

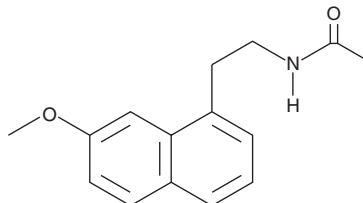
# PRODUCT INFORMATION



## Agomelatine

Item No. 13203

**CAS Registry No.:** 138112-76-2  
**Formal Name:** N-[2-(7-methoxy-1-naphthalenyl)ethyl]-acetamide  
**Synonym:** S20098  
**MF:** C<sub>15</sub>H<sub>17</sub>NO<sub>2</sub>  
**FW:** 243.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 231, 276, 287, 332 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥2 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).<sup>1</sup> It binds to MT<sub>1</sub> and MT<sub>2</sub> receptors (K<sub>i</sub>s = 0.14 and 0.41 nM, respectively) and has an EC<sub>50</sub> value of 0.1 nM in a [<sup>35</sup>S]GTPγS binding assay using CHO cells expressing MT<sub>2</sub> receptors. Agomelatine is also an antagonist of the serotonin (5-HT) receptor subtypes 5-HT<sub>2B</sub> and 5-HT<sub>2C</sub> (K<sub>i</sub>s = 0.26 and 0.71 nM, respectively, for the human receptors).<sup>2</sup> Agomelatine (40 mg/kg) inhibits the penile erection response induced by the 5-HT<sub>2</sub> 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.<sup>3</sup> 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<sub>2</sub> melatonergic 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<sub>2C</sub> 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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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