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产品名称: **LOR 253**
产品别名: **APTO-253; LT-253**

生物活性:				
Description	APTO-253 is a small molecule that 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 induction of the Krüppel-like factor 4 (KLF4) tumor suppressor[1][2].			
IC ₅₀ & Target	c-Myc[1]; KLF4[2]			
In Vitro	APTO-253 is an inducer of KLF4. 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, with IC₅₀s of 105 $\pm$ 2.4 nM and 1387 $\pm$ 94 nM, respectively. 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 with IC₅₀s ranging from 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[3].			
Solvent&Solubility	In Vitro: DMSO : 33.33 mg/mL (90.72 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)			
		Solvent	Mass	
		Concentration		
	Preparing	1 mM	2.7220 mL	13.6099 mL
	Stock Solutions	5 mM	0.5444 mL	2.7220 mL
		10 mM	0.2722 mL	1.3610 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用， -20°C 储存时，请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 2.3 mg/mL (6.26 mM); Suspended solution; Need ultrasonic and warming				



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	此方案可获得 2.3 mg/mL (6.26 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 23.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂：10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 3.03 mg/mL (8.25 mM); Suspended solution; Need ultrasonic and warming 此方案可获得 3.03 mg/mL (8.25 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 30.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。
References	[1]. 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. [2]. 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.
实验参考:	
Cell Assay	Cells are plated and treated with vehicle DMSO or APTO-253 (10 concentrations) in 96 well plates for 5 days at 37°C and 5% CO₂. Cell viability is measured using CellTiter 96® AQueous one solution cell proliferation assay, and IC₅₀ values are calculated using GraphPad Prism 7 software[3].
References	[1]. 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. [2]. 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.

源叶生物