

PRODUCT INFORMATION

Agomelatine-d₆

Item No. 26447

CAS Registry No.: 1079389-42-6

Formal Name: N-[2-[7-(methoxy-d₃)-1-naphthalenyl]ethyl]-acetamide-2,2,2-d₃

MF: C₁₅H₁₁D₆NO₂

FW: 249.3

Chemical Purity: 98% (Agomelatine)

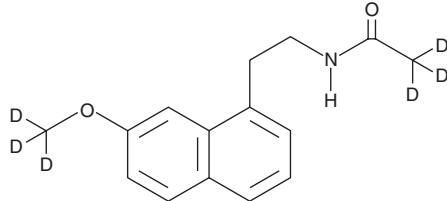
Deuterium

Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀

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

Agomelatine-d₆ is intended for use as an internal standard for the quantification of agomelatine (Item No. 13203) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Agomelatine-d₆ is supplied as a solid. A stock solution may be made by dissolving the agomelatine-d₆ in the solvent of choice, which should be purged with an inert gas. Agomelatine-d₆ is soluble in the organic solvent methanol.

Description

Agomelatine is an agonist of melatonin (MT) receptors and a derivative of melatonin (Item No. 14427).¹ It binds to MT₁ and MT₂ receptors (K_is = 0.14 and 0.41 nM, respectively) and has an EC₅₀ value of 0.1 nM in a [³⁵S]GTP_γS binding assay using CHO cells expressing MT₂ 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-HT₂ agonist Ro 60-0175 (Item No. 29520) 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, 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 MT₂ 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_{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).

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.