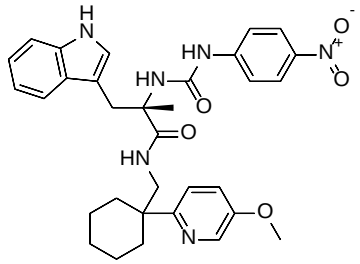
Product data sheet

MedKoo Cat#: 525902 Name: PD-176252 CAS: 204067-01-6 Chemical Formula: $C_{32}H_{36}N_6O_5$ Exact Mass: 584.2747 Molecular Weight: 584.677	
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:
PD-176252 is a non-peptide gastrin-releasing peptide receptor (GRP-R, BB2) and neuromedin B receptor (NMB-R, BB1) antagonist (Ki values are 0.17 and 1.0 nM for BB1 and BB2 respectively). It inhibits proliferation of rat C6 glioma cells (IC50 = 2 μM) and inhibits NCI-H1299 xenograft proliferation in nude mice (IC50 = 5 μM).

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
DMSO	86.74	148.35

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.71 mL	8.55 mL	17.10 mL
5 mM	0.34 mL	1.71 mL	3.42 mL
10 mM	0.17 mL	0.86 mL	1.71 mL
50 mM	0.03 mL	0.17 mL	0.34 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. Moody TW, Leyton J, Garcia-Marin L, Jensen RT. Nonpeptide gastrin releasing peptide receptor antagonists inhibit the proliferation of lung cancer cells. Eur J Pharmacol. 2003 Aug 1;474(1):21-9. doi: 10.1016/s0014-2999(03)01996-4. PMID: 12909192.
2. Ashwood V, Brownhill V, Higginbottom M, Horwell DC, Hughes J, Lewthwaite RA, McKnight AT, Pinnock RD, Pritchard MC, Suman-Chauhan N, Webb C, Williams SC. 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. doi: 10.1016/s0960-894x(98)00462-4. PMID: 9873586.

In vivo study

1. Merali Z, Bédard T, Andrews N, Davis B, McKnight AT, Gonzalez MI, Pritchard M, Kent P, Anisman H. Bombesin receptors as a novel anti-anxiety therapeutic target: BB1 receptor actions on anxiety through alterations of serotonin activity. J Neurosci. 2006 Oct 11;26(41):10387-96. doi: 10.1523/JNEUROSCI.1219-06.2006. PMID: 17035523; PMCID: PMC6674684.
2. Moody TW, Leyton J, Garcia-Marin L, Jensen RT. Nonpeptide gastrin releasing peptide receptor antagonists inhibit the proliferation of lung cancer cells. Eur J Pharmacol. 2003 Aug 1;474(1):21-9. doi: 10.1016/s0014-2999(03)01996-4. PMID: 12909192.

7. Bioactivity
Biological target:

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PD176252 is a potent antagonist of neuromedin-B preferring (BB1) and gastrin-releasing peptide-preferring (BB2) receptor with Kis 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.

In vitro activity

This paper describes the development of a novel series of non-peptide, "balanced" neuromedin-B preferring (BB1)/gastrin-releasing peptide preferring (BB2) receptor ligands as exemplified by PD 176252. PD 176252, which exhibits nanomolar affinity for both the BB1 (Ki = 0.15 nM) and BB2 (Ki = 1.0 nM) receptors, has been demonstrated to be a competitive antagonist at these bombesin receptor subtypes.

Reference: Bioorg Med Chem Lett. 1998 Sep 22;8(18):2589-94. https://pubmed.ncbi.nlm.nih.gov/9873586/

In vivo activity

The effects of PD 176252 [3-(1H-indol-3-yl)-N-[1-(5-methoxy-pyridin-2-yl)-cyclohexylmethyl]-2-methyl-2-[3-(nitro-phenyl)ureido]propionamide], a nonpeptide bombesin (BB) BB1/BB2 receptor antagonist, were assessed in rats using several ethologically relevant tests of anxiety. When administered directly to the dorsal raphé nucleus (DRN), PD 176252 (20-500 ng) increased social interaction under aversive conditions, as did the 5-HT1A receptor agonist 8-hydroxy-2(di-n-propylamino)tetralin (50 ng). Furthermore, intra-DRN microinfusion of the peptide antagonist (PD 176252) suppressed, whereas its agonist [neuromedin B (NMB)-30] promoted, the in vivo release of 5-HT in the ventral hippocampus.

Reference: J Neurosci. 2006 Oct 11;26(41):10387-96. https://pubmed.ncbi.nlm.nih.gov/17035523/