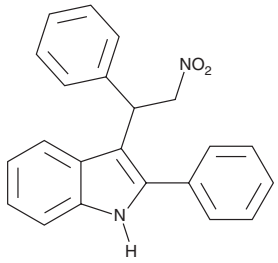
PRODUCT INFORMATION

GAT211

Item No. 24484

CAS Registry No.:	102704-40-5
Formal Name:	3-(2-nitro-1-phenylethyl)-2-phenyl-1H-indole
MF:	$C_{22}H_{18}N_2O_2$
FW:	342.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 300 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

GAT211 is supplied as a crystalline solid. A stock solution may be made by dissolving the GAT211 in the solvent of choice, which should be purged with an inert gas. GAT211 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GAT211 in these solvents is approximately 5, 10, and 25 mg/ml, respectively.

GAT211 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GAT211 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GAT211 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GAT211 is an agonist and positive allosteric modulator (PAM) of cannabinoid receptor 1 (CB_1) and a racemic mixture of GAT228 (Item No. 24485) and GAT229 (Item No. 24486), which have enantiomer-specific activities.[1] GAT211 increases β-arrestin recruitment and cAMP inhibition in HEK293A cells expressing GFP-tagged human CB_1 (hCB_1-GFP) in a concentration-dependent manner. It also enhances the binding of the CB_1 full agonist CP 55,940 to CHO cells expressing hCB_1, as well as the activity of 2-arachidonoyl glycerol (2-AG; Item No. 62160), arachidonoyl ethanolamide (AEA; Item No. 90050), and CP 55,940 in arrestin2 recruitment assays and increases ERK1/2 and PLCβ3 phosphorylation in HEK293 cells expressing hCB_1. It inhibits excitatory postsynaptic currents (EPSCs) in a subset of CB_1-expressing murine autaptic hippocampal neurons when used at a concentration of 1 µM.[2] GAT211 also decreases mechanical hypersensitivity in wild-type (EC_{50} = 9.75 mg/kg), but not CB_1 knockout, mice in a model of inflammatory pain induced by complete Freund's adjuvant (CFA), and decreases mechanical and cold allodynia in a mouse model of paclitaxel-induced neuropathic pain when used at doses of 10 and 20 mg/kg per day.[3]

References

1. Laprairie, R.B., Kulkarni, P.M., Deschamps, J.R., *et al.* Enantiospecific allosteric modulation of cannabinoid 1 receptor. *ACS Chem Biol.* **8(6)**, 1188-1203 (2017).
2. Mitjavila, J., Yin, D., Kulkarni, P.M., *et al.* Enantiomer-specific positive allosteric modulation of CB_1 signaling in autaptic hippocampal neurons. *Pharmacol. Res.* **129**, 475-481 (2018).
3. Slivicki, R.A., Xu, Z., Kulkarni, P.M., *et al.* Positive allosteric modulation of CB1 suppresses pathological pain without producing tolerance or dependence. *Biol. Psychiatry* **84(10),** 722-733 (2018).

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