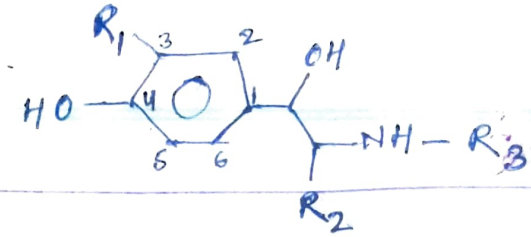
Adrenergic



SAR

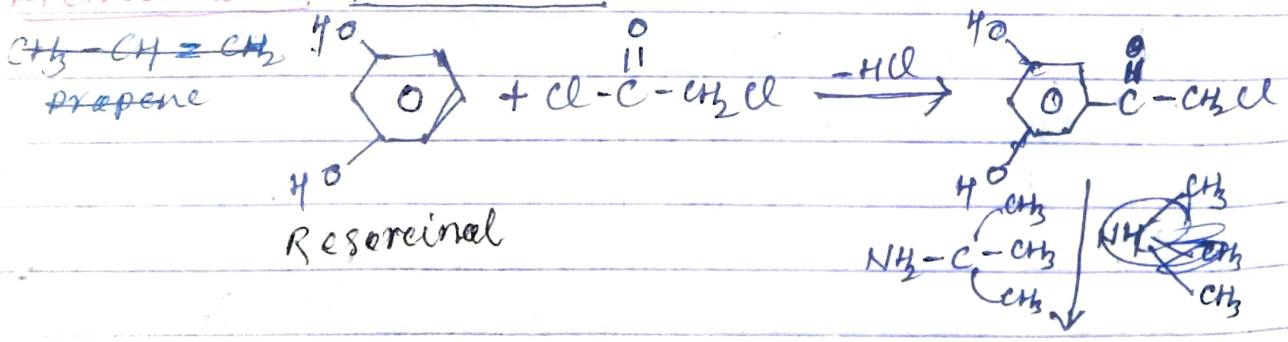
- The naturally occuring noradrenaline has 3,4-dihydroxy benzene aline at both α & β receptor but it has poor oral activity bcoz it is rapidly metabolised by COMT, change in substitution pattern to 3,5 dihydroxy as in metaproterenal give good oral activity. This is due to its resistance to metabolism by COMT. it also provides selectivity for β_2 receptors.

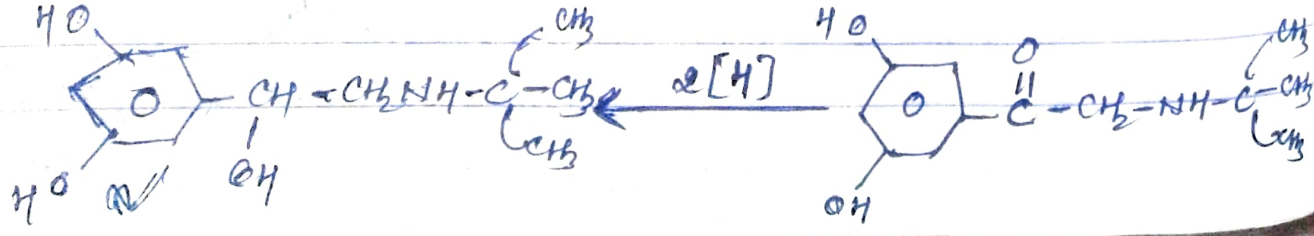
- The receptor selectivity is dependent upon the size of alkyl gp attached to nitrogen (R_3) As the size is increased activity of β-receptor increases and activity at α receptor decreases.

more substitution also provides Selectivity for diff β receptors subtype for eg. salbutamol is a selective β_2 agonist whereas isoproterenal is a general β agonist

- one H-bonding gp is essential at 4' posn for β activity

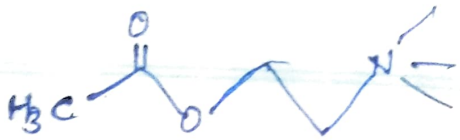
~~Methacholine~~ Terbutaline



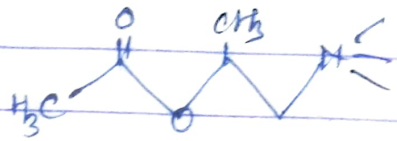


cholinergic

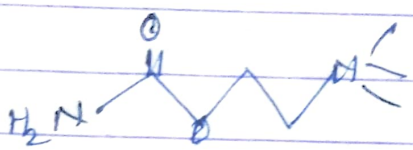
Acetylcholine



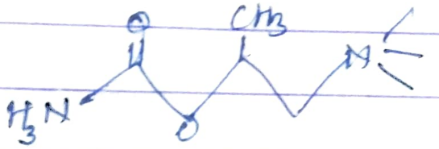
Methacholine



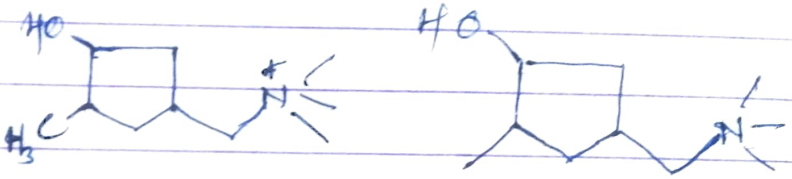
carbachol



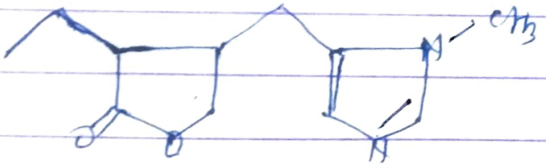
Bethanechol



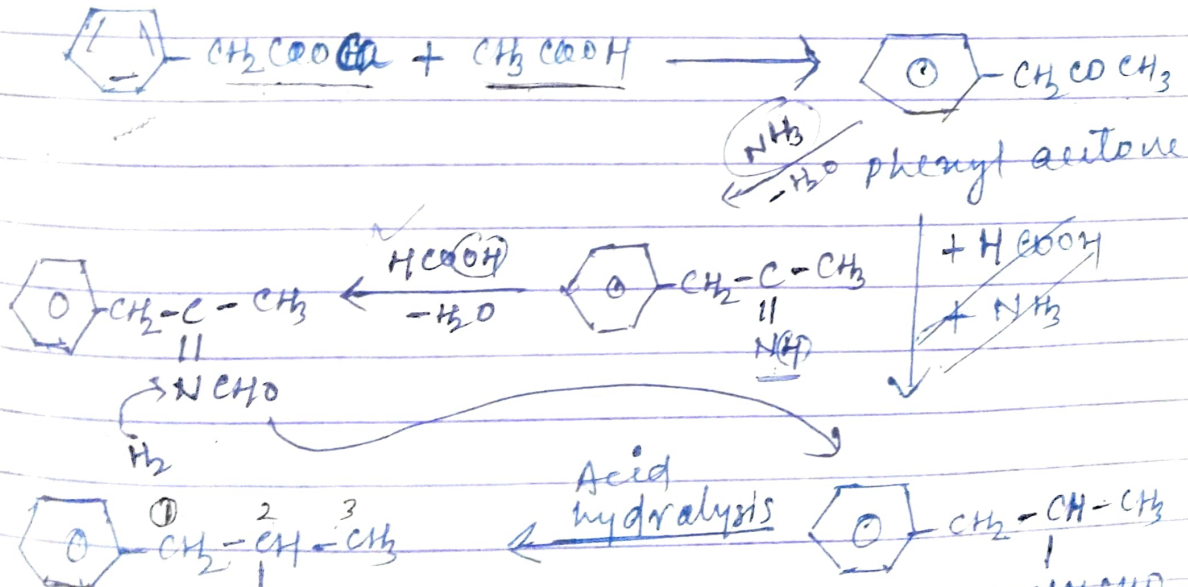
Muscarine



pilocarpine



Amphetamine



1-phenyl-2-amino propane