# KEY WORDS OF MODERN ANTIFUNGAL THERAPY

## THE ADVANTAGE OF BEING LIPOPHILIC

Dermatophytosis
caused by
*Trichophyton concentricum*

Fungi have a strong affinity for the lipid-rich layers of the skin, the mucosa and other tissues. In addition, fungal cell membranes consist largely of lipids.

Since most antifungal drugs are targeted at the fungal cell membranes, it is advantageous if they too are lipophilic in nature. Its lipophilicity is what helps an oral drug like itraconazole to exert its antifungal effect precisely where it is needed: in the fungal membranes and in the target tissues.

It also helps that itraconazole is decidedly keratinophilic. For this is why it is strongly attracted to the skin's stratum corneum where many fungi find the keratin they need to subsist.

Possessing both properties gives itraconazole the additional advantage that it remains in the epithelial cells for as long as it takes these cells to be desquamated. Its antifungal activity will therefore continue for several days or even weeks after stopping treatment, thus permitting oral dosage schedules to be limited to a short period of time.

In other words, in much the same way as we have become accustomed to using oral antibiotics, we can now also combat fungal infections with **short, fixed oral treatment schedules.**



# Sporanox *

itraconazole 100 mg

## SHORT AND SIMPLE ORAL THERAPY

(See prescribing information below)
**Basic dose in dermatomycoses:** 1 capsule (100 mg) once daily for 15 days
**Standard dose in vaginal candidosis:** 2 x 2 capsules (400 mg) for 1 day only

*** Trademarks: SPORANOX, SEMPERA, TRISPORAL**

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**Properties:** Sporanox (itraconazole), a triazole derivative, is orally active against infections with dermatophytes (*Trichophyton* spp., *Microsporum* spp., *Epidermophyton floccosum*), yeasts (*Candida* spp., *Pityrosporum* spp.), *Aspergillus* spp. and various other yeasts and fungi. **Indications:** Sporanox (itraconazole) is indicated for vulvovaginal candidosis, pityriasis versicolor, dermatophytoses, fungal keratitis and oral candidosis. **Dosage and administration:** Vulvovaginal candidosis: 2 capsules (200 mg) morning and evening for 1 day; pityriasis versicolor: 2 capsules (200 mg) once daily for 7 days; tinea corporis, tinea cruris, tinea pedis, tinea manus: 1 capsule (100 mg) daily for 15 days; highly keratinized regions, as in plantar tinea pedis and palmar tinea manus, require 1 capsule (100 mg) daily for 30 days. Oral candidosis: 1 capsule (100 mg) daily for 15 days. Fungal keratitis: 2 capsules (200 mg) once daily for 21 days. **Contra-indications:** Sporanox (itraconazole) is contra-indicated during pregnancy. **Warnings and precautions:** Although clinically Sporanox (itraconazole) has not been associated with hepatic dysfunction, it is advisable not to give this drug to patients with a known history of liver disease. **Nursing mothers:** It is recommended not to breast feed whilst taking Sporanox (itraconazole). **Drug interactions:** Sporanox (itraconazole) should not be given concomitantly with rifampicin.

**Full prescribing information is available on request.**

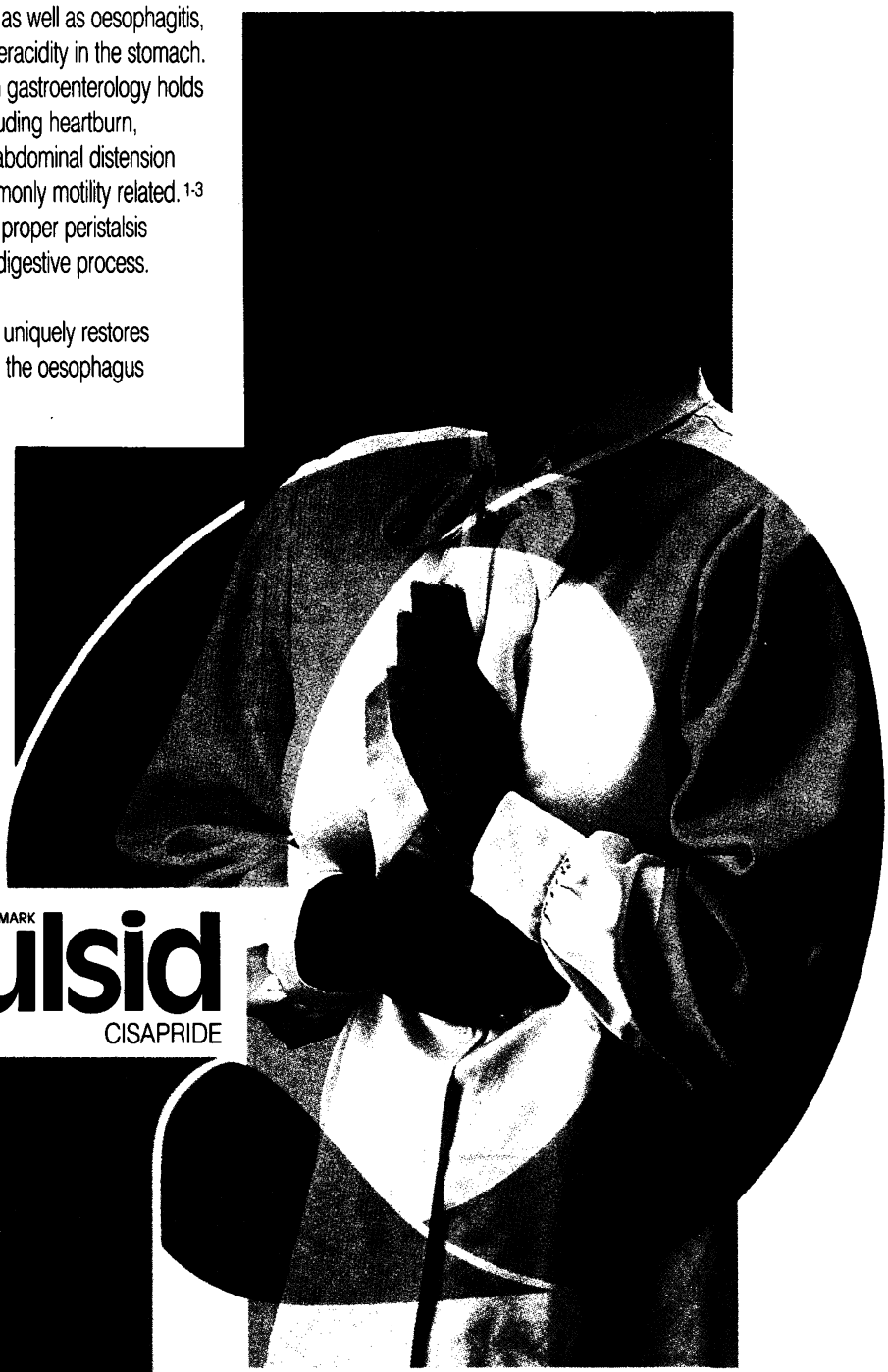
INT 91/2177.1/AV9108-2

# gastric distress & oesophagitis

## hyperacidity or dysmotility?

Most complaints of gastric distress, as well as oesophagitis, are conventionally attributed to hyperacidity in the stomach. However, the contemporary view in gastroenterology holds that most upper G.I. problems, including heartburn, postprandial fullness, early satiety, abdominal distension and epigastric discomfort, are commonly motility related.[1-3] And this stands to reason. After all, proper peristalsis is a physiological necessity for our digestive process.

Prepulsid, the novel G.I. prokinetic, uniquely restores healthy peristalsis to efficiently clear the oesophagus and empty the stomach.[4-6]



TRADEMARK
**Prepulsid**
CISAPRIDE

**restores upper G.I. motility like no other agent.**

**JANSSEN**
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B-2340 Beerse, Belgium

*expertise in digestive motility*

References: 1. Knuff, J.E. et al.: Dig. Dis. Sci. 29: 194 (1984); 2. Kahrilas, J.P. et al.: Gastroenterology 91: 897 (1986); 3. Malagelada, J.R. et al.: Gastroenterol. 88: 1223 (1985); 4. Ceccatelli, P. et al.: Gut 29: 631 (1988); 5. Collins, B.J. et al.: Hepato-Gastroenterol. 34: 113 (1987); 6. Jian, R. et al.: Dig. Dis. Sci. 34: 657 (1989).

**Prescribing Information** - Prepulsid (cisapride) is a gastro-intestinal prokinetic agent. Prepulsid enhances and co-ordinates gastro-intestinal propulsive motility, thereby preventing stasis and reflux. **Therapeutic indications**: 1. Gastroparesis. 2. Symptoms of X-ray or endoscopy negative upper digestive discomfort. 3. Gastro-oesophageal reflux disorders, including oesophagitis. 4. Intestinal pseudo-obstruction. **Contra-indications**: No absolute contra-indications are known. **Precautions**: *Pregnancy*: Although, in animals, there is no effect on primary fertility, no primary embryotoxic and no teratogenic effect, the anticipated therapeutic benefits should be weighed against the potential hazards before Prepulsid is given during pregnancy, especially during the first trimester. *Nursing mothers*: Although the excretion in breast milk is minimal, nursing mothers are advised not to breast feed while taking Prepulsid. *Driving and machine-operating ability*: Prepulsid does not affect psychomotor function and does not induce sedation or drowsiness. Prepulsid may, however, accelerate the absorption of central nervous system depressants, such as barbiturates and alcohol. Caution should therefore be exercised when Prepulsid is administered with these drugs. **Interactions**: - The acceleration by Prepulsid of gastric emptying may affect the rate of absorption of drugs: absorption of drugs from the small bowel may be accelerated (e.g. benzodiazepines, anticoagulants, paracetamol, $H_2$-blockers). - In patients receiving anticoagulants, the coagulation times may somewhat increase. It is advisable to check the coagulation time one week after the start of Prepulsid treatment to adapt the anticoagulant dose if necessary. - The effects of Prepulsid on gastro-intestinal motility are, for the most part, antagonized by anticholinergic drugs. - In hepatic and renal insufficiency, it is recommended to halve the initial daily dose. Subsequently, this dose can be adapted, depending on the therapeutic effects or possible side effects. - In the elderly, steady-state plasma levels are generally higher, due to a moderate prolongation of the elimination half-life. Therapeutic doses, however, are similar to those used in younger patients. - In the case of drugs that require individual titration, it may be useful to monitor plasma levels of such drugs when Prepulsid is associated. **Adverse reactions**: - In line with the pharmacological activity of Prepulsid, transient abdominal cramping, borborygmi and diarrhoea may occur. Mild and transient headache or lightheadedness have been reported occasionally. When diarrhoea occurs in babies or infants, the dose should be reduced. There have been isolated reports of convulsive seizures without clearcut relationship to Prepulsid. **Dosage**: - Adults: according to the severity of the condition, 5 or 10 mg of Prepulsid, 2 to 4 times daily, to be taken as tablets or as oral suspension (the full plastic 5-ml spoon contains 5 mg). As a rule the following doses have proven adequate: • less severe conditions (gastroparesis, oesophagitis, refractory constipation): 10 mg t.i.d. to 10 mg q.i.d. (before the 3 main meals and before retiring). - Infants and children: on the average 0.2 mg/kg per intake, 3 to 4 times daily. For the suspension, intakes are indicated on the dosing pipet as a function of body weight.

**Note: Prepulsid (cisapride) is not yet available in all countries and not all indications have been approved everywhere.**

**Full prescribing information available on request.**