PHARMACEUTICAL ORGANIC CHEMISTRY-II- BP301T

UNIT: 2 Aromatic amines

CLASS: 5

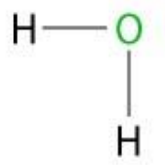
TOPIC Aromatic amines

Amines are the derivative of ammonia and replacement of hydrogen atom on their structure.

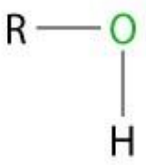
Classification:

They are a major component of proteins and enzymes, nucleic acids, alkaloid drugs, etc. (Alkaloids are Containing, weakly basic organic compounds; thousands of these substances are known.)

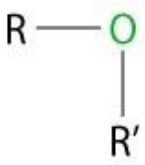
Amines are organic derivatives of ammonia, NH3, in which one or more of the three H's is replaced by a carbon group.



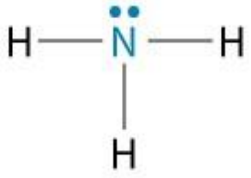
Water



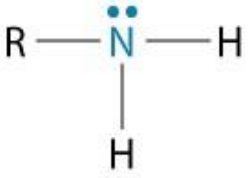
An alcohol



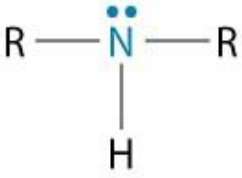
An ether



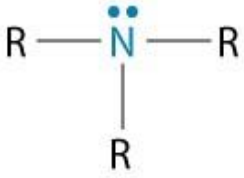
Ammonia



A 1º amine



A 2º amine



A 3º amine

Method of Preparation of amines:

1) From Alkyl halides:

Preparation of Amines and Reactions

There are several methods that are used for the preparation of amines. Two widely used methods include:

- Reactions of ammonia with organic compounds
- Chemical reduction where the oxygen atom is replaced with hydrogen atoms in the molecule.

2) Alkylation

Industrially, amines are prepared by the alkylation of alcohols from ammonia.

$$ROH + NH_3 \rightarrow RNH_2 + H_2O$$

3) Acylation

Acylation is a process that involves a reaction between chlorine, anhydride, and an ester. It is a type of nucleophilic substitution reaction. The reaction involves the substitution of the hydrogen atom by an acyl group.

Benzoylation

We will consider the reaction between methenamine and benzoyl chloride which results in the formation of hydrochloric acid and N-methylbenzamide**.**

4) Gabriel Phthalimide Synthesis

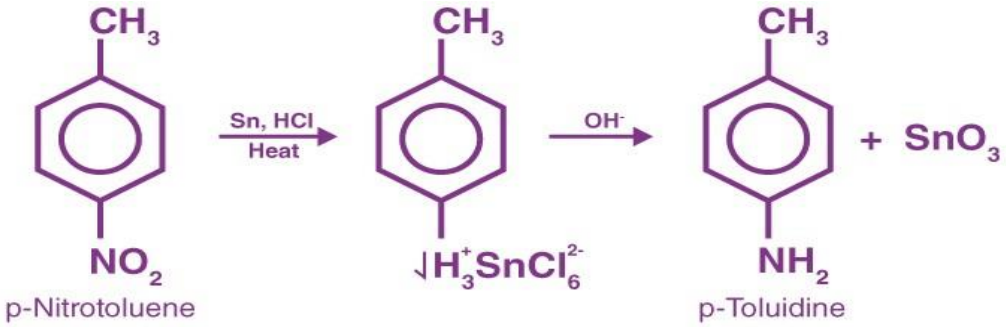
Primary amines are also prepared by Gabriel synthesis. During this process, phthalimide is treated with ethanolic potassium hydroxide. Potassium salts of phthalimide are formed and then it is heated along with alkyl halide. This is followed by alkaline hydrolysis which results in the formation of primary amine.

Reduction of Nitro Compounds

There are two common ways to reduce the nitro compound:

- Catalytic hydrogenation
- Chemical reduction

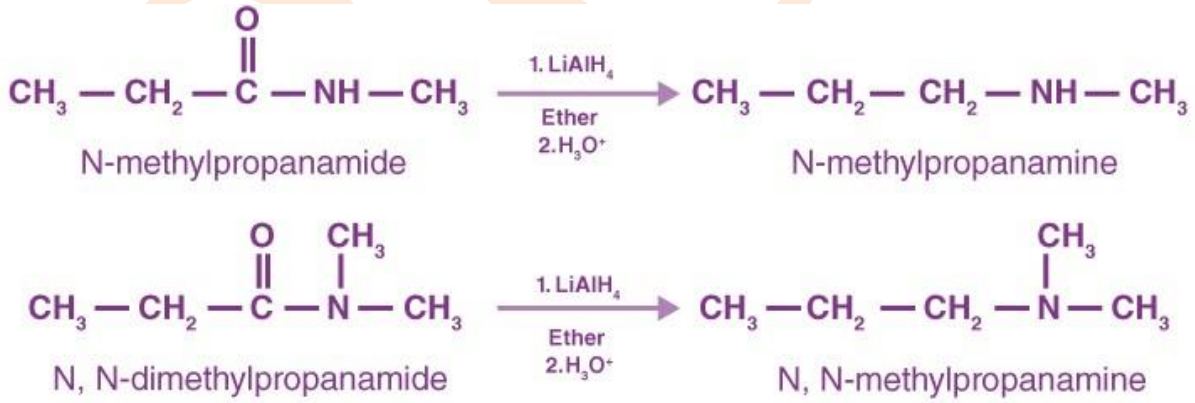
This approach cannot be used if there is also some other readily hydrogenated group in the molecule, such as a double bond with dioxide carbon. The most effective chemical treatment is by applying hydrochloric acid to a mixture of nitro compound and metal, usually granulated tin or iron.



p-Nitrotoluene p-Toluidine

5) Reduction of Amides

Amides yield primary amines by lithium aluminum hydride reduction, whereas N-replaced and N-replaced amides produce secondary and tertiary amines, respectively. Since amides are readily prepared, their reduction is a favored method for the making of all amine groups.



N-methylpropanamide N-methylpropanamine

N, N-dimethylpropanamide N, N-methylpropanamine