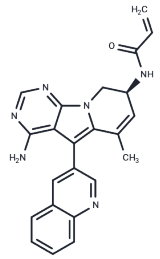
# Data Sheet (Cat.No.T16996)

TargetMol

TAS6417

## Chemical Properties



CAS No. : 1661854-97-2

Formula: C23H20N6O

Molecular Weight: 396.44

Storage: Keep away from direct sunlight
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 | Zipalertinib (TAS6417, CLN-081) is a novel, highly potent, orally active covalent EGFR tyrosine kinase inhibitor that uniquely binds to the ATP binding site of the EGFR hinge region with an IC50 value of 1.1-8.0 nM. |
| Targets(IC50) | Apoptosis,EGFR |
| In vitro | **METHODS**: Two cell lines with EGFR exon 20 insertion isolated and established from lung cancer patients, BID007 and BID019, were treated with Zipalertinib (TAS6417, CLN-081) (0.001, 0.01, 0.1, 1, 10 μM).<br>**RESULTS** Zipalertinib (TAS6417, CLN-081) inhibited EGFR phosphorylation in both cell lines at 10 nM and 100 nM. [1] |
| In vivo | **METHODS**: Nude mice bearing NIH/3T3 EGFR G719A allografts, nude mice bearing BID007, and nude mice bearing H1975 cells were treated with TAS6417 (50, 100, 200 mg/kg, oral, 15 days) to study the in vivo efficacy of Zipalertinib (TAS6417, CLN-081) against EGFR mutations.<br>**RESULTS** Zipalertinib (TAS6417, CLN-081) inhibited tumor formation in nude mice bearing H1975, NIH/3T3 expressing G719A, NIH/3T3 expressing G719A+T790M, BID007, and H1975-insSVD. [1] |

## Solubility Information

| | |
|---|---|
| Solubility | DMSO: 55 mg/mL (138.73 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (6.31 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 | 2.5224 mL | 12.6122 mL | 25.2245 mL |
| 5 mM | 0.5045 mL | 2.5224 mL | 5.0449 mL |
| 10 mM | 0.2522 mL | 1.2612 mL | 2.5224 mL |
| 50 mM | 0.0504 mL | 0.2522 mL | 0.5045 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

Udagawa H, et al. TAS6417/CLN-081 Is a Pan-Mutation-Selective EGFR Tyrosine Kinase Inhibitor with a Broad Spectrum of Preclinical Activity against Clinically Relevant EGFR Mutations. Mol Cancer Res. 2019 Nov;17(11):2233-2243.

Liang J, Bi G, Sui Q, et al.Transcription factor ZNF263 enhances EGFR-targeted therapeutic response and reduces residual disease in lung adenocarcinoma.Cell Reports.2024, 43(2).

Kagawa Y, et al. The EGFR C797S Mutation Confers Resistance to a Novel EGFR Inhibitor CLN-081 to EGFR Exon 20 Insertion Mutations. JTO Clin Res Rep. 2023 Jan 24;4(3):100462.

Hasako S, et al, A Novel EGFR Inhibitor Targeting Exon 20 Insertion Mutations. Mol Cancer Ther. 2018 Aug;17(8):1648-1658.