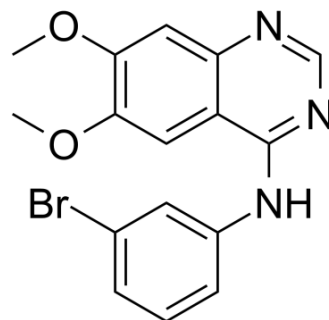


PD153035

Cat. No.:	HY-14346		
CAS No.:	153436-54-5		
Molecular Formula:	C ₁₆ H ₁₄ BrN ₃ O ₂		
Molecular Weight:	360.21		
Target:	EGFR		
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 33.33 mg/mL (92.53 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.7762 mL	13.8808 mL	27.7616 mL
	5 mM	0.5552 mL	2.7762 mL	5.5523 mL
	10 mM	0.2776 mL	1.3881 mL	2.7762 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	PD153035 (SU-5271; AG1517; ZM 252868) is a potent EGFR inhibitor with K _i and IC ₅₀ of 6 and 25 pM, respectively.	
IC₅₀ & Target	EGFR 6 pM (K _i)	EGFR 25 pM (IC ₅₀)
In Vitro	PD153035 (SU 5271) inhibits EGF-stimulated receptor autophosphorylation in A431 human epidermoid carcinoma cells, with an IC ₅₀ of 14 nM ^[1] . PD153035 (SU 5271) has little effect on PDGFR, FGFR, CSF-1 receptor, the insulin receptor, or on src tyrosine kinases at concentrations as high as 50 μM. PD153035 (SU 5271) rapidly suppresses autophosphorylation of the EGF receptor at low nanomolar concentrations in fibroblasts or in human epidermoid carcinoma cells and selectively blocks EGF-mediated cellular processes including mitogenesis, early gene expression, and oncogenic transformation ^[2] . PD153035 (SU 5271) causes a dose-dependent growth inhibition of EGF receptor-positive cell lines, beginning at less than micromolar concentrations, and the IC ₅₀ is less than 1 pM in most cases ^[3] .	

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PD153035 (SU 5271) levels in the plasma and tumor rise to 50 and 22 μ M within 15 minutes following a single i.p. dose of 80 mg/kg. While the plasma levels of PD153035 (SU 5271) falls below 1 μ M by 3 hours, in the tumors it remains at micromolar concentrations for at least 12 hours. The tyrosine phosphorylation of the EGF receptor is rapidly suppressed by 80-90% in the tumors^[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[3]

Different EGF receptor-overexpressing cell lines (A43 1, Difi, MDA-MB-468, MDA-MB-231, DU145, SiHa, C4i, and MEI 80) are treated with PD153035 at increasing concentrations of 0.125-2.5 p.M. Growth inhibitory effect in monolayer cell culture is assessed^[3].

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Animal Administration ^[3]

Mice: Mice are injected with PD153035 (SU 5271) (80 mg/kg) or vehicle and tumors are excised at 20 minutes and 180 minutes and extracts are prepared. Two mice are used for each time point and the experiment is repeated four times. Within each of the four experiments ANOVA is used to compare the inhibition by PD153035 (SU 5271) of the EGF-stimulation^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2018 Jun 5;9(1):2174.
- Gen Comp Endocrinol. 2020 Sep 17;113616.

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REFERENCES

[1]. Bridges AJ, et al. Tyrosine kinase inhibitors. 8. An unusually steep structure-activity relationship for analogues of 4-(3-bromoanilino)-6,7-dimethoxyquinazoline (PD 153035), a potent inhibitor of the epidermal growth factor receptor. J Med Chem. 1996 Jan 5;39(1):267-76.

[2]. Fry DW, et al. A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. Science. 1994 Aug 19;265(5175):1093-5.

[3]. Bos M, et al. 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.

[4]. Kunkel MW, 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: Product has not been fully validated for medical applications. For research use only.

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