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### RESEARCH ARTICLE

#### CHEMICAL ASPECTS OF ANTIANXIETY DRUGS.

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##### Manuscript History

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#### Abstract

These drugs are used for the treatment of mental disorder antianxiety Drugs are chemically Meprobamate and benzodiazepines Anxiety is an emotional condition. It is related to uneasiness, unpleasant, discomfort and fear for future. Antianxiety drugs are mild CNS depressants, are used to control mild or severe anxiety. Antianxiety Drugs are Benzodiazepines, Azapirones, Sedative Antihistamine and  $\beta$ -Blocker

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#### Introduction:-

These drugs are used for the treatment of mental disorder. Anxiety is an emotional condition. It is related to uneasiness, unpleasant, discomfort and fear for future. Antianxiety drugs is mild CNS depressants, are used to control mild or severe anxiety. These are very useful to produce restful state to mind without disturbing normal mental or physical functions. Antianxiety drugs are chemically Meprobamate and benzodiazepines

#### Antianxiety Drugs:-

**Types of Antianxiety Drugs:-** 1. Benzodiazepines, 2. Azapirones, 3. Sedative Antihistamine, 4.  $\beta$ -Blocker and 5. Meprobamate

#### Drugs and Synthesis:-

##### Benzodiazepines:-

These are more effective drugs, without producing global CNS depression, have been justified for the treatment of anxiety and stress. More effective than Barbiturates. **GABA (Gamma amino butyric acid)** is a neurotransmitter. It has been found GABA increases high affinity binding of Benzodiazepines. It has been found at high doses of

Benzodiazepines affect all neurotransmitter present in central nervous system.

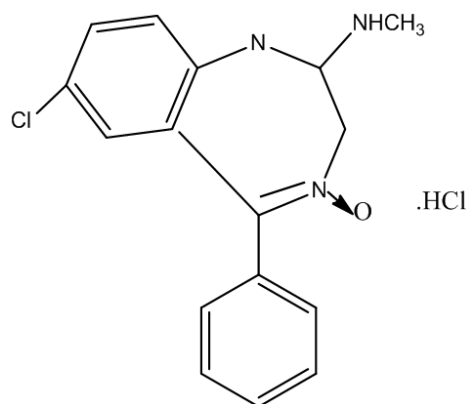
They may produce their effect primarily by enhancing GABA ergic transmission provided an explanation for the various secondary alternations induced by these drugs in other transmitters systems. GABA acts on two different receptor types. The action of Benzodiazepines appears to be restricted to synaptic effects of GABA which are mediated by the so-called GABA<sub>A</sub> receptors.

##### Chlordiazepoxide:-

It is a first Benzodiazepine drugs which is used preferably for the treatment of chronic anxiety, its absorption is slow and produces a smooth long lasting effect. It has poor anticonvulsant action.

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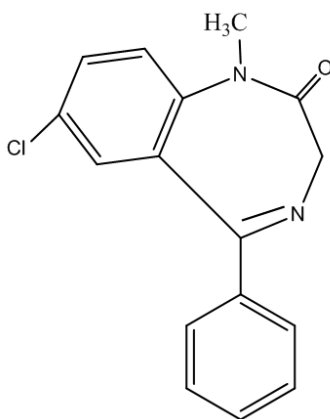
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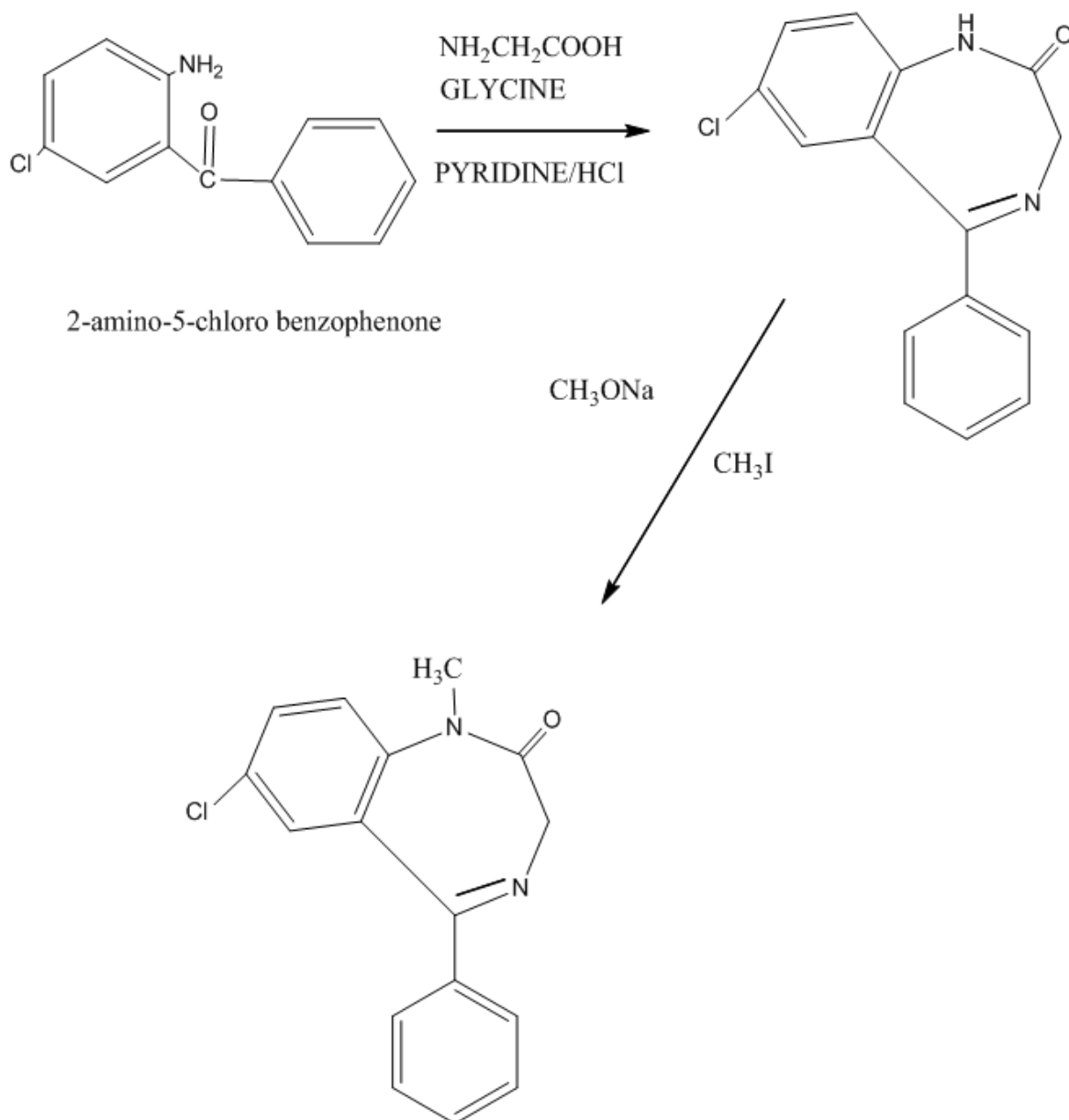
**Chlordiazepoxide Hydrochloride**

**Diazepam:-**

The is more effective drug, is used in acute panic states and anxiety. It is rapidly absorbed. It is seven member hetero cyclic compound having two nitrogen and three double bond 1,4 benzodiazepine contains benzene ring which is fused to 1,4 diazepine.1,4 position represent to nitrogen atom. It is rapidly absorbed drug and produces a brief initial phase of strong action.



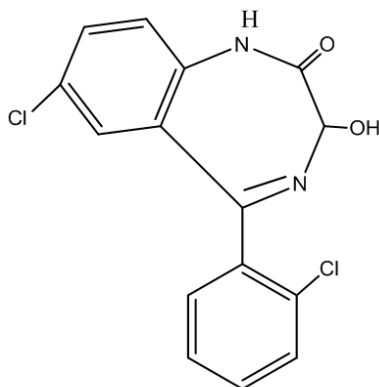
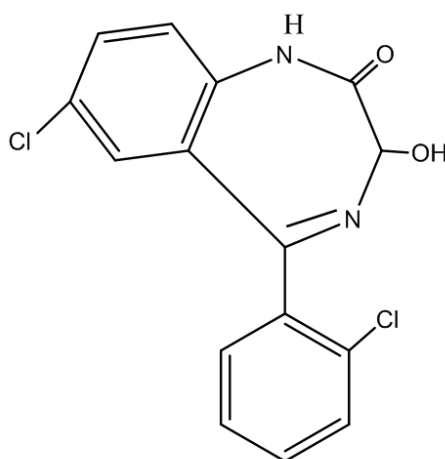
**Diazepam**



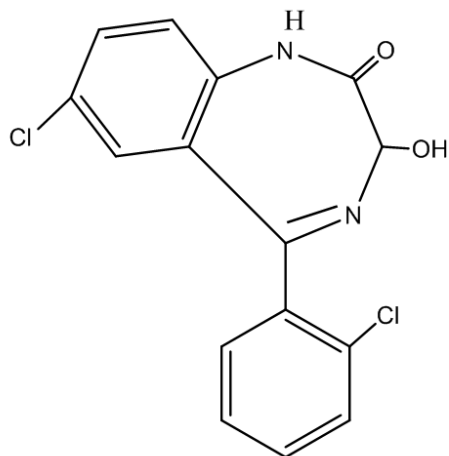
### Diazepam

#### Lorazepam:-

It is recommended for short lived anxiety states, psychosomatic diseases, obsessive compulsive neurosis and tension syndrome. It is good sedative drug. It is the Only benzodiazepine which is recommended for intramuscular use. It has slow absorption when is given orally, it is less lipid soluble drug, rate of entry in brain is much slower.

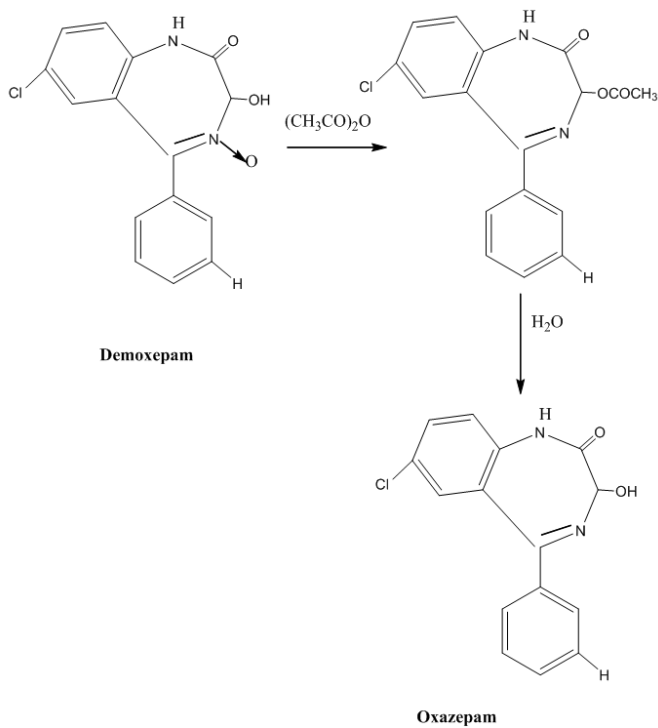
**Lorazepam****Lorazepam****Oxazepam:-**

Oxazepam is a slowly absorbed drug and its rate of entry in is slow. It has been used mainly in short lasting anxiety states. Its hepatic metabolism is not significant and duration of action is short. Hence it is preferably given to older patients who have suffered with liver disease. Its metabolism is facilitated by the 3 hydroxy functions; which allows for direct conjugation as the glucuronide and excretion in the urine. Basic structure of Oxazepam and Lorazepam are related to each other.



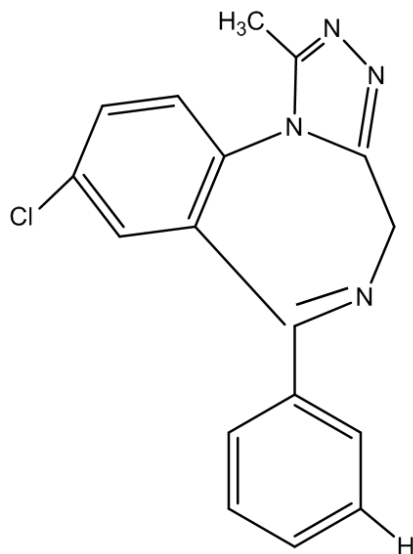
### Lorazepam

#### Synthesis:-



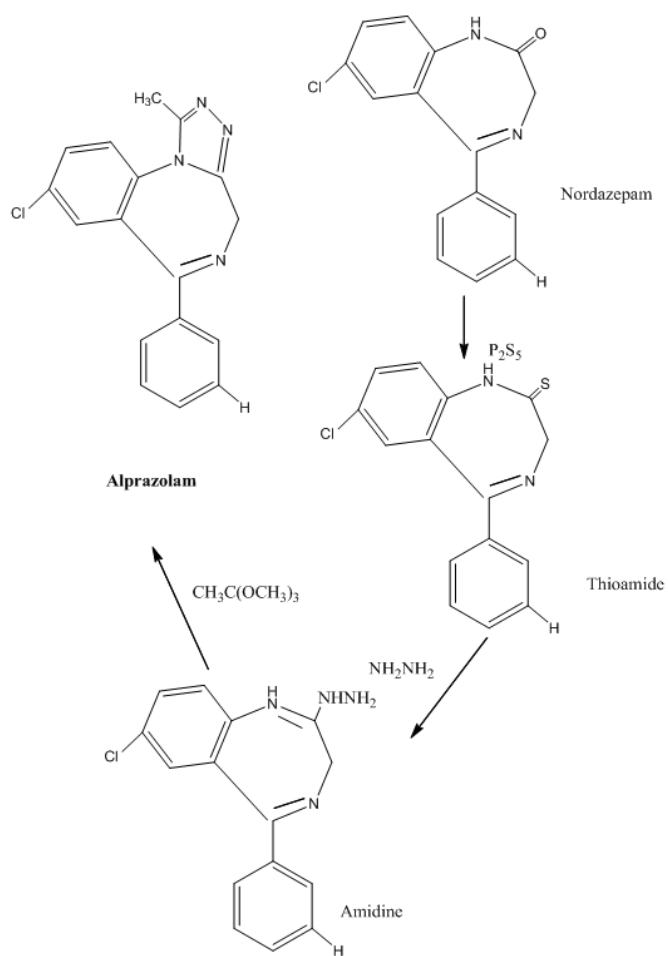
#### Alprazolam:-

Alprazolam is a high potency anti anxiety benzodiazepine. This drug is especially useful for the treatment of mild depression. It has mood elevation property. It provides good response in the treatment of severe anxiety and autonomic symptom, causes a little drowsiness.



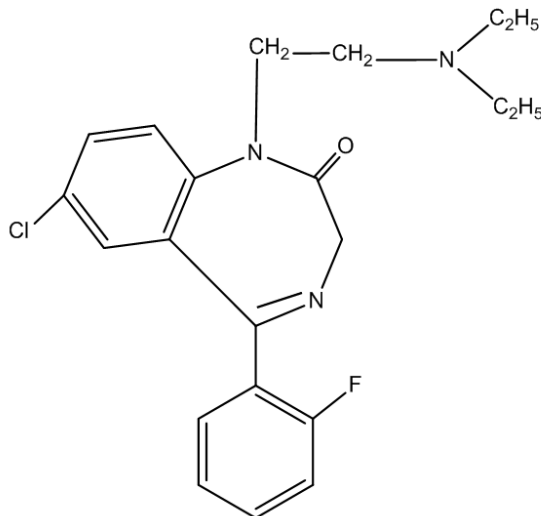
### Alprazolam

Synthesis:-



**Flurazepam:-**

It is suitable for a patient who has frequently night awakening and in whom some daytime sedation is acceptable'

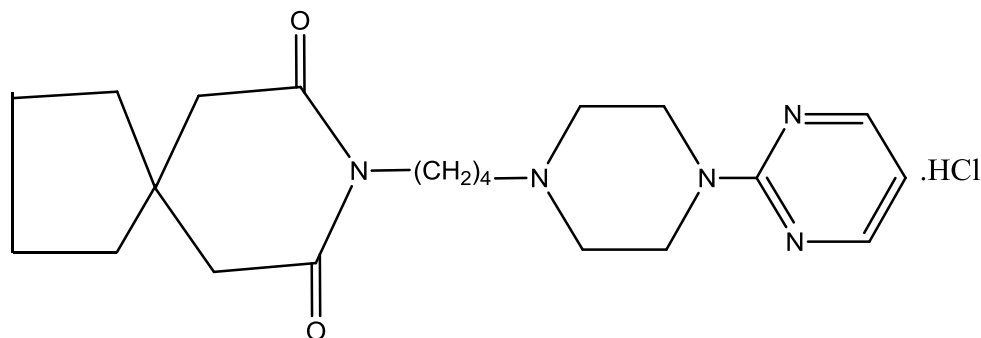


**Flurazepam**

**Buspirone:-**

Buspirone is the first azapirone antianxiety drug, has no muscles relaxant or anticonvulsant activity. It does not produce physical dependence or tolerance, which gives relief from mild to moderate anxiety, but it is ineffective in severe cases compulsive disorders, does not produce significant sedation or functional impairment. The therapeutic effect from buspirone is gained slowly. It is rapidly absorbable drug, does not interact with central nervous system depressants. It creates side effects which are minor like light headache, nausea, dizziness and sometimes excitement. It may cause rise in blood pressure particularly in patients on (MAO) i.e. monoamine oxidase inhibitors.

Mode of action of this drug is not known, but may be dependent on its selective partial agonistic action on 5-HT<sub>1A</sub> (5-hydroxy tryptamine) receptors. By stimulating presynaptic 5-HT<sub>1A</sub> autoreceptors, its synaptic 5-HT<sub>1A</sub> receptors has also been reported. After long term treatment, adaptive reduction in cortical 5-HT<sub>2</sub> receptors may occur. Buspirone consists of weak dopamine D<sub>2</sub> blocking action, and it has no psychotic or extra pyramidal effects.



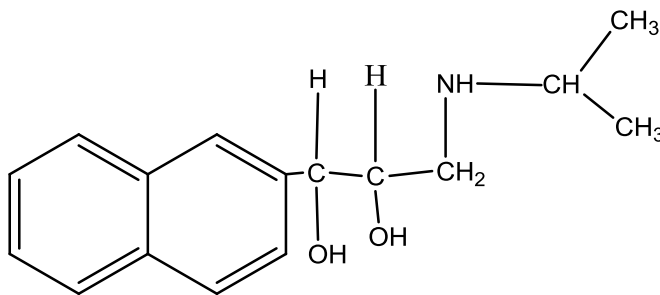
### Buspirone

#### Sedative Antihistaminic Drug:-

Hydroxyzine is a H<sub>1</sub> antihistaminic with sedative, antiemetic, antimucarrinic and spasmolytic drug, used in the treatment of urticarial and pruritus. It is claimed to have anti anxiety action, but its sedation is well marked, and may be used in reactive anxiety.

#### β-Blockers:-

Propranolol is a B-Blockers drug which helps anxious patients having trouble high blood pressure, shaking, gastrointestinal hurrying, palpitation, tremor, examination fear, unaccustomed public appearance. Etc. The anxiety is due to over sympathetic over activity. This drug acts as cutting vicious cycle and provides symptomatic relief. does not affect psychological symptoms such as worry, fear and tension. It suppresses anxiety in short term stressful situations, but this is due to peripheral rather than specific central action. Long term use of relatively high doses of propranolol produces changes in subtle behaviour, forgetfulness and dreaming with nightmares. Gastrointestinal upset, lack of drive and rarely hallucinations are common side effects of propranolol. It is well absorbed after oral administration, quite soluble in lipids and can easily penetrate into the brain.

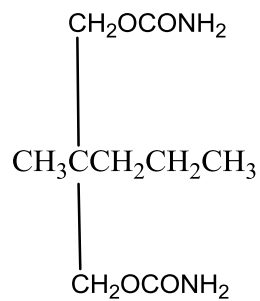


### Propranolol

#### Meprobamate:-

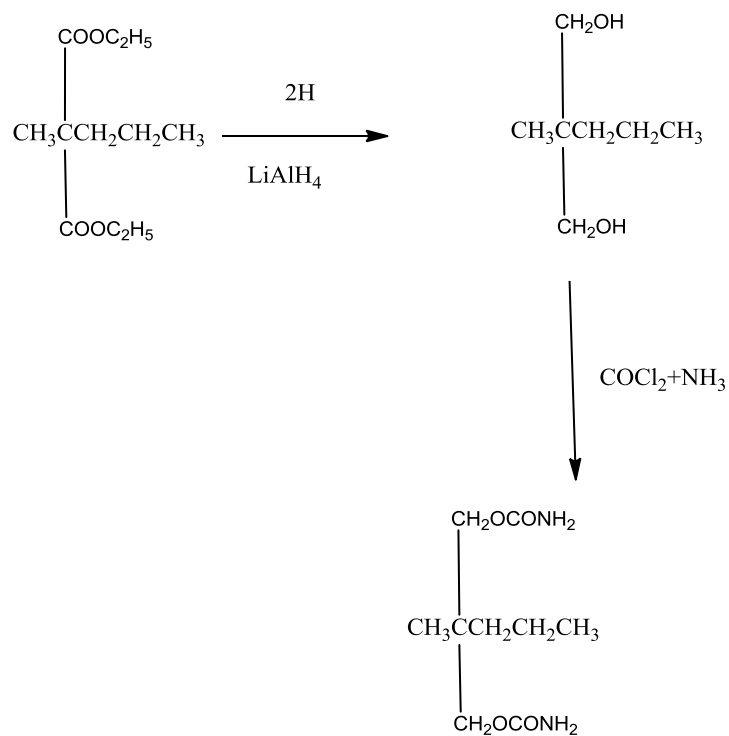
It is 2,2-di(carbamoyloxymethyl)pentane Which acts as mild tranquilliser drug having some anticonvulsant and muscles relaxant properties. It finds use in the treatment of anxiety and tension but it is less effective than the benzodiazepines.





### Meprobamate

Meprobamate

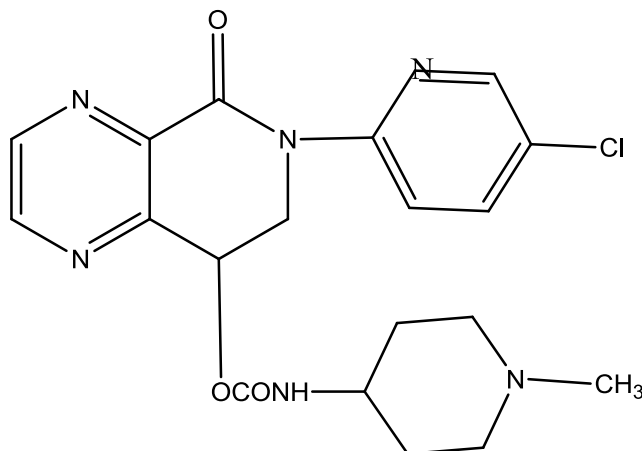


Meprobamate

**Zopiclone:-**

It is a newer Non-Benzodiazepine drug which is cyclopyrrolone hypnotic and it is an agonist at GABA<sub>A</sub> receptor and potentiates GABA by binding to a site other than that of benzodiazepine, does not disturb sleep architecture or hangover. Short term treatment of insomnia can be obtained by this drug.

## Zopiclone



## Zopiclone

**Conclusion:-**

Anxiety is a universal phenomenon. When anxiety exists in severe form and persists, it should be treated only with drugs. The established drugs of anxiety are benzodiazepines and buspirone. Benzodiazepine drugs should be used in small doses, in acute anxiety these are responded better, are given in low doses in addition to specific drugs to the patients suffering from hypertension, ulcerative colitis, irritable bowel, peptic ulcer, angina pectoris and gastroesophageal reflux. These drugs should not be given for long time. Buspirone is a non-sedative drug, is given less severe anxiety.

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