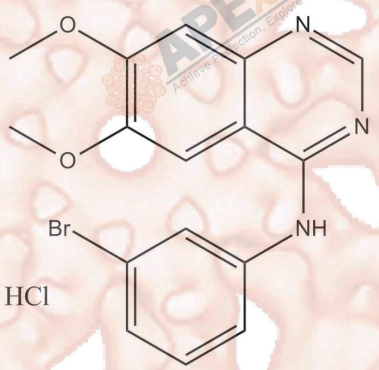
Product Data Sheet

PD153035 hydrochloride

Cat. No.:	A8199
CAS No.:	153436-54-5
Formula:	C16H14BrN3O2·HCl
M.Wt:	396.67
Synonyms:	ZM252868,PD153035 HCL
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at RT



Solvent & Solubility

In Vitro

≥3.97mg/mL in DMSO with gentle warming,insoluble in EtOH,insoluble in H2O

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.5210 mL	12.6049 mL	25.2099 mL
5 mM	0.5042 mL	2.5210 mL	5.0420 mL
10 mM	0.2521 mL	1.2605 mL	2.5210 mL

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

Biological Activity

Shortsummary	Potent EGFR inhibitor
IC_{50} & Target	5.2 pM (Ki) (EGFR), 29 pM (EGFR)

In Vitro

Cell Viability Assay

Cell Line:	A panel of cancer cell lines overexpressing various levels of EGF receptor and HER2/neu.
Preparation method:	The solubility of this compound in DMSO is limited. 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:	0.5-2800 nM; 2 h

	Applications:	In EGF receptor-overexpressing cell lines, PD153035 caused a dose-dependent decrease in ligand-inducedEGF receptor phosphorylation. PD153035 only inhibited HER2/neu phosphorylation at the highest concentrations tested (1400-2800 nM). PD153035 also inhibited cell proliferation in EGF receptor-positive cell lines.
In Vivo	**Animal experiment**	
	Animal models:	Immunodeficient nude mice xenografted with A431 human epidermoid tumors
	Dosage form:	i.p. dose of 80 mg/kg
	Applications:	In immunodeficient nude mice xenografted with A431 human epidermoid tumors, PD153035 rapidly suppressed the tyrosine phosphorylation of the EGF receptor by 80-90% in the tumors. Following a single i.p. dose of 80 mg/kg, the drug levels in the plasma and tumor rose to 50 and 22 μM within 15 minutes.
	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

See more customer validations on www.apexbt.com.

References

[1] Bos M, Mendelsohn J, Kim YM, Albanell J, Fry DW, Baselga J. PD153035, a tyrosine kinase inhibitor, prevents epidermal growth factor receptor activation and inhibits growth of cancer cells in a receptor number-dependent manner. Clin Cancer Res. 1997 Nov;3(11):2099-106.

[2]. Kunkel MW1, Hook KE, Howard CT, et al. Inhibition of the epidermal growth factor receptor tyrosine kinase by PD153035 in human A431 tumors in athymic nude mice. Invest New Drugs. 1996;13(4):295-302.

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.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com