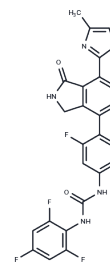


Luxepatinib

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 1616428-23-9 |
| Formula: | C ₂₅ H ₁₇ F ₄ N ₅ O ₂ |
| Molecular Weight: | 495.43 |
| 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 | Luxepatinib (CG-806) is a novel pan-FLT3/pan-BTK inhibitor that is administered orally. It exhibits potent and reversible inhibition of these enzymes, acting through a non-covalent mechanism. Luxepatinib effectively induces cell cycle arrest, apoptosis, or autophagy in acute myeloid leukemia cells [1][2][3][4]. |
| Targets(IC50) | Apoptosis,Others,FLT,BTK |
| In vitro | Luxepatinib (MEC-1 CLL cells; 0.1~10 μM; 72 hours) inhibits cell proliferation with an IC50 of 32 nM[1]. It inhibits BCR signaling-induced phosphorylation of BTK, PLCg2, AKT, ERK1/2, and S6 ribosomal protein, and strongly suppresses SYK phosphorylation in primary chronic lymphocytic leukemia (CLL) cells[1]. Luxepatinib (MV4-11 cells; 500 pM; 1 hour) completely inhibits phosphorylation of FLT3 and STAT5[2]. |

Solubility Information

| | |
|---------------------|--|
| Solubility | DMSO: 125 mg/mL (252.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (6.66 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 | 2.0184 mL | 10.0922 mL | 20.1845 mL |
| 5 mM | 0.4037 mL | 2.0184 mL | 4.0369 mL |
| 10 mM | 0.2018 mL | 1.0092 mL | 2.0184 mL |
| 50 mM | 0.0404 mL | 0.2018 mL | 0.4037 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

Ekaterina Kim MS, et al. CG-806, a First-in-Class Pan-FLT3/Pan-BTK Inhibitor, Exhibits Broad Signaling Inhibition in Chronic Lymphocytic Leukemia Cells. *bloodjournal Blood blood* (2019). 134 (Supplement_1) : 3051.

Abstract 44: CG'806, a first-in-class FLT3/BTK inhibitor, exhibits potent activity against AML patient samples with mutant or wild type FLT3, as well as other hematologic malignancy subtypes

Guopan Yu, et al. CG '806, a Novel Pan-FLT3/BTK Multi-Kinase Inhibitor, Induces Cell Cycle Arrest, Apoptosis or Autophagy in AML Cells Depending on FLT3 Mutation Status. *Blood blood* (2017).130 (Suppl_1) : 462

Aptose Biosciences to Present CG'806 Data at AACR Hematologic Malignancies Meeting

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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