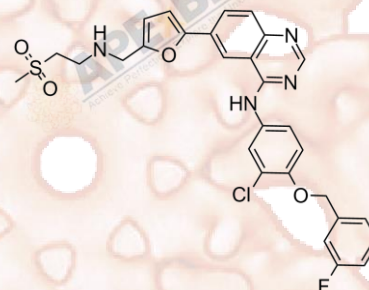


Product Data Sheet

Lapatinib

Cat. No.:	A8218
CAS No.:	231277-92-2
Formula:	C ₂₉ H ₂₆ ClFN ₄ O ₄ S
M.Wt:	581.06
Synonyms:	Tykerb;GW572016;GW-572016;GW 572016, Lapatinib tosilate hydrate
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

≥29.05 mg/mL in DMSO; insoluble in H₂O; insoluble in EtOH

In Vitro

Preparing Stock Solutions	Mass		1mg	5mg	10mg
	Solvent	Concentration			
		1 mM	1.7210 mL	8.6050 mL	17.2099 mL
		5 mM	0.3442 mL	1.7210 mL	3.4420 mL
		10 mM	0.1721 mL	0.8605 mL	1.7210 mL

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

Biological Activity

Shortsummary

EGFR/HER2 inhibitor,potent,selective and reversible

IC₅₀ & Target

10.8 nM (EGFR), 9.2 nM (ErbB2)

Cell Viability Assay

In Vitro

Cell Line:	EGFR-overexpressing cell lines HN5 and A-431; the ErbB-2-overexpressing cell lines BT474, N87 (20), and CaLu-3; and tumor cell lines expressing low levels of EGFR and ErbB-2, MCF-7, and T47D
Preparation method:	The solubility of this compound in DMSO is >29.1mg/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:	30 µM, 3 days
	Applications:	GW2016 (30 µM) resulted in complete inhibition of outgrowth of the HN5 cell population. GW2016 (>3.3 µM) inhibited the outgrowth by 50%. GW2016 (0.37 µM) significantly inhibited the outgrowth by 20%. GW2016 (1 µM) completely inhibited the outgrowth of the BT474 cells, with ~60% inhibition of outgrowth occurring at 0.37 µM. In the EGFR-overexpressing cell line HN5, treatment with GW2016 (1 and 10 µM) resulted in induction of G1 arrest. GW2016 (10 µM for 72 h) slightly increased the number of cells with sub-2N DNA content. In the BT474 cells, a large increase in the number of events with sub-2N DNA was observed after 72 h of treatment with GW2016.
In Vivo	Animal experiment	
	Animal models:	BT474 and HN5 human tumor-bearing mice
	Dosage form:	Oral administration, 30 and 100 mg/kg, twice daily for 21 days
	Applications:	Lapatinib (100 mg/kg) completely inhibited tumor growth.
	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. Duggan BM, Foley KP, et al. "Tyrosine kinase inhibitors of Ripk2 attenuate bacterial cell wall-mediated lipolysis, inflammation and dysglycemia." Sci Rep. 2017 May 8;7(1):1578.PMID:28484277
2. Zhang WJ, Li Y, et al. "Synergistic antitumor activity of regorafenib and lapatinib in preclinical models of human colorectal cancer." Cancer Lett. 2017 Feb 1;386:100-109.PMID:27864115

See more customer validations on www.apexbt.com.

References

- [1]. Rusnak D W, Lackey K, Affleck K, et al. The effects of the novel, reversible epidermal growth factor receptor/ErbB-2 tyrosine kinase inhibitor, GW2016, on the growth of human normal and tumor-derived cell lines in vitro and in vivo[J]. Molecular cancer therapeutics, 2001, 1(2): 85-94.

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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