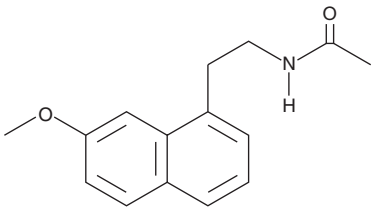
PRODUCT INFORMATION

Agomelatine

Item No. 13203

CAS Registry No.: 138112-76-2
Formal Name: N-[2-(7-methoxy-1-naphthalenyl) ethyl]-acetamide
Synonym: S20098
MF: $C_{15}H_{17}NO_2$
FW: 243.3
Purity: ≥98%
UV/Vis.: λ_{max}: 231, 276, 287, 332 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

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

Agomelatine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, agomelatine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Agomelatine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Agomelatine is an agonist of melatonin (MT) receptors and a derivative of melatonin (Item No. 14427).[1] It binds to MT_1 and MT_2 receptors (K_is = 0.14 and 0.41 nM, respectively) and has an EC_{50} value of 0.1 nM in a [^{35}S]GTPγS binding assay using CHO cells expressing MT_2 receptors. Agomelatine is also an antagonist of the serotonin (5-HT) receptor subtypes 5-HT_{2B} and 5-HT_{2C} (K_is = 0.26 and 0.71 nM, respectively, for the human receptors).[2] Agomelatine (40 mg/kg) inhibits the penile erection response induced by the 5-HT_2 agonist Ro 60-0175 (Item No. 29500) in rats. It also increases extracellular levels of noradrenaline and dopamine in the frontal cortex of freely moving rats when administered at doses ranging from 20 to 80 mg/kg. Agomelatine (10 mg/kg) reduces immobility time in the forced swim test and increases the amount of time spent in the open arms of the elevated plus maze in mice, indicating antidepressant-like and anxiolytic-like activity, in a transgenic neuroendocrine model of depression.[3] It also increases the rate of readjustment to circadian activity cycles following an induced phase shift.

References

1. Poissonnier-Durieux, S., Ettaoussi, M., Pérès, B., *et al.* Synthesis of 3-phenylnaphthalenic derivatives as new selective MT_2 melatoninergic ligands. *Bioorg. Med. Chem.* **16(18)**, 8339-8348 (2008).
2. Millan, M.J., Gobert, A., Lejeune, F., *et al.* The novel melatonin agonist agomelatine (S20098) is an antagonist at 5-hydroxytryptamine$_{2C}$ receptors, blockade of which enhances the activity of frontocortical dopaminergic and adrenergic pathways. *J. Pharmacol. Exp. Ther.* **306(3)**, 954-964 (2003).
3. Barden, N., Shink, E., Labbé, M., *et al.* Antidepressant action of agomelatine (S 20098) in a transgenic mouse model. *Prog. Neuropsychopharmacol. Biol. Psychiatry* **29(6)**, 908-916 (2005).

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