## Gefitinib

MedChemExpress

Cat. No.:	HY-50895		
CAS No.:	184475-35-2	2	
Molecular Formula:	C <sub>22</sub> H <sub>24</sub> ClFN	4 <sup>0</sup> 3	
Molecular Weight:	446.9		
Target:	EGFR; Autop	ohagy; Ap	optosis
Pathway:	JAK/STAT S	ignaling;	Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis
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 : 100 mg/mL (223.76 mM; Need ultrasonic)							
Pre Sto	Preparing Stock Solutions	Mass Solvent Concentration	1 mg	5 mg	10 mg			
		1 mM	2.2376 mL	11.1882 mL	22.3764 mL			
		5 mM	0.4475 mL	2.2376 mL	4.4753 mL			
		10 mM	0.2238 mL	1.1188 mL	2.2376 mL			
	Please refer to the so	lubility information to select the app	propriate solvent.					
In Vivo	1. Add each solvent one by one: corn oil Solubility: 5 mg/mL (11.19 mM); Suspended solution; Need ultrasonic							
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution							
	3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.65 mM); Clear solution							
	4. Add each solvent Solubility: ≥ 2.08 r	one by one: 10% DMSO >> 90% (20 ng/mL (4.65 mM); Clear solution	% SBE-β-CD in saline)	)				
	5. Add each solvent Solubility: 0.5 mg	one by one: 1% DMSO >> 99% salin /mL (1.12 mM); Suspended solution;	e Need ultrasonic					

### **BIOLOGICAL ACTIVITY**

Description

Gefitinib (ZD1839) is a potent, selective and orally active EGFR tyrosine kinase inhibitor with an IC<sub>50</sub> of 33 nM. Gefitinib selectively inhibits EGF-stimulated tumor cell growth (IC<sub>50</sub> of 54 nM) and that blocks EGF-stimulated EGFR autophosphorylation in tumor cells. Gefitinib also induces autophagy and cell apoptosis, which can be used for cancer

# Product Data Sheet

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	related research, such as Lung cancer and breast cancer <sup>[1][2][5]</sup> .				
IC <sub>50</sub> & Target	EGFR				
In Vitro	<ul> <li>Gefitinib (0.01-0.1 µM, 72 h) results in increased phosphotyrosine load of the receptor, increased signalling to ERK and stimulation of proliferation and anchorage-independent growth<sup>[2]</sup>.</li> <li>Gefitinib (1-2 µM, 72 h) significantly decreases EGFRvIII phosphotyrosine load, EGFRvIII-mediated proliferation and anchorage-independent growth<sup>[2]</sup>.</li> <li>Gefitinib (0.62 µM, 24-72 h) inhibits IL-13-induced M2-like polarization of RAW 264.7 cells through the STAT6-dependent signaling pathway<sup>[3]</sup>.</li> <li>Gefitinib (0.62 µM, 72 h) inhibits M2-like macrophage-promoted invasion and migration<sup>[3]</sup>.</li> <li>Gefitinib (0-10 µM, 72 h) induces apoptosis (induction of BIM protein) in NSCLC Cell Lines (H3255 and HCC827 cells)<sup>[4]</sup>.</li> <li>Gefitinib (100 nM, 24 h) suppresses macropinocytosis and increases the cellular uptake of extracellular vesicles( EVs) in HCC827 and A549 cells<sup>[6]</sup>.</li> <li>Gefitinib (1.5-60 µM, 48 h) increases inhibition of proliferation in H358<sup>R</sup> and A549<sup>R</sup> cells (Cisplatin-resistant wtEGFR NSCLC cell Lines)<sup>[7]</sup>.</li> <li>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</li> <li>Western Blot Analysis<sup>[2]</sup></li> </ul>				
	Cell Line:	NR6wtEGFR, NR6W and NR6M			
	Concentration:	1, 10, 100 μΜ			
	Incubation Time:	5 h			
	Result:	Inhibited EGFR tyrosine phosphorylations.			
	Cell Migration Assay <sup>[3]</sup>				
	Cell Line:	LLCs cell			
	Concentration:	0.62 μΜ			
	Incubation Time:	72 h			
	Result:	Abrogated M2-like macrophage promoted invasion and migration of LLCs.			
In Vivo	Gefitinib (Oral administration, 75 mg/kg/d, 21 days) inhibits the M2-like polarization of macrophages in LLC mice model <sup>[3]</sup> . Gefitinib (Oral administration, 75 mg/kg for the initial week, daily for 5 consecutive days per week) eliminates phosphorylation of HER2 and HER3 and signaling through MAPK and Akt in lobular hyperplasias and carcinomas, in MAPK activity and cytokine production in splenocytes and lymph nodes <sup>[5]</sup> .Gefitinib (Oral gavage, 150 mg/kg, daily) the anti-tumor effect of Cisplatin in H358 <sup>R</sup> xenograft <sup>[7]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.				
	Animal Model:	LLC mice metastasis model <sup>[3]</sup>			
	Dosage:	75 mg/kg/d, for 21 days.			
	Administration:	Oral administration			
	Result:	Reduced the number of lung metastasis nodules, down-regulated the expression of M2 marker genes and the percentages CD206 <sup>+</sup> and CD68 <sup>+</sup> macrophages in tumor tissues.			

Animal Model:	BALB-NeuT transgenic mouse model <sup>[5]</sup>
Dosage:	75 mg/kg for the initial week, and increased by 15 mg/kg every other week, daily for 5 consecutive days per week, followed by 2 days without treatment and repeated for 8–9 weeks.
Administration:	Oral administration
Result:	Reduced tumor multiplicity from 9.6 to 0.58 (83%), and reduced the number and size of lobules and lobular nodules in treated mice.

### **CUSTOMER VALIDATION**

- Cancer Cell. 2018 Jun 11;33(6):1061-1077.e6.
- Cell Res. 2021 Jun;31(6):631-648.
- Cell Res. 2020 Oct;30(10):833-853.
- Signal Transduct Target Ther. 2019 Dec 13;4:60.
- Nat Biomed Eng. 2018 Aug;2(8):578-588.

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#### REFERENCES

[1]. 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.

[2]. Muhammad Tariq, et al. Gefitinib inhibits M2-like polarization of tumor-associated macrophages in Lewis lung cancer by targeting the STAT6 signaling pathway. Acta Pharmacol Sin. 2017 Nov;38(11):1501-1511.

[3]. Mark S Cragg, et al. Gefitinib-induced killing of NSCLC cell lines expressing mutant EGFR requires BIM and can be enhanced by BH3 mimetics. PLoS Med. 2007 Oct;4(10):1681-89; discussion 1690.

[4]. Marie P Piechocki, et al. Gefitinib prevents cancer progression in mice expressing the activated rat HER2/neu. Int J Cancer. 2008 Apr 15;122(8):1722-9.

[5]. Tomoya Takenaka, et al. Effects of gefitinib treatment on cellular uptake of extracellular vesicles in EGFR-mutant non-small cell lung cancer cells. Int J Pharm. 2019 Dec 15;572:118762.

[6]. Amin Li, et al. Gefitinib sensitization of cisplatin-resistant wild-type EGFR non-small cell lung cancer cells. J Cancer Res Clin Oncol. 2020 Jul;146(7):1737-1749.

[7]. Wakeling AE, et al. ZD1839: an orally active inhibitor of epidermal growth factor signaling with potential for cancer therapy. Cancer Res. 2002 Oct 15;62(20):5749-54.

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

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