

Falnidamol

Chemical Properties

CAS No.:	196612-93-8
Formula:	C ₁₈ H ₁₉ ClFN ₇
Molecular Weight:	387.84
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).

Biological Description

Description	Falnidamol (BIBX 1382) is a selective and orally active inhibitor of EGFR tyrosine kinase (IC ₅₀ : 3 nM).
In vitro	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) [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 C _{4h} is 2222 nM and the C _{24h} is 244 nM [2].

Solubility Information

Solubility	DMSO: 40 mg/mL (103.13 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.578 mL	12.892 mL	25.784 mL
5 mM	0.516 mL	2.578 mL	5.157 mL
10 mM	0.258 mL	1.289 mL	2.578 mL
50 mM	0.052 mL	0.258 mL	0.516 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Dittich 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.
2. 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.

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