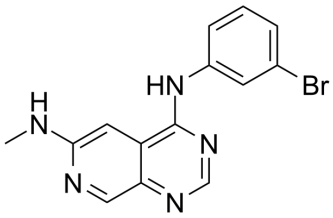
PD158780

Cat. No.:	HY-18609
CAS No.:	171179-06-9
Molecular Formula:	$C_{14}H_{12}BrN_5$
Molecular Weight:	330.18
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (50.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0287 mL	15.1433 mL	30.2865 mL
	5 mM	0.6057 mL	3.0287 mL	6.0573 mL
	10 mM	0.3029 mL	1.5143 mL	3.0287 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.67 mg/mL (5.06 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.67 mg/mL (5.06 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PD158780 is a potent EGFR family inhibitor with IC_{50}s of 8 pM, 49, 52, 52 nM for EGFR, ErbB2, ErbB3, and ErbB4, respectively.

IC_{50} & Target

EGFR	ErbB2	ErbB3	ErbB4
8 μM (IC_{50}, Cell Assay)	49 nM (IC_{50}, Cell Assay)	52 nM (IC_{50}, Cell Assay)	52 nM (IC_{50}, Cell Assay)

In Vitro

PD158780 inhibits EGF receptor autophosphorylation in A431 human epidermoid carcinoma with IC_{50} value of 13 nM. PD158780 is highly specific for the EGF receptor in Swiss 3T3 fibroblasts, inhibiting EGF-dependent receptor autophosphorylation and thymidine incorporation at low nanomolar concentrations while requiring micromolar levels for platelet-derived growth factor- and basic fibroblast growth factordependent processes. PD158780 inhibits heregulin-stimulated phosphorylation in the SK-BR-3 and MDAMB-453 breast carcinomas with IC_{50} values of 49 and 52 nM,

	respectively, suggesting that the compound is active against other members of the EGF receptor family[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PD158780 is active against clone formation in several breast tumors having different expression patterns of the ErbB family. PD158780 shows good therapeutic effect against the A431 epidermoid carcinoma when administered either intraperitoneally or orally. PD158780 produces measurable, significant effects against a mouse fibroblast transfected with human EGFR. PD158780 produces a significant therapeutic effect against the estrogendependent MCF-7 breast carcinoma at equitoxic dose levels[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The enzyme assay is performed in 96-well filter plates. The total volume is 0.1 mL containing 20 mM HEPES, pH 7.4, 50 μM sodium vanadate, 40 mM magnesium chloride, 10 μM ATP containing 0.5 μCi of [^{32}p]ATP, 20 μg of polyglutamic acid/tyrosine, 1 ng of EGF receptor tyrosine kinase, ard appropriate dilutions of inhibitor (PD158780) and/or ATP. All corrtponents except the ATP are added to the well, and the plate is incubated with shaking for 10 min at 25°C. The reaction is started by adding [^{32}p]ATP, and the plate is incubated with shaking at 25°C for 10 min. The reaction is terminated by the addition of 0.1 mL of 20% TCA, and the plate is kept at 4°C for at least 15 min to allow the substrate to precipitate. The wells are then ished five times with 0.125 mL of 10% TCA, and [^{32}p] incorporation is determined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	All cell lines are maintained as monolayers in dMEM/F12, 50:50 containing 10% fetal bovine serum. For growth inhibition assays, dilutions of the designated compound (PD158780) in 10 μL are placed in 24-well plates followed by the addition of cells in 2 mL of medium. The plates are incubated for 72 hr at 37°C in a humidified atmosphere. Cell growth is determined by counting cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Tumor fragments were implanted sc into the right axilla of mice on day 0. PD158780 is administered intraperitoneally or orally. Tumor growth is monitored[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Neurobiol. 2023 Sep 25.

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REFERENCES

[1]. Fry DW, et al. Biochemical and antiproliferative properties of 4-[ar(alk)ylamino]pyridopyrimidines, a new chemical class of potent and specific epidermal growth factor receptor tyrosine kinase inhibitor. Biochem Pharmacol. 1997 Oct 15;54(8):877-87.

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