Chapter-2: Synthesis of Drugs, Dyes & sweetening agents

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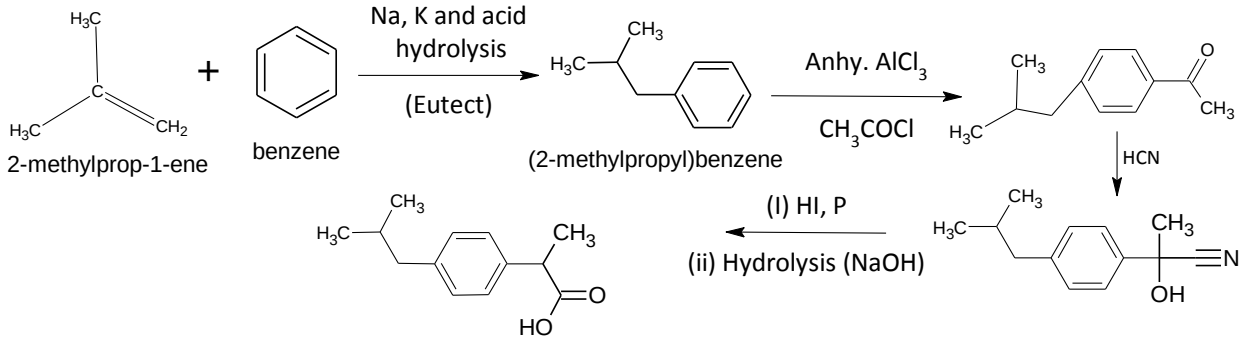
Synthesis and application of Drugs

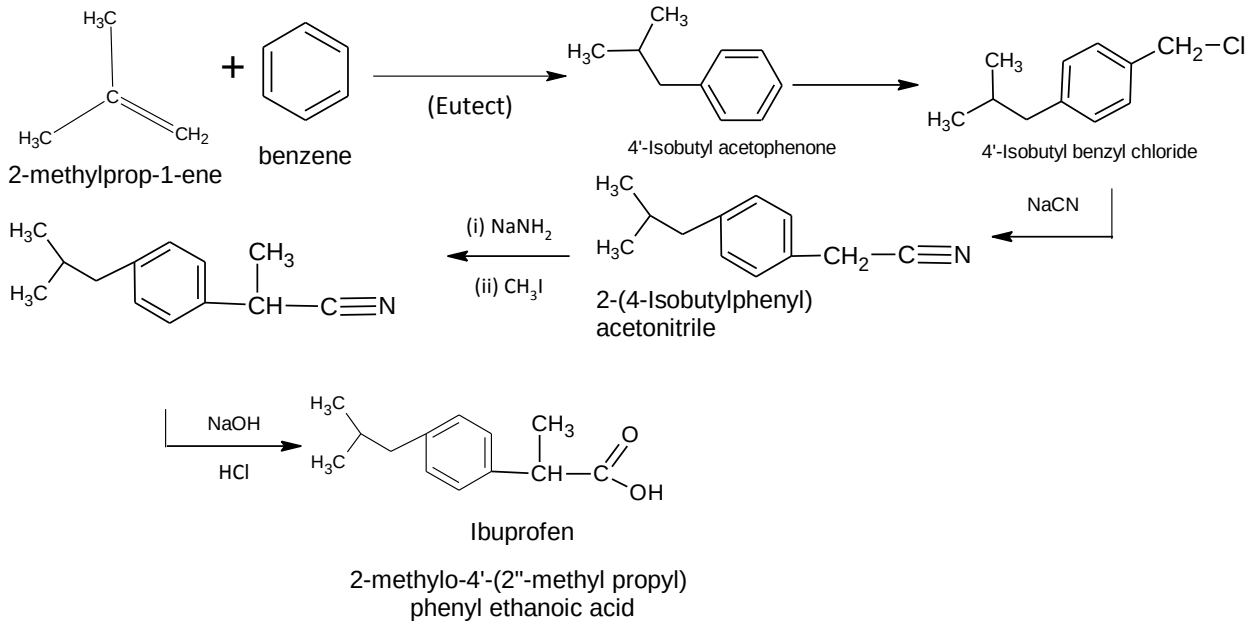
Ibuprofen : 2-Methyl (4-(2-methylpropyl)phenyl) ethanoic acid

Isobutene and benzene react in presence of Na, K to obtain isobutyl benzene, which on acetylated with acetyl chloride in presence anhydrous AlCl3 to obtain 4'-isobutyl acetophenone which on further react with HCN, HI/P to obtain Ibuprofen.

Ibuprofen



Method II



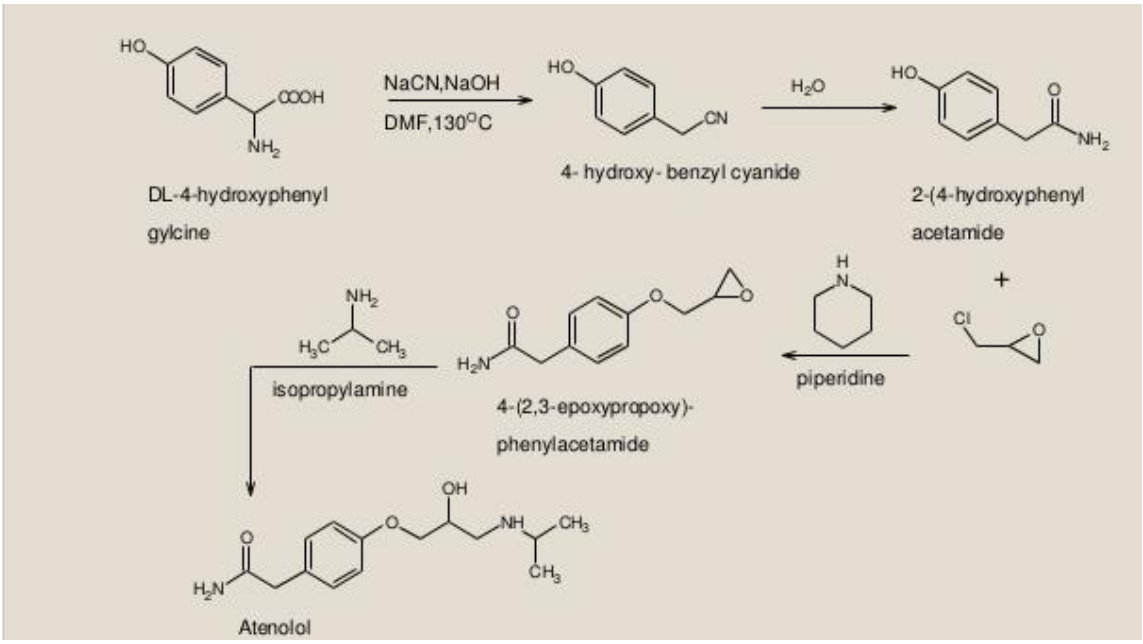
2-methylo-4'-(2''-methyl propyl) phenyl ethanoic acid

Uses :

Ibuprofen is used to relieve pain from various conditions such as headache, dental pain, menstrual cramps, muscle aches, or arthritis. It is also used to reduce fever and to relieve minor aches and pain due to the common cold or flu. Ibuprofen is a nonsteroidal anti-inflammatory drug.

Atenolol : [4'[2-"hydroxy -3"-([1""-methylethyl]amino) propoxy] phenyl] ethanamide

DL-4-Hydroxy phenyl glycine is treated with NaCN, NaOH at 130 C temp. To synthesize 4-hydroxybenzyl cyanide, which is hydrolysed and reacts with epichlorohydrin to obtain 4-(2,3-epoxypropoxy) phenyl acetamide. 4-(2,3-epoxyprppoxy). Phenyl acetamide react with isopropyl amine to produce Atenolol.



Uses :

Atenolol is used with or without other medications to treat high blood pressure (hypertension). Lowering high blood pressure helps prevent strokes, heart attacks, and kidney problems. This medication is also used to treat chest pain (angina) and to improve survival after a heart attack.

Atenolol belongs to a class of drugs known as beta blockers. It works by blocking the action of certain natural chemicals in your body, such as epinephrine, on the heart and blood vessels. This effect lowers the heart rate, blood pressure, and strain on the heart.

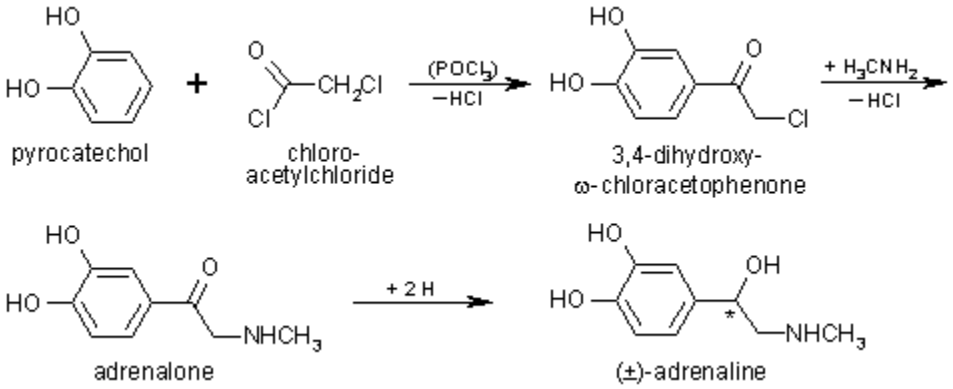
Adrenaline/Epinephrin/Catecholamine :

1,2-Benzenediol, 4-[(1R)-1-hydroxy-2-(methylamino)ethyl]

or

(-)-3,4-Dihydroxy-α-[2(methylamino)ethyl]benzyl alcohol

Catechol is treated with chloroacetyl chloride in presence of $POCl_3$ or $ZnCl_2$ catalyst, it gives chloroacetyl catechol (3,4 dihydroxy phenyl acetyl chloride) which on react with methyl amine to give 3,4 dihydroxy acetophenone which further on reduction gives adrenaline.



Uses :

This medication is used in emergencies to treat very serious allergic reactions to insect stings/bites, foods, drugs, or other substances. Epinephrine acts quickly to improve breathing, stimulate the heart, raise a dropping blood pressure, reverse hives, and reduce swelling of the face, lips, and throat.

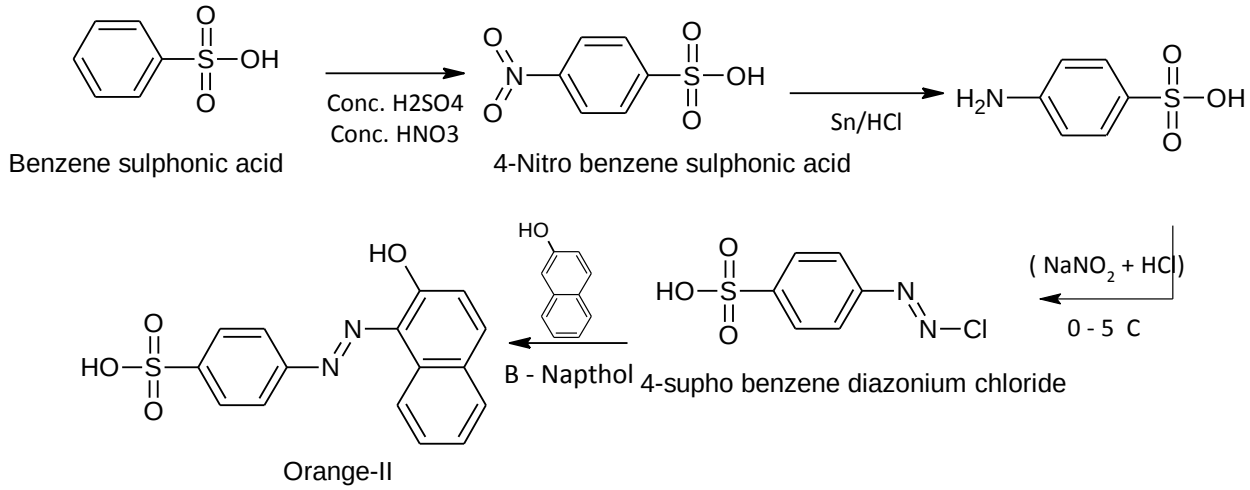
Other uses

- It is a hormone produce in neurons of CNS and neurotransmitter.
- It regulates heart beat and metabolic shift.
- It regulates blood regulations.
- It increases blood pressure.
- Used in production of glycogen in liver

Synthesis and application of dyes

Orange-II (4-((2-Hydroxy-1-naphthyl) azo) benzenesulphonic acid)

Benzene sulphonic acid nitrated with conc. HCl and conc. H_2SO_4 to obtain 4-nitrobenzene sulphonic acid which is reduce with Sn/HCl to obtained sunphanilic acid, which on diazotized atv 0-5 c in presence of $NaNO_2$-HCl to give diazotized product of sulphanic acid which on coupling with beta Naphthol to give Orange II

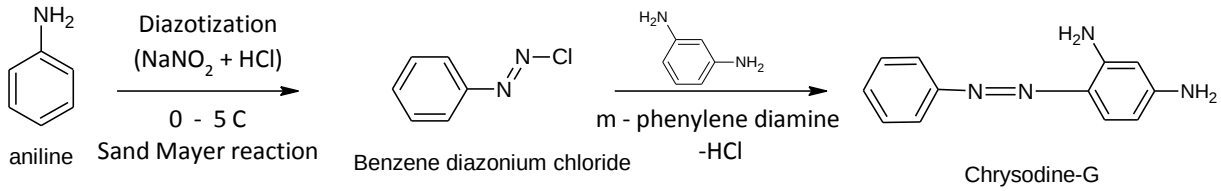


Uses :

It is used for dyeing wool. It is produced by azo coupling of β-naphthol and diazonium derivative of sulphanilic acid. Dyeing and printing of wool, nylon, and silk. Paper dyeing. Leather (combine dyeing & printing points under one head) Biological stain and indicator. Paper coating. Transparent pigments in tin printing. Molding powders.

Chrysodine-G : 4-(2-phenyldiazen-1-yl)benzene-1,3-diamine hydrochloride

Aniline is diazotized at 0-5 c in presence of $NaNO_2$-HCl to gives benzene diazonium chloride, which on coupling with m-phenylene amine obtain chrysodine -G



aniline

Benzene diazonium chloride

Chrysodine-G

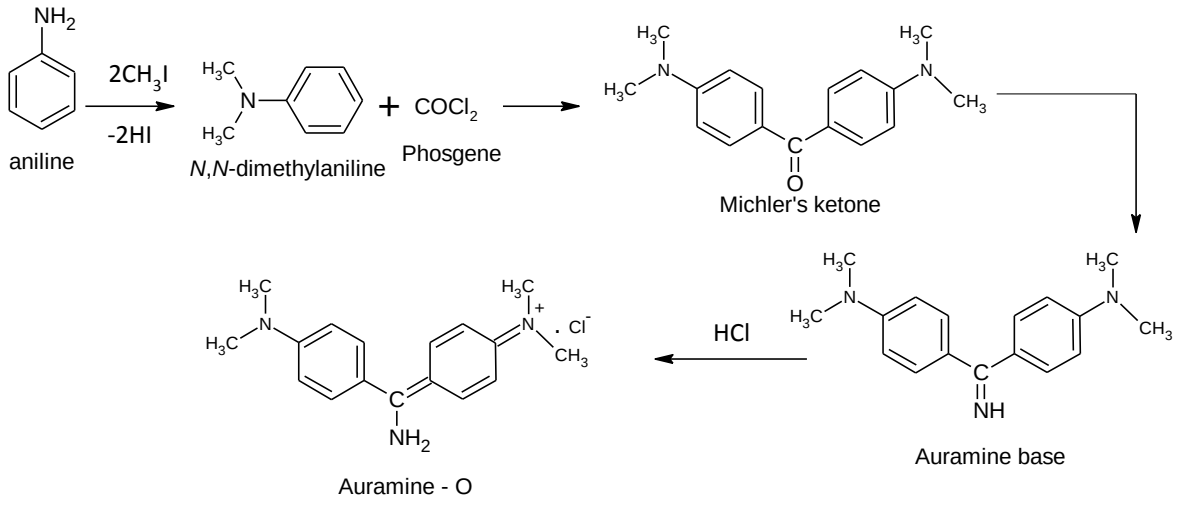
Uses :

Used as a colorant in textiles, paper, leather, inks, wood, and biological stains

Auramine-O : 4,4′-(Imidocarbonyl)bis(N,N-dimethylaniline) monohydrochloride

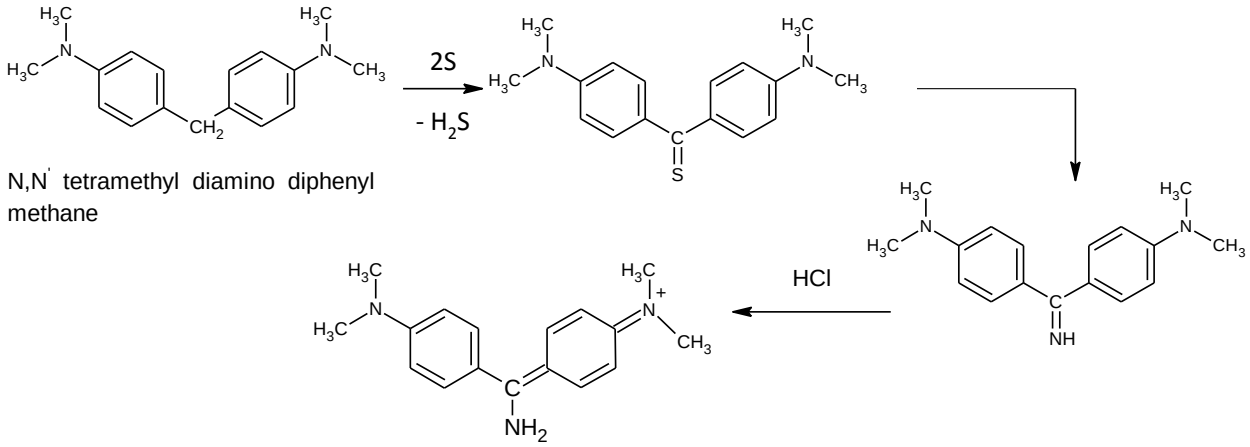
Aniline reacts with two moles of methyl iodide to form N,N-Dimethyl aniline, which is reacted with phosgene to yield Michler's ketone. Michler's ketone is heated in presence of NH4Cl, anhydrous ZnCl2 at 150o C temperature to obtain Auramine base. Auramine base is reacted with Hydrochloric acid to obtained Auramine-O.

Method-1



Method – 2

N,N-tetramethyl diamino diphenyl methane on treatment with Sulphur produce intermediate which on treatment with excess NH4Cl, NaCl in ammonia gives Auramine base which on treatment with HCl gives Auramine-O yellow colored dye.



N,N' tetramethyl diamino diphenyl methane

Auramine - O

Uses :

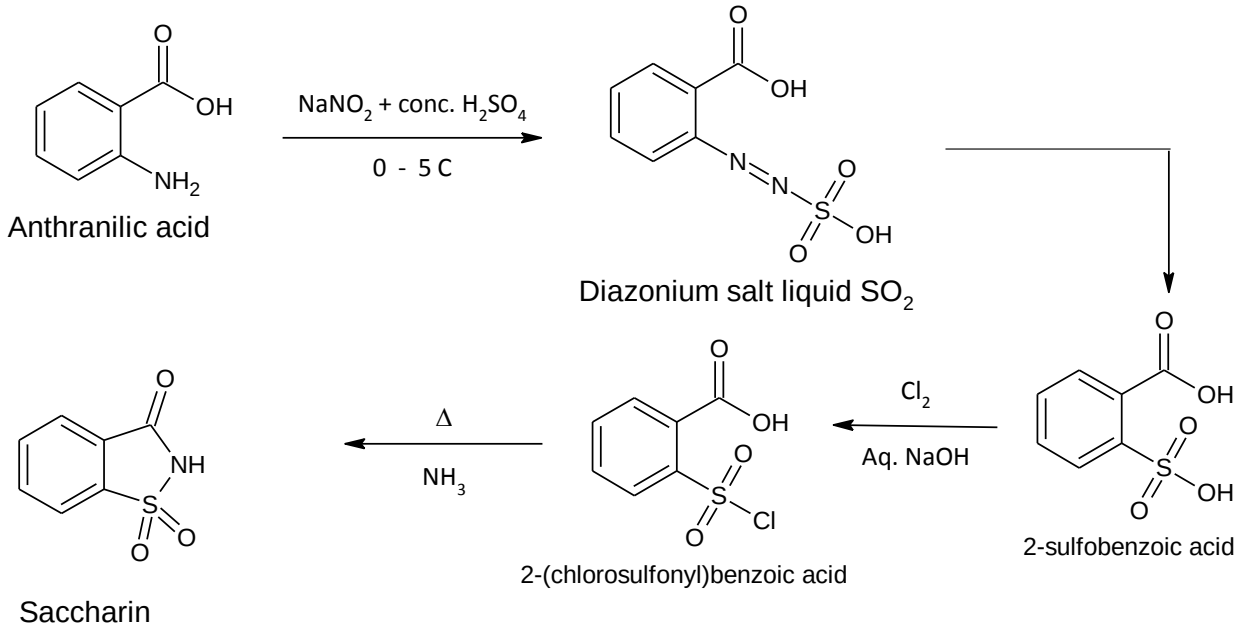
Auramine O can be used to stain acid-fast bacteria.
It can also be used as a fluorescent version of the Schiff reagent.

Synthesis and application of Sweetening agent

Saccharin : 1,1-dioxo-1,2-benzothiazol-3-one

Anthranilic acid is diazotized with $NaNO_2$ and conc. H2SO4 at 0 – 5o C temperature to obtain diazonium salt, which on reacted with liquid Sulphur dioxide, Cu – catalyst to yield 2-carboxy benzene sulphonic acid. 2-carboxy benzene sulphonic acid is chlorinated with Cl_2 and NaOH to form 2-carboxy benzne ulphonyl chloride, which on reacts with ammonia to form saccharin.

Saccharin from Anthranilic acid

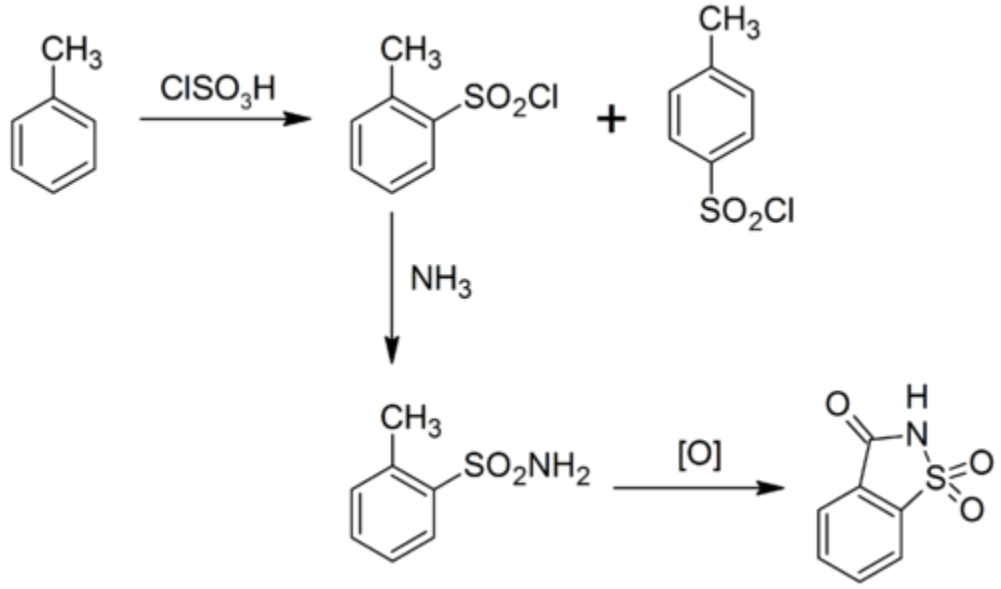


Saccharin from Toluene

It is synthesized by the condensation between toluene and chlorosulphonic acid at 0 – 5o C temp. to obtain two isomers (a) O-methyl benzene sulphonyl chloride (b) p-methyl benzene sulphonyl chloride.

O-methyl benzene sulphonyl chloride reacts with ammonia to yield o-toluene sulphonamide, which on further oxidized with alkaline KMnO4 at 35o C temp.

and converted into o-aminosulpho benzoic acid, the later was water molecule is removed to form saccharin.



Uses :

Saccharin is a non-caloric sweetener, which is mainly used in the food industry and in cosmetics.

Saccharin is now being used all around the world in canned fruits, bubble gums, soft drinks as well as in baked goods.

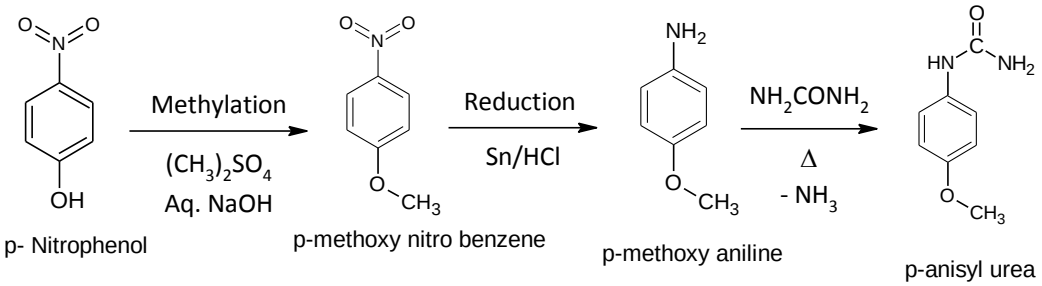
Saccharin continues to be an important source in sugar-free foods and beverage applications.

It is also used in toothpaste for commercial use and despite the fact that most sugars are bad for oral care.

It is about 300–400 times as sweet as sucrose

p-Anisyl Urea : 1-benzyl-3-(4-methoxyphenyl) urea

Para nitro phenol is methylated with dimethyl sulphate $(CH_3)_2SO_4$ in the presence of NaOH solution to obtain p-methoxy nitrobenzene. P-methoxy nitrobenzene undergoes reduction in the presence of Sn/HCl or Fe/HCl to obtained p-methoxy aniline, the latter is heated with urea to obtain p-anisyl urea.



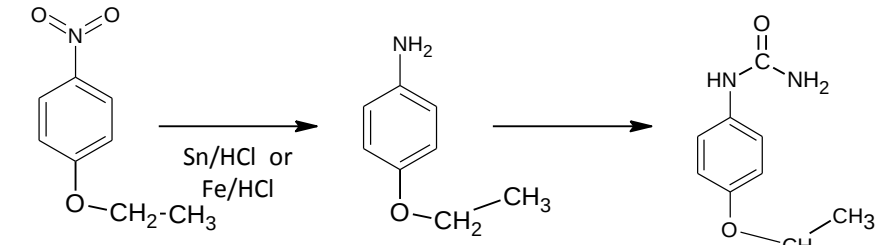
Uses :

p-anisyl urea is an artificial sweetener.

Dulcin:

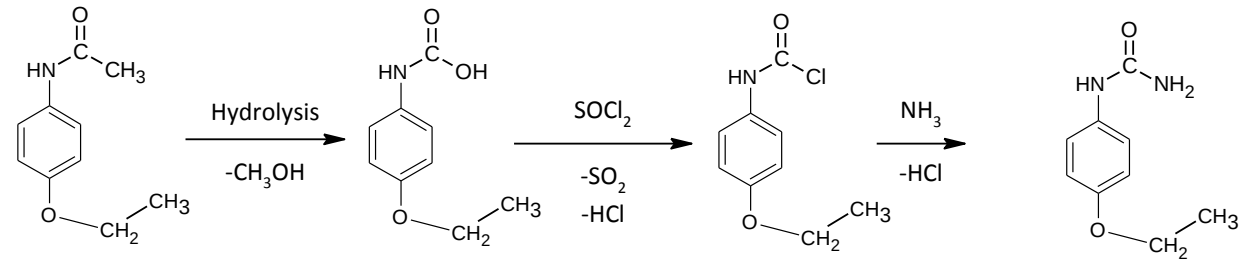
(a) From p-methoxy nitrobenzene :

p-methoxy nitro benzene undergoes reduction with Sn/HCl or Zn/HCl to give p-methoxy aniline, which is heated with urea to yield Dulcin.



p-ethoxy nitro benzene — p-ethoxy aniline — Dulcin

(b) From phenacetin:



Phenacetin — (4-ethoxyphenyl)carbamic acid — (4-ethoxyphenyl)carbamic chloride — Dulcin

Uses :

Dulcin is an artificial sweetener about 250 times sweeter than sugar.