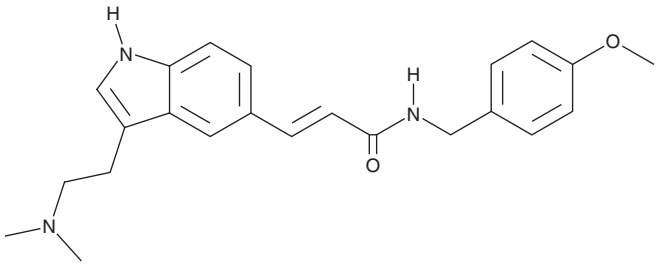
PRODUCT INFORMATION

GR46611

Item No. 42235

CAS Registry No.:	185259-85-2
Formal Name:	3-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-N-[(4-methoxyphenyl)methyl]-2-propenamide
MF:	$C_{23}H_{27}N_3O_2$
FW:	377.5
Purity:	≥98%
Supplied as:	A 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

GR46611 is supplied as a solid. A stock solution may be made by dissolving the GR46611 in the solvent of choice, which should be purged with an inert gas. GR46611 is soluble (≥10 mg/ml) in DMSO and slightly sparingly soluble (1-10 mg/ml) in ethanol.

Description

GR46611 is an agonist of the serotonin (5-HT) receptor subtype 5-HT_{1D}.[1] It induces cAMP accumulation in CHO cells expressing the human receptor when used at a concentration of 10 µM. It also binds to 5-HT_{1A} and 5-HT_{1B} receptors (K_is = 1.3 and 0.2 nM, respectively). GR46611 (50 µmol/kg) induces hypothermia and decreases hypothalamus and prefrontal cortex levels of 5-hydroxyindoleacetic acid (5-HIAA) in guinea pigs. It potentiates increases in locomotor activity induced by buspirone or 8-hydroxy DPAT (Item No. 22608) in guinea pigs when administered at a dose of 2.5 mg/kg.[2] GR46611 decreases the number of conditioned responses in an autoshaping learning task in mice when administered after training at a dose of 10 mg/kg, indicating impaired memory consolidation.[3]

References

1. Barf, T.A., de Boer, P., Wikström, H., *et al.* 5-HT_{1D} receptor agonist properties of novel 2-[5-[[(trifluoromethyl)sulfonyl]oxy]indolyl]ethylamines and their use as synthetic intermediates. *J. Med. Chem.* **39(24)**, 4717-4726 (1996).
2. O'Neill, M.F. and Sanger, G.J. GR46611 potentiates 5-HT_{1A} receptor-mediated locomotor activity in the guinea pig. *Eur. J. Pharmacol.* **370(2)**, 85-92 (1999).
3. Meneses, A., Terrón, J.A., and Hong, E. Effects of the 5-HT receptor antagonists GR127935 (5-$HT_{1B/1D}$) and MDL100907 (5-HT_{2A}) in the consolidation of learning. *Behav. Brain Res.* **89(1-2)**, 217-223 (1997).

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