Melatonin Receptor

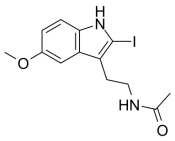
Melatonin Receptor is a G protein-coupled receptor (GPCR) which binds melatonin. Three types of melatonin receptor have been cloned. The MT1 and MT2 receptor subtypes are present in humans and other mammals, while an additional melatonin receptor subtype MT3 has been identified in amphibia and birds. The MT1 subtype's expression in the pars tuberalis of the pituitary gland and suprachiamatic nuclei of the hypothalamus is indicative of melatonin's circadian and reproductive functional involvement. The MT2 subtype's expression in the retina is suggestive of melatonin's effect on the mammalian retina occurring through this receptor. Research suggests that melatonin acts to inhibit the Ca2+-dependent release of dopamine. Melatonin's action in the retina is believed to affect several light-dependent functions, including phagocytosis and photopigment disc shedding.

Melatonin Receptor Agonists, Antagonists & Activators

2-Iodomelatonin

Cat. No.: HY-101176

2-Iodomelatonin is a potent agonist of **melatonin receptor 1 (MT1)** with a K_i value of 28 pM, it is more 5-fold selective for MT_1 over MT_2. 2-iodomelatonin can be used to identify, characterize and localize melatonin binding sites in the brain and peripheral tissues.

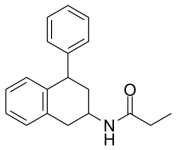


Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

4-P-PDOT

Cat. No.: HY-100609

4-P-PDOT is a potent, selective and affinity **Melatonin receptor (MT2)** antagonist. 4-P-PDOT is >300-fold more selective for **MT2** than MT1.



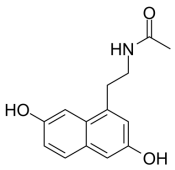
Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

7-Desmethyl-3-hydroxyagomelatine

(3-Hydroxy-7-desmethyl agomelatine)

Cat. No.: HY-133112

7-Desmethyl-3-hydroxyagomelatine (3-Hydroxy-7-desmethyl agomelatine), a metabolite of Agomelatine, has less activity than Agomelatine. Agomelatine is a **melatonergic** (**MT1** and **MT2**) agonist and **serotonergic** (**5HT2C**) antagonist.



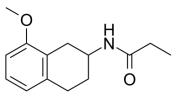
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

8-M-PDOT

(AH-002)

Cat. No.: HY-101358

8-M-PDOT (AH-002) is a selective **melatonin MT2 receptor** agonist. 8-M-PDOT is 5.2-fold selective for **MT2** over MT1 receptors. 8-M-PDOT binds human recombinant **MT2** and MT2 receptors with pKi values of 8.23 and 8.95 respectively. 8-M-PDOT has anxiolytic-like activity.



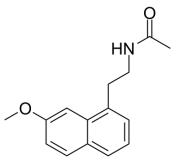
Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg

Agomelatine

(S-20098)

Cat. No.: HY-17038

Agomelatine (S-20098) is a specific agonist of **MT1** and **MT2** receptors with K_is of 0.1, 0.06, 0.12, and 0.27 nM for CHO-hMT1, HEK-hMT1, CHO-hMT2, and HEK-hMT2, respectively.



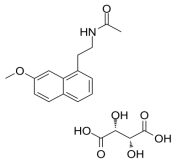
Purity: 98.77%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Agomelatine (L(+)-Tartaric acid)

(S-20098 L(+)-Tartaric acid)

Cat. No.: HY-17038B

Agomelatine L(+)-Tartaric acid (S-20098 L(+)-Tartaric acid) is a specific agonist of **MT1** and **MT2** receptors with K_is of 0.1, 0.06, 0.12, and 0.27 nM for CHO-hMT1, HEK-hMT1, CHO-hMT2, and HEK-hMT2, respectively.



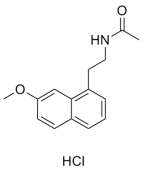
Purity: 99.82%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Agomelatine hydrochloride

(S-20098 hydrochloride)

Cat. No.: HY-17038A

Agomelatine hydrochloride (S-20098 hydrochloride) is a specific agonist of **MT1** and **MT2** receptors with K_is of 0.1, 0.06, 0.12, and 0.27 nM for CHO-hMT1, HEK-hMT1, CHO-hMT2, and HEK-hMT2, respectively.



HCl

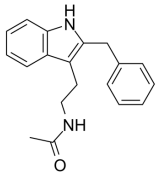
Purity: 99.49%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Luzindole

(N-0774)

Cat. No.: HY-101254

Luzindole (N-0774) is a selective **melatonin receptor** antagonist. Luzindole preferentially targets MT2 (Mel_{1b}) over MT1 (Mel_{1a}) with K_i values of 10.2 and 158 nM for human MT2 and MT1, respectively.



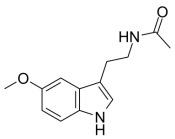
Purity: 100.00%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Melatonin

(N-Acetyl-5-methoxytryptamine)

Cat. No.: HY-B0075

Melatonin is a hormone made by the pineal gland that can activates **melatonin receptor**. Melatonin plays a role in sleep and possesses important antioxidative and anti-inflammatory properties.



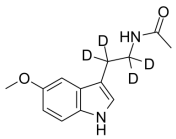
Purity: 99.47%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

Melatonin D4

(N-Acetyl-5-methoxytryptamine D4)

Cat. No.: HY-B0075S

Melatonin D4 is deuterium labeled Melatonin. Melatonin is a hormone made by the pineal gland that can activates melatonin receptor. Antioxidative and anti-inflammatory properties.



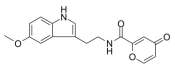
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Piromelatine

(Neu-P11) **Cat. No.:** HY-105285

Piromelatine (Neu-P11) is a **melatonin MT_1/MT_2** receptor agonist, **serotonin $5\text{-}HT_{1A}/5\text{-}HT_{1D}$** agonist, and **serotonin $5\text{-}HT_{2B}$** antagonist.

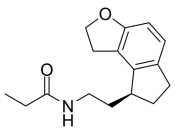


Purity: 99.21%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Ramelteon

(TAK-375) **Cat. No.:** HY-A0014

Ramelteon is a highly potent and selective **melatonin** receptor agonist with K_i values of 14 and 112 pM for human melatonin1 and melatonin2.

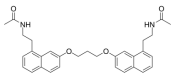


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg

S26131

Cat. No.: HY-122136

S26131 (compound 5) is a potent and selective **MT1** melatoninergic ligand, and the K_i values are 0.5 and 112 nM for MT1 and MT2, respectively. S26131 behaves as an MT1 and MT2 antagonist.

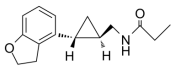


Purity: 99.30%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Tasimelteon

(BMS-214778; VEC-162) **Cat. No.:** HY-14803

Tasimelteon (BMS-214778) is an orally active and selective **dual melatonin receptor agonist (DMRA)**. Tasimelteon has 2.1-4.4 times greater affinity for the MT2 receptor than for the MT1 receptor.

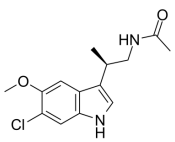


Purity: 99.58%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TIK-301

(PD-6735; LY-156735) **Cat. No.:** HY-106136

TIK-301 (PD-6735) is a chlorinated melatonin derivative and a potent, high-affinity and orally active **melatonin MT_1** and **MT_2 receptors** agonist with K_is of 0.081 nM and 0.042 nM, respectively.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg