# Ifebemtinib

**Cat. No.:** HY-122844

**CAS No.:** 1227948-82-4

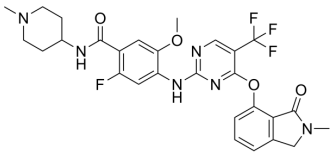
**Molecular Formula:** $C_{28}H_{28}F_4N_6O_4$

**Molecular Weight:** 588.55

**Target:** FAK

**Pathway:** Protein Tyrosine Kinase/RTK

**Storage:** 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 60 mg/mL (101.95 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.6991 mL | 8.4955 mL | 16.9909 mL |
| | 5 mM | 0.3398 mL | 1.6991 mL | 3.3982 mL |
| | 10 mM | 0.1699 mL | 0.8495 mL | 1.6991 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1 mg/mL (1.70 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Ifebemtinib (BI 853520) is an orally active and potent focal adhesion kinase (FAK) inhibitor (recombinant FAK $IC_{50}$=1 nM). Ifebemtinib shows anti-proliferative activity against cancer cells. Ifebemtinib inhibits FER Kinase and FES Kinase with $IC_{50}$s of 900 nM and 1040 nM, respectively[1][2][3].

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

IC50: 1 nM (recombinant FAK)[1], 900 nM (FER Kinase), 1040 nM (FES Kinase)[3]

**In Vitro**

Ifebemtinib (BI 853520) (0-3 μM; 2 h) inhibits cancer cells growth[2].
Ifebemtinib (BI 853520) (0-30 μM; 4-6 d) represses tumor cell proliferation and invasion only in 3D culture[1].
Ifebemtinib (0-10 μM; 24 h) represses Y397-FAK autophosphorylation[1].
Ifebemtinib (0.1 μM; 96 h) shows a fast and potent inhibition of FAK in this highly metastatic murine breast cancer cell line[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

| | |
|---|---|
| Cell Line: | PC-3 cells |
| Concentration: | 0-3 μM |
| Incubation Time: | 2 hours |
| Result: | Resulted in a concentration-dependent reduction of the signal with a median $EC_{50}$ value of 1 nM. |

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | 4T1, Py2T, and Py2T-LT cells |
| Concentration: | 0-30 μM |
| Incubation Time: | 4-6 days |
| Result: | Indicated that the specific inhibition of cell proliferation and invasion at low doses is functional only in three-dimensional cell culture conditions, whereas cells cultured on plastic only respond to BI 853520 at very high, toxic doses. |

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | 4T1, Py2T, and Py2T-LT cells |
| Concentration: | 0-10 μM |
| Incubation Time: | 24 hours |
| Result: | Reduced Y397-FAK autophosphorylation in all cell types. |

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | 4T1, Py2T, and Py2T-LT cells |
| Concentration: | 0.1 μM |
| Incubation Time: | 96 hours |
| Result: | Decreased Y397-FAK autophosphorylation following 0.1 μM BI 853520 treatment occurred within 10 min and was substantially reduced at least for the following 48 h. |

**In Vivo**

Ifebemtinib (BI 853520) (oral gavage; 50 mg/kg; once daily; 0-8 weeks) treatment significantly suppresses primary tumor growth of all three cell lines in vivo[1].

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

| | |
|---|---|
| Animal Model: | FVB/N, Balb/c, or immunodeficient nude (nu/nu) mice transplanted with Py2T, 4T1, or MTflECad cells, respectively[1] |
| Dosage: | 50 mg/kg |
| Administration: | Oral gavage; 50 mg/kg; once daily; 0-8 weeks |
| Result: | Decreased tumor volume significantly over time. |

## REFERENCES

[1]. Stefanie Tiede, et al. The FAK inhibitor BI 853520 exerts anti-tumor effects in breast cancer. Oncogenesis. 2018 Sep 20;7(9):73.

[2]. Ulrich A Hirt, et al. Efficacy of the highly selective focal adhesion kinase inhibitor BI 853520 in adenocarcinoma xenograft models is linked to a mesenchymal tumor phenotype. Oncogenesis. 2018 Feb 23;7(2):21.

[3]. Hirt UA, et al. Efficacy of the highly selective focal adhesion kinase inhibitor BI 853520 in adenocarcinoma xenograft models is linked to a mesenchymal tumor phenotype. Oncogenesis. 2018 Feb 23;7(2):21.



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