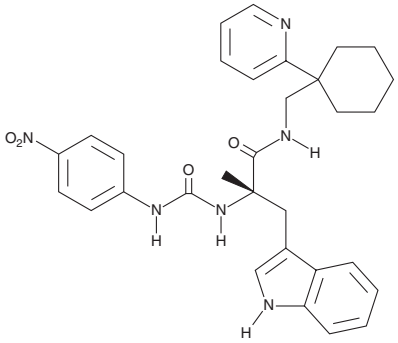
PRODUCT INFORMATION

PD 168368

Item No. 17920

CAS Registry No.:	204066-82-0
Formal Name:	(αS)-α-methyl-α-[[[(4-nitrophenyl) amino]carbonyl]amino]-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-1H-indole-3-propanamide
MF:	$C_{31}H_{34}N_6O_4$
FW:	554.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 221, 283, 291, 331 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PD 168368 is supplied as a crystalline solid. A stock solution may be made by dissolving the PD 168368 in the solvent of choice, which should be purged with an inert gas. PD 168368 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PD 168368 in these solvents is approximately 30 and 10 mg/ml, respectively.

PD 168368 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PD 168368 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PD 168368 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PD 168368 is a competitive antagonist of neuromedin B (NMB) receptors (K_is = 15-45 nM for rat and human receptors expressed in various cell lines).[1,2] It blocks the elevation of intracellular calcium and release of inositol phosphate induced by NMB in cells expressing NMB receptors.[1] PD 168368 is selective for NMB receptors over those for related peptide agonists, including bombesin and gastrin-releasing peptide. It is also an agonist of formyl-peptide receptors (FPRs) at higher concentrations (EC_{50}s = 0.57 and 0.24 µM for FPR1 and FPR2, respectively).[3] PD 168368 induces cell cycle arrest and apoptosis in MDA-MB-231 breast cancer cells and blocks neovascularization and cancer cell growth in breast cancer xenograft tumors in mice.[4]

References

1. Ryan, R.R., Katsuno, T., Mantey, S.A., *et al.* Comparative pharmacology of the nonpeptide neuromedin B receptor antagonist PD 168368. *J. Pharmacol. Exp. Ther.* **290(3)**, 1202-1211 (1999).
2. Tokita, K., Hocart, S.J., Katsuno, T., *et al.* Tyrosine 220 in the 5th transmembrane domain of the neuromedin B receptor is critical for the high selectivity of the peptoid antagonist PD168368. *J. Biol. Chem.* **276(1)**, 495-504 (2016).
3. Schepetkin, I.A., Kirpotina, L.N., Khlebnikov, A.I., *et al.* Gastrin-releasing peptide/neuromedin B receptor antagonists PD176252, PD168368, and related analogs are potent agonists of human formyl-peptide receptors. *Mol. Pharmacol.* **79(1)**, 77-90 (2011).
4. Park, H.J., Kim, S.R., Kim, M.K., *et al.* Neuromedin B receptor antagonist suppresses tumor angiogenesis and tumor growth *in vitro* and *in vivo*. *Cancer Lett.* **312(1)**, 117-127 (2011).

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