

产品名称: **TGR-1202**
 产品别名: **Umbralisib**

生物活性:					
Description	Umbralisib (TGR-1202) is a novel PI3Kδ inhibitor, with IC ₅₀ and EC ₅₀ of 22.2 nM and 24.3 nM, respectively; Umbralisib (TGR-1202) is also active against CK1ε, with an EC ₅₀ value of 6.0 μM.				
IC ₅₀ & Target	PI3Kδ				
	22.2 nM (IC ₅₀)				
In Vitro	Umbralisib (RP5264) causes a half-maximal inhibition of human whole blood CD19 cell proliferation between 100-300 nM[1]. In human lymphoma and leukemia cell lines, Umbralisib (RP5264; 10 nM-100 μM) inhibits phosphorylated AKT at Ser473 in a concentration-dependent manner. Umbralisib and PR-171 synergistically kill blood cancer cells through disrupting the 4E-BP1-eIF4F-c-Myc axis. Umbralisib and PR-171 in combination synergistically and selectively silence the c-Myc and E2F transcription programs. Umbralisib (15-50 μM) potently represses the expression of c-Myc in the DLBCL cell line LY7, and is uniquely characterized with structural features suitable for targeting CK1ε in lymphoma cells[2].				
In Vivo	In a subcutaneous xenograft model of T-cell acute lymphoblastic leukemia (T-ALL) in NOD/SCID mice using the MOLT-4 cell line, Umbralisib (RP5264; 150 mg/kg, daily p.o.) significantly shrinks the tumors by day 25[2].				
Solvent&Solubility	In Vitro: DMSO : 20 mg/mL (34.99 mM; Need ultrasonic)				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	1.7496 mL	8.7481 mL	17.4963 mL
		5 mM	0.3499 mL	1.7496 mL	3.4993 mL
		10 mM	0.1750 mL	0.8748 mL	1.7496 mL
	<p>*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。</p> <p>储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p> <p>In Vivo:</p> <p>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：</p> <p>——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</p>				
	1.请依序添加每种溶剂： 10% DMSO →90% corn oil				
	Solubility: ≥ 2 mg/mL (3.50 mM); Clear solution				
	此方案可获得 ≥ 2 mg/mL (3.50 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。				
	以 1 mL 工作液为例，取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。				
	[1]. Swaroop Vakkalankaa, et al. Inhibition of PI3Kδ kinase by a selective, small molecule inhibitor				

References

suppresses B-cell proliferation and leukemic cell growth.

[2]. Deng C, et al. Silencing c-Myc translation as a therapeutic strategy through targeting PI3K δ and CK1 ϵ in hematological malignancies. *Blood*. 2017 Jan 5;129(1):88-99



源叶生物