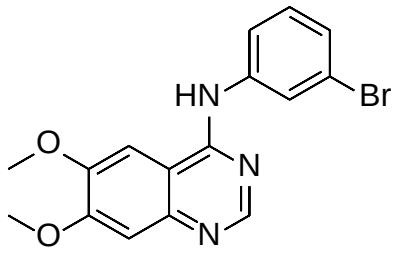
Product data sheet

MedKoo Cat#: 202174 Name: PD-153035 free base CAS: 153436-54-5 (free base) Chemical Formula: $C_{16}H_{14}BrN_3O_2$ Exact Mass: 359.0269 Molecular Weight: 360.211	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PD153035 is a ATP-competitive EGFR inhibitor with an IC50 and Ki of 25 and 6 pM. PD153035 effectively blocks the enhancement of mitogenesis, induction of early gene expression, and oncogenic transformation that occur in response to EGF receptor stimulation. With human fibroblasts and epidermoid carcinoma cells, PD153035 at nanomolar concentrations rapidly inhibits EGFR autophosphorylation. With breast and ovarian cancer cells, PD153035 not only blocks cell growth via inhibition of EGFR, but also upregulates the expression of the tumor suppressor retinoic acid receptor-beta 2 (RAR-beta2).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	0.3	0.83
DMSO	13.78	38.25
DMSO:PBS (pH 7.2) (1:8)	0.11	0.31
Ethanol	0.56	1.54

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.78 mL	13.88 mL	27.76 mL
5 mM	0.56 mL	2.78 mL	5.55 mL
10 mM	0.28 mL	1.39 mL	2.78 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

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. PMID: 9815602.
2. Fry DW, Kraker AJ, McMichael A, Ambroso LA, Nelson JM, Leopold WR, Connors RW, Bridges AJ. A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. Science. 1994 Aug 19;265(5175):1093-5. doi: 10.1126/science.8066447. PMID: 8066447.

In vivo study

Product data sheet

1. Choung S, Kim JM, Joung KH, Lee ES, Kim HJ, Ku BJ. Epidermal growth factor receptor inhibition attenuates non-alcoholic fatty liver disease in diet-induced obese mice. PLoS One. 2019 Feb 8;14(2):e0210828. doi: 10.1371/journal.pone.0210828. PMID: 30735525; PMCID: PMC6368280.
2. Kenessey I, Kramer Z, István L, Cserepes MT, Garay T, Hegedűs B, Dobos J, Tímár J, Tóvári J. Inhibition of epidermal growth factor receptor improves antitumor efficacy of vemurafenib in BRAF-mutant human melanoma in preclinical model. Melanoma Res. 2018 Dec;28(6):536-546. doi: 10.1097/CMR.0000000000000488. PMID: 30124539.

7. Bioactivity

Biological target:

PD153035 (SU-5271; AG1517; ZM 252868) is a potent EGFR inhibitor with Ki and IC50 of 6 and 25 pM.

In vitro activity

A small molecule called PD 153035 inhibited the epidermal growth factor (EGF) receptor tyrosine kinase with a 5-pM inhibition constant. The inhibitor was specific for the EGF receptor tyrosine kinase and inhibited other purified tyrosine kinases only at micromolar or higher concentrations. PD 153035 rapidly suppressed autophosphorylation of the EGF receptor at low nanomolar concentrations in fibroblasts or in human epidermoid carcinoma cells and selectively blocked EGF-mediated cellular processes including mitogenesis, early gene expression, and oncogenic transformation.

Reference: Science. 1994 Aug 19;265(5175):1093-5. https://pubmed.ncbi.nlm.nih.gov/8066447/

In vivo activity

This study investigated whether inhibiting EGFR using the EGFR tyrosine kinase inhibitor (TKI) PD153035 improves NAFLD. These results demonstrate that EGFR was activated in liver tissues from high fat diet (HFD)-induced NAFLD mice. Inhibiting EGFR using PD153035 significantly reduced phosphatidylinositol-3-kinase/protein kinase B signaling and sterol responsive elementary binding protein 1 and 2 expression, which prevented HFD-induced hepatic steatosis and hypercholesterolemia by reducing de novo lipogenesis and cholesterol synthesis and enhancing fatty acid oxidation.

Reference: PLoS One. 2019 Feb 8;14(2):e0210828. https://pubmed.ncbi.nlm.nih.gov/30735525/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.