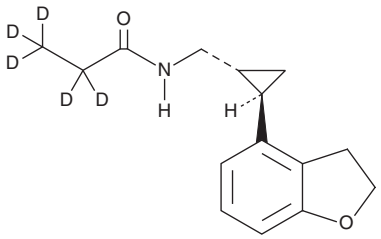
PRODUCT INFORMATION

Tasimelteon-d_5

Item No. 31771

CAS Registry No.: 1962124-51-1
Formal Name: N-[[(1R,2R)-2-(2,3-dihydro-4-benzofuranyl) cyclopropyl]methyl]-propanamide-2,2,3,3,3-d_5
Synonym: BMS 214778-d_5
MF: $C_{15}H_{14}D_5NO_2$
FW: 250.4
Chemical Purity: ≥98% (Tasimelteon)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
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

Tasimelteon-d_5 is intended for use as an internal standard for the quantification of tasimelteon (Item No. 23546) 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).

Tasimelteon-d_5 is supplied as a solid. A stock solution may be made by dissolving the tasimelteon-d_5 in the solvent of choice, which should be purged with an inert gas. Tasimelteon-d_5 is soluble in methanol, DMSO, dimethyl formamide, and acetonitrile.

Description

Tasimelteon is a melatonin (MT) receptor agonist.[1] It selectively binds MT_1 and MT_2 receptors (K_is = 0.304 and 0.069 nM, respectively, in NIH3T3 cells expressing the recombinant human receptors) over a panel of 160 additional receptors and enzymes at 10 µM. Tasimelteon inhibits forskolin-induced cAMP accumulation with EC_{50} values of 0.79 and 1 nM in NIH3T3 cells expressing the MT_1 or MT_2 receptor, respectively. Formulations containing tasimelteon have been used in the treatment of non-24-hour sleep-wake disorder.

Reference

1. Lavedan, C., Forsberg, M., and Gentile, A.J. Tasimelteon: A selective and unique receptor binding profile. N*europharmacology* **91**, 142-147 (2015).

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