

➤ Name: **Galantamine**

➤ Effect:

Galantamine is indicated for the treatment of mild to moderate vascular dementia and Alzheimer's.

As with other cholinesterase inhibitors, galantamine may not be effective for treating mild cognitive impairment. Galantamine (brand names Razadyne, Reminyl, and others) is used for the treatment of cognitive decline in mild to moderate Alzheimer's disease and various other memory impairments.

➤ Usage:

Medical uses

➤ Subject affect:

Alzheimer's disease is characterized by the **impairment of cholinergic function**.

One hypothesis is that this impairment contributes to the cognitive deficits caused by the disease. This hypothesis forms the basis for use of galantamine as a cholinergic enhancer in the treatment of Alzheimer's. Galantamine inhibits acetylcholinesterase, an enzyme which hydrolyzes acetylcholine. As a result of acetylcholinesterase inhibition, galantamine increases the availability of acetylcholine for synaptic transmission. Additionally, galantamine binds to the allosteric sites of nicotinic receptors, which causes a conformational change. This allosteric modulation increases the nicotinic receptor's response to acetylcholine. The activation of presynaptic nicotinic receptors increases the release of acetylcholine, further increasing the availability of acetylcholine. Galantamine's competitive inhibition of acetylcholinesterase and allosteric nicotinic modulation serves as a dual mechanism of action.

To reduce the prevalence of negative side effects associated with galantamine, such as nausea and vomiting, a dose-escalation scheme may be used. The use of a dose-escalation scheme has been well accepted in countries where galantamine is used.

A dose-escalation scheme for Alzheimer's treatment involves a recommended starting dosage of 4 mg galantamine tablets given twice a day (8 mg/day).

After a minimum of 4 weeks, the dosage may then be increased to 8 mg given twice a day (16 mg/day). After a minimum of 4 weeks at 16 mg/day, the treatment may be increased to 12 mg given twice a day (24 mg/day).

Dosage increases are based upon the assessment of clinical benefit as well as tolerability of the previous dosage. If treatment is interrupted for more than

three days, the process is usually restarted, beginning at the starting dosage, and re-escalating to the current dose.

It has been found that a dosage between 16-24 mg/day is the optimal dosage.

➤ Side effect:

- Galantamine's side effect profile was similar to that of other cholinesterase inhibitors, with gastrointestinal symptoms being the most notable and most commonly observed.
- One study reports higher proportions of patients treated with galantamine experiencing nausea and vomiting as opposed to the placebo group.
- Another study using a dose-escalation treatment has found that incidences of nausea would decrease to baseline levels soon after each increase in administered dosage. In practice, some other cholinesterase inhibitors might be better tolerated; however, a careful and gradual titration over more than three months may lead to equivalent long-term tolerability.

- On April 27, 2006, FDA approved labeling changes concerning all form of galantamine preparations (liquid, regular tablets, and extended release tablets) warning of the risk of bradycardia (slow resting heart rate), and sometimes atrioventricular block, especially in predisposed persons. At the same time, the risk of syncope (fainting) seems to be increased relative to placebo. "In randomized controlled trials, bradycardia was reported more frequently in galantamine-treated patients than in placebo-treated patients, but was rarely severe and rarely led to treatment discontinuation" These side effects have not been reported in Alzheimer's disease related studies.

➤ Precautions:

- give fluids – drug can cause dehydration, diarrhea, or vomiting
- watch for slow heart rate and fainting
- can cause bladder blockage
- can cause seizures
- can cause bleeding in stomach or intestines
- do not combine with other sleep aid medications
- do not combine alcohol use with this drug
- people with chronic obstructive pulmonary disease should be cautious
- people with sleep apnea should be cautious