Falnidamol

Cat. No.: HY-10322

CAS No.: 196612-93-8

Molecular Formula: $C_{18}H_{19}ClFN_7$

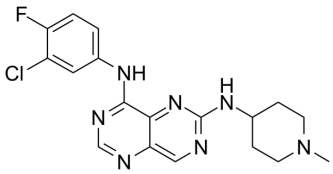
Molecular Weight: 387.84

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

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 : 31.25 mg/mL (80.57 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5784 mL	12.8919 mL	25.7838 mL
	5 mM	0.5157 mL	2.5784 mL	5.1568 mL
	10 mM	0.2578 mL	1.2892 mL	2.5784 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: ≥ 1.67 mg/mL (4.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Falnidamol (BIBX 1382) is an orally active, selective EGFR tyrosine kinase inhibitor with an IC_{50} of 3 nM. Falnidamol displays > 1000-fold lower potency against ErbB2 (IC_{50}=3.4 μM) and a range of other related tyrosine kinases (IC_{50}>10 μM). Falnidamol is a pyrimido-pyrimidine compound and has anti-cancer activity[1][2].

IC_{50} & Target

EGFR	ErbB2
3 nM (IC_{50})	3.4 μM (IC_{50})

In Vitro

Falnidamol (BIBX 1382) demonstrates antiproliferative activity in mitogenic assays performed with KB cells[2].

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

In Vivo

Falnidamol (BIBX 1382; p.o.; 10 mg/kg/day; 16 days) completely suppressed tumor growth of human A431 xenografts with respective a T/C value of 15% after 2 weeks of treatment[2].

Falnidamol (50 mg/kg/day for 2 weeks) results in dephosphorylation of the EGF receptor in A431 xenograft-bearing mice[2]. With Falnidamol (p.o.; 10 mg/kg/day; 16 days), the C_{4h} is 2222 nM and the C_{24h} is 244 nM[2].

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

Animal Model:	Five- to six-week-old athymic NMRI-nu/nu female mice (21-31 g) with A431, FaDu, or HN5 cells[2]
Dosage:	10 mg/kg
Administration:	p.o.; daily; 16 days
Result:	Completely suppressed tumor growth of human A431 xenografts with respective T/C values of 15 and 6% after 2 weeks of treatment.

Animal Model:	Five- to six-week-old athymic NMRI-nu/nu female mice (21–31 g) with A431 cells[2]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	p.o.; daily; 16 days
Result:	The C_{4h} is 2222 nM and the C_{24h} is 244 nM.

CUSTOMER VALIDATION

- Neurobiol Dis. 2020 Aug;142:104961.
- Front Mol Neurosci. 2018 Dec 6;11:447.
- Neuroscience. 2015 Jul 20;304:109-121.

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REFERENCES

[1]. Solca FF, et al. Inhibition of epidermal growth factor receptor activity by two pyrimidopyrimidine derivatives. J Pharmacol Exp Ther. 2004 Nov;311(2):502-9.

[2]. Dittrich Ch, et al. Phase I and pharmacokinetic study of BIBX 1382 BS, an epidermal growth factor receptor (EGFR) inhibitor, given in a continuous daily oral administration. Eur J Cancer. 2002 May;38(8):1072-80.

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