

Product Data Sheet

PD168393

Cat. No.:	A2024
CAS No.:	194423-15-9
Formula:	C17H13BrN4O
M.Wt:	369.22
Synonyms:	
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥1 mg/mL in EtOH with gentle warming and ultrasonic; ≥18.45 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.7084 mL	13.5421 mL	27.0841 mL
	5 mM	0.5417 mL	2.7084 mL	5.4168 mL
	10 mM	0.2708 mL	1.3542 mL	2.7084 mL

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

Biological Activity

Shortsummary

EGFR inhibitor

IC₅₀ & Target

700 pM (EGFR)

In Vitro

Cell Viability Assay

Cell Line:	A431 cells, MDA-MB-453 cells, HS-27 human fibroblasts, 3T3-Her2 cells
Preparation method:	The solubility of this compound in DMSO is > 18.5 mg/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 μM, 1 hr

	Applications:	<p>PD168393 completely suppressed EGF-dependent receptor autophosphorylation in A431 cells, with continuous suppression even after 8 hr in compound-free medium. PD168393 inhibited heregulin-induced tyrosine phosphorylation in MDA-MB-453 cells with IC50 of 5.7 nM. PD 168393 inhibited EGFr autophosphorylation in A431 human epidermoid carcinoma cells. PD168393 inhibited EGF-mediated tyrosine phosphorylation in HS-27 human fibroblasts with IC50 of 1-6 nM. PD168393 potently inhibited Her2-induced tyrosine phosphorylation with IC50 of ~100 nM in 3T3-Her2 cells. PD168393 inhibited phosphorylation of PLCγ1/Stat1/Dok1/δ-catenin in 3T3-Her2 cells. PD168393 completely inhibited AKT and ERK phosphorylation at concentrations as low as 0.03 umol/L. PD168393 induced apoptosis and inhibited cell growth in ErbB2 positive lung and breast cancer cell lines.</p>
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing A431 human epidermoid carcinoma xenograft
	Dosage form:	Intraperitoneal injection, 58 mg/kg on days 10–14, 17–21, and 24–28
	Applications:	<p>PD 168393 (58 mg/kg, i.p.) produced tumor growth inhibition of 115%. PD 168393 reduced the phosphotyrosine content of EGFr I by 50% 24 hr after injection. In the rat model of CIBP, PD168393 (10 µg, intrathecal injection, 9 days) significantly reduced the mRNA expressions of Akt-1 and P38MAPK and the protein levels of p-Akt-1 and p-P38MAPK in spinal cord tissues of rats.</p>
	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

See more customer validations on www.apexbt.com.

References

- [1]. Fry D W, Bridges A J, Denny W A, et al. Specific, irreversible inactivation of the epidermal growth factor receptor and erbB2, by a new class of tyrosine kinase inhibitor. Proceedings of the National Academy of Sciences, 1998, 95(20): 12022-12027.
- [2]. Bose R, Molina H, Patterson A S, et al. Phosphoproteomic analysis of Her2/neu signaling and inhibition[J]. Proceedings of the National Academy of Sciences, 2006, 103(26): 9773-9778.
- [3]. Li G et al. Modulation of ErbB2 blockade in ErbB2-positive cancers: the role of ErbB2 Mutations and PHLDA1. PLoS One. 2014 Sep 19;9(9):e106349.
- [4]. Jiang J, Zhang J, Yao P, et al. Activation of spinal neuregulin 1-ErbB2 signaling pathway in a rat model of cancer-induced bone pain[J]. International journal of oncology, 2014, 45(1): 235-244.

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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