# Luxeptinib

**Cat. No.:** HY-139535

**CAS No.:** 1616428-23-9

**Molecular Formula:** $C_{25}H_{17}F_4N_5O_2$

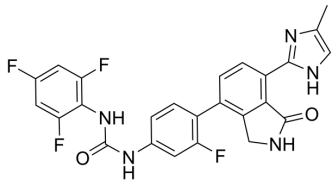
**Molecular Weight:** 495.43

**Target:** FLT3; Btk; Apoptosis

**Pathway:** Protein Tyrosine Kinase/RTK; Apoptosis

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (100.92 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 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 |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.05 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.20 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.20 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Luxeptinib (CG-806) is an orally active, reversible, first-in-class, non-covalent and potent pan-FLT3/pan-BTK inhibitor. Luxeptinib induces cell cycle arrest, apoptosis or autophagy in acute myeloid leukemia cells[1][2][3][4].

**$IC_{50}$ & Target**

Pan-FLT3/Pan-BTK[1]

**In Vitro**

Luxeptinib (MEC-1 CLL cells; 0.1~10 μM; 72 hours) inhibits cells proliferation with an $IC_{50}$ of 32 nM[1].
Luxeptinib inhibits BCR signaling-induced phosphorylation of BTK, PLCg2, AKT, ERK1/2, S6 ribosomal protein and strongly

suppresses SYK phosphorylation in primary chronic lymphocytic leukemia (CLL) cells[1]. Luxeptinib (MV4-11 cells; 500 pM; 1 hour) completely inhibits phosphorylation of FLT3 and STAT5[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | MEC-1 CLL cells |
| Concentration: | 0.1~10 μM |
| Incubation Time: | 72 hours |
| Result: | Inhibited cells proliferation with an $IC_{50}$ of 32 nM. |

## REFERENCES


[1]. 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.

[2]. 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

[3]. 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

[4]. Aptose Biosciences to Present CG'806 Data at AACR Hematologic Malignancies Meeting





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