damage with a course of rituximab (2 doses); assessment was made at 24 weeks.[5] In a consensus statement, European and Canadian rheumatologists stated that re-treatment with rituximab may be considered after week 24 in those who respond to initial therapy.[6]

In the UK, NICE states that rituximab with methotrexate is a treatment option for adults with severe active rheumatoid arthritis who have had an inadequate response to DMARDs or are intolerant of them; previous therapy should have included at least one tumour necrosis factor α inhibitor. Treatment with rituximab and methotrexate should continue only if patients show an adequate response, and repeat courses should be given no more often than every 6 months; specialist supervision is advised.[7]

1. Edwards JCW, *et al.* Efficacy of B-cell—targeted therapy with rituximab in patients with rheumatoid arthritis. *N Engl J Med* 2004; **350:** 2572–81.
2. Summers KM, Kockler DR. Rituximab treatment of refractory rheumatoid arthritis. *Ann Pharmacother* 2005; **39:** 2091–5.
3. Higashida J, *et al.* Safety and efficacy of rituximab in patients with rheumatoid arthritis refractory to disease modifying antirheumatic drugs and anti-tumor necrosis factor-α treatment. *J Rheumatol* 2005; **32:** 2109–15.
4. Looney RJ. B cell-targeted therapy for rheumatoid arthritis: an update on the evidence. *Drugs* 2006; **66:** 625–39.
5. Cohen SB, *et al.* Rituximab for rheumatoid arthritis refractory to anti-tumor necrosis factor therapy. *Arthritis Rheum* 2006; **54:** 2793–2806.
6. Smolen JS, *et al.* Working Group on the Rituximab Consensus Statement. Consensus statement on the use of rituximab in patients with rheumatoid arthritis. *Ann Rheum Dis* 2007; **66:** 143–50.
7. NICE. Rituximab for the treatment of rheumatoid arthritis: Technology Appraisal 126 (issued August 2007). Available at: http://www.nice.org.uk/nicemedia/pdf/word/TA126guidance.doc (accessed 13/05/08)

Scleroderma. Rituximab is under investigation for the management of scleroderma (p.1817).

Skin disorders. In addition to reports of efficacy in pemphigus (see above), rituximab has been reported to be of benefit in refractory cases of pemphigoid[1] and epidermolysis bullosa acquisita.[2,3]

1. Schmidt E, *et al.* Rituximab in autoimmune bullous diseases: mixed responses and adverse effects. *Br J Dermatol* 2007; **156:** 352–6.
2. Crichlow SM, *et al.* A successful therapeutic trial of rituximab in the treatment of a patient with recalcitrant, high-titre epidermolysis bullosa acquisita. *Br J Dermatol* 2007; **156:** 194–6.
3. Sadler E, *et al.* Treatment-resistant classical epidermolysis bullosa acquisita responding to rituximab. *Br J Dermatol* 2007; **157:** 417–19.

Systemic lupus erythematosus. Rituximab is under investigation for the treatment of SLE (p.1513).[1]

1. Sfikakis PP, *et al.* Rituximab anti-B-cell therapy in systemic lupus erythematosus: pointing to the future. *Curr Opin Rheumatol* 2005; **17:** 550–7.

Thrombotic microangiopathies. Rituximab has been reported[1-3] to be of benefit in relapsed or refractory thrombotic thrombocytopenic purpura (see under Plasma, p.1076).

1. Zheng X, *et al.* Remission of chronic thrombotic thrombocytopenic purpura after treatment with cyclophosphamide and rituximab. *Ann Intern Med* 2003; **138:** 105–8.
2. Reddy PS, *et al.* Rituximab in the treatment of relapsed thrombotic thrombocytopenic purpura. *Ann Hematol* 2005; **84:** 232–5.
3. Kosugi S, *et al.* Rituximab provided long-term remission in a patient with refractory relapsing thrombotic thrombocytopenic purpura. *Int J Hematol* 2005; **81:** 433–6.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: MabThera; ***Austral.:*** MabThera; ***Austria:*** MabThera; ***Belg.:*** MabThera; ***Braz.:*** MabThera; ***Canad.:*** Rituxan; ***Chile:*** MabThera; ***Cz.:*** MabThera; ***Denm.:*** MabThera; ***Fin.:*** MabThera; ***Fr.:*** MabThera; ***Ger.:*** MabThera; ***Gr.:*** MabThera; ***Hong Kong:*** MabThera; ***Hung.:*** MabThera; ***Indon.:*** MabThera; ***Irl.:*** MabThera; ***Israel:*** MabThera; ***Ital.:*** MabThera; ***Jpn:*** Rituxan; ***Malaysia:*** MabThera; ***Mex.:*** MabThera; ***Neth.:*** MabThera; ***Norw.:*** MabThera; ***NZ:*** MabThera; ***Philipp.:*** MabThera; ***Pol.:*** MabThera; ***Port.:*** MabThera; ***Rus.:*** MabThera (Ма6Тера); ***S.Afr.:*** MabThera; ***Singapore:*** MabThera; ***Spain:*** MabThera; ***Swed.:*** MabThera; ***Switz.:*** MabThera; ***Thai.:*** MabThera; ***Turk.:*** MabThera; ***UK:*** MabThera; ***USA:*** Rituxan; ***Venez.:*** MabThera.

Roquinimex *(USAN, rINN)*

FCF-89; LS-2616; Roquinimexum. 1,2-Dihydro-4-hydroxy-*N*,1-dimethyl-2-oxo-3-quinolinecarboxanilide.

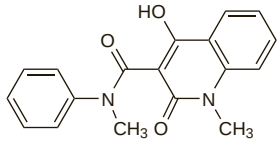
Рохинимекс

$C_{18}H_{16}N_2O_3$ = 308.3.

CAS — 84088-42-6.

ATC — L03AX02.

ATC Vet — QL03AX02.



Profile

Roquinimex is an immunomodulator reported to stimulate various immune functions including macrophage cytotoxicity. It has been investigated for its potential against malignant neoplasms including as adjuvant therapy after bone marrow transplantation in acute leukaemia, to prolong the time to relapse. Roquinimex has also been investigated in immune and auto-immune disorders including multiple sclerosis. However serious cardiovascular toxicity after roquinimex therapy has led to several studies being terminated.

◊ References.

1. Coutant R, *et al.* Low dose linomide in type I juvenile diabetes of recent onset: a randomised placebo-controlled double blind trial. *Diabetologia* 1998; **41:** 1040–6.
2. Simonsson B, *et al.* Roquinimex (Linomide) vs placebo in AML after autologous bone marrow transplantation. *Bone Marrow Transplant* 2000; **25:** 1121–7.
3. Tan IL, *et al.* Linomide in the treatment of multiple sclerosis: MRI results from prematurely terminated phase-III trials. *Multiple Sclerosis* 2000; **6:** 99–104.
4. Noseworthy JH, *et al.* Linomide in relapsing and secondary progressive MS. Part 1: trial design and clinical results. *Neurology* 2000; **54:** 1726–33.

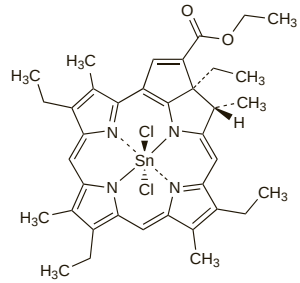
Rostaporfin *(USAN, rINN)*

Rostaporfina; Rostaporfine; Rostaporfinum; SnET2; Tin Ethyl Etiopurpurin; Tin etiopurpurin dichloride. (*OC*-6-13)-Dichloro[ethyl (18*RS*,19*SR*)-3,4,20,21-tetradehydro-4,9,14,19-tetraethyl-18,19-dihydro-3,8,13,18-tetramethyl-20-phorbinecarboxylato (2-)-N^{23},N^{24},N^{25},N^{26}]tin.

Ростапорфин

$C_{37}H_{42}Cl_2N_4O_2Sn$ = 764.4.

CAS — 284041-10-7; 114494-17-6.



and enantiomer

Profile

Rostaporfin is a photosensitiser that is under investigation in the photodynamic therapy of neovascular (wet) age-related macular degeneration (p.785). It has also been investigated for photodynamic therapy of malignant neoplasms.

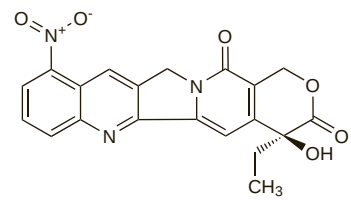
Rubitecan *(USAN, rINN)*

9-NC; 9-Nitrocamptothecin; RFS-2000; Rubitécan; Rubitecán; Rubitecanum. 9-Nitro-20(*S*)-camptothecin.

Рубитекан

$C_{20}H_{15}N_3O_6$ = 393.3.

CAS — 91421-42-0.



Profile

Like irinotecan (p.737), rubitecan is a topoisomerase I inhibitor related to camptothecin. It can be given orally and has been investigated for its antineoplastic properties particularly in the treatment of pancreatic cancer. It is also under investigation for the treatment of paediatric patients infected with HIV.

◊ References.

1. Clark JW. Rubitecan. *Expert Opin Invest Drugs* 2006; **15:** 71–9.

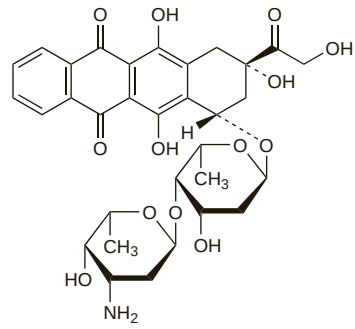
Sabarubicin *(rINN)*

MEN-10755; Sabarubicina; Sabarubicine; Sabarubicinum. (7*S*,9*S*)-7-{[4-*O*-(3-Amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)-2,6-dideoxy-α-L-*lyxo*-hexopyranosyl]oxy}-6,9,11-trihydroxy-9-(hydroxyacetyl)-7,8,9,10-tetrahydrotetracene-5,12-dione.

Сабарубицин

$C_{32}H_{37}NO_{13}$ = 643.6.

CAS — 211100-13-9.



Profile

Sabarubicin, an anthracycline, is an analogue of doxorubicin (p.712). It acts as a potent topoisomerase II inhibitor and is under investigation for the treatment of various solid tumours, such as cancers of the lung, ovary, breast, and prostate. Myelosuppression is the main adverse effect.

◊ References.

1. Bos AM, *et al.* Pharmacokinetics of MEN-10755, a novel anthracycline disaccharide analogue, in two phase I studies in adults with advanced solid tumours. *Cancer Chemother Pharmacol* 2001; **48:** 361–9.
2. Schrijvers D, *et al.* Phase I study of MEN-10755, a new anthracycline in patients with solid tumours: a report from the European Organization for Research and Treatment of Cancer, Early Clinical Studies Group. *Ann Oncol* 2002; **13:** 385–91.
3. Jones K. MEN-10755. Menarini. *Curr Opin Investig Drugs* 2003; **4:** 1473–8.
4. Caponigro F, *et al.* A phase II study of sabarubicin (MEN-10755) as second line therapy in patients with locally advanced or metastatic platinum/taxane resistant ovarian cancer. *Invest New Drugs* 2005; **23:** 85–9.
5. Fiedler W, *et al.* A study from the EORTC new drug development group: open label phase II study of sabarubicin (MEN-10755) in patients with progressive hormone refractory prostate cancer. *Eur J Cancer* 2006; **42:** 200–204.

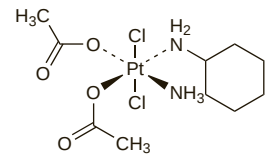
Satraplatin *(USAN, rINN)*

BMS-182751; BMY-45594; JM-216; Satraplatine; Satraplatino; Satraplatinum. (*OC*-6-43)-Bis(acetato)amminedichloro(cyclohexylamine)platinum.

Сатраплатин

$C_{10}H_{22}Cl_2N_2O_4Pt$ = 500.3.

CAS — 129580-63-8.



Profile

Satraplatin is an analogue of cisplatin (p.698) with generally similar properties, but which is well absorbed after oral dosage. It is under investigation for its antineoplastic properties in the treatment of various solid tumours.

◊ References.

1. Kelland LR. An update on satraplatin: the first orally available platinum anticancer drug. *Expert Opin Invest Drugs* 2000; **9:** 1373–82.
2. Vouillamoz-Lorenz S, *et al.* Pharmacokinetics of satraplatin (JM216), an oral platinum (IV) complex under daily oral administration for 5 or 14 days. *Anticancer Res* 2003; **23:** 2757–65.