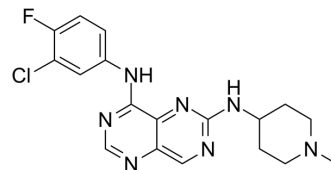


Falnidamol

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	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 31.25 mg/mL (80.57 mM; ultrasonic and warming and heat to 60°C)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions	1 mM	2.5784 mL	12.8919 mL
		5 mM	0.5157 mL	2.5784 mL
		10 mM	0.2578 mL	1.2892 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 3 nM (IC ₅₀)	ErbB2 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 HNS5 cells ^[2]
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Dosage:	10 mg/kg
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Administration:	p.o.; daily; 16 days
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Result:	Completely suppressed tumor growth of human A431 xenografts with respective T/C values of 15 and 6% after 2 weeks of treatment.
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Animal Model:	Five- to six-week-old athymic NMRI-nu/nu female mice (21-31 g) with A431 cells ^[2]
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Dosage:	10 mg/kg (Pharmacokinetic Analysis)
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Administration:	p.o.; daily; 16 days
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Result:	The C _{4h} is 2222 nM and the C _{24h} is 244 nM.
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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