Melatonin Agonists

Melatonin agonists activate melatonin receptors in the hypothalamus to induce sleep and circadian rhythm alignment. Examples of these drugs include Ramelteon, Tasimelteon, and Agomelatine. Common indications are insomnia and circadian rhythm disorders. Side effects include dizziness, headache, and fatigue. These drugs do not cause dependence. Patients should avoid fluvoxamine while taking melatonin agonists because it can reduce bioavailability.



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Mechanism of Action

Activate Melatonin Receptors in Hypothalamus

Active Melon-tonic Receptor Hippo-thor

Melatonin or 5-methoxy-N-acetyltryptamine is a hormone that is produced by the pineal gland and locally by the retina. It's secreted based on circadian rhythm; the level will be high at night and low during the day. The suprachiasmatic nucleus regulates this rhythmic secretion in the hypothalamus. Melatonin agonists works by binding G-coupled receptors MT1 and MT2. Understanding this receptor as a targeted therapy brings more studies on the relationship between melatonin agonist receptors and certain conditions, including insomnia, circadian rhythms, cancer, and mood disorders.

Drugs

Ramelteon

RAM-melting

Ramelteon has a high affinity to bind receptor MT1 and MT2 over receptor MT3. It is approved for sleep initiation and not sleep maintenance for chronic insomnia patients. Ramelteon doesn't have significant affinity binding to the GABA receptor and other receptors. These include receptors that bind neuropeptides, cytokines, serotonin, dopamine, noradrenaline, acetylcholine, and opiates.

Tasimelteon

Tassels-melting

Tasimelteon is a high affinity, non-selective MT1/MT2 receptor, and is indicated for Non24-Hour Sleep-Wake Disorder. Non24-Hour Sleep-Wake Disorder is classified as Circadian Rhythm Sleep Disorder (CSRD) characterized by the biological clock's failure to synchronize 24 hours cycle, often found in total blindness patients.

Agomelatine

Dragon-melting

Agomelatine is a non-selective MT1/MT2 receptor agonist and weak serotonin-2C (5-HT2C) antagonist used to treat depression.

Indication

Insomnia

Taped-awake-insomniac

Insomnia is a condition characterized by sleeping problems, including difficulty falling asleep, staying asleep,waking up too early in the morning, and/or waking up often during the night. Treatment of insomnia should begin with cognitive-behavioral therapies as the initial treatment then can continue with pharmacotherapy. Ramelteon is used in insomnia patients besides short-intermediate acting benzodiazepine agonists and other possible medications.

Circadian Rhythm Disorders

Cicada Rhythm-drum Disordered

Circadian rhythm disorders are also known as Sleep-Wake Cycle Disorders. They are related to discordance between endogenous circadian rhythm and exogenous factors that affect sleep timing resulting in disturbance of daily life. Conditions that are included in this group are advanced or delayed sleep-wake

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phase disorder, irregular sleep-wake disorder, shift-work or jet lag disorder, and non24-hour sleep-wake disorder.

Side Effects

Dizziness
Dizzy-eyes
Dizziness is a side effect that can be seen in patients taking melatonin receptor agonists.

Headache
Headache-lump
Patients taking melatonin receptor agonists can notice headaches.

Fatigue
Sleepy-guy
Fatigue has been reported as a side effect in patients taking melatonin receptor agonists.

Considerations

No Dependence
No-sign Dependence-ball
These drugs have no dependence nor addictive potential. This characteristic differs from other insomnia treatments, especially benzodiazepines.

Avoid Fluvoxamine
Avoid-sign Flute-fox
Fluvoxamine is a potent CYP1A2 inhibitor, increasing the amount of these drugs in the blood by increasing its AUC0-inf and Cmax. CYP1A2 is the major isozyme that involves in this metabolism. By inhibiting this, metabolism will decrease, and the concentration of the drug will increase.

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