durable tumor regression or complete tumor growth inhibition in mouse xenograft models of SJSA-1 osteosarcoma, RS4;11 acute leukemia, LNCaP prostate cancer and HCT-116 colon cancer. Remarkably, a single oral dose of SAR405838 is sufficient to achieve complete tumor regression in the SJSA-1 model. In the SJSA-1 osteosarcoma, acute lymphoblastic leukemia RS4;11, LNCaP prostate cancer, and HCT-116 colon cancer xenograft model, MI-773 (p.o.) effectively inhibits tumor growth in a dose-dependent manner (10 mg/kg, 30 mg/kg, 50 mg/kg, 100 mg/kg, and 200 mg/kg,). [1]



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