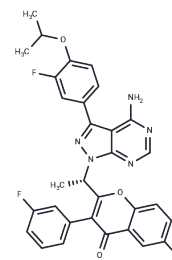


## Umbralisib

## Chemical Properties

CAS No. :	1532533-67-7
Formula:	C <sub>31</sub> H <sub>24</sub> F <sub>3</sub> N <sub>5</sub> O <sub>3</sub>
Molecular Weight:	571.55
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	Umbralisib (TGR 1202) is a PI3K $\delta$ inhibitor.
Targets(IC50)	Casein Kinase,PI3K
In vitro	Umbralisib and carfilzomib synergistically kill blood cancer cells through disrupting the 4E-BP1-eIF4F-c-Myc axis. Umbralisib and carfilzomib in combination synergistically and selectively silence the c-Myc and E2F transcription programs. Umbralisib (15-50 $\mu$ M) potently represses the expression of c-Myc in the DLBCL cell line LY7, and is uniquely characterized with structural features suitable for targeting CK1 $\epsilon$ in lymphoma cells.
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, TGR-1202 (150 mg/kg, daily p.o.) significantly shrinks the tumors by day 25.

## Solubility Information

Solubility	DMSO: 51.67 mg/mL (90.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (5.77 mM),Sonication is recommended. <i>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 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.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7496 mL	8.7481 mL	17.4963 mL
5 mM	0.3499 mL	1.7496 mL	3.4993 mL
10 mM	0.175 mL	0.8748 mL	1.7496 mL
50 mM	0.035 mL	0.175 mL	0.3499 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

Swaroop Vakkalankaa, et al. Inhibition of PI3K $\delta$  kinase by a selective, small molecule inhibitor suppresses B-cell proliferation and leukemic cell growth.

Deng C, et al. Silencing c-Myc translation as a therapeutic strategy through targeting PI3K $\delta$  and CK1 $\epsilon$  in hematological malignancies. Blood. 2017 Jan 5;129(1):88-99

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