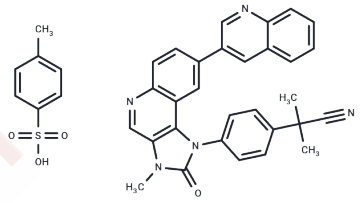
# Data Sheet (Cat.No.T14552)

TargetMol

## Dactolisib Tosylate

### Chemical Properties



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| CAS No. : | 1028385-32-1 |
| Formula: | C37H31N5O4S |
| Molecular Weight: | 641.74 |
| Storage: | Powder: -20°C for 3 years \| In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |

### Biological Description

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| Description | Dactolisib Tosylate (BEZ235 Tosylate) is a dual kinase inhibitor targeting PI3K and mTOR, with IC50 values of 4, 75, 7, and 5 nM for PI3Kα, β, γ, and δ, respectively. It also inhibits mTORC1 and mTORC2. |
| Targets(IC50) | Autophagy,mTOR,PI3K |
| In vitro | Dactolisib (BEZ235) exhibits IC50 values of 4 nM, 75 nM, 7 nM, and 5 nM against PI3Kα, PI3Kβ, PI3Kγ, and PI3Kδ, respectively. Furthermore, it demonstrates significant activity against mutant forms of PI3Kα, specifically PI3KαE545K and PI3KαH1047R, with IC50 values of 5.7 nM and 4.6 nM, respectively. Treatment with increasing concentrations of Dactolisib (BEZ235) leads to a dose-dependent reduction in cell proliferation in PTEN-null cell lines PC3M and U87MG, with an average GI50 ranging from 10 to 12 nM. Notably, in human tumor cell lines, it effectively and specifically blocks the aberrant activation of the PI3K pathway, leading to G1 arrest.[1] |
| In vivo | Dactolisib (BEZ235) (50 mg/kg) appears rapidly in plasma with a Cmax of 1.68 μM at 0.5 h and a C24h of 0.03 μM. BEZ235 is well tolerated, and displays disease stasis when administered orally. It enhances the efficacy of other anticancer agents.[1] |

### Solubility Information

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| Solubility | H2O: 0.1 mg/mL (0.16 mM)<br>DMSO: 30.6 mg/mL (47.68 mM),Sonication and heating are recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Corn Oil: 1 mg/mL (1.56 mM),Sonication is recommended.<br>*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.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 1.5583 mL | 7.7913 mL | 15.5826 mL |
| 5 mM | 0.3117 mL | 1.5583 mL | 3.1165 mL |
| 10 mM | 0.1558 mL | 0.7791 mL | 1.5583 mL |
| 50 mM | 0.0312 mL | 0.1558 mL | 0.3117 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

Maira SM, et al. Identification and characterization of NVP-BEZ235, a new orally available dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor with potent in vivo antitumor activity. Mol Cancer Ther, 2008, 7(7), 1851-1863.

Liu Z, Meng D, Wang J, et al. GASP1 enhances malignant phenotypes of breast cancer cells and decreases their response to paclitaxel by forming a vicious cycle with IGF1/IGF1R signaling pathway. Cell death & disease. 2022, 13(8): 1-12.