

Product Data Sheet

Product Name: Ramelteon metabolite M-II

Cat. No.: GC37070

Chemical Properties

Cas. No. 896736-21-3

SMILES CC(O)C(NCC[C@@H]1CCC2=CC=C3OCCC3=C12)=O

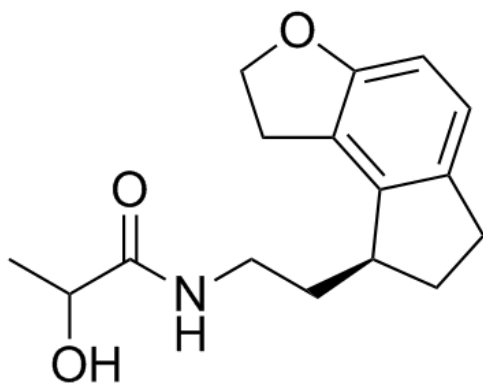
Formula $C_{16}H_{21}NO_3$ M.Wt 275.34

Solubility DMSO : 100 mg/mL (363.19 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Ramelteon metabolite M-II is the major metabolite of Ramelteon, with IC₅₀s of 208 pM, 1470 pM for human melatonin receptors (MT1 or MT2). Ramelteon is a selective melatonin agonist.

Caution: Product has not been fully validated for medical applications. For research use only.

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The affinity of Ramelteon metabolite M-II (M-II) for MT1 receptors is 10- and 2.5-fold lower than that of ramelteon and melatonin, respectively. Likewise, the affinity of M-II for MT2 receptors is approximately 5- and 1.5-fold lower than that of ramelteon and melatonin, respectively. Ramelteon metabolite M-II exhibits no affinity for quinone reductase 2 at concentrations up to 10 μ M. Moreover, the selectivity of Ramelteon metabolite M-II for melatonin receptors relative to 215 targets including other receptors, transporters, ion channels and enzymes is investigated. Ramelteon metabolite M-II shows no significant affinities and activities for the other targets, except for the 5-HT_{2B} receptor, for which the K_i value was 1.75 ± 0.23 μ M. The potency of Ramelteon metabolite M-II for MT1 receptors is approximately 17- and 4.3-fold lower than that of ramelteon and melatonin, respectively. Similarly, the potency of Ramelteon metabolite M-II for MT2 receptors is approximately 28- and 1.6-fold lower than that of ramelteon and melatonin, respectively[1].

Ramelteon metabolite M-II (1 mg/kg) significantly increases NREM sleep ($F_{1,7}=96.3$, $p<0.01$) and significantly decreases wakefulness ($F_{1,7}=56.7$, $p<0.01$). Moreover, a lower dose of M-II (0.1 mg/kg) yield similar results (NREM, $F_{1,7}=121.9$, $p<0.01$; wakefulness, $F_{1,7}=87.0$, $p<0.01$), and decreased wakefulness is sustained for 6 h after the administration of either dose. After the administration of 0.01 mg/kg Ramelteon metabolite M-II, only NREM sleep is significantly increased ($F_{1,7}=10.5$, $p<0.05$). No significant differences in REM sleep are observed after the administration of M-II at any of the doses tested in this study[1].

[1]. Nishiyama K, et al. Pharmacological characterization of M-II, the major human metabolite of ramelteon. *Pharmacology*. 2014;93(3-4):197-201.

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