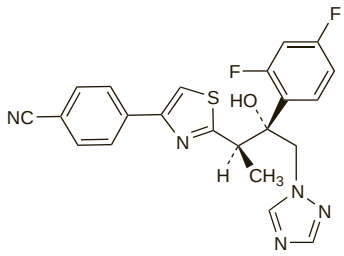
Ravuconazole *(pINN)*

BMS-207147; ER-30346; Ravuconazol; Ravuconazolum. 4-{2-[(1*R*,2*R*)-2-(2,4-Difluorophenyl)-2-hydroxy-1-methyl-3-(1*H*-1,2,4-triazol-1-yl)propyl]-4-thiazolyl}-benzonitrile.

Равуконазол

$C_{22}H_{17}F_2N_5OS$ = 437.5.

CAS — 182760-06-1.



Profile
Ravuconazole is a triazole antifungal said to possess a broader spectrum of activity than fluconazole or itraconazole. It is under investigation for the treatment of systemic fungal infections.

Sertaconazole Nitrate *(BANM, rINNM)*

Nitrato de sertaconazol; Sertaconazole, nitrate de; Sertaconazoli nitras; Sertakonatsolinitraatti; Sertakonazol Nitrat; Sertakonazol-nitrat; Sertakonazol-nitrát; Sertakonazolo nitratas; Szertakonazol-nitrát. (±)-1-{2,4-Dichloro-β-[(7-chlorobenzo[*b*]thien-3-yl)-methoxy]phenethyl}imidazole nitrate.

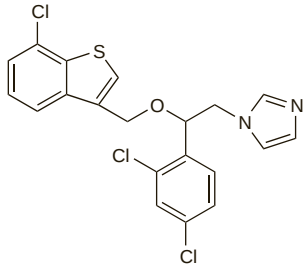
Сертаконазола Нитрат

$C_{20}H_{15}Cl_3N_2OS,HNO_3$ = 500.8.

CAS — 99592-32-2 (sertaconazole); 99592-39-9 (sertaconazole nitrate).

ATC — D01AC14.

ATC Vet — QD01AC14.



(sertaconazole)

Pharmacopoeias. In *Eur.* (see p.vii).
Ph. Eur. 6.2 (Sertaconazole Nitrate). A white or almost white powder. Practically insoluble in water; sparingly soluble in alcohol and in dichloromethane; soluble in methyl alcohol. Protect from light.

Profile
Sertaconazole is an imidazole antifungal used topically as the nitrate as a 2% cream, gel, solution, or powder in the treatment of superficial candidiasis, dermatophytosis, seborrhoeic dermatitis, and pityriasis versicolor. In the treatment of vaginal candidiasis it is used as a 2% vaginal cream daily for 7 or 8 days or as a single dose of a 300-mg or 500-mg pessary.

For a discussion of the caution needed when using azole antifungals during pregnancy, see under Pregnancy in Precautions of Fluconazole, p.532.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Zalain†; ***Austria:*** Zalain†; ***Braz.:*** Gyno Zalain; Zalain; ***Chile:*** Tromderm; Zalain; ***Cz.:*** Zalain†; ***Ger.:*** Mykosert; Zalain; ***Gr.:*** Fuganol†; ***Hong Kong:*** Zalain; ***Hung.:*** Zalain; ***Indon.:*** Dermofix; ***Ital.:*** Sertacream; Sertaderm; Sertadie; Sertagyn; Zalain†; ***Malaysia:*** Zalain†; ***Philipp.:*** Zalain; ***Port.:*** Dermofix; Sertopic; ***Rus.:*** Zalain (Залаин); ***Singapore:*** Zalain; ***Spain:*** Dermofix; Dermoseptic; Gine Zalain; Ginedermofix; Zalain; ***Thai.:*** Zalain; ***Turk.:*** Zalain; ***USA:*** Ertaczo; ***Venez.:*** Zalain.

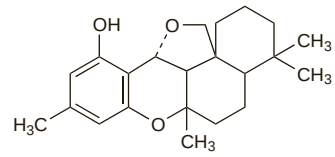
Siccanin *(rINN)*

Sicanina; Siccanine; Siccaninum. (13a*S*)-1,2,3,4,4a*β*,-5,6,6a,11b*β*,13b*β*-Decahydro-4-4-6a*β*9-tetramethyl-13*H*-benzo[*a*]furo[2,3,4-*mn*]xanthen-11-ol.

Сикканин

$C_{22}H_{30}O_3$ = 342.5.

CAS — 22733-60-4.



Pharmacopoeias. In *Jpn.*

Profile
Siccanin is obtained from *Helminthosporium siccans*, a parasitic organism of rye grass It has antifungal activity and is used topically as a 1% ointment for dermatophyte infections.

Sodium Parachlorobenzoate

Sodium *p*-Chlorobenzoate.

Натрий Парахлорбензоат

$C_7H_4ClNaO_2$ = 178.5.

CAS — 3686-66-6.

Profile
Sodium parachlorobenzoate has antifungal activity and is used as a 4% powder in the treatment of fungal skin infections (p.521).

Preparations

Proprietary Preparations (details are given in Part 3)
Multi-ingredient: ***Hung.:*** Mycosid.

Sulconazole Nitrate *(BANM, USAN, rINNM)*

Nitrato de sulconazol; RS-44872; RS-44872-00-10-3; Sulconazole, Nitrate de; Sulconazoli Nitras; Sulkonazol Nitrat. 1-[2,4-Dichloro-β-(4-chlorobenzyl)thiophenethyl]imidazole nitrate.

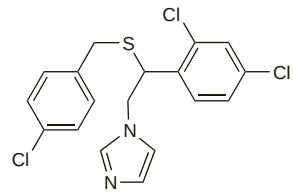
Сульконазола Нитрат

$C_{18}H_{15}Cl_3N_2S,HNO_3$ = 460.8.

CAS — 61318-90-9 (sulconazole); 61318-91-0 (sulconazole nitrate).

ATC — D01AC09.

ATC Vet — QD01AC09.



(sulconazole)

Pharmacopoeias. In *Fr.* and *US.*
USP 31 (Sulconazole Nitrate). A white to off-white crystalline powder. Soluble 1 in 3333 of water, 1 in 100 of alcohol, 1 in 130 of acetone, 1 in 333 of chloroform, 1 in 286 of dichloromethane, 1 in 2000 of dioxan, 1 in 71 of methyl alcohol, 1 in 10 of pyridine, and 1 in 2000 of toluene. Protect from light.

Adverse Effects and Precautions
Local reactions including blistering, burning, itching, and erythema have been reported after sulconazole use.

For a discussion of the caution needed when using azole antifungals during pregnancy, see under Pregnancy in Precautions of Fluconazole, p.532.

Antimicrobial Action
Sulconazole is an imidazole antifungal with activity against dermatophytes, *Candida* spp., and *Malassezia furfur.*

Uses and Administration
Sulconazole is an imidazole antifungal used topically as the nitrate once or twice daily as a 1% cream or solution in the treatment of fungal skin infections including dermatophyte infections and pityriasis versicolor (p.521), and candidiasis (p.518).

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Antifungal; Minot†; ***Belg.:*** Myk-1; ***Fr.:*** Myk; ***Irl.:*** Exelderm†; ***Neth.:*** Myk-1; ***Turk.:*** Exelderm; ***UK:*** Exelderm; ***USA:*** Exelderm.

Terbinafine *(BAN, USAN, rINN)*

SF-86-327; SF-86327; Terbinafiini; Terbinafin; Terbinafina; Terbinafinum. (*E*)-6,6-Dimethylhept-2-en-4-ynl(methyl)-(1-naphthylmethyl)amine.

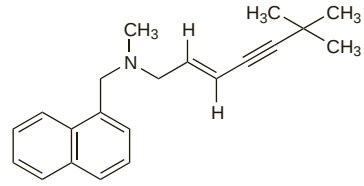
Тербинафин

$C_{21}H_{25}N$ = 291.4.

CAS — 91161-71-6.

ATC — D01AE15; D01BA02.

ATC Vet — QD01AE15; QD01BA02.



Terbinafine Hydrochloride *(BANM, rINNM)*

Hidrocloruro de terbinafina; Terbinafiinihydrokloridi; Terbinafin Hidroklorür; Terbinafine, chlorhydrate de; Terbinafin-hydrochlorid; Terbinafinhydroklorid; Terbinafini hydrochloridum; Terbinafiny chlorowodorek.

Тербинафина Гидрохлорид

$C_{21}H_{26}ClN$ = 327.9.

CAS — 78628-80-5.

ATC — D01AE15; D01BA02.

ATC Vet — QD01AE15; QD01BA02.

Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Terbinafine Hydrochloride). A white or almost white powder. Very slightly or slightly soluble in water; freely soluble in dehydrated alcohol and in methyl alcohol; slightly soluble in acetone. Protect from light.
USP 31 (Terbinafine Hydrochloride). A white or off-white powder. Very slightly or slightly soluble in water; freely soluble in dehydrated alcohol and in methyl alcohol; slightly soluble in acetone; Protect from light.

Adverse Effects
The most frequent adverse effects after oral use of terbinafine hydrochloride are gastrointestinal disturbances such as nausea, diarrhoea, and mild abdominal pain. Loss or disturbance of taste may occur and occasionally may be severe enough to lead to anorexia and weight loss. Other frequent adverse effects include headache and skin reactions, including rash or urticaria, sometimes with arthralgia or myalgia. Severe skin reactions including angioedema, photosensitivity, Stevens-Johnson syndrome, and toxic epidermal necrolysis have occurred rarely. Liver dysfunction with isolated reports of cholestasis, hepatitis, and jaundice, has occurred and there have also been rare cases of hepatic failure, sometimes leading to death or needing liver transplantation, in patients both with and without pre-existing liver disease. Other rare adverse effects include paraesthesia, hypoaesthesia, dizziness, malaise, fatigue, and alopecia. Haematological disorders including neutropenia, thrombocytopenia, and agranulocytosis, psychiatric disturbances such as depression and anxiety, and precipitation or exacerbation of cutaneous and systemic lupus erythematosus have been reported very rarely.

There may be local reactions after topical use of terbinafine.

Incidence of adverse effects. Postmarketing surveillance of about 10 000 patients[1] suggested the following incidences of adverse effects to oral terbinafine: gastrointestinal symptoms, 4.7%; dermatological effects, 3.3%; CNS symptoms (commonly headache), 1.8%; taste disturbances, 0.6%; and transient disturbances in liver function, 0.1%. Serious adverse effects possibly or probably related to terbinafine included angioedema, bronchospasm, erythema multiforme, extended stroke, and unilateral leg oedema. Combined data from 25 884 patients from this and 3 further studies[2] generally confirmed these results. Overall, adverse effects were reported in 10.5% of patients and caused treatment to be stopped in 5.3%. Serious adverse effects probably or possibly related to terbinafine occurred in 12 patients (0.046%).

1. O'Sullivan DP, *et al.* Postmarketing surveillance of oral terbinafine in the UK: report of a large cohort study. *Br J Clin Pharmacol* 1996; **42:** 559–65.
2. O'Sullivan DP. Terbinafine: tolerability in general medical practice. *Br J Dermatol* 1999; **141** (suppl 56): 21–5.