

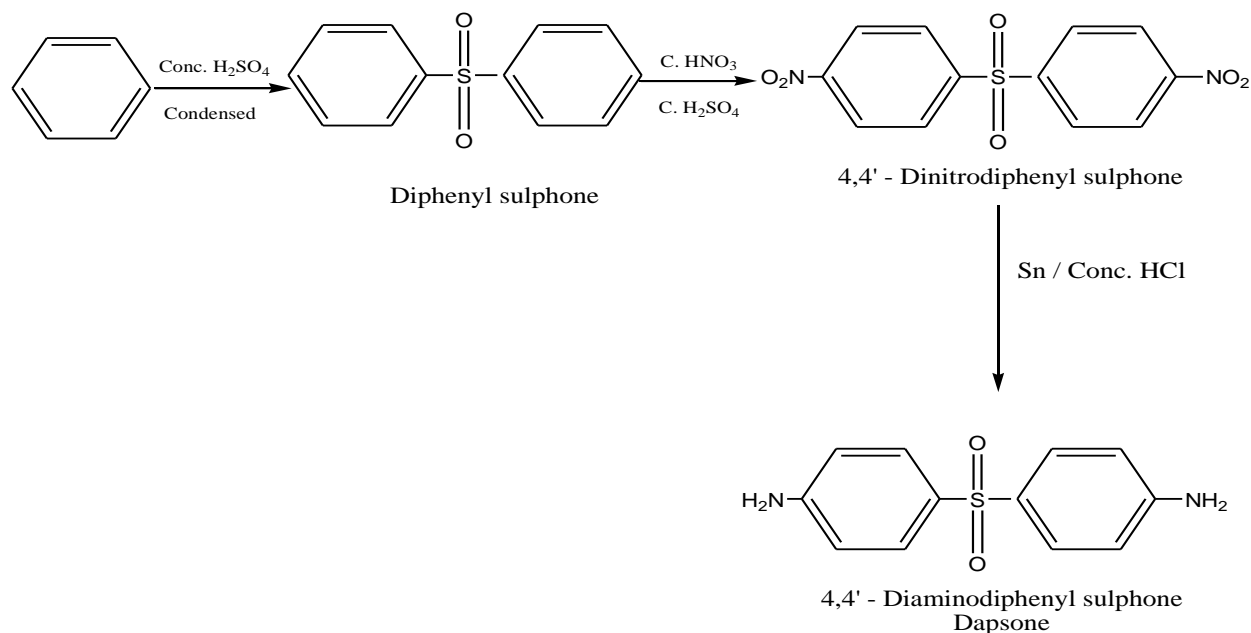
**B.Sc Sem – IV**  
**Chemistry Honours**  
**Paper : SEC – 2**  
**Pharmaceutical Chemistry**  
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**DAPSONE (ANTILAPROSY)**

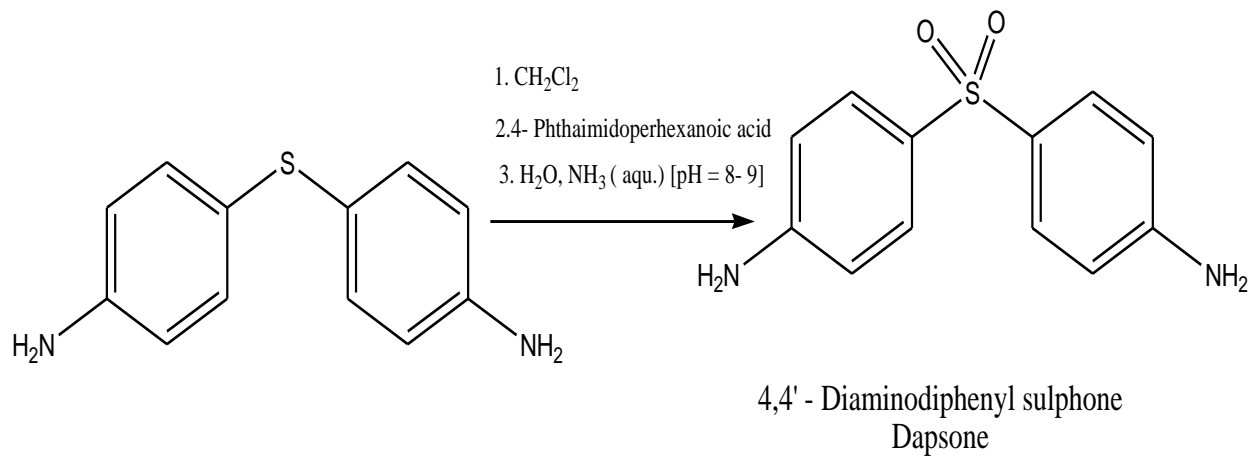
**Dapsone**, also known as **diaminodiphenyl sulfone (DDS)**, is an antibiotic commonly used in combination with rifampicin and clofazimine for the treatment of leprosy. It is a second-line medication for the treatment and prevention of pneumocystis pneumonia and for the prevention of toxoplasmosis in those who have poor immune function. Dapsone is available both topically and by mouth.<sup>[4]</sup>

Synthesis

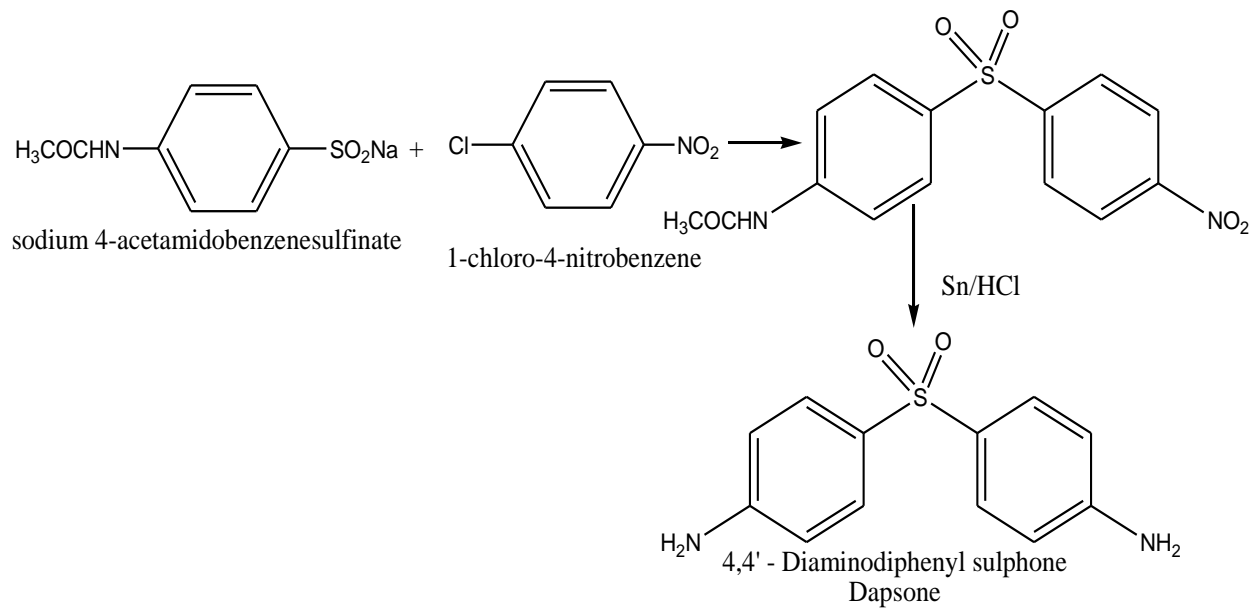
Method – 1



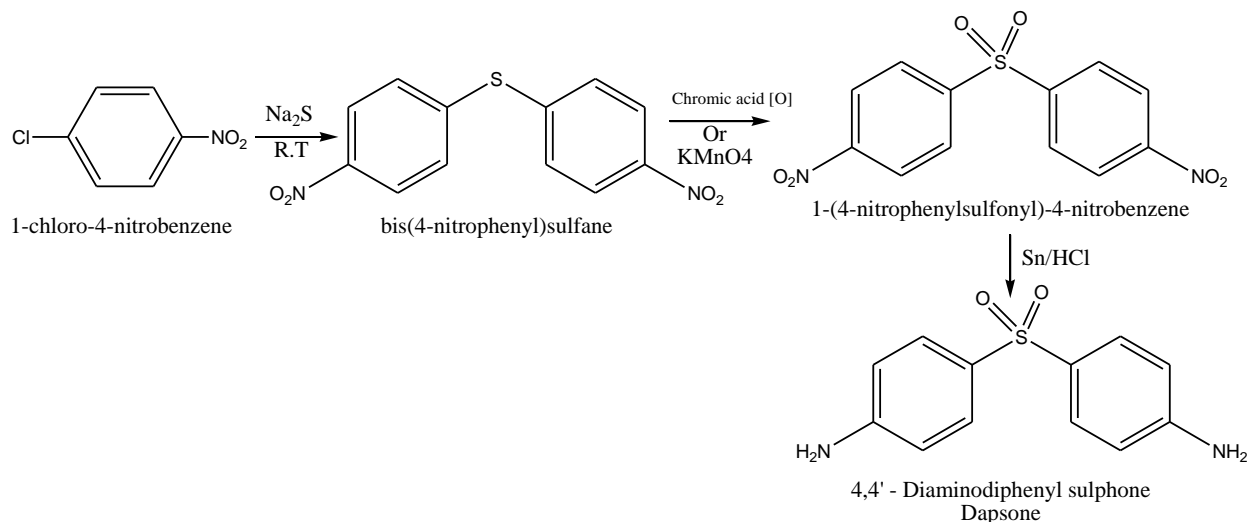
## Method – 2



## Method- 3



## Method – 4



## Medical uses

### Infections

Dapsone is commonly used in combination with rifampicin and clofazimine for the treatment of leprosy. It is also used to both treat and prevent pneumocystis pneumonia (PCP). It is also used for toxoplasmosis in people unable to tolerate trimethoprim with sulfamethoxazole.

### Adverse effects

Hypersensitivity reactions occur in 1.4% of persons treated with dapsone, and can be fatal in medical settings with low resources. It is a form of severe cutaneous adverse reactions (SCARs) in which a SCARs disorder, primarily the DRESS syndrome or a DRESS syndrome-like reaction occurs.

## **Mechanism of action**

As an antibacterial, dapsone inhibits bacterial synthesis of dihydrofolic acid, via competition with para-aminobenzoate for the active site of dihydropteroate synthase, thereby inhibiting nucleic acid synthesis. Though structurally distinct from dapsone, the sulfonamide group of antibacterial drugs also work in this way.

As an anti-inflammatory, dapsone inhibits the myeloperoxidase-H<sub>2</sub>O<sub>2</sub>-halide-mediated cytotoxic system in polymorphonucleocytes. As part of the respiratory burst that neutrophils use to kill bacteria, myeloperoxidase converts hydrogenperoxide(H<sub>2</sub>O<sub>2</sub>) into hypochlorous acid (HOCl). HOCl is the most potent oxidant generated by neutrophils, and can cause significant tissue damage during inflammation. Dapsone arrests myeloperoxidase in an inactive intermediate form, reversibly inhibiting the enzyme. This prevents accumulation of hypochlorous acid, and reduces tissue damage during inflammation. Myeloperoxidase inhibition has also been suggested as a neuron-sparing mechanism for reducing inflammation in neurodegenerative diseases such as Alzheimer's disease and stroke.

Dapsone's anti-inflammatory and immunomodulatory effects are thought to be its mechanism of action in treating dermatitis herpetiformis.

**Another approach of mechanism of Action** : Dapsone is a sulfonamide used against leprosy since the Second World; it has a bacteriostatic action, due to its ability to substitute para-aminobenzoic acid (PABA), which becomes part of the structure of folic acid. Because of its replacement with the sulfonamide, the bacterial dihydropteroate synthetase, which is an enzyme present in bacteria and protozoa but absent in humans and that catalyzes the incorporation of PABA into the dehydrofolic acid, it can no longer synthesize the latter, with consequent stop of the bacterial multiplication. Therefore, Dapsone acts as an antimetabolite, but it does not kill the bacteria, so that the patients were cured for all life long.

What kind of drug is dapsone?

Dapsone belongs to a class of drugs known as **sulfones**. It works by decreasing swelling (inflammation) and stopping the growth of bacteria. This medication will not work for viral

infections (e.g., common cold, flu). Unnecessary use or misuse of any antibiotic can lead to its decreased effectiveness.