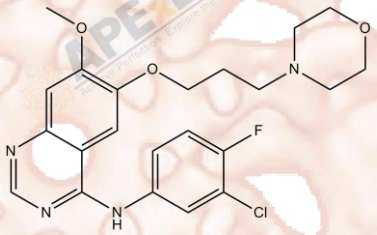
Product Data Sheet

Gefitinib (ZD1839)

Cat. No.:	A8219
CAS No.:	184475-35-2
Formula:	C22H24ClFN4O3
M.Wt:	446.90
Synonyms:	Iressa, ZD-1839, Gefitinib
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥22.34 mg/mL in DMSO; insoluble in H2O; ≥2.48 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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 solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Selective EGFR inhibitor
IC_{50} & Target	37 nM (Tyr1173 (NR6wtEGFR cells)), 37nM (Tyr992 (NR6wtEGFR cells)), 26 nM (Tyr1173 (NR6W cells)), 57 nM (Tyr992 (NR6W cells))

In Vitro

Cell Viability Assay

Cell Line:	BT-474 cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	1 μM, 24 hours
	Applications:	A 24-h treatment of BT-474 cells with 1 μM ZD1839 increased the G1 fraction from 74 to 88% and reduced the proportion of cells in S from 15 to 4%. Simultaneous with the accumulation of cells in G1 was complete elimination of both active Akt and MAPK, as measured with phosphospecific antibodies, without changes in the content of total Akt and MAPK. Consistent with the inhibition of Akt activity, phosphorylation of GSK-3β, a target of the Akt kinase, was reduced. Cyclin D1 and Cdk4 were also reduced, whereas protein levels of the Cdk inhibitor p27 were up-regulated.
In Vivo	**Animal experiment**	
	Animal models:	Female Balb/C athymic nude mice injected with BT-474 cells
	Dosage form:	Oral administration, 200 mg/kg/day
	Applications:	Mice were randomly allocated to either no treatment, ZD1839, Herceptin, or the combination. ZD1839 completely prevented tumor growth but did not induce complete remissions. Herceptin alone induced complete remission in two of seven, whereas the combination resulted in three of eight complete responses. No mice exhibited treatment-related toxicity. Three mice treated with ZD1839 plus Herceptin, in which tumors regressed completely, remained tumor free for > 6 months after discontinuation of therapy and had no detectable tumor at necropsy.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. She K, Fang S, et al. "SCD1 is required for EGFR-targeting cancer therapy of lung cancer via re-activation of EGFR/PI3K/AKT signals." Cancer Cell Int. 2019 Apr 18;19:103.PMID:31019378

3. Chen Z, Tian D, et al. "Apigenin Combined With Gefitinib Blocks Autophagy Flux and Induces Apoptotic Cell Death Through Inhibition of HIF-1α, c-Myc, p-EGFR, and Glucose Metabolism in EGFR L858R+T790M-Mutated H1975 Cells." Front Pharmacol. 2019 Mar 22;10:260.PMID:30967777

4. Huang SZ, Wei MN, et al. "Targeting TF-AKT/ERK-EGFR Pathway Suppresses the Growth of Hepatocellular Carcinoma." Front Oncol. 2019 Mar 15;9:150.PMID:30931258

5. Hu WT, Yeh CC, et al. "The O-glycosylating enzyme GALNT2 suppresses the malignancy of gastric adenocarcinoma by reducing EGFR activities." Am J Cancer Res. 2018 Sep 1;8(9):1739-1751.PMID:30323967

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References

[1] Moulder S L, Yakes F M, Muthuswamy S K, et al. Epidermal growth factor receptor (HER1) tyrosine kinase inhibitor ZD1839 (Iressa) inhibits HER2/neu (erbB2)-overexpressing breast cancer cells in vitro and in vivo. Cancer research, 2001, 61(24): 8887-8895.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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