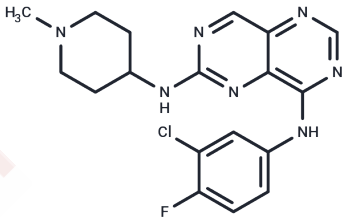
Data Sheet (Cat.No.TQ0271)

TargetMol

Falnidamol

Chemical Properties



CAS No. : 196612-93-8

Formula: C18H19ClFN7

Molecular Weight: 387.84

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Falnidamol (BIBX 1382) is an orally active, selective EGFR tyrosine kinase inhibitor with an IC50 of 3 nM. It displays >1000-fold lower potency against ErbB2 (IC50=3.4 μM) and other related tyrosine kinases (IC50>10 μM). Falnidamol is a pyrimido-pyrimidine compound and exhibits anti-cancer activity.
Targets(IC50)	EGFR
In vitro	Falnidamol displays > 1000-fold lower potency against ErbB2 (IC50: 3.4 μM) and a range of other related tyrosine kinases (IC50>10 μM) [1]. Falnidamol demonstrates antiproliferative activity in mitogenic assays performed with KB cells [2].
In vivo	Falnidamol (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. Falnidamol (50 mg/kg/day for 2 weeks) results in dephosphorylation of the EGF receptor in A431 xenograft-bearing mice. With Falnidamol (p.o.; 10 mg/kg/day; 16 days), the C4h is 2222 nM and the C24h is 244 nM [2].
Animal Research	Animal Model: Five- to six-week-old athymic NMRI-nu/nu female mice (21-31 g) with A431, FaDu, or HN5 cells 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 [2].

Solubility Information

Solubility	DMSO: 28.8 mg/mL (74.26 mM),Sonication and heating to 60°C are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.58 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0516 mL	0.2578 mL	0.5157 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.