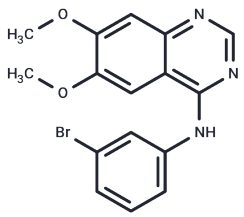
Data Sheet (Cat.No.T1761)

TargetMol

PD153035 hydrochloride

Chemical Properties



CAS No. : 183322-45-4

Formula: C16H15BrClN3O2

Molecular Weight: 396.67

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PD153035 hydrochloride (ZM 252868) is a effective and selective inhibitor of EGFR (Ki: 5.2 pM, IC50: 29 pM); few influence against FGFR, PGDFR, InsR, CSF-1, and Src.
Targets(IC50)	EGFR
In vitro	When administered to HFD-fed mice, PD153035 enhances glucose tolerance, insulin sensitivity, and signaling while reducing subclinical inflammation. In immunodeficient nude mice carrying A431 human epidermoid carcinoma xenografts, PD153035 (80 mg/kg) inhibits the tyrosine kinase activity of the EGF receptor.
In vivo	PD153035 exhibits dose-dependent inhibition of nasopharyngeal carcinoma cell lines HONE1 (IC50: 18.6 μM), NPC-TW04 (IC50: 9.8 μM), and NPC-TW01 (IC50: 12.9 μM). It also inhibits the epidermal growth factor receptor (EGFR) tyrosine kinase related to A-431 human squamous epithelial carcinoma (Ki: 5.2 pM, IC50: 29 pM). In Caco-2 colon cancer cells, PD153035 silences COX-2 expression induced by the PAR(2) activating peptide 2-furoyl-LIGRLO-NH(2) (2fLI). Furthermore, PD153035 selectively inhibits EGF-induced tyrosine phosphorylation in Swiss 3T3 fibroblasts and A-431 human squamous epithelial cancer cells (IC50: 15 nM and 14 nM, respectively). When acting on human cancer cell lines overexpressing the EGF receptor, PD153035 suppresses cell growth, including in A431, DU145, Difi, ME180, and MDA-MB-468, with IC50 values of 0.22 μM, 0.4 μM, 0.3 μM, 0.95 μM, and 0.68 μM, respectively.
Kinase Assay	Inhibition of EGF receptor tyrosine kinase : Enzyme reactions are performed in a total volume of 0.1 mL containing 25 mM Hepes (pH 7.4), 5 mM MgCl2, 2 mM MnCl2, 50 μM sodium vanadate, 0.5 to 1.0 ng of enzyme (which also contains enough EGF to make the final concentrations 2 μg/mL), 10 μM ATP containing 1 μCi of [32P]ATP, varying concentrations of PD153035, and 200 μM of a substrate peptide based on a portion of phospholipase C-γl having the sequence Lys-His-Lys-Lys-Leu-Ala-Glu-Gly-Ser-Ala-Tyr472-Glu-Glu-Val. The reaction is initiated by the addition of ATP. After 10 minutes at room temperature, the reaction is terminated by addition of 2 mL of 75 mM phosphoric acid, and the solution is passed through a 2.5-cm phosphocellulose filter disk that binds the peptide. The filter is washed five times with 75 mM phosphoric acid and placed in a vial with 5 mL of scintillation fluid. The uninhibited control activity produces approximately 100,000 cpm.
Cell Research	Cells are seeded in sixwell plates. The next day, cells are changed to medium containing 0.5% FBS for 18 hours, and then PD153035 is added at various concentrations to the

cultures. After 72 hours of treatment, cells are washed once with PBS, harvested with 0.1% human trypsin-l mM EDTA in PBS, and counted with a Coulter counter. The CMK cells grow in suspension and, therefore, do not require trypsinization.(Only for Reference)

Solubility Information

Solubility	DMSO: 4 mg/mL (10 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.521 mL	12.6049 mL	25.2099 mL
5 mM	0.5042 mL	2.521 mL	5.042 mL
10 mM	0.2521 mL	1.2605 mL	2.521 mL
50 mM	0.0504 mL	0.2521 mL	0.5042 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Fry DW, et al. Science. 1994, 265(5175), 1093-1095.
Bos M, et al. Clin Cancer Res. 1997, 3(11), 2099-2106.
Hsu CH, et al. Oncology. 2005, 68(4-6), 538-547.
Hirota CL, et al. Am J Physiol Gastrointest Liver Physiol. 2012 Apr 19.
Kunkel MW, et al. Invest New Drugs. 1996, 13(4), 295-302.

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