

25.1.3.1. Morphine

Morphine is a **potent opiate analgesic psychoactive drug**. It is considered to be the **prototypical opioid**. Clinically, it is the **gold standard** of analgesics and is used to relieve severe pain and suffering.

Molecular Formula: $C_{17}H_{19}NO_3$

IUPAC Nomenclature: (4R,4aR,7S,7aR,12bS)-3-methyl-2,4,4a,7,7a,13-hexahydro-1H-4,12-methanobenzofuro[3,2-e]isoquinoline-7,9-diol

Properties: Morphine occurs as silky, feathery, white crystals, as cubical masses of crystals, or as a white crystalline powder with no odour and taste. It is soluble in methanol and pyridine; readily soluble in solutions of fixed alkali and alkaline earth hydroxides, cresols, in phenol; fairly soluble in combination of chloroform with alcohols; faintly soluble in ethanol, ammonia, and benzene; and insoluble in water.

Uses

1) Analgesia

- i) In mild somatic pain (e.g., joint pain), NSAIDs like aspirin/ibuprofen should be used; however in severe somatic pain (e.g., burn/fracture), opioid analgesics are effective. Opioids induce sleep in clinical situation when pain is present and sleep is necessary.
- ii) In chronic pain due to certain stage of metastasis cancer, opioids are indicated.
- iii) Opioids are used in labour pain.
- iv) They are used in patients having myocardial infarction.

2) **Treatment of Diarrhoea:** Morphine decreases the smooth muscle motility and increases the tone. **Loperamide** and **diphenoxylate** are anti-diarrhoeal drugs of opioid origin.

3) **Relief of Cough:** Morphine suppresses the cough reflex; but codeine or dextromethorphan is more widely used. The antitussive action of codeine is greater than that of morphine.

4) **Cardiovascular Shock:** Opioids are used in this condition which may arise due to injury, burns, etc.

5) **Anaesthesia and Pre-Anaesthesia:** Morphine sulphate in a dose of 8-12mg given intramuscularly is efficient.

Stability and Storage Conditions: Morphine sulphate slowly loses its water of hydration upon exposure to air. The drug becomes dark when exposed to light for a longer duration. **Morphine sulphate injections** should not be frozen and

should be stored at 15-30°C away from light. **Morphine sulphate extended-release liposomal injections** should be refrigerated at 2-8°C but should be stored at 15-30°C temperature for up to 7 days in unopened, sealed vials. **Morphine sulphate soluble tablets, extended-release capsules and tablets, and oral solutions** should be stored in tightly-closed light-resistant containers at 15-30°C temperature.

Types of Pharmaceutical Formulations: Injections, tablets, and solution.

Brand Names: DepoDur and Oramorph.

25.1.3.2. Pethidine

Pethidine is a narcotic analgesic which can be used for providing relief from various forms of moderate to severe pain such as postoperative pain and the pain of labour.

Molecular Formula: $C_{15}H_{21}NO_2$

IUPAC Nomenclature: Ethyl 1-methyl-4-phenylpiperidine-4-carboxylate

Properties: Pethidine occurs as a white coloured crystalline powder with a bitter taste and no odour. It is almost insoluble in water; soluble in alcohol and chloroform; and faintly soluble in ether.

Uses: Pethidine is used for controlling moderate to severe pain.

Stability and Storage Conditions: Pethidine is light sensitive, thus should be stored in tightly-closed containers.

Types of Pharmaceutical Formulations: Injections and tablets.

Brand Names: Dolantin, Dolcontral, and Mialgin.

25.1.3.3. Codeine

The analgesic potency of codeine is less than that of morphine. It gets partly converted into morphine within the body.

Molecular Formula: $C_{18}H_{21}NO_3$

IUPAC Nomenclature: (5 α ,6 α)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methylmorphinan-6-ol

Properties: Codeine occurs as colourless to white coloured crystalline solid or powder with no odour and a bitter taste. It sublimes at 284°F. It is faintly soluble in water; sparingly soluble in ether; readily soluble in alcohol; and very soluble in chloroform.

Uses: Codeine is used for treating and managing pain. It is also used as a cough suppressant and as an anti-diarrhoeal.

Stability and Storage Conditions: Codeine is sensitive to light and slowly effloresces in dry air. It should be stored in tightly-closed, light-resistant containers at 20-25°C. It should not be frozen.

Types of Pharmaceutical Formulations: Tablets and syrup.

Brand Names: Codectuss, Codeine Sulphate linctus, Codifos, and Paracod.

25.1.3.4. Methadone

Methadone is a synthetic opioid. It is chemically different but pharmacologically similar to morphine. It produces analgesic, respiratory depressant, emetic, antitussive, constipating, and biliary actions just like morphine.

Molecular Formula: $C_{21}H_{27}NO$

IUPAC Nomenclature: 6-(dimethylamino)-4,4-diphenylheptan-3-one.

Properties: Methadone occurs as a white coloured crystalline material with no odour. It is soluble in water and readily soluble in alcohol and chloroform.

Uses: Methadone is used for dry cough, opioid-type drug dependence, drug withdrawal syndrome, and pain. It may be prescribed for controlling intractable cough related to terminal lung cancer.

Stability and Storage Conditions: Methadone hydrochloride should be stored in tightly-closed, light-resistant containers. Methadone hydrochloride oral concentrates and solutions should be stored at 15-30°C temperature. Methadone hydrochloride tablets and injections should be kept at room temperature of 25°C, but exposures can be allowed to temperatures between 15-30°C.

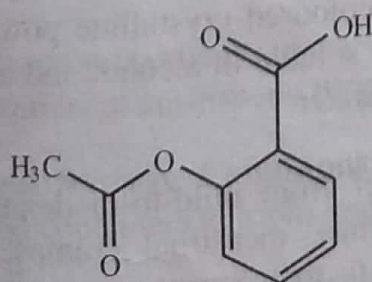
Types of Pharmaceutical Formulations: Tablets, oral concentrates, and injection.

Brand Names: Adolan, Depridol, Heptadon, and Ketalgin.

25.1.3.5. Aspirin

Aspirin has been clinically used for nearly 200 years, and was obtained from willow bark, but is now synthesised.

Chemical Structure



Aspirin

Molecular Formula: $C_9H_8O_4$

IUPAC Nomenclature: 2-acetyloxybenzoic acid

Properties: Aspirin occurs as white coloured crystals or crystalline powder with no odour and a slightly bitter taste. It is soluble in alcohol, ether, and chloroform; and less soluble in water.

Uses: Aspirin is used as an analgesic in mild to moderate pain of non-visceral aetiology, like headache, musculoskeletal pain, and dysmenorrhoea (primary dysmenorrhoea may be due to increased uterine PG activity). It is used as an antipyretic for symptomatic relief of fever due to a variety of causes. It is used as an anti-inflammatory in rheumatoid and osteoarthritis, rheumatic fever, and Dressler's syndrome. It is also used as a cardioprotective for primary or secondary prevention of myocardial infarction in unstable angina, in the treatment of transient cerebral ischemia, and for prevention of cerebral strokes. It is also used in radiation-induced diarrhoea.

Stability and Storage Conditions: Aspirin gets hydrolysed to salicylic acid in moist air so it should be stored at room temperature in well-closed containers.

Types of Pharmaceutical Formulations: Oral tablet, extended release, oral tablet, oral delayed release tablet, oral tablet, chewable, rectal suppository, compounding powder, oral tablet, disintegrating, oral tablet, dispersible, oral powder for reconstitution, oral gum, oral delayed release capsule, and oral extended release capsule.

Brand Names: Alphyrin, Asalite, Ascad, Dispirin, Cotasprin, Ecosprin, Optaz, and Vasosprin.