

Suitably Substituted Phenylalkylamides with Melatonergic Action: Modified Release Studies from Matrix Tablets

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Abstract:

Melatonin (N-acetyl-5-methoxytryptamine), a hormone synthesized and excreted by the pineal gland, has a regulatory role in the onset and maintenance of sleep in vertebrates and mammals, including humans. In the context of this work, matrix tablets containing potent synthetic MLT receptor derivatives, were designed and developed. These analogues, besides not affecting the binding affinity, compared to the pineal hormone MLT, also slow down their metabolism, which is a major drawback of MLT (<http://dx.doi.org/10.1039/C8MD00604K> PMID: 31191854). Results indicated that the release profiles of these molecules and MLT, from the same formulations were similar. While some of these systems could address issues related to sleep onset, others might be useful in dealing with both sleep onset and sleep maintenance dysfunctions. The primary factor influencing the bimodal release profile of the novel analogues is the distinct spatial arrangement of their side chains, which is independent of the type and relative content of the excipients used.

Keywords:

melatonin, synthetic melatonergics, tablets, dissolution, modified drug release.