# Data Sheet (Cat.No.T17066)

TargetMol
A DRUG SCREENING EXPERT

Umbralisib hydrochloride

## Chemical Properties

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|---|---|
| CAS No.: | 1532533-78-0 |
| Formula: | C31H25ClF3N5O3 |
| Molecular Weight: | 608.01 |
| Appearance: | N/A |
| Storage: | 0-4℃ for short term (days to weeks), or -20℃ for long term (months). |

## Biological Description

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| Description | Umbralisib hydrochloride is a novel PI3Kδ inhibitor (IC50 and EC50 of 22.2 nM and 24.3 nM, respectively). Umbralisib hydrochloride is also active against CK1ε (EC50: 6.0 μM). |
| Targets($IC_{50}$) | PI3Kδ: 22.2 nM |
| In vitro | Umbralisib causes a half-maximal inhibition of human whole blood CD19 cell proliferation between 100-300 nM. Umbralisib (10 nM-100 μM) inhibits phosphorylated AKT at Ser473 in a concentration-dependent manner in human lymphoma and leukemia cell lines. Umbralisib and carfilzomib synergistically kill blood cancer cells by disrupting the 4E-BP1-eIF4F-c-Myc axis. 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. Umbralisib and carfilzomib in combination synergistically and selectively silence the c-Myc and E2F transcription programs [1][2]. |
| In vivo | In a subcutaneous xenograft model of T-cell acute lymphoblastic leukemia in NOD/SCID mice using the MOLT-4 cell line, Umbralisib (TGR-1202; 150 mg/kg, daily p.o.) obviously shrinks the tumors by day 25 [2]. |

## Solubility Information

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|---|---|
| Solubility | DMSO: 150 mg/mL (246.71 mM)<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 1.645 mL | 8.224 mL | 16.447 mL |
| 5 mM | 0.329 mL | 1.645 mL | 3.289 mL |
| 10 mM | 0.164 mL | 0.822 mL | 1.645 mL |
| 50 mM | 0.033 mL | 0.164 mL | 0.329 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

2. Deng C, et al. Silencing c-Myc translation as a therapeutic strategy through targeting PI3Kδ and CK1ε in hematological malignancies. Blood. 2017 Jan 5;129(1):88-99

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