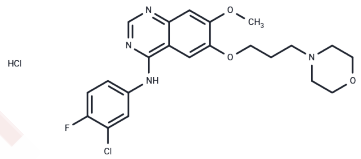
Data Sheet (Cat.No.T1181L)

TargetMol

Gefitinib hydrochloride

Chemical Properties



CAS No. : 184475-55-6

Formula: C22H25Cl2FN4O3

Molecular Weight: 483.36

Storage: Store at low temperature
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	Gefitinib hydrochloride (ZD-1839 hydrochloride) is an orally active selective and potent tyrosine kinase (EGFR) inhibitor. Gefitinib hydrochloride exhibits antitumor activity, selectively inhibits EGF-stimulated tumor cell growth, induces cellular autophagy, improves lung dysfunction, and inhibits inflammation. Gefitinib hydrochloride has antitumor activity, selectively inhibits EGF-stimulated tumor cell growth, induces cellular autophagy, ameliorates lung dysfunction, and inhibits the progression of inflammation and fibrosis.
Targets(IC50)	EGFR
In vitro	Gefitinib hydrochloride (0.01-0.1 mM) increased phosphotyrosine loading of the receptor by inducing EGFRvIII dimerization, enhanced ERK signaling, and stimulated cell proliferation and anchorage-independent growth, especially upon prolonged exposure of EGFRvIII-expressing cells. In contrast, Gefitinib hydrochloride (1-2 mM) significantly reduced phosphotyrosine loading of EGFRvIII and inhibited EGFRvIII-mediated proliferation and anchorage-independent growth. [1] Gefitinib hydrochloride inhibited monolayer growth of non-transformed cells driven by EGF with an IC50 of 20 nM. [2] Gefitinib hydrochloride also inhibited the proliferation of CALU-3 and GLC82 cells with an IC50 of 2 μM. [3]
In vivo	Gefitinib hydrochloride (150 mg/kg, orally) in combination with metformin significantly inhibited the growth of tumor xenografts formed by subcutaneous transplantation of H1299 or CALU-3 GEF-R cells in nude mice. [3] In rats treated with radiation therapy, Gefitinib hydrochloride exacerbated the inflammatory response in the lungs, including the infiltration of inflammatory cells and the increase of pro-inflammatory factors, whereas Gefitinib hydrochloride served to slow down the fibrotic remodeling of the lungs by inhibiting the proliferation of lung fibroblasts. [4]

Solubility Information

Solubility	DMSO: < 0.1 mg/mL (insoluble) H2O: 4 mg/mL (8.28 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0689 mL	10.3443 mL	20.6885 mL
5 mM	0.4138 mL	2.0689 mL	4.1377 mL
10 mM	0.2069 mL	1.0344 mL	2.0689 mL
50 mM	0.0414 mL	0.2069 mL	0.4138 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

Wakeling AE, et al. ZD1839 (Iressa): an orally active inhibitor of epidermal growth factor signaling with potential for cancer therapy. Cancer Res. 2002 Oct 15;62(20):5749-54.
Pedersen MW, et al. Differential response to gefitinib of cells expressing normal EGFR and the mutant EGFRvIII. Br J Cancer. 2005 Oct 17;93(8):915-23.
Moasser MM, et al. The tyrosine kinase inhibitor ZD1839 ("Iressa") inhibits HER2-driven signaling and suppresses the growth of HER2-overexpressing tumor cells. Cancer Res. 2001 Oct 1;61(19):7184-8.
Morgillo F, et al. Synergistic effects of metformin treatment in combination with gefitinib, a selective EGFR tyrosine kinase inhibitor, in LKB1 wild-type NSCLC cell lines. Clin Cancer Res. 2013 Jul 1;19(13):3508-19.
Miyake K, et al. Epidermal growth factor receptor-tyrosine kinase inhibitor (gefitinib) augments pneumonitis, but attenuates lung fibrosis in response to radiation injury in rats. J Med Invest. 2012;59(1-2):174-85.