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IMPACT ON GABA RECEPTOR, SAFETY MEASURES AND ONSET OF ACTION ON BENZODIAZEPINES DOSE

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ABSTRACT

The main objective of this study is to understand the benzodiazepines, their onset of action, and duration of action because it is mainly used as a tranquilizer in most cases; Benzodiazepines have a dose-dependent therapeutic effect, higher adverse effect on high dose administration. Mainly uses anxiety and panic seizures (convulsions), and insomnia or trouble sleeping, general anesthesia, sedation prior to surgery or diagnostic procedures, muscle relaxation, alcohol withdrawal and drug-associated agitation, nausea and vomiting, depression, and panic attacks.

KEYWORDS Benzodiazepines, panic attacks, Drug-associated agitation, alcohol withdrawal, dose-dependent therapeutic effect, insomnia, trouble sleeping, anxiety, panic seizures. .

INTRODUCTION

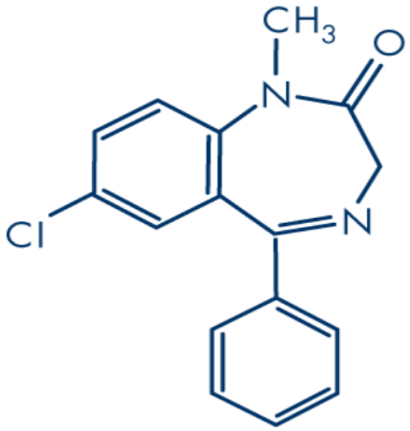
Benzodiazepines are drugs that have short-term clamping and sedating effects. Within the treatment of psychiatry symptoms, they're wont to treat anxiety and panic attacks, phobias, and agitation, these are mainly used or administrated at the time of sleep, these even have potential properties sort of a hypnotic, sedative, anticonvulsant anxio-lytic, relaxant, and amnesic.[1][2]

Benzodiazepines probably act at specific benzodiazepine receptors within the central system, the benzodiazepine is closely related to the gamma acid (GABA) receptor, and therefore the combination of the benzodiazepines with its receptor enhances the power of GABA to open chronic channels. [1][2]

All benzodiazepines are well absorbed after oral administration; however, a number of the benzodiazepines are in an inactive form and want to be metabolized into active forms. Thus the onset of action can vary. [1][2]

Diazepam (CAS 439-14-5) is one in every of the simplest known benzodiazepines (Valium). in keeping with IUPAC, the fully systematic name is 9-chloro-2-methyl-6-phenyl-2,5-diazabicyclo[5.4.0]undeca-5,8, 10,12-tetraen-3-one or 7-chloro-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepine-2-one. [3]

Molecular structure: Diazepam



Molecular formula: $C_{16}H_{13}ClN_2O$
Molecular weight: 284.7

Molecular structure:

Diazepam molecular structure of diazepam Molecular formula: C16H13CIN2O Molecular weight: 284.7[3]

BENZODIAZEPINES TYPE AND ONSET OF ACTION [3]

Diazepam: It's redistributed rapidly, it's a protracted duration of action, and the therapeutic plasma concentration is 300-400 ng/ml for anxiolytic activity and 600ng/ml for anticonvulsant activity.

Alprazolam: Onset of action is within 30 min and peak plasma B levels are reached within 1 to 2 hours. It has an extended duration of action and it's utilized in anxiolytic

Bromazepam: The onset of action is quick and it's an intermediate duration of action utilized in the treatment of anxiolytic.

Clobazam: It is a long-acting benzodiazepine, used as an anxiolytic and within the treatment of partial and generalized seizures.

Clonazepam: intermediate the onset of action benzodiazepines with an extended duration of action.

Clorazepate: on the set of action within 60 min. has a long duration of action.

Chlordiazepoxide: It has an intermediate onset and long duration of action, therapeutic plasma concentrations about 0.7 µ/ml.

Flurazepam: maximum blood levels reached approximately 90 min after oral administration, incorporates a long duration of action.

Flunitrazepam: maximum blood levels reached approximately 60min .intermediate duration of action.

Lorazepam: slow onset of action with maximum blood level been reached in exactly about two hours after oral administration.

Lormetazepam: the onset of action reached approximately 60min intermediate duration of action.

Midazolam: Metabolisms slow in an exceedingly critically ill patient

Nitrazepam: The onset of action reached approximately 60min .long duration of action

Oxazepam: Slow onset of action with maximum blood level been reached in only about 2 hours.

Temazepam: the onset of action is 1 hour with a soft gel capsule and, about 3hour with a tough capsule

Triazolam: the short onset of action

ADMINISTRATION [3]

All benzodiazepines are well absorbed after oral administration; however, a number of the benzodiazepines are in an inactive form and wish to be metabolized into active forms. Thus the onset of action can vary.

ADVERSE EFFECT [3]

The common adverse effects of benzodiazepines are drowsiness, sedation, and ataxia. Driving and therefore the psychomotor skill could also be affected. Vertigo, headache, confusion, slurred speech, tremor, visual and gastrointestinal disturbance are reported less commonly,

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USES [3]

The use of benzodiazepines during early pregnancy has been implicated with congenital malformation, especially Clift, and palate, within the newborn although a particular association has to this point not been approved.

If benzodiazepines are utilized in late pregnancy, drowsiness, respiratory depression, hypotonia, and hypothermia can occur within the neonates. The utilization of benzodiazepine even in therapeutic dose is comparatively short duration and may produce dependent and sudden discontinuation may end up in withdrawal symptoms.

MECHANISM [3]

Benzodiazepines probably act at specific benzodiazepine receptors within the central system nervous, the benzodiazepine is closely related to the gamma acid (GABA) receptor, and also the combination of the benzodiazepines with its receptor enhances the power of GABA to open chronic channels. The mechanism of benzodiazepine dependences isn't definitely known but deficiency of GABA activity thanks to down regulation of GABA of the GABA is postulated. Different benzodiazepines vary within the rate within which they're metabolized to pharmacologically active forms and particularly in their half-lives

Such half-lives vary between individuals, and therefore the elderly tend to eliminate these drugs way more slowly. They're thus more in danger from the side-effects which include drowsiness, ataxia (staggering gait), state of mind, impaired judgment, and posttraumatic amnesia. There's a significantly increased risk of adverse events within the elderly like falls, diminished cognitive function, and driving impairment, although the latter isn't confined to the elderly. The prevalence studies show that, excluding alcohol, benzodiazepines are together with cannabis the psychoactive substances most prevalent within the driving population. Experimental studies show that these drugs impair driving ability and when alcohol is additionally used, the danger of being involved in or chargeable for a road accident is significantly increased. Benzodiazepine intoxication may be related to behavioral dis inhibition, potentially leading to hostile or aggressive behavior. The effect is probably most typical when benzodiazepines are taken together with alcohol. The combined use of alcohol and benzodiazepines also increases the chance of a fatal overdose because both act as CNS depressants. An identical fatal interaction can occur when opiates are crazy benzodiazepines as a part of a pattern of poly drug use. a big number of problem drug users swallow, 'snort', or inject high doses of benzodiazepines to reinforce the euphoria effects of opiates or to minimize unpleasant effects of psycho stimulants.

There is also the chance of cross-dependence developing benzodiazepines. Medically, benzodiazepines should only be used for the short-term relief of hysteria or insomnia which is severe and disabling. This is often because tolerance and dependence can occur just weeks after use has commenced. Withdrawal signs and symptoms are classified as major or minor, like those of alcohol syndrome. In keeping with that classification, minor symptoms include anxiety, insomnia, and nightmares.

CONCLUSION

we concluded that benzodiazepine is the category of drug used for anesthesia and should be taken under qualified doctors on a prescribed dose regimen, it is very dangerous while taking an overdose of benzodiazepine drugs, sometimes patients who suffered from insomnia take a higher dose drug from the prescribed dose for sound sleep, but it causes adverse effect result cause to death of the patient.

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