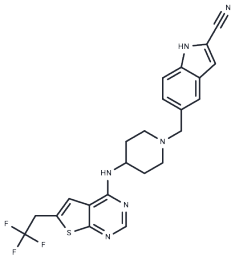
# Data Sheet (Cat.No.T6889)

TargetMol

MI-136

## Chemical Properties



CAS No. : 1628316-74-4

Formula: C23H21F3N6S

Molecular Weight: 470.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

## Biological Description

| | |
|---|---|
| Description | MI-136 inhibits expression of androgen receptor (AR) target genes that DHT induced. |
| Targets(IC50) | Apoptosis,Epigenetic Reader Domain,Androgen Receptor |
| In vitro | MI-136, a variant of a previously described inhibitor that can specifically inhibit the menin-MLL interaction. AR positive cell lines such as VCaP, LNCaP and 22RV1 are sensitive to MI-136. Treatment with MI-136 also inhibits the expression of genes that are bound to ASH2L after AR stimulation. Treatment with MI-136 induces apoptosis of VCaP cells as evidenced by PARP (cPARP) cleavage and blocks DHT-induced cell proliferation in AR-dependent cell lines (LNCaP and VCaP). The effect of MI-136 on cell proliferation is similar to MDV-3100, a second-generation FDA-approved anti-androgen for patients with refractory prostate cancer[1]. |
| In vivo | Treatment of VCaP tumor-bearing mice with MI-136 (40 mg/kg) leads to a modest but significant reduction in tumor volume with no effect on mouse body weight[1]. |
| Kinase Assay | HSP90 binding, ATPase, and selectivity profiling assays: The potency of HSP90 inhibitors for HSP90α, HSP90β, and Grp94 is determined by AlphaScreen competition binding assays, and activity against TRAP-1 is assessed by an ATPase assay. |
| Cell Research | To assess the effect of MI-136 on AR signaling, VCaP cells are treated with DMSO or 5 μM MI-136 for 48 hours. Cells are serum starved by replacing the media with DMEM containing 5% charcoal-striped serum and MI-136 for 48 hrs. Cells are then stimulated with 10 nM DHT for 12 hrs and RNA is isolated and processed for expression microarrays. (Only for Reference) |

## Solubility Information

| | |
|---|---|
| Solubility | H2O: < 1 mg/mL (insoluble or slightly soluble),<br>Ethanol: 87 mg/mL (184.91 mM),Sonication is recommended.<br>DMSO: 87 mg/mL (184.91 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.1254 mL | 10.6268 mL | 21.2535 mL |
| 5 mM | 0.4251 mL | 2.1254 mL | 4.2507 mL |
| 10 mM | 0.2125 mL | 1.0627 mL | 2.1254 mL |
| 50 mM | 0.0425 mL | 0.2125 mL | 0.4251 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Malik R, et al. Nat Med. 2015, 21(4):344-352.