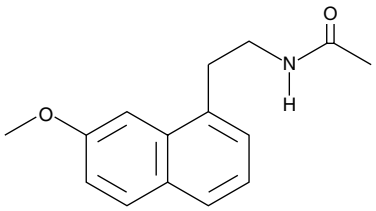
Product Information

Agomelatine

Item No. 13203

CAS Registry No.: 138112-76-2
Formal Name: N-[2-(7-methoxy-1-naphthalenyl) ethyl]-acetamide
Synonym: Valdoxan®
MF: $C_{15}H_{17}NO_2$
FW: 243.3
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that agomelatine be stored as supplied at -20°C. It should be stable for at least two years.

Agomelatine is supplied as a crystalline solid. A stock solution may be made by dissolving the agomelatine in an organic solvent 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.

Melatonin, produced in the pineal gland, is an endogenous regulator of circadian rhythms. It acts through the melatonin receptors (MT_1 and MT_2) and has been shown to have anti-depressant activity in experimental models of clinical depression. Agomelatine is a metabolically stable analog of melatonin that displays agonist activity for MT_1 and MT_2 binding with nanomolar affinity.[1] However, unlike melatonin, agomelatine is a competitive antagonist of human and porcine serotonin (5-HT_{2C}) receptors (pK_i = 6.2 and 6.4, respectively) as well as human 5-HT_{2B} receptors (pK_i = 6.6). Agomelatine abolishes 5-HT_{2C} agonist effects both in cells and *in vivo*. It also dose-dependently increases extracellular levels of noradrenaline and dopamine in the frontal cortex of freely moving rats.[2]

References

1. Yous, S., Andrieux, J., Howell, H.E., *et al*. Novel naphthalenic ligands with high affinity for the melatonin receptor. *J. Med. Chem*. **35(8)**, 1484-1486 (1992).
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).

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