

Product Data Sheet

Product Name: PD 176252

Cat. No.: GC13768

Chemical Properties

Cas. No. 204067-01-6

Chemical Name (S)-3-(1H-indol-3-yl)-N-((1-(5-methoxypyridin-2-yl)cyclohexyl)methyl)-2-methyl-2-(3-(4-nitrophenyl)ureido)propanamide

SMILES O=C([C@@](CC1=CNC2=CC=CC=C12)(C)NC(NC(C=C3)=CC=C3[N+](O-)=O)=O)NC4(C(C=C5)=NC=C5OC)CCCCC4

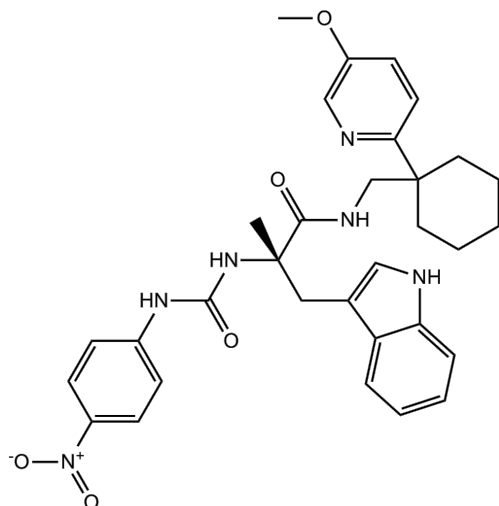
Formula $C_{32}H_{36}N_6O_5$ M.Wt 584.67

Solubility <58.47mg/ml in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment: Growth studies in vitro are conducted using the MTT colorimetric assays. NCI-H1299 cells (104/well) are placed in SIT medium and various concentrations of PD176252 or PD168368 added. After 4 days, MTT is added. After 4 h, 150 μ L of DMSO is added. After 16 h, the optical density at 570 nm is determined[3].

Animal experiment: Mice[3]Female athymic Balb/c nude mice, 4-5 weeks old, are housed in a pathogen-free temperature controlled isolation room, with a diet consisting of autoclaved rodent chow and autoclaved water given ad libitum. NCI-H1299 cells (1×10^7) are injected into the right flank of each mouse by subcutaneous injection. Palpable tumors are observed in approximately 90% of the mice after 1 week. Polyethylene glycol (PEG, 100 μ L) or PD176252 (10 or 1 μ g in 100 μ L of PEG 400) are injected daily by gavage. The tumor volume (height $\times$ width $\times$ depth) is determined weekly by calipers and recorded[3].

References:

- [1]. Ashwood V, et al. PD 176252--the first high affinity non-peptide gastrin-releasing peptide (BB2) receptor antagonist. Bioorg Med Chem Lett. 1998 Sep 22;8(18):2589-94.
- [2]. Schepetkin IA, et al. Gastrin-releasing peptide/neuromedin B receptor antagonists PD176252, PD168368, and related analogs are potent agonists of human formyl-peptide receptors. Mol Pharmacol. 2011 Jan;79(1):77-90.
- [3]. Moody TW, et al. Nonpeptide gastrin releasing peptide receptor antagonists inhibit the proliferation of lung cancer cells. Eur J Pharmacol. 2003 Aug 1;474(1):21-9.

Background

PD176252 is a potent antagonist of neuromedin-B preferring (BB1) and gastrin-releasing peptide-preferring (BB2) receptor with K_{is} of 0.17 nM and 1 nM for human BB1 and BB2 receptors, and 0.66 nM, 16 nM for Rat BB1 and BB2 receptors, respectively; PD176252 is also an agonist of N-Formyl peptide receptor1/2 (FPR1/FPR2), with EC_{50} s of 0.31 and 0.66 μ M in HL-60 cells. PD176252 is a potent antagonist of neuromedin-B preferring (BB1) and gastrin-releasing peptide-preferring (BB2) receptor with K_{is} of 0.17 nM and 1 nM for human BB1 and BB2 receptors, and 0.66 nM, 16 nM for

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Rat BB1 and BB2 receptors, respectively. PD176252 inhibits acidification responses to neuromedin-B or neuromedin-C at the human BB1 or BB2 receptors expressed in CHO cells, with the appKBs of 4.0 nM or 13 nM, and blocks bombesin-evoked increases in intracellular calcium levels in CHO cells stably expressing human BB1 or BB2 receptors, with appKBs of 2.3 nM and 36 nM, respectively. PD176252 is also an agonist of N-Formyl peptide receptor1/2 (FPR1/FPR2), with EC50s of 0.31 and 0.66 μ M in HL-60 cells. PD176252 activates Ca²⁺ mobilization in HL-60 cells transfected with human FPRs (EC50, 0.72 ± 0.21 μ M)[2]. PD176252 inhibits little specific ¹²⁵I-gastrin releasing peptide binding to NCI-H345 cells at 1 nM and suppresses almost all specific bindings at 1000 nM, with an IC50 of 30 nM. PD176252 (10, 30 μ M) significantly inhibits the growth of NCI-H345 or H1299 cells, with IC50s of 7 and 5 μ M[3]. PD176252 (1, 10 μ g, p.o.) potently inhibits the growth of the proliferation of NCI-H1299 xenografts in nude mice[3]. Reference: [1]. Ashwood V, et al. PD 176252--the first high affinity non-peptide gastrin-releasing peptide (BB2) receptor antagonist. *Bioorg Med Chem Lett*. 1998 Sep 22;8(18):2589-94. [2]. Schepetkin IA, et al. Gastrin-releasing peptide/neuromedin B receptor antagonists PD176252, PD168368, and related analogs are potent agonists of human formyl-peptide receptors. *Mol Pharmacol*. 2011 Jan;79(1):77-90. [3]. Moody TW, et al. Nonpeptide gastrin releasing peptide receptor antagonists inhibit the proliferation of lung cancer cells. *Eur J Pharmacol*. 2003 Aug 1;474(1):21-9.

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