

## Product Detail

### Yingtaiqing

#### Mechanism of Action

Yingtaiqing is a nonsteroidal anti-inflammatory drug (NSAID) that exhibits anti-inflammatory, analgesic, and antipyretic activities in animal models. The mechanism of action of Yingtaiqing, like that of other NSAIDs, is not completely understood but may be related to prostaglandin synthetase inhibition.

#### Pharmacokinetics

Diclofenac is 100% absorbed after oral administration compared to IV administration as measured by urine recovery. However, due to first-pass metabolism, only about 50% of the absorbed dose is systemically available. Food has no significant effect on the extent of diclofenac absorption. However, there is usually a delay in the onset of absorption of 1 to 4.5 hours and a reduction in peak plasma levels of <20%. The sustained release capsules reaches peak plasma concentration after about 4 hrs. The apparent volume of distribution is 0.12-0.55L/KG. Terminal half-life is 2 hr. The human serum proteins binding rate is 99%. Approximately 50% of the drug converts via hepatic metabolism. 40%-50% is excreted in the urine and approximately 35% in the bile and dejecta. No accumulative action with long term use.

Diclofenac is more than 99% bound to human serum proteins, primarily to albumin. Serum protein binding is constant over the concentration range (0.15-105 mg/mL) achieved with recommended doses. Diclofenac diffuses into and out of the synovial fluid. Diffusion into the joint occurs when plasma levels are higher than those in the synovial fluid, after which the process reverses and synovial fluid levels are higher than plasma levels. It is not known whether diffusion into the joint plays a role in the effectiveness of diclofenac.

Five diclofenac metabolites have been identified in human plasma and urine. The metabolites include 4'-hydroxy-, 5-hydroxy-, 3'-hydroxy-, 4',5-dihydroxy- and 3'-hydroxy-4'-methoxy diclofenac. In patients with renal dysfunction, peak concentrations of metabolites 4'-hydroxy- and 5-hydroxy-diclofenac were approximately 50% and 4% of the parent compound after single oral dosing compared to 27% and 1% in normal healthy subjects. However, diclofenac metabolites undergo further glucuronidation and sulfation followed by biliary excretion.

Diclofenac is eliminated through metabolism and subsequent urinary and biliary excretion of the glucuronide and the sulfate conjugates of the metabolites. Little or no free unchanged diclofenac is excreted in the urine. Approximately 65% of the dose is excreted in the urine and approximately 35% in the bile as conjugates of unchanged diclofenac plus metabolites. Because renal elimination is not a significant pathway of elimination for unchanged diclofenac, dosing adjustment in patients with mild to moderate renal dysfunction is not necessary. The terminal half-life of unchanged diclofenac is approximately 2 hours.

#### Indications

Yingtaiqing is indicated:

1. For relief of signs and symptoms of osteoarthritis and rheumatoid arthritis

2. For relief of signs and symptoms of the rheumatic pain of soft tissues, such as shoulder pain, peritendinitis, bursitis, postexercise damage pain etc.

For relief of signs and symptoms of acute light, midrange pains, such as perioperative pains, wound, strain etc., primary dysmenorrheal, toothache, headache etc.

### **Contraindications**

Yingtaiqing is contraindicated in patients with known hypersensitivity to diclofenac.

Yingtaiqing should not be given to patients who have experienced asthma, urticaria, or other allergic-type reactions after taking aspirin or other NSAIDs.

### **Adverse Reactions**

1. Gastrointestinal reaction

2. nervous system: headache, vertigo, drowsiness, analepsia etc.

3. puffiness, oliguria, electrolytes.

4. seldom situations like serum transaminase transient raise, rarely occurred situations like choloplania, erythra, arrhythmia, granulocytopenia etc.

### **Warnings**

1. Anaphylactoid Reactions

As with other NSAIDs, anaphylactoid reactions may occur in patients without known prior exposure to Yingtaiqing. Yingtaiqing should not be given to patients with the aspirin triad. This symptom complex typically occurs in asthmatic patients who experience rhinitis with or without nasal polyps, or who exhibit severe, potentially fatal bronchospasm after taking aspirin or other NSAIDs. (See CONTRAINDICATIONS and PRECAUTIONS, Preexisting Asthma.) Emergency help should be sought in cases where an anaphylactoid reaction occurs.

2. Patients with hepatic or renal impairment or a history of GI ulcer should be careful using this drug. Regular monitoring the hepatic and renal function is necessary.

3. Careful use for those sodium intake-limited patients.

4. Disturb to diagnose: this product may lead serum transaminase transient raise, serum uric acid reduce, uric acid raise.

### **Precautions**

**General** : Yingtaiqing (diclofenac sodium enteric-coated tablets), cannot be expected to substitute for corticosteroids or to treat corticosteroid insufficiency. Abrupt discontinuation of corticosteroids may lead to disease exacerbation. Patients on prolonged corticosteroid therapy should have their therapy tapered slowly if a decision is made to discontinue corticosteroids. The pharmacological activity of Yingtaiqing in reducing fever and inflammation may diminish the utility of these diagnostic signs in detecting complications of presumed noninfectious, painful conditions.

**Pregnancy and Nursing Mother**: Yingtaiqing can distribute through placenta.

Reproductive studies conducted in rats and rabbits have not demonstrated evidence of developmental abnormalities. However, animal reproduction studies are not always predictive of human response. There are no adequate and well-controlled studies in pregnant women. Women who are pregnant or breastfeeding should not use Yingtaiqing.

**Pediatric Use** : Safety and effectiveness in pediatric patients have not been established. Yingtaiqing should not be used for children under 1 year old.

**Geriatric Use** : Cautions should be exercised for elderly for possible GI effects.

## **Drug Interactions**

1. Drinking during usage or combination with other NSAIDs would increase the risk of GI adverse reactions and ulcer. Long term combination with aspirin will have heavier renal side effects.
2. The effects of warfarin and NSAIDs on GI bleeding are synergistic, such that users of both drugs together have a risk of serious GI bleeding higher than users of either drug alone.
3. Clinical studies, as well as post-marketing observations, have shown that Yingtaiqing can reduce the natriuretic effect of furosemide and thiazides in some patients. This response has been attributed to inhibition of renal prostaglandin synthesis. During concomitant therapy with NSAIDs, the patient should be observed closely for signs of renal failure, as well as to assure diuretic efficacy.
4. Reports suggest that NSAIDs may diminish the antihypertensive effect of ACE inhibitors. This interaction should be given consideration in patients taking NSAIDs concomitantly with ACE inhibitors.
5. NSAIDs have been reported to competitively inhibit methotrexate accumulation in rabbit kidney slices. This may indicate that they could enhance the toxicity of methotrexate. Caution should be used when NSAIDs are administered concomitantly with methotrexate.

## **Dosage and Administration**

Twice-a-day, one capsule each time, oral administration

## **Overdosage**

Symptoms following acute NSAID overdoses are usually limited to lethargy, drowsiness, nausea, vomiting, and epigastric pain, which are generally reversible with supportive care. Gastrointestinal bleeding can occur. Hypertension, acute renal failure, respiratory depression and coma may occur, but are rare. Anaphylactoid reactions have been reported with therapeutic ingestion of NSAIDs, and may occur following an overdose. Patients should be managed by symptomatic and supportive care following a NSAID overdose. There are no specific antidotes. Emesis and/or activated charcoal (60 to 100 g in adults, 1 to 2 g/kg in children) and/or osmotic cathartic may be indicated in patients seen within 4 hours of ingestion with symptoms or following a large overdose (5 to 10 times the usual dose). Forced diuresis, alkalization of urine, hemodialysis, or hemoperfusion may not be useful due to high protein binding.

## **Quale**

Sustained release capsules

## **Etalon**

50mg/capsule

## **Package**

10 capsules/platex2/box

## **Price**

26.5 RMB/Box

## **Characters**

Yingtaiqing Diclofenac Sodium is with strong anti-inflammatory and analgesic activities, and well tolerance. It is a great nonsteroidal anti-inflammatory drug(NSAID).