III. DRUG PROFILES

ACECLOFENAC$^{17-20}$

Chemical name:

\[
[(2\text{'}, 6\text{`}\text{-Dichlorophenyl)amino}]\text{phenyl]acetyloxy} \text{acetic acid}
\]

Molecular formula: C$_{16}$H$_{13}$Cl$_2$NO$_4$.

Description: White to almost white crystalline powder.

Molecular weight: 354.2

Solubility: Practically insoluble in water, freely soluble in acetone and alcohol.

Category: Anti-inflammatory agent.

Dose: 100mg two times a day.

Mode of action:

Aceclofenac relieves pain and inflammation through a variety of mechanisms and in addition exerts stimulatory effects on cartilage matrix synthesis.
Drug Profile

Anti-inflammatory effects:

The anti-inflammatory effects of aceclofenac have been shown in both acute and chronic inflammation. It inhibits various mediators of pain and inflammation including:

- It directly blocks the PGE2 production at the site of inflammation by inhibiting preferentially COX-2 and COX-1 activity.

- It inhibits synthesis of the inflammatory cytokines interleukin 1β (1L-1β) and tumor necrosis factor, which induces the COX-1 enzyme.

- Blocks the action of 1L-1β on matrix metalloproteinase, which release proteolytic enzymes and collagenases responsible for destruction of cartilage.

- Blocks the synthesis of tumor necrosis factor (TNF) which is responsible for further destruction of cartilage.

Stimulatory effects on cartilage matrix synthesis:

It stimulates glycosaminoglycans synthesis by inhibition of 1L-1β, which is part of physiological repairs process of cartilage.
Pharmacokinetic properties:

Pharmacokinetics data of aceclofenac are as follow:

- **Oral availability**: 100%.
- **Bound in plasma**: 99%.
- **Urinary excretion**: 70-80%.
- **Volume of distribution**: 25-30 L/kg.
- **Half life**: 3-4 hours.
KETOROLAC TROMETHAMINE

Description: White crystalline powder

Category: N.S.A.I.D (Nonsteroidal Anti-inflammatory Drugs)

Chemical Name: (±)-5-benzoyl-2,3-dihydro-1H-pyrrolizine-1-carboxylic acid, compound with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1),

Molecular formula: C_{19}H_{24}N_{2}O_{6}

Chemical Structure:

Dose:
Regimens in use in USA include a single intramuscular dose of 60mg or single IV dose of 30 mg or multidose regimen 30mg every 6 hour Intramuscular or Intravenous upto maximum of 120mg daily for adults.

pH: pH of 1% solution in water is between 5.7 to 6.7

Storage: In air tight containers at a temperature of 25°C. Protect from light.