Amoebic infections. ***ACANTHAMOEBA INFECTIONS.*** Pentamidine was used to treat disseminated *Acanthamoeba* infection (p.822) without evidence of CNS involvement in 2 immunocompromised patients.[1,2] It is unlikely that pentamidine would be effective in infections involving the CNS.

1. Slater CA, *et al.* Brief report: successful treatment of disseminated Acanthamoeba infection in an immunocompromised patient. *N Engl J Med* 1994; **331:** 85–7.
2. Murakawa GJ, *et al.* Disseminated Acanthamoeba in patients with AIDS: a report of five cases and a review of the literature. *Arch Dermatol* 1995; **131:** 1291–6.

Babesiosis. Pentamidine has been tried for babesiosis (p.823), but while some patients showed clinical improvements,[1-3] the efficacy and safety of pentamidine in this infection has been questioned.[4]

1. Francioli PB, *et al.* Response of babesiosis to pentamidine therapy. *Ann Intern Med* 1981; **94:** 326–30.
2. Raoult D, *et al.* Babesiosis, pentamidine, and cotrimoxazole. *Ann Intern Med* 1987; **107:** 944.
3. Clarke CS, *et al.* Babesiosis: under-reporting or case-clustering? *Postgrad Med J* 1989; **65:** 591–3.
4. Teutsch SM, Juranek DD. Babesiosis. *Ann Intern Med* 1981; **95:** 241.

Leishmaniasis. Pentamidine has been used in the treatment of visceral leishmaniasis (p.824) both alone and with antimonials in patients who have failed to respond to antimonials alone.[1,2] It has also been tried for long-term secondary prophylaxis in patients with HIV infection.[3] Cutaneous leishmaniasis due to *L. guyanensis* is usually treated with pentamidine to reduce the risk of dissemination;[1] beneficial results in patients infected with *L. infantum*, *L. major*, or *L. tropica* have also been reported.[4] Lesions due to *L. aethiopica* may also respond to pentamidine, but can be left to heal spontaneously since the risk of diffuse cutaneous involvement is small.[1] Diffuse cutaneous or mucocutaneous disease which is unresponsive to antimonials may respond to pentamidine.[1]

For mention of the use of pentamidine with paromomycin to treat visceral leishmaniasis in an HIV-infected patient, see p.844.

1. WHO. *WHO model formulary.* Geneva: WHO, 2004.
2. Baily GG, Nandy A. Visceral leishmaniasis: more prevalent and more problematic. *J Infect* 1994; **29:** 241–7.
3. Pérez-Molina JA, *et al.* Pentamidine isethionate as secondary prophylaxis against visceral leishmaniasis in HIV-positive patients. *AIDS* 1996; **10:** 237–8.
4. Hellier I, *et al.* Treatment of Old World cutaneous leishmaniasis by pentamidine isethionate: an open study of 11 patients. *Dermatology* 2000; **200:** 120–3.

Pneumocystis pneumonia. In the treatment of pneumocystis pneumonia (p.521) intravenous pentamidine is generally reserved for patients with moderate to severe disease who do not respond to, or cannot tolerate, co-trimoxazole. Co-trimoxazole with pentamidine is no more effective than pentamidine alone in these patients and is potentially more toxic than either drug.[1] Inhaled pentamidine has occasionally been suggested for mild to moderate infection, but is now generally only used for prophylaxis. However, patients given inhaled pentamidine may be prone to extrapulmonary *Pneumocystis* infections.[2,3]

In both primary and secondary prophylaxis of pneumocystis pneumonia in immunocompromised patients, co-trimoxazole is preferred to inhaled pentamidine. Comparative studies have shown that, in the short term, inhaled pentamidine has been less effective than co-trimoxazole[4,5] and no more effective than another common prophylactic drug, dapsone.[6,7] In addition, both co-trimoxazole and dapsone (given with pyrimethamine) also provide protection against toxoplasmosis and extrapulmonary pneumocystis infections. However, inhaled pentamidine is better tolerated than either of these, and studies have suggested that in the long term the efficacy of the three drugs is comparable,[8,9] at least in patients with CD4+ T lymphocyte counts of more than 100 cells/microlitre. Increasing the dose of pentamidine from 300 mg every four weeks to 300 mg every two weeks[10,11] or 600 mg every week[12] may improve efficacy further. Intermittent parenteral dosage of pentamidine has been used when the more usual drugs cannot be given.[13]

1. Glatt AE, Chirgwin K. Pneumocystis carinii pneumonia in human immunodeficiency virus-infected patients. *Arch Intern Med* 1990; **150:** 271–9.
2. Witt K, *et al.* Dissemination of Pneumocystis carinii in patients with AIDS. *Scand J Infect Dis* 1991; **23:** 691–5.
3. Sha BE, *et al.* Pneumocystis carinii choroiditis in patients with AIDS: clinical features, response to therapy, and outcome. *J Acquir Immune Defic Syndr Hum Retrovirol* 1992; **5:** 1051–8.
4. Schneider MME, *et al.* A controlled trial of aerosolized pentamidine or trimethoprim-sulfamethoxazole as primary prophylaxis against Pneumocystis carinii pneumonia in patients with human immunodeficiency virus infection. *N Engl J Med* 1992; **327:** 1836–41.
5. Hardy WD, *et al.* A controlled trial of trimethoprim-sulfamethoxazole or aerosolized pentamidine for secondary prophylaxis of Pneumocystis carinii pneumonia in patients with the acquired immunodeficiency syndrome. *N Engl J Med* 1992; **327:** 1842–8.
6. Girard P-M, *et al.* Dapsone-pyrimethamine compared with aerosolized pentamidine as primary prophylaxis against Pneumocystis carinii pneumonia and toxoplasmosis in HIV infection. *N Engl J Med* 1993; **328:** 1514–20.
7. Torres RA, *et al.* Randomized trial of dapsone and aerosolized pentamidine for the prophylaxis of Pneumocystis carinii pneumonia and toxoplasmic encephalitis. *Am J Med* 1993; **95:** 573–83.
8. Bozzette SA, *et al.* A randomized trial of three antipneumocystis agents in patients with advanced human immunodeficiency virus infection. *N Engl J Med* 1995; **332:** 693–9.
9. Rizzardi GP, *et al.* Risks and benefits of aerosolized pentamidine and cotrimoxazole in primary prophylaxis of Pneumocystis carinii pneumonia in HIV-1-infected patients: a two-year Italian multicentric randomized controlled trial. *J Infect* 1996; **32:** 123–31.
10. Kronawitter U, *et al.* Low incidence of Pneumocystis carinii pneumonia in HIV patients receiving 300 mg pentamidine aerosol every 2 weeks. *Clin Investig* 1992; **70:** 1089–91.
11. Rizzardi GP, *et al.* Better efficacy of twice-monthly than monthly aerosolised pentamidine for secondary prophylaxis of Pneumocystis carinii pneumonia in patients with AIDS: an Italian multicentric randomised controlled trial. *J Infect* 1995; **31:** 99–105.
12. Ong ELC, *et al.* Efficacy and effects on pulmonary function tests of weekly 600 mg aerosol pentamidine as prophylaxis against Pneumocystis carinii pneumonia. *Infection* 1992; **20:** 136–9.
13. CDC. Guidelines for preventing opportunistic infections among HIV-infected persons—2002: recommendations of the US Public Health Service and the Infectious Diseases Society of America. *MMWR* 2002; **51** (RR-8): 1–52. Also available at: http://www.cdc.gov/mmwr/PDF/rr/rr5108.pdf (accessed 27/05/05)

African trypanosomiasis. Pentamidine is used for the haematolymphatic phase of African trypanosomiasis caused by *Trypanosoma brucei gambiense* (p.827), and as an adjunct to other treatment for the meningoencephalitic stage of the infection.[1] It is reported to be less effective against *T. b. rhodesiense* and in some areas resistance of *T. b. gambiense* to pentamidine is increasing. Pentamidine has been used with suramin for *T. b. gambiense* infections but this has not been shown to be clinically superior to pentamidine alone.[2]

1. WHO. Control and surveillance of African trypanosomiasis: report of a WHO expert committee. *WHO Tech Rep Ser 881* 1998.
2. Pépin J, Khonde N. Relapses following treatment of early-stage Trypanosoma brucei gambiense sleeping sickness with a combination of pentamidine and suramin. *Trans R Soc Trop Med Hyg* 1996; **90:** 183–6.

Preparations

BP 2008: Pentamidine Injection.

Proprietary Preparations (details are given in Part 3)
Austria: Pentacarinat; ***Belg.:*** Pentacarinat; ***Braz.:*** Pentacarinat; Sideron†; ***Canad.:*** Pentacarinat†; ***Denm.:*** Pentacarinat; ***Fin.:*** Pentacarinat†; ***Fr.:*** Pentacarinat; ***Ger.:*** Pentacarinat; ***Gr.:*** Pentacarinate; Pentam; ***Irl.:*** Pentacarinat; ***Israel:*** Pentacarinat†; ***Ital.:*** Pentacarinat; ***Neth.:*** Pentacarinat; ***NZ:*** Pentacarinat; ***Port.:*** Pentacarinat†; Pentamina; ***Spain:*** Pentacarinat; ***Swed.:*** Pentacarinat; ***Switz.:*** Pentacarinat; ***Thai.:*** Pentacarinat; ***UK:*** Pentacarinat; ***USA:*** NebuPent; Pentacarinat; Pentam.

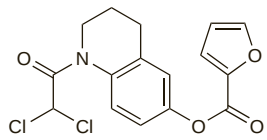
Quinfamide *(USAN, rINN)*

Quinfamida; Quinfamidum; Win-40014. 1-(Dichloroacetyl)-1,2,3,4-tetrahydroquinolin-6-ol 2-furoic acid ester.

Хинфамид

$C_{16}H_{13}Cl_2NO_4$ = 354.2.

CAS — 62265-68-3.



Profile

Quinfamide is a luminal amoebicide. It is given orally for intestinal amoebiasis in a dose of 300 mg, either as a single dose or as three divided doses over 24 hours.

Preparations

Proprietary Preparations (details are given in Part 3)
Mex.: Amefin; Amefur; Amenox; Amofur; Bisidim; Celemin; Doffler; Falacid; Luminovag; Protosin; Quocel; Serphamida.

Multi-ingredient: ***Mex.:*** Amibriz†; Amoebriz; Oxal; Vermox-Plus.

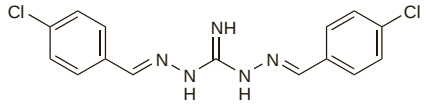
Robenidine Hydrochloride *(BANM, USAN, rINNM)*

CL-78116; Hidrocloruro de robenidina; Robénidine, Chlorhydrate de; Robenidini Hydrochloridum; Robenzidene Hydrochloride. 1,3-Bis(4-chlorobenzylideneamino)guanidine hydrochloride.

Робенидина Гидрохлорид

$C_{15}H_{13}Cl_2N_5$,HCl = 370.7.

CAS — 25875-51-8 (robenidine); 25875-50-7 (robenidine hydrochloride).



(robenidine)

Profile

Robenidine is an antiprotozoal used as the hydrochloride in veterinary practice for the prevention of coccidiosis in poultry and rabbits.

Ronidazole *(BAN, USAN, pINN)*

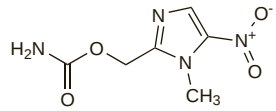
Ronidazol; Ronidazolum. (1-Methyl-5-nitroimidazol-2-yl)methyl carbamate.

Ронидазол

$C_6H_8N_4O_4$ = 200.2.

CAS — 7681-76-7.

ATC Vet — QP51AA08.



Pharmacopoeias. In *BP(Vet)*.

BP(Vet) 2008 (Ronidazole). A white to yellowish-brown, odourless or almost odourless powder. Slightly soluble in water, in alcohol, and in chloroform; very slightly soluble in ether. Protect from light.

Profile

Ronidazole is a 5-nitroimidazole antiprotozoal that is used in veterinary practice for the control of trichomoniasis in cage birds and pigeons. It has also been added to turkey feeding stuffs and has been used for the control of swine dysentery.

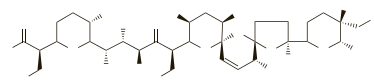
Salinomycin Sodium *(BANM, rINNM)*

AHR-3096 (salinomycin); K-364 (salinomycin); K-748364A (salinomycin); Natrii Salinomycinum; Salinomicina sódica; Salinomycine Sodique. Sodium (2*R*)-2-{(2*R*,5*S*,6*R*)-6-[(1*S*,2*S*,3*S*,5*R*)-5-{(2*S*,5*S*,7*R*,9*S*,10*S*,12*R*,15*R*)-2-[(2*R*,5*R*,6*S*)-5-ethyltetrahydro-5-hydroxy-6-methylpyran-2-yl]-15-hydroxy-2,10,12-trimethyl-1,6,8-trioxadispiro[4.1.5.3]pentadec-13-en-9-yl}-2-hydroxy-1,3-dimethyl-4-oxoheptyl]tetrahydro-5-methylpyran-2-yl}butyrate.

Натрий Салиномицин

$C_{42}H_{69}NaO_{11}$ = 773.0.

CAS — 53003-10-4 (salinomycin); 55721-31-8 (salinomycin sodium).



(salinomycin)

Profile

Salinomycin, an antibiotic produced by *Streptomyces albus*, is an antiprotozoal used as the sodium salt in veterinary practice for the prevention of coccidiosis in poultry and as a growth promotor in pigs.

Secnidazole *(BAN, rINN)*

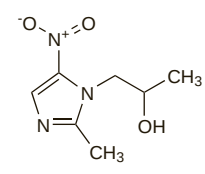
PM-185184; 14539-RP; RP-14539; Secnidazol; Secnidazolum; Seknidazol. 1-(2-Methyl-5-nitroimidazol-1-yl)propan-2-ol.

Секнидазол

$C_7H_{11}N_3O_3$ = 185.2.

CAS — 3366-95-8.

ATC — P01AB07.



Profile

Secnidazole is a 5-nitroimidazole derivative with properties similar to those of metronidazole (p.837), apart from a much longer plasma half-life of 20 hours or more. It is used in the treatment of amoebiasis, giardiasis, and trichomoniasis.

Secnidazole is given orally, usually as a single dose of 2 g in adults or 30 mg/kg in children. In invasive (hepatic) amoebiasis

a dose of 1.5 g daily is given in single or divided doses for 5 days; children may be given 30 mg/kg daily.

◊ References.

1. Gillis JC, Wiseman LR. Secnidazole: a review of its antimicrobial activity, pharmacokinetic properties and therapeutic use in the management of protozoal infections and bacterial vaginosis. *Drugs* 1996; **51:** 621–38.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Flagentyl; ***Braz.:*** Decnazol†; Deprozol; Neodazol; Secnaxidol; Secni-Plus; Secnics; Secnidal; Secnidalin; Secnihexal†; Secnitec; Secnitrol†; Secnix; Secnizol†; Tecnid; Unigyn; ***Fr.:*** Secnol; ***India:*** Entosec; Noameba-DS; Secnil; ***Indon.:*** Sentyl; ***Mex.:*** Gisistin; Minovag; Sabima; Secnidal; ***Philipp.:*** Flagentyl; ***Port.:*** Flagentyl; ***Turk.:*** Flagentyl; ***Venez.:*** Ambese; Daksol; Fazol; Secnidal; Secnivax; Seczol; Unidazol.

Multi-ingredient: ***Arg.:*** Gynerium; Gynerium UD; ***Braz.:*** Gynopac; ***India:*** Safkit; ***Mex.:*** Sepia; Sporasec; ***Venez.:*** Sporasec.

Semduramicin *(BAN, USAN, rINN)*

Semduramicina; Semduramicine; Semduramicinum; UK-61689; UK-61689-2 (semduramicin sodium). (2*R*,3*S*,4*S*,5*R*,6*S*)-Tetrahydro-2,4-dihydroxy-6-{(*R*)-1-[(2*S*,5*R*,7*S*,8*R*,9*S*)-9-hydroxy-2,8-dimethyl-2-{(2*S*,2′*R*,3′*S*,5′*R*)-octahydro-2-methyl-5′-[(2*S*,3*S*,5*R*,6*S*)-tetrahydro-6-hydroxy-3,5,6-trimethyl-2*H*-pyran-2-yl]-3′-[(2*S*,5*S*,6*R*)-tetrahydro-5-methoxy-6-methyl-2*H*-pyran-2-yloxy]-2,2′-bifuran-5-yl}-1,6-dioxaspiro[4.5]dec-7-yl]ethyl}-5-methoxy-3-methyl-2*H*-pyran-2-ylacetic acid.

Семдурамицин

$C_{45}H_{76}O_{16}$ = 873.1.

CAS — 113378-31-7 (semduramicin); 119068-77-8 (semduramicin sodium).

Profile

Semduramicin is an antiprotozoal used in veterinary practice for the prevention of coccidiosis in poultry. It is also used as the sodium salt.

Suramin Sodium *(rINN)*

Antrypol; Bayer-205; CI-1003; Fourneau-309; Naganinum; Naganol; Suramin Hexasodium *(USAN)*; Suramina sódica; Suramine Sodique; Suraminum Natricum. The symmetrical 3″-urea of the sodium salt of 8-(3-benzamido-4-methylbenzamido)naphthalene-1,3,5-trisulphonic acid; Hexasodium 8,8′-{carbonylbis[imino-3,1-phenylenecarbonylimino(4-methyl-3,1-phenylene)carbonylimino]}bis(1,3,5-naphthalenetrisulfonate).

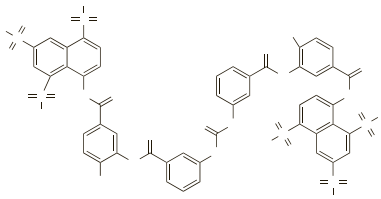
Сурамин Натрий

$C_{51}H_{34}N_6Na_6O_{23}S_6$ = 1429.2.

CAS — 145-63-1 (suramin); 129-46-4 (suramin sodium).

ATC — P01CX02.

ATC Vet — QP51AE02.



(suramin)

Pharmacopoeias. In *Fr.*, *Int.*, and *It.*

Adverse Effects

An immediate and potentially fatal reaction, with nausea, vomiting, shock, seizures, and loss of consciousness, may follow the injection of suramin sodium in some patients and thus it is usual practice to give a small test dose before starting treatment.

Abdominal pain, mouth ulceration, and skin reactions such as urticaria and pruritus may occur. The risk of hypersensitivity reactions is reported to be greater when onchocerciasis is present.

Other adverse effects include paraesthesia, hyperaesthesia of the palms and soles, skin eruptions, blood dyscrasias, fever, polyuria, increased thirst, raised liver enzyme values, fatigue, and effects on the eye including photophobia and lachrymation. Proteinuria is common; haematuria and casts in the urine may also occur. There have been occasional reports of adrenal insufficiency.

Effects on the blood. Thrombocytopenia has been reported in patients receiving suramin, generally during treatment for AIDS or cancer.[1-4] An immune-mediated mechanism has been proposed[3] although there is evidence that multiple mechanisms may be involved.[4] Other adverse effects on the blood include neutropenia,[1,5] anaemia,[1] deterioration of pre-existing lymphocytopenia,[5] and fatal myelosuppression.[5] Agranulocytosis and haemolytic anaemia have occurred rarely.

1. Levine AM, *et al.* Suramin antiviral therapy in the acquired immunodeficiency syndrome. *Ann Intern Med* 1986; **105:** 32–7.
2. Arlt W, *et al.* Suramin in adrenocortical cancer: limited efficacy and serious toxicity. *Clin Endocrinol (Oxf)* 1994; **41:** 299–307.
3. Seidman AD, *et al.* Immune-mediated thrombocytopenia secondary to suramin. *Cancer* 1993; **71:** 851–4.
4. Tisdale JF, *et al.* Severe thrombocytopenia in patients treated with suramin: evidence for an immune mechanism in one. *Am J Hematol* 1996; **51:** 152–7.
5. Rosen PJ, *et al.* Suramin in hormone-refractory metastatic prostate cancer: a drug with limited efficacy. *J Clin Oncol* 1996; **14:** 1626–36.

Effects on the eyes. Late effects on the eyes associated with suramin include photophobia, lachrymation, and palpebral oedema. Keratopathy characterised by corneal deposits has been reported in patients receiving suramin. In a study of 114 patients receiving suramin for prostatic cancer, 13 developed corneal deposits similar to those reported with chloroquine therapy after 34 to 98 days of therapy.[1] Symptoms in 10 of the 13 included lachrymation and foreign body sensation. The remaining 3 patients were asymptomatic. Shifts in refractive error were also found. Keratopathy has also been reported in patients with AIDS receiving suramin.[2] In patients treated with suramin for ocular onchocerciasis, the incidence of optic atrophy was higher after 3 years than in untreated patients.[3] A prolonged inflammatory response to dying microfilariae in the optic nerve might be responsible, although a direct toxic or allergic effect could not be ruled out.

1. Hemady RK, *et al.* Ocular symptoms and signs associated with suramin sodium treatment for metastatic cancer of the prostate. *Am J Ophthalmol* 1996; **121:** 291–6.
2. Teich SA, *et al.* Toxic keratopathy associated with suramin therapy. *N Engl J Med* 1986; **314:** 1455–6.
3. Thylefors B, Rolland A. The risk of optic atrophy following suramin treatment of ocular onchocerciasis. *Bull WHO* 1979; **57:** 479–80.

Effects on the kidneys. In addition to the proteinuria commonly seen during suramin therapy, there have been reports of individual cases of renal glycosuria[1] and of acute renal dysfunction.[2]

1. Awadzi K, *et al.* The chemotherapy of onchocerciasis XVIII: aspects of treatment with suramin. *Trop Med Parasitol* 1995; **46:** 19–26.
2. Figg WD, *et al.* Acute renal toxicity associated with suramin in the treatment of prostate cancer. *Cancer* 1994; **74:** 1612–14.

Effects on the nervous system. Neurological disorders reported in patients receiving suramin include paraesthesia and polyneuropathy. Severe polyneuropathy with generalised flaccid paralysis has generally been associated with serum-suramin concentrations greater than 350 micrograms/mL,[1,2] but motor neuropathy was reported in 8 patients with serum concentrations of 275 micrograms/mL.[3]

1. La Rocca RV, *et al.* Suramin-induced polyneuropathy. *Neurology* 1990; **40:** 954–60.
2. Arlt W, *et al.* Suramin in adrenocortical cancer: limited efficacy and serious toxicity. *Clin Endocrinol (Oxf)* 1994; **41:** 299–307.
3. Bitton RJ, *et al.* Pharmacologic variables associated with the development of neurologic toxicity in patients treated with suramin. *J Clin Oncol* 1995; **13:** 2223–9.

Effects on the skin. Pruritus and urticaria may occur as hypersensitivity reactions to suramin. Late skin reactions include erythematous maculopapular rashes.[1] Severe reactions including erythema multiforme,[2] exfoliative dermatitis, and fatal toxic epidermal necrolysis[3,4] have been reported.

1. O'Donnell BP, *et al.* Suramin-induced skin reactions. *Arch Dermatol* 1992; **128:** 75–9.
2. Katz SK, *et al.* Erythema multiforme induced by suramin. *J Am Acad Dermatol* 1995; **32:** 292–3.
3. May E, Allolio B. Fatal toxic epidermal necrolysis during suramin therapy. *Eur J Cancer* 1991; **27:** 1338.
4. Falkson G, Rapoport BL. Lethal toxic epidermal necrolysis during suramin treatment. *Eur J Cancer* 1992; **28A:** 1294.

Precautions

Suramin sodium should be used under close supervision, and the general condition of patients improved as far as possible before treatment starts. Patients who have a severe reaction to the first dose should never receive suramin again. It should not be used in elderly or infirm patients or in the presence of severe hepatic or renal disease. The urine should be tested before treatment starts and weekly during treatment; dosage should be reduced if moderate proteinuria develops and stopped if it becomes severe or if casts appear in the urine.

Pregnancy. Suramin has been reported to be teratogenic in *mice* but not in *rats*.[1] WHO[2] recommends that when necessary suramin should be used in pregnant women with *T. b. rhodesiense* trypanosomiasis, even those with meningoencephalitic disease, because melarsoprol is contra-indicated; in onchocerciasis, suramin treatment should be delayed until after delivery.

1. Mercier-Parot L, Tuchmann-Duplessis H. Action abortive et tératogène d'un trypanocide, la suramine. *C R Soc Biol* 1973; **167:** 1518–22.
2. WHO. *WHO model formulary.* Geneva: WHO, 2004.

Pharmacokinetics

After intravenous injection, suramin becomes bound to plasma proteins and plasma concentrations over 100 micrograms/mL are maintained for several weeks. Unbound suramin is excreted in the urine. Penetration of suramin into the CSF appears to be poor.

◊ The clinical pharmacokinetics of suramin were studied in 4 patients with AIDS given 6.2 g intravenously over 5 weeks.[1] Suramin accumulated during treatment and plasma concentrations exceeded 100 micrograms/mL for several weeks. After the last dose the terminal half-life of suramin ranged from 44 to 54 days. At least 99.7% was bound to plasma proteins. Renal clearance accounted for most of the elimination of suramin from the body. There appeared to be little or no metabolism of suramin.

In another study,[2] ten male patients with onchocerciasis received weekly infusions of suramin for 6 weeks, according to the dose regimen recommended by WHO (see below). In these patients the median elimination half-life was about 92 days, and in each case, the maximum plasma concentration remained below 300 micrograms/mL.

1. Collins JM, *et al.* Clinical pharmacokinetics of suramin in patients with HTLV-III/LAV infection. *J Clin Pharmacol* 1986; **26:** 22–6.
2. Chijioke CP, *et al.* Clinical pharmacokinetics of suramin in patients with onchocerciasis. *Eur J Clin Pharmacol* 1998; **54:** 249–51.

Uses and Administration

Suramin is a trypanocide used in the treatment of African trypanosomiasis and as an anthelmintic in the treatment of onchocerciasis.

Suramin is given as suramin sodium by slow intravenous injection, usually as a 10% solution. Because of the danger of severe reactions it is advisable to give a test dose before starting treatment.

In African trypanosomiasis suramin is used mainly for the early (haematolymphatic) stages of *Trypanosoma brucei rhodesiense* infection; pentamidine may be preferred for early-stage treatment of *T. b. gambiense* infection. Suramin is not used as sole therapy for late-stage infections with CNS involvement. Early-stage trypanosomiasis may be treated with a dose of 5 mg/kg of suramin on day 1, 10 mg/kg on day 3, then 20 mg/kg on days 5, 11, 17, 23, and 30. Another schedule consists of 5 doses of 1 g given over 3 weeks after a test dose of 100 to 200 mg. In late-stage trypanosomiasis injections of suramin are often given before starting treatment with melarsoprol; 5 and 10 mg/kg are given on days 1 and 3 respectively, and in some regimens 20 mg/kg is also given on day 5.

For doses used in onchocerciasis see below.

Malignant neoplasms. Suramin is reported to have antineoplastic activity and has been studied in a number of malignant neoplasms, in particular hormone-resistant prostatic cancer (p.671). However, its clinical usefulness is hindered by dose-limiting toxicity and problems in developing a simple dose schedule. It has also been investigated as a chemosensitiser.

References.

1. Stein CA, *et al.* Suramin: an anticancer drug with a unique mechanism of action. *J Clin Oncol* 1989; **7:** 499–508.
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