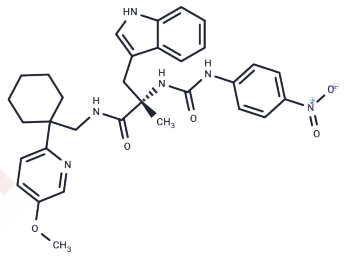
Data Sheet (Cat.No.T16449)

PD176252

Chemical Properties



CAS No. :	204067-01-6
Formula:	C32H36N6O5
Molecular Weight:	584.67
Storage:	Store under nitrogen Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	PD176252 is a potent BB1 and BB2 antagonist with inhibitory effects on BB1 and BB2 receptors.PD176252 acts as a small molecule GRPR inhibitor and FPR1/FPR2 agonist to inhibit the growth and proliferation of a variety of cancer cells.
Targets(IC50)	Bombesin Receptor
In vitro	PD176252 is a potent antagonist of neuromedin-B preferring (BB1) and gastrin-releasing peptide-preferring (BB2) receptors, with Kis of 0.17 nM and 1 nM for human BB1 and BB2, and 0.66 nM and 16 nM for rat BB1 and BB2 receptors, respectively. In CHO cells expressing human BB1 or BB2 receptors, PD176252 inhibits acidification responses to neuromedin-B or neuromedin-C with appKBs of 4.0 nM and 13 nM, respectively. It also blocks bombesin-evoked increases in intracellular calcium levels, with appKBs of 2.3 nM and 36 nM, respectively. Additionally, PD176252 is an agonist of N-Formyl peptide receptor1/2 (FPR1/FPR2), with EC50s of 0.31 and 0.66 μM in HL-60 cells, activating Ca2+ mobilization in HL-60 cells transfected with human FPRs (EC50, 0.72 ± 0.21 μM)[2]. PD176252 shows little specific 125I-gastrin releasing peptide binding to NCI-H345 cells at 1 nM but suppresses almost all specific binding at 1000 nM, with an IC50 of 30 nM. Furthermore, PD176252 (10, 30 μM) significantly inhibits the growth of NCI-H345 or H1299 cells, with IC50s of 7 and 5 μM[1].
In vivo	Orally administered PD176252 (1, 10 μg) potently inhibits the growth and proliferation of NCI-H1299 xenografts in nude mice[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (171.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (8.55 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7104 mL	8.5518 mL	17.1037 mL
5 mM	0.3421 mL	1.7104 mL	3.4207 mL
10 mM	0.171 mL	0.8552 mL	1.7104 mL
50 mM	0.0342 mL	0.171 mL	0.3421 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.
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.