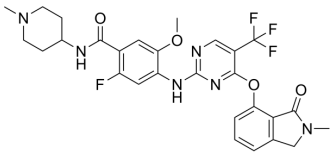
Ifebemtinib

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 : 66.67 mg/mL (113.28 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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