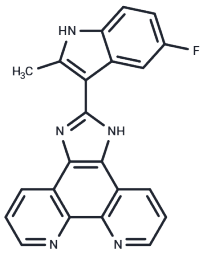
Data Sheet (Cat.No.T10352)

TargetMol

APTO-253

Chemical Properties



CAS No. : 916151-99-0

Formula: C22H14FN5

Molecular Weight: 367.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	APTO-253 (LOR-253) inhibits c-Myc expression, stabilizes G-quadruplex DNA, and induces cell cycle arrest and apoptosis in acute myeloid leukemia cells. APTO-253 mediates anticancer activity through the induction of the KLF4 tumor suppressor.
Targets(IC50)	Apoptosis,c-Myc,KLF
In vitro	APTO-253 (5 μM) induces KLF4 expression and enhances apoptosis induced by NSC 119875 in both SKOV3 and OVCAR3 cells. APTO-253 (5 μM) also leads to G1 phase arrest and reduces S and G2/M phase cells in SKOV3 and OVCAR3 cells [1]. APTO-253 is cytotoxic to Raji and Raji/253R cell lines (IC50s: 105 nM and 1387 nM). APTO-253 (0.5 μM) also causes DNA damage in Raji cells. BRCA1/2 deficient cells are hypersensitive to APTO-253. ABCG2 overexpressed HEK-293 cells are resistant to APTO-253 and inhibition of ABCG2 reverses resistance to APTO-253 in Raji/253R [2]. APTO-253 suppresses the proliferation of acute myeloid leukemia (AML) cell lines and various forms of lymphoma cell lines (IC50s: 57 nM to 1.75 μM). APTO-253 (500 nM) also causes G0/G1 cell cycle arrest, induces apoptosis, and down-regulates MYC RNA and protein expression in AML lines. APTO-253 (500 nM) leads to DNA damage response pathways in MV4-11 cells. Furthermore, APTO-253 is a potent stabilizer of G-quadruplex (G4) motifs and demonstrates the greatest propensity for stabilizing the MYC G4 sequences.

Solubility Information

Solubility	DMSO: 35.71 mg/mL (97.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% (20% SBE-β-CD in Saline): < 3.57 mg/mL (9.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Saline: < 3.57 mg/mL (9.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Corn oil: < 3.57 mg/mL (9.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 3.57 mg/mL (9.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.722 mL	13.6099 mL	27.2198 mL
5 mM	0.5444 mL	2.722 mL	5.444 mL
10 mM	0.2722 mL	1.361 mL	2.722 mL
50 mM	0.0544 mL	0.2722 mL	0.5444 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Local A, et al. APTO-253 Stabilizes G-quadruplex DNA, Inhibits MYC Expression, and Induces DNA Damage in Acute Myeloid Leukemia Cells. Mol Cancer Ther. 2018 Jun;17(6):1177-1186.
Hongying Zhang, et al. Inhibition of c-Myc By Apto-253 As an Innovative Therapeutic Approach to Induce Cell Cycle Arrest and Apoptosis in Acute Myeloid Leukemia. Blood 2016 128:1716.