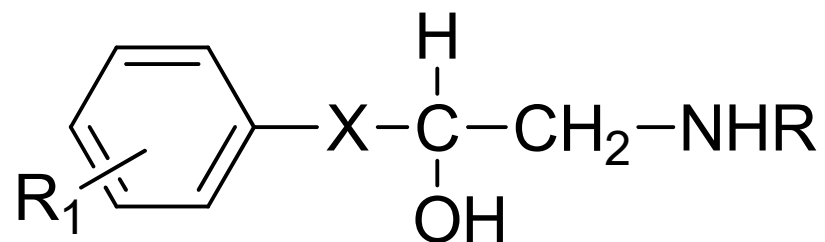
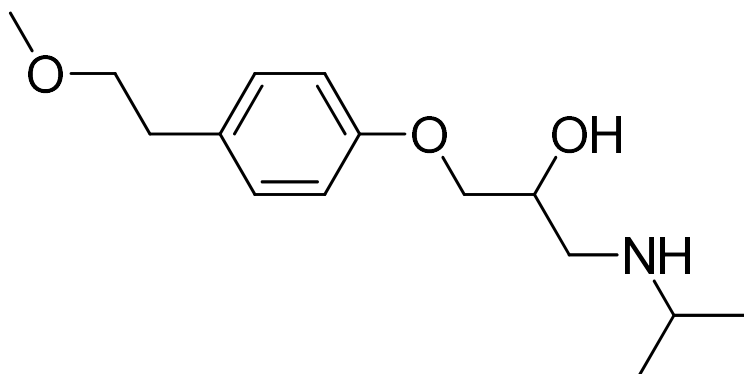


SAR of β -receptor antagonist

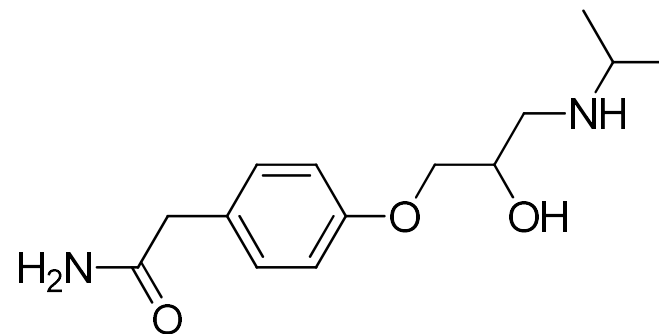
The general structure of β -receptor antagonist is represented below



- ❖ For β -receptor antagonist activity an aromatic ring and β -ethanolamine group is essential.
- ❖ The aromatic ring can either be benzene/heterocyclic ring such as indole or carbazole.
- ❖ For highest β_1 antagonist activity (cardio selectivity) the preferred position of the substituent should be in the following order Para>meta>ortho
e.g. Atenolol, Metoprolol

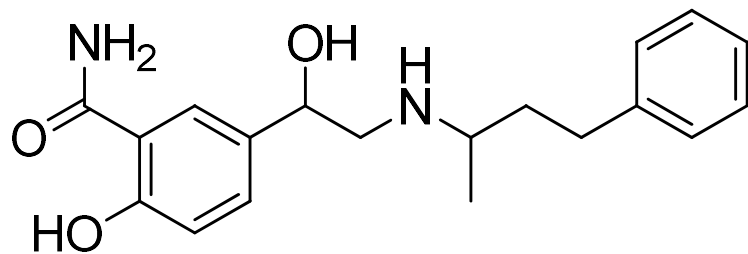


Metoprolol

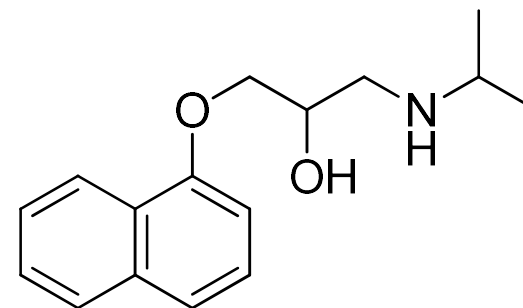


Atenolol

- ❖ Large para substituent usually decrease activity but large ortho substituent retain activity.
- ❖ Polysubstitution on aromatic ring makes the compound inactive or less active.
- ❖ The aromatic ring can either linked directly to the ethylene amine side chain or through X atom (-O-CH₂-group).
- ❖ e.g. in labetalol the aromatic ring is directly linked however in propranolol the aromatic ring is linked through -O-CH₂- group.



labetalol



propranolol

- ❖ When X is CH₂-CH₂ or S-CH₂ or -N-CH₂ there is little or no activity.
- ❖ Presence of alky group at α position in compound containing -O-CH₂- group decrease β antagonist activity.