# Conteltinib

**Cat. No.:** HY-109084

**CAS No.:** 1384860-29-0

**Molecular Formula:** $C_{32}H_{45}N_9O_3S$

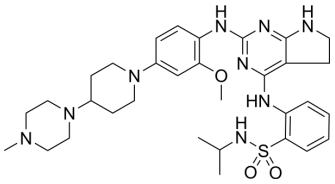
**Molecular Weight:** 635.82

**Target:** FAK

**Pathway:** Protein Tyrosine Kinase/RTK

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 31.25 mg/mL (49.15 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.5728 mL | 7.8639 mL | 15.7277 mL |
| 5 mM | 0.3146 mL | 1.5728 mL | 3.1455 mL |
| 10 mM | 0.1573 mL | 0.7864 mL | 1.5728 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.27 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Conteltinib (CT-707) is a multi-kinase inhibitor targeting FAK, ALK, and Pyk2. Conteltinib exerts significant inhibitory effect on FAK with an $IC_{50}$ of 1.6 nM[1].

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

IC50: 1.6 nM (FAK)[1]

**In Vitro**

Conteltinib (CT-707) synergizes with XL184 to suppress hepatocellular carcinoma by blocking XL184-induced FAK activation [1].

The combination of XL184 (5 μM) and Conteltinib (3 μM) significantly reduces the survival fraction, compared with each agent alone[1].
The combination of XL184 (5 μM) and Conteltinib (3 μM) results in enhanced caspase-dependent apoptosis in human hepatocellular carcinoma cell lines[1].
The FAK phosphorylation induced by XL184 (5 μM) might be involved in the synergistic antitumor effect of Conteltinib (3 μM) and XL184[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

| | |
|---|---|
| Cell Line: | The human hepatocellular carcinoma cell lines HepG2 and Bel-7402 |
| Concentration: | 1.0, 1.5, 2.0, 2.5, and 3.0 μM for HepG2 cells; 0.2, 0.4, 0.8, 1.5, and 3.0 μM for Bel-7402 cells |
| Incubation Time: | 72 hours |
| Result: | When cells were exposed to XL184 (5 μM), Conteltinib (3 μM), or their combination, the survival rates were 57.3%, 39.3%, and 11.2%, respectively, in HepG2; those in Bel-7402 were 57.8%, 61.6%, and 34.2%, respectively. |

Apoptosis Analysis[1]

| | |
|---|---|
| Cell Line: | HepG2 and Bel-7402 cells |
| Concentration: | 3 μM |
| Incubation Time: | 48 hours |
| Result: | The apoptosis rates of control, XL184, Conteltinib, and combination groups in HepG2 were 5.0%, 10.5%, 18.4%, and 41.1%, respectively, and those in Bel-7402 were 4.4%, 16.3%, 8.7%, and 36.4%, respectively. |

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | HepG2 and Bel-7402 |
| Concentration: | 3 μM |
| Incubation Time: | 24 hours |
| Result: | Could markedly decrease FAK phosphorylation induced by XL184, which might partially account for the synergetic effect. |

**In Vivo**

The combination of XL184 (20 mg/kg once daily for first 3 days; i.g. 10 mg/kg once a day for 4th day; no administration from 5th to 10th days; i.g. 10 mg/kg once a day from the 10th to 14th days )and CT-707 (i.g. 50 mg/kg twice a day for first 3 days, 7th, 8th, 11th, 12th, and 14th days; once a day for 4th, 6th, 9th, 10th, and 13th days; no administration for the 5th day) shows the synergistic antitumor effect in HepG2 xenograft nude mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Nude mice transplanted with HepG2 xenografts[1] |
| Dosage: | 50 mg/kg |
| Administration: | Intragastrically (i.g.) twice a day for first 3 days, 7th, 8th, 11th, 12th, and 14th days; once a day for 4th, 6th, 9th, 10th, and 13th days; no administration for the 5th day. |
| Result: | Caused a moderate decrease in the relative tumor volume (RTV). |

| | |
|---|---|
| | The inhibition rate of combination group reached 77.4%, whereas the mono-treatment of XL184 or CT-707 alone caused 30.7% and 19.4% inhibition in the tumor weight, respectively. |

## REFERENCES

[1]. Wang DD, et al. CT-707, a Novel FAK Inhibitor, Synergizes with XL184 to Suppress Hepatocellular Carcinoma by Blocking XL184-Induced FAK Activation. Mol Cancer Ther. 2016 Dec;15(12):2916-2925.



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