

Belsomra® (suvorexant)

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Manufacturer: Merck & CO, Inc.

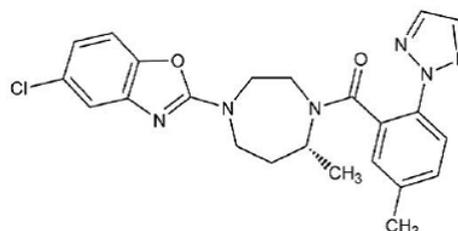
Chemical Name: [(7R)-4-(5-chloro-2-benzoxazolyl) hexahydro-7-methyl-1H-1,4-diazepin-1-yl] [5-methyl-2-(2H-1,2,3-triazol-2-yl) phenyl] methanone

Molecular Formula: C₂₃H₂₃ClN₆O₂ with MW = 450.92

CAS #: 1030377-33-3

Half-Life T_{1/2}: ~12 hours

Volume of Distribution = 49 L



FDA Approval: August 13, 2014 Schedule IV controlled substance

Use: sedative hypnotic for treatment of insomnia that is characterized by difficulty falling asleep and staying asleep

Dose: 5 mg, 10 mg, 15 mg, 20 mg. Initial dose of 10 mg, taken once a night within 30 minutes of going to bed, with at least 7 hours before the planned time to wake up. The maximum recommended dose is 20 mg once daily.

Mechanism of Action: antagonist of orexin receptors. Orexin neuropeptide signaling system is a central promoter of wakefulness. Blocking the binding of orexin to receptors is thought to dampen the activation of the downstream brainstem and cerebral nuclei that mediate wakefulness (which enables sleep to occur).

Pharmacokinetics: less than strictly dose-proportional manner over the range 10–80 mg because of decreased absorption at higher doses. Peak concentrations occur in a median of 2 hrs (range 30 min to 6 hours). The drug is >99% protein bound to serum albumin.

Metabolism & Excretion: primarily by CYP3A to inactive metabolite of hydroxy-suvorexant. Primary elimination is through the feces (66%), and in the urine (23%).

Effects: Primary manifestation is somnolence, as a CNS depressant. Can impair daytime wakefulness, which may persist for several days after ingesting the medication. Can cause hypagogic/hypnopompic hallucinations and cataplexy-like symptoms.

Psychomotor Studies: impaired performance was seen in some subjects including impairment of balance and increase in body sway. In driving studies deviation of lane position was seen and use of Belsomra may increase the risk of falling asleep while driving

Warnings & Precautions: Belsomra has been associated with getting out of bed while not being fully alert. Hypnotics, like Belsomra, have been associated with driving and other complex behaviors while not being fully alert. There are cautions against next-day driving and other activities requiring full mental alertness. There can be additive effects on psychomotor impairment when co-administered with alcohol and other CNS depressants.

References:

1. Belsomra Full Prescribing Information (package insert) revised 08/2014 http://www.accessdata.fda.gov/drugsatfda_docs/label/2014/204569s001lbl.pdf

2. Citrome, L. (2014) Suvorexant for insomnia: a systematic review of the efficacy and safety profile for this newly approved hypnotic—what is the number needed to treat, number needed to harm and likelihood to be helped or harmed?. *The International Journal of Clinical Practice*, December 2014, 68, 12, 1429–1441. <http://onlinelibrary.wiley.com/doi/10.1111/ijcp.12568/epdf>