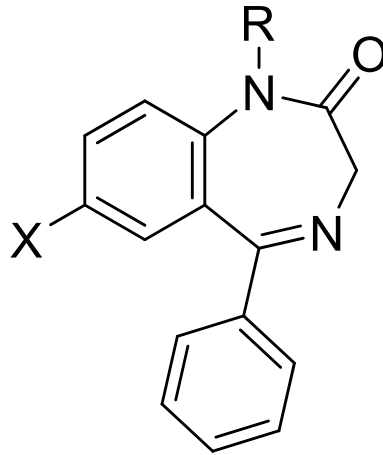


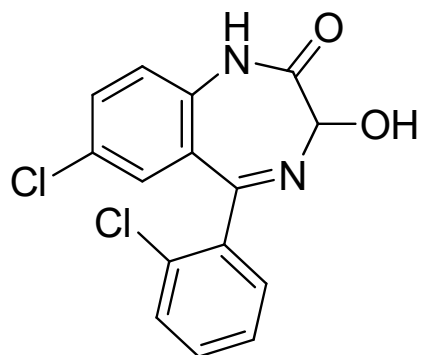
SAR of benzodiazepine

The general structure of benzodiazepine is represented below.

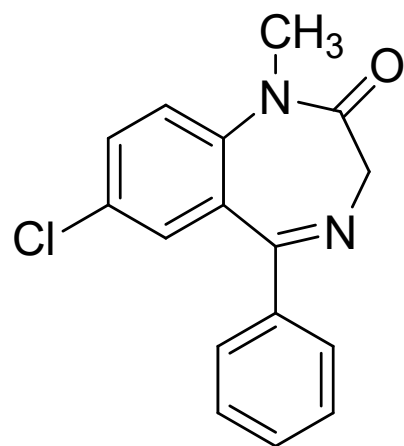


- ❖ The seventh position should be substituted by electron withdrawing atom or group (Cl, F, NO₂) for sedative and hypnotic activity.
- ❖ Electron donating substituent (OCH₃, OH, NH₂) decreases the activity.

- ❖ Electron withdrawing substituent at 8th or 9th position decreases the activity.
- ❖ A phenyl group at 5th position is essential for activity.
- ❖ Substitution of 5th phenyl group with an electron withdrawing atom (Cl or F) at ortho position increases the activity. e.g. Lorazepam.



- ❖ Presence of any substituent at para/meta position of the phenyl group present at 5th position decreases the activity.
- ❖ Methyl substituent at 1st position leads to more active compound. E.g. diazepam.



Diazepam

- ❖ Replacement of nitrogen at 4th position by a carbon atom leads to inactive compounds.