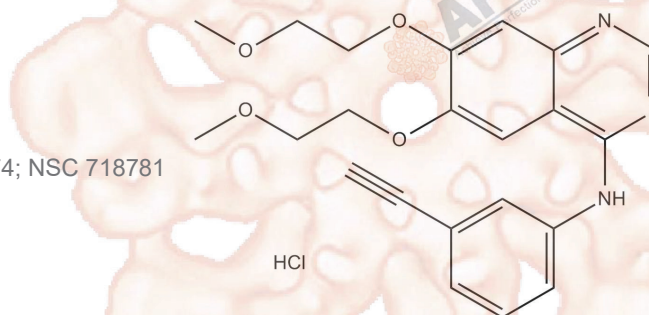


Erlotinib Hydrochloride

Cat. No.:	A8234
CAS No.:	183319-69-9
Formula:	C ₂₂ H ₂₄ CIN ₃ O ₄
M.Wt:	429.91
Synonyms:	Tarceva; CP-358774; OSI-774; NSC 718781
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

≥6.44 mg/mL in DMSO with gentle warming, insoluble in EtOH, insoluble in H₂O

In Vitro

Preparing Stock Solutions	Solvent Concentration	Mass		
		1mg	5mg	10mg
	1 mM	2.3261 mL	11.6303 mL	23.2607 mL
	5 mM	0.4652 mL	2.3261 mL	4.6521 mL
	10 mM	0.2326 mL	1.1630 mL	2.3261 mL

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

Biological Activity

Shortsummary

Selective EGFR inhibitor

IC₅₀ & Target

2 nM (HER1/EGFR)

In Vitro

Cell Viability Assay

Cell Line: Calu1 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: Cells were treated with single dose of erlotinib (1 μM, 24 hours), docetaxel (50

nM, 18 hours) or the combination of erlotinib and docetaxel. The greatest cell death was observed in the Txt->OSI-774->media sequence, while the cells treated with the OSI-774->Txt->media sequence resumed proliferation by 72hrs post-treatment. Cleaved PARP and Caspase-3 were detected in the sequence of Txt->OSI-774, and with simultaneous treatment, but not in the sequence of OSI-774->Txt. Further, cleaved PARP and Caspase-3 persisted to 72hrs after the Txt->OSI-774 treatment. These data support the previous results on sub-G1 cells, and molecularly demonstrate an apoptotic response.

Animal experiment

In Vivo

Animal models:	Female, athymic, nu/nu-nuBR nude mice injected with H460a cells
Dosage form:	Oral administration, 100mg/kg, daily for 3 weeks
Applications:	Erlotinib had significant dose-dependent efficacy. In the 100mg/kg group there was growth inhibition of 61%. The other groups had the following growth inhibition: 25mg/kg: 46%; 12.5mg/kg: 36%; 6.25mg/kg: 28%. There were no partial or complete regressions.
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. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713
3. Deng W, Gu L, et al. "CD24 associates with EGFR and supports EGF/EGFR signaling via RhoA in gastric cancer cells." J Transl Med. 2016 Feb 1;14:32.PMID:26830684

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References

- [1] Kimura T, Mahaffey C M, Pryde B J, et al. Apoptotic effects of the docetaxel→ OSI-774 combination in non-small cell lung carcinoma (NSCLC) cells//Proc Am Soc Clin Oncol. 2004, 22: 7143.
- [2] 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. 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 APEX BIO 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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