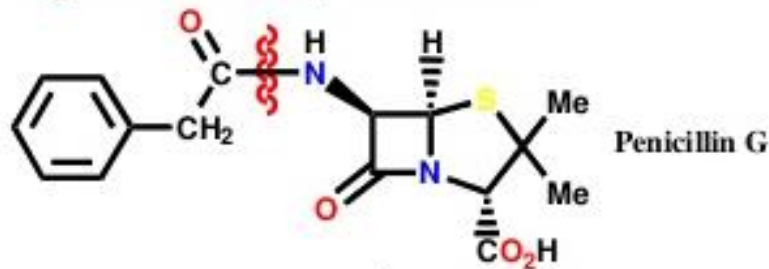


The **penicillins** were the first antibiotics discovered as natural products from the mold *Penicillium*.

Penicillins as well as cephalosporins are called beta-lactam antibiotics and are characterized by three fundamental structural requirements: the fused beta-lactam structure and a free carboxyl acid group, and one or more substituted amino acid side chains.



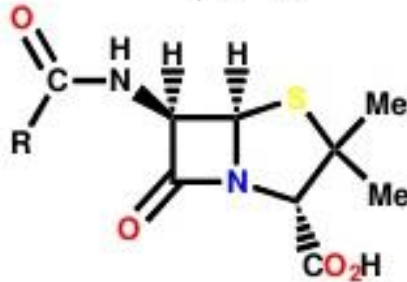
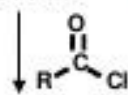
Penicillin G

Penicillin acylase
or chemical hydrolysis

Fermentation →



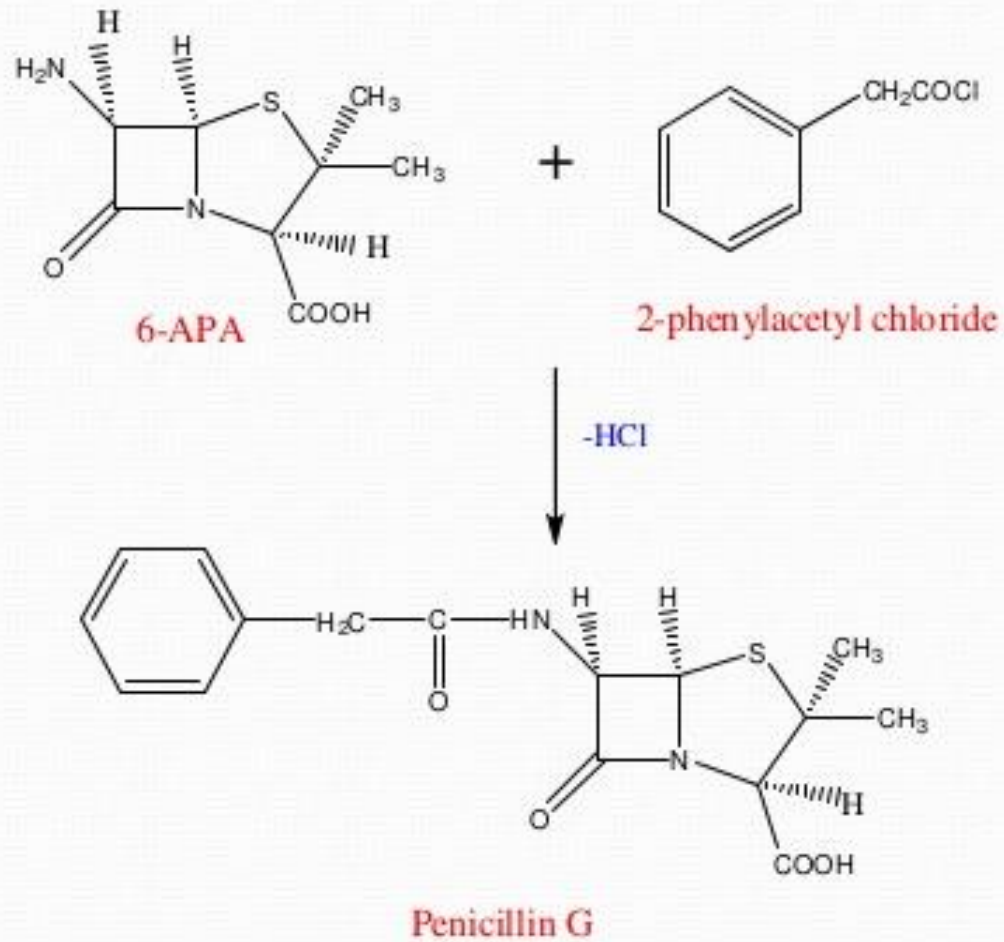
6-APA



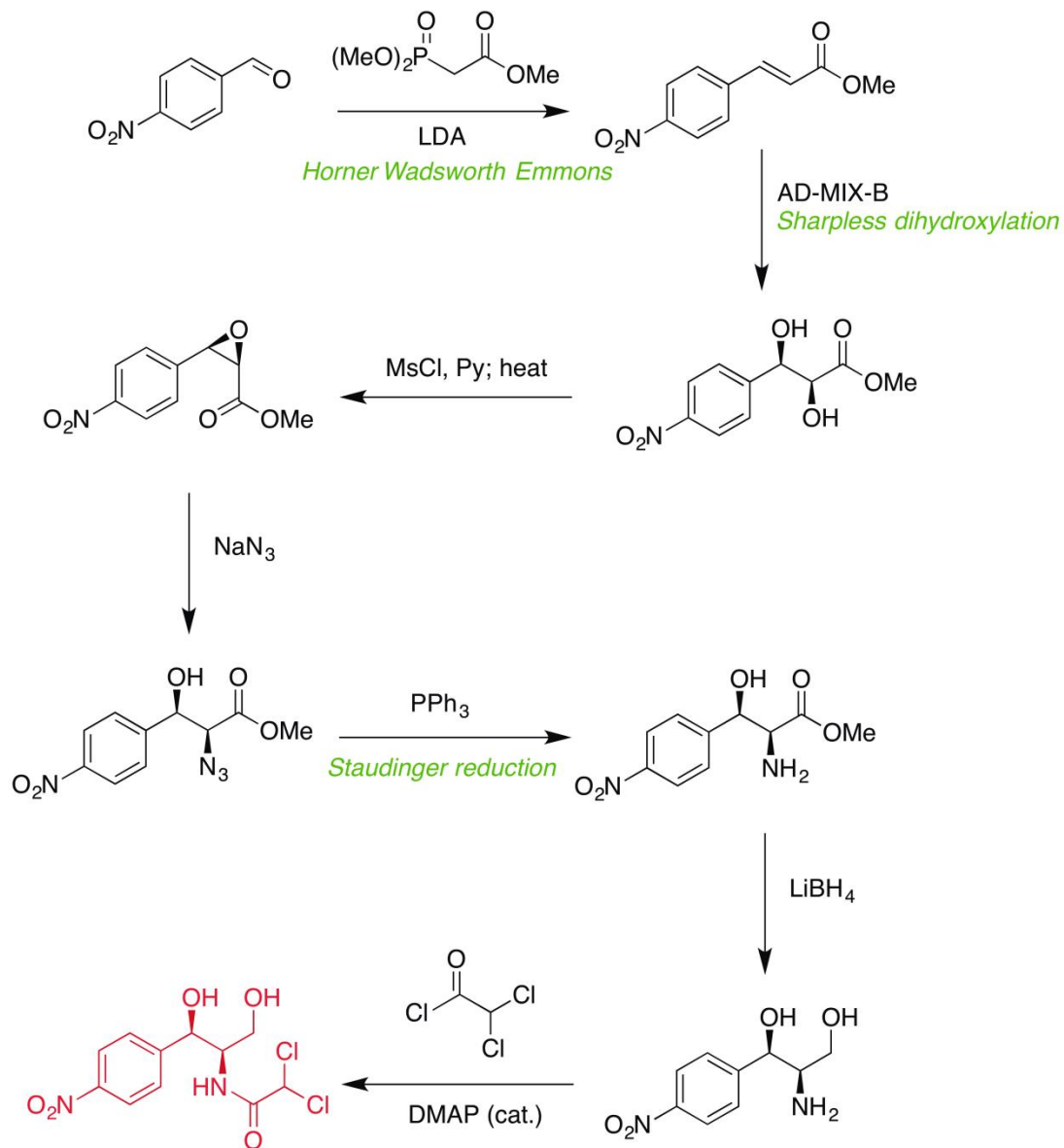
Semi-synthetic penicillins

Penicillin-G where R = an ethyl pheny group, is the most potent of all penicillin derivatives. It has several shortcomings and is effective only against gram-positive bacteria. It may be broken down in the stomach by gastric acids and is poorly and irregularly absorbed into the blood stream. In addition many disease producing staphylococci are able to produce an enzyme capable of inactivating penicillin-G. Various semisynthetic derivatives have been produced which overcome these shortcomings.

Synthesis of Penicillin G from 6-APA:



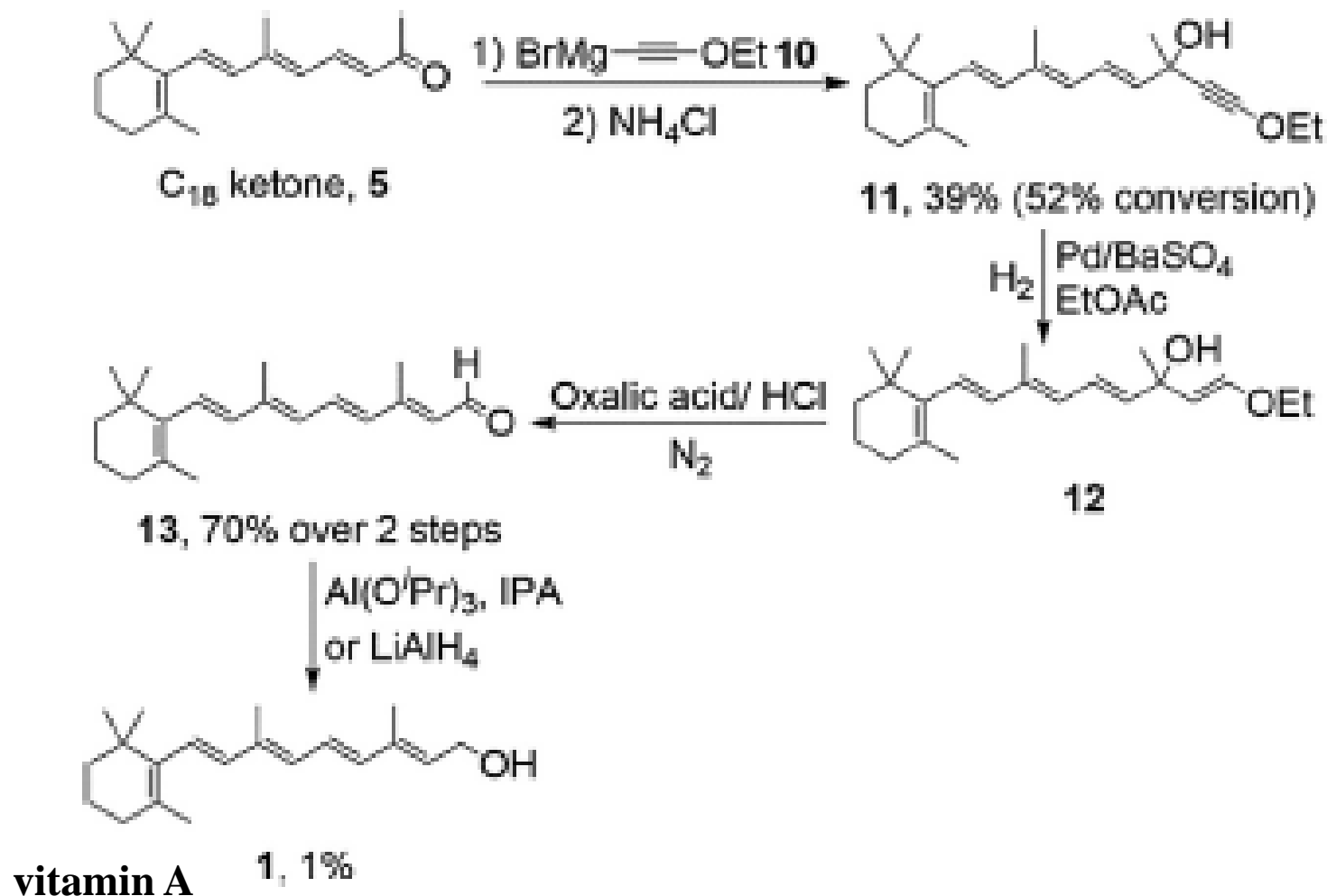
Chloramphenicol is an antibiotic useful for the treatment of a number of bacterial infections.



Chloramphenicol

Chloramphenicol is a broad-spectrum antibiotic that was derived from the bacterium *Streptomyces venezuelae* and is now produced synthetically. Chloramphenicol is effective against a wide variety of microorganisms, but due to serious side-effects (e.g., damage to the bone marrow, including aplastic anemia) in humans, it is usually reserved for the treatment of serious and life-threatening infections (e.g., typhoid fever). Chloramphenicol is bacteriostatic but may be bactericidal in high concentrations or when used against highly susceptible organisms. Chloramphenicol stops bacterial growth by binding to the bacterial ribosome (blocking peptidyl transferase) and inhibiting protein synthesis.

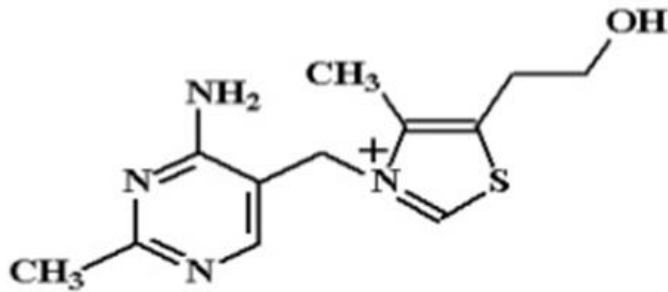
The synthesis of retinol begins from the advanced intermediate C₁₈ ketone 5, which had previously been synthesized in the production of vitamin A acid. In the process of synthesizing **vitamin A**, Arens and van Dorp successfully developed a protocol for creating alkoxyethynyl alcohols from ethoxyacetylene and ketones, a procedure subsequently known as the Arens-van Dorp reaction and patented in 1953.



Scheme 2. Arens-van Dorp synthesis of retinol.

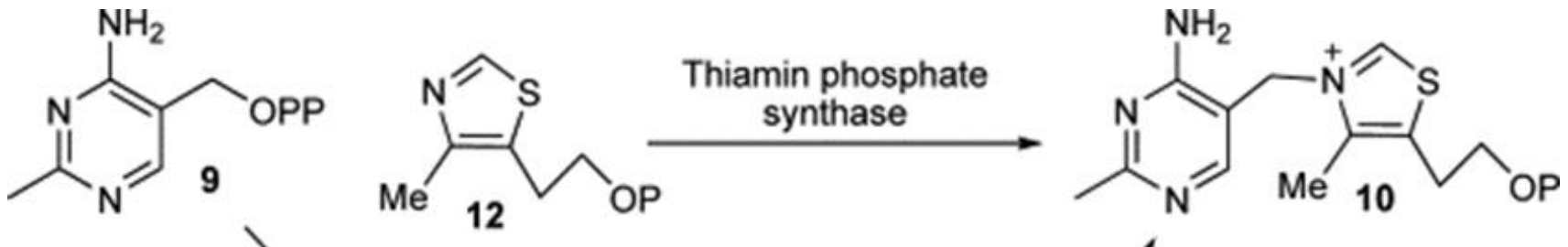
Thiamine - Structure

vitamin B1



Thiamine

Thiamine contains a substituted pyrimidine ring (dimethyl 6-amino pyrimidine) connected to a substituted thiazole ring (Methyl hydroxy ethyl thiazole) by means of Methylene bridge.



**Pyridoxal
phosphate**

**Thiazole
phosphate**

Vitamin B 6 is a water-soluble vitamin present in **three major chemical forms**:

pyridoxine, pyridoxal, pyridoxamine

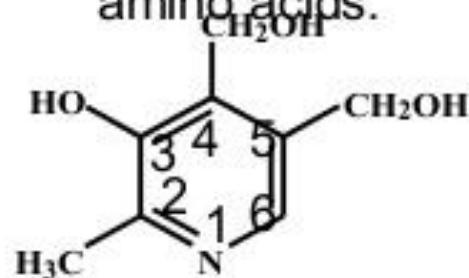
These three are **interconvertible** in their phosphorylated form.

It is also called **Ademin**, because it is used as an **antidermatitic factor (acrodynia factor)** for rats.

The **plant sources** mainly contain **pyridoxal, pyridoxamine** and animal sources contain **Pyridoxine**.

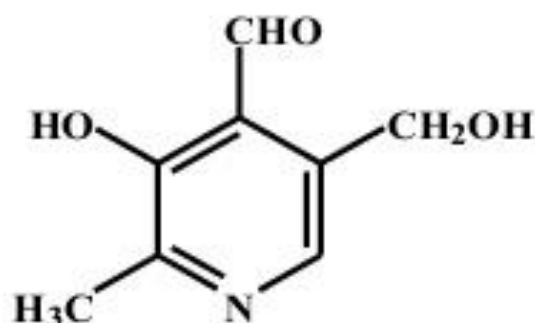
Active form is pyridoxal phosphate

It is essential coenzyme for trans amination and decarboxylation of amino acids.

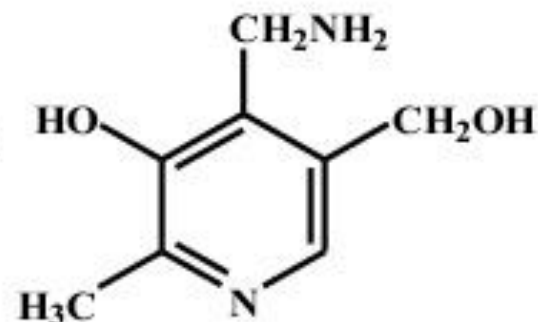


PYRIDOXINE (Pyridoxol)

[2-methyl-3-hydroxy-4-5 di(hydroxymethyl)-Pyridine]



PYRIDOXAL



PYRIDOXAMINE

Collectively, pyridoxine, pyridoxal and pyridoxamine are known as vitamin B6.

L-Ascorbic acid (vitamin C) is synthesized in plants and in the livers of most vertebrates. Humans, monkeys, and guinea pigs do not have the enzymes necessary for the biosynthesis of vitamin C, so they must obtain the vitamin in their diets. The biosynthesis of vitamin C involves the enzymatic conversion of D-glucose into L-gulonic acid—reminiscent of the last step in the Fischer proof. L-Gulonic acid is converted into a by the enzyme lactonase, and then an enzyme called oxidase oxidizes the lactone to L-ascorbic acid. The L-configuration of ascorbic acid refers to the configuration at C-5, which was C-2 in D-glucose.

the synthesis of L-ascorbic acid

