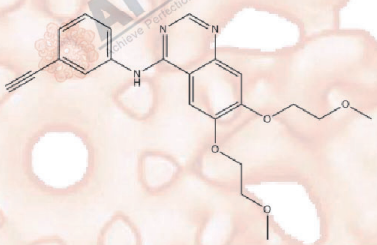
Product Data Sheet

Erlotinib



Cat. No.: A3397

CAS No.: 183321-74-6

Formula: C22H23N3O4

M.Wt: 393.44

Synonyms: NSC 718781;OSI-744;R-1415;OSI744;OSI 744;R1415;R 1415

Target: JAK/STAT Signaling

Pathway: EGFR

Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾19.65 mg/mL in DMSO; ⩾30.27 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Concentration / Solvent / Mass	1mg	5mg	10mg
1 mM	2.5417 mL	12.7084 mL	25.4168 mL
5 mM	0.5083 mL	2.5417 mL	5.0834 mL
10 mM	0.2542 mL	1.2708 mL	2.5417 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	EGFR tyrosine kinase inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human NSCLC cell lines H322, A549, H1650, and H1975 cells.
Preparation method:	The solubility of this compound in DMSO is >19.7mg/mL. 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:	2 μmol/L, 24h
	Applications:	Erlotinib alone induced G1-phase arrest in ~80% H322 cells. Erlotinib (2 μM) significantly inhibited growth of AsPC-1 and BxPC-3 pancreatic cells. Erlotinib potently inhibited EGFR activation in HNS human head and neck tumor cells, DiFi human colon cancer cells and MDA MB-468 human breast cancer cells. Erlotinib (1 μM) induced apoptosis in DiFi human colon cancer cells.
In Vivo	**Animal experiment**	
	Animal models:	H460a and A549 tumor models
	Dosage form:	100 mg/kg
	Applications:	Erlotinib (100 mg/kg) exhibited antitumor activity at the MTD in both the H460a and A549 tumor models.
	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. Min P, Zhao S, et al. "MICAL-L2 potentiates Cdc42-dependent EGFR stability and promotes gastric cancer cell migration." J Cell Mol Med. 2019 Jun;23(6):4475-4488.PMID:31034158

2. Shen M, Jiang YZ, et al. "Tinagl1 Suppresses Triple-Negative Breast Cancer Progression and Metastasis by Simultaneously Inhibiting Integrin/FAK and EGFR Signaling." Cancer Cell. 2019 Jan 14;35(1):64-80.e7.PMID:30612941

3. Zheng J, Duan B, et al."Folliculin Interacts with Rab35 to Regulate EGF-Induced EGFR Degradation." Front Pharmacol. 2017 Sep 26;8:688.PMID:29018350

4. Wang Y, Deng W, et al. "MICAL2 Promotes Breast Cancer Cell Migration by Maintaining EGFR Stability and EGFR/P38 Signaling Activation." Acta Physiol (Oxf). 2017 Jul 18.PMID:28719045

5. Lee HS, Park SB, et al. "A novel HDAC inhibitor, CG200745, inhibits pancreatic cancer cell growth and overcomes gemcitabine resistance." Sci Rep. 2017 Jan 30;7:41615.PMID:28134290

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References

[1]. Moyer J D, Barbacci E G, Iwata K K, et al. Induction of apoptosis and cell cycle arrest by CP-358,774, an inhibitor of epidermal growth factor receptor tyrosine kinase[J]. Cancer research, 1997, 57(21): 4838-4848.

[2]. Li T, Ling Y H, Goldman I D, et al. Schedule-dependent cytotoxic synergism of pemetrexed and erlotinib in human non–small cell lung cancer cells[J]. Clinical Cancer Research, 2007, 13(11): 3413-3422.

[3]. Ali S, Banerjee S, Ahmad A, et al. Apoptosis-inducing effect of erlotinib is potentiated by 3, 3′-diindolylmethane in vitro and in vivo using an orthotopic model of pancreatic cancer[J]. Molecular cancer therapeutics, 2008, 7(6): 1708-1719.

[4]. Higgins B, Kolinsky K, Smith M, et al. Antitumor activity of erlotinib (OSI-774, Tarceva) alone or in combination in human non-small cell lung cancer tumor xenograft models[J]. Anti-cancer drugs, 2004, 15(5): 503-512.

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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