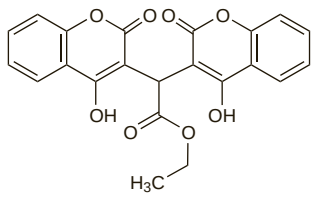
Profile
Ethacizine, an analogue of moracizine (p.1344), is reported to be a class Ic antiarrhythmic. It is used in the treatment of ventricular and supraventricular arrhythmias and has been given orally in doses starting at 50 mg three times daily, increased if necessary to a maximum of 100 mg three times daily. It has also been given intravenously.

Ethyl Biscoumacetate *(BAN, rINN)*

Aethylis Biscoumacetas; Biscumacetato de etilo; Ethyldicoumarol; Éthyle, Biscoumacétate d'; Ethylis Biscoumacetas; Neodicumarinum. Ethyl bis(4-hydroxycoumarin-3-yl)acetate.

Этил Бискумацетат

$C_{22}H_{16}O_8$ = 408.4.
CAS — 548-00-5.
ATC — B01AA08.
ATC Vet — QB01AA08.



Profile
Ethyl biscoumacetate is an oral coumarin anticoagulant with actions similar to those of warfarin (p.1432). It has been used in the management of thromboembolic disorders.

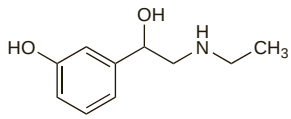
Preparations
Proprietary Preparations (details are given in Part 3)
Cz.: Pelentan†; Pelentanettae†.

Etilefrine Hydrochloride *(BANM, rINNM)* ⊗

Ethyladrianol Hydrochloride; Ethylnorphenylephrine Hydrochloride; Etilefriinihydrokloridi; Étiléfrine, chlorhydrate d'; Etilefrin-hidroklorid; Etilefrin-hydrochlorid; Etilefrinhydroklorid; Etilefrini hydrochloridum; Etilefrino hidrochloridas; Hidrocloruro de etilefrina; M-I-36. 2-Ethylamino-1-(3-hydroxyphenyl)ethanol hydrochloride.

Этилэфрина Гидрохлорид

$C_{10}H_{15}NO_2$,HCl = 217.7.
CAS — 709-55-7 (etilefrine); 943-17-9 (etilefrine hydrochloride).
ATC — C01CA01.
ATC Vet — QC01CA01.



(etilefrine)

Pharmacopoeias. In *Eur.* (see p.vii) and *Jpn.*
Ph. Eur. 6.2 (Etilefrine Hydrochloride). A white or almost white, crystalline powder or colourless crystals. Freely soluble in water; soluble in alcohol; practically insoluble in dichloromethane. Store in airtight containers. Protect from light.

Profile
Etilefrine is a direct-acting sympathomimetic (p.1407) with $beta_1$-agonist properties, and some alpha- and $beta_2$-agonist actions. It is used for the treatment of hypotensive states (p.1174). It is given orally as the hydrochloride in usual doses of 5 or 10 mg three times daily; modified-release dosage forms may be given in doses of 25 mg once or twice daily. Etilefrine hydrochloride can also be given parenterally.

Etilefrine polistirex has been used in the management of rhinitis.

Priapism. Priapism is a common complication of sickle-cell disease (p.1044) and is often treated with intracavernosal alpha agonists (see under Uses of Metaraminol, p.1333). There have also been reports of the successful use of etilefrine, both by intracavernosal injection for acute treatment,[1,2] and orally for prophylaxis.[1-3]

1. Virag R, *et al.* Preventive treatment of priapism in sickle cell disease with oral and self-administered intracavernous injection of etilefrine. *Urology* 1996; **47:** 777–81.
2. Gbadoé AD, *et al.* Management of sickle cell priapism with etilefrine. *Arch Dis Child* 2001; **85:** 52–3.
3. Okpala I, *et al.* Etilefrine for the prevention of priapism in adult sickle cell disease. *Br J Haematol* 2002; **118:** 918–21.

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Corcanfol†; Effortil; Etil Adrianol†; Menegradil†; ***Austria:*** Agilo†; Circupon; Effortil; ***Belg.:*** Effortil; ***Braz.:*** Efortil; Étilefril; ***Chile:*** Effortil; ***Fin.:*** Effortil; ***Fr.:*** Effortil; ***Ger.:*** Adrenam†; Bioflutin; Cardanat; Cardialgine†; Circuvit E†; Effortil†; Eti-Puren†; Etil; Pholdyston; Thomasin; ***Gr.:*** Effortil; ***Ital.:*** Effortil; ***Jpn:*** Effortil; ***Mex.:*** Effortil; ***Norw.:*** Effortil†; ***Pol.:*** Effortil; ***Port.:*** Effortil; ***S.Afr.:*** Effortil; ***Spain:*** Efortil; ***Swed.:*** Effortil; ***Switz.:*** Effortil; ***Thai.:*** Effortil; Efxine†; Hyprosia; ***Venez.:*** Effontil.

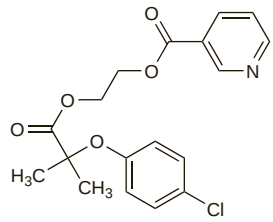
Multi-ingredient: ***Austria:*** Agilan; Amphodyn; Effortil comp; Hypodyn; Influbene; ***Ger.:*** Agit plus†; Amphodyn†; Dihydergot plus; Effortil plus; Ergolefrin; Ergomimet plus†; ***Switz.:*** Dihydergot plus; Effortil plus.

Etofibrate *(rINN)*

Étofibrate; Etofibrato; Etofibratum. 2-Nicotinoyloxyethyl 2-(4-chlorophenoxy)-2-methylpropionate.

Этофибрат

$C_{18}H_{18}ClNO_5$ = 363.8.
CAS — 31637-97-5.
ATC — C10AB09.
ATC Vet — QC10AB09.



Profile
Etofibrate, a derivative of clofibrate (p.1246) and nicotinic acid (p.1957), is a lipid regulating drug used in the treatment of hyperlipidaemias (p.1169). The usual oral dose is 500 mg daily.

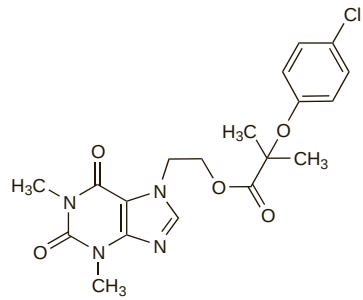
Preparations
Proprietary Preparations (details are given in Part 3)
Austria: Lipo-Merz; ***Braz.:*** Tricerol; ***Chile:*** Lipo-Merz†; ***Ger.:*** Lipo-Merz; ***Hong Kong:*** Lipo-Merz; ***Malaysia:*** Lipo-Merz†; ***Mex.:*** Tricerol†; ***Port.:*** Lipo-Merz; ***Singapore:*** Lipo-Merz†; ***Switz.:*** Lipo-Merz.

Etofylline Clofibrate *(rINN)*

Clofibrato de etofilina; Étofylline, Clofibrate d'; Etofyllini Clofibras; ML-1024; Theofibrate *(USAN)*. 2-(Theophyllin-7-yl)ethyl 2-(4-chlorophenoxy)-2-methylpropionate.

Этофиллина Клофибрат

$C_{19}H_{21}ClN_4O_5$ = 420.8.
CAS — 54504-70-0.



Profile
Etofylline clofibrate, a fibric acid derivative (see Bezafibrate, p.1232), is a lipid regulating drug used in the treatment of hyperlipidaemias (p.1169). The usual oral dose is 250 mg two or three times daily.

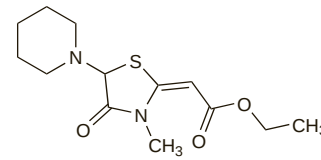
Preparations
Proprietary Preparations (details are given in Part 3)
Austria: Duolip; ***Cz.:*** Duolip; ***Ger.:*** Duolip; ***Hong Kong:*** Duolip; ***Malaysia:*** Duolip†; ***Switz.:*** Duolip†.

Etozolin *(USAN, rINN)* ⊗

Etozolina; Étozoline; Etozolinum; Gö-687; W-2900A. Ethyl (3-methyl-4-oxo-5-piperidinothiazolidin-2-ylidene)acetate.

Этозолин

$C_{13}H_{20}N_2O_3S$ = 284.4.
CAS — 73-09-6.
ATC — C03CX01.
ATC Vet — QC03CX01.



Profile
Etozolin is a loop diuretic with properties similar to those of furosemide (p.1292), but with a longer duration of action. It has been used in the treatment of oedema and hypertension (p.1171). Etozolin is reported to be rapidly metabolised to ozolinone which also has diuretic activity.

◊ References.

1. Knauf H, *et al.* Pharmacodynamics and kinetics of etozolin/ozolinone in hypertensive patients with normal and impaired kidney function. *Eur J Clin Pharmacol* 1984; **26:** 687–93.
2. Beermann B, Grind M. Clinical pharmacokinetics of some newer diuretics. *Clin Pharmacokinet* 1987; **13:** 254–66.

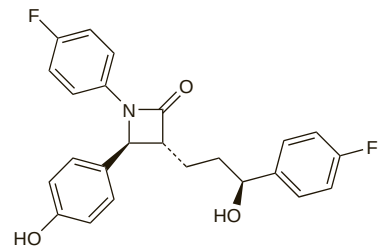
Preparations
Proprietary Preparations (details are given in Part 3)
Ital.: Elkapin†.

Ezetimibe *(BAN, USAN, rINN)*

Ezetimiba; Ézétimibe; Ezetimibum; Sch-58235. (3*R*,4*S*)-1-(*p*-Fluorophenyl)-3-[(3*S*)-3-(*p*-fluorophenyl)-3-hydroxypropyl]-4-(*p*-hydroxyphenyl)-2-azetidinone.

Эзетимиб

$C_{24}H_{21}F_2NO_3$ = 409.4.
CAS — 163222-33-1.
ATC — C10AX09.
ATC Vet — QC10AX09.



Adverse Effects and Precautions
Ezetimibe is generally well tolerated. The most common adverse effects include headache, abdominal pain, and diarrhoea; other gastrointestinal disorders, hypersensitivity reactions including rash and angioedema, fatigue, chest pain, and arthralgia have also been reported. Rare adverse effects include raised liver enzymes or hepatitis, pancreatitis, thrombocytopenia, cholelithiasis, and cholecystitis. Myalgia has occurred in patients taking ezetimibe either alone or when added to a statin (see below). Ezetimibe should be stopped if myopathy is suspected or creatine phosphokinase increases significantly.

Ezetimibe should be avoided in patients with moderate or severe hepatic impairment.

◊ Reviews.

1. Jacobson TA, *et al.* Safety considerations with gastrointestinally active lipid-lowering drugs. *Am J Cardiol* 2007; **99** (Issue 6 suppl 1): 47C–55C.
2. Kashani A, *et al.* Review of side-effect profile of combination ezetimibe and statin therapy in randomized clinical trials. *Am J Cardiol* 2008; **101:** 1606–13.

Effects on the liver. Ezetimibe may cause an increase in liver enzymes and there have also been reports of acute hepatitis,[1] sometimes developing after addition of ezetimibe to long-term statin therapy.[2,3] Both auto-immune[2,3] and cholestatic hepatitis[3] have been described. In some patients,[1,2] symptoms resolved and liver enzymes normalised when ezetimibe was stopped, and in 1 patient a statin was successfully restarted.[2] However, of 2 patients who had been receiving ezetimibe and atorvastatin, 1 required treatment with corticosteroids,[3] while the other[3] had persistent liver changes 4 months later, despite both drugs being stopped in each case.

1. Liu Q, *et al.* Drug-induced liver injury associated with ezetimibe therapy. *Dig Dis Sci* 2007; **52:** 602–5.

2. van Heyningen C. Drug-induced acute autoimmune hepatitis during combination therapy with atorvastatin and ezetimibe. *Ann Clin Biochem* 2005; **42:** 402–4.
3. Stolk MF, *et al.* Severe hepatic side effects of ezetimibe. *Clin Gastroenterol Hepatol* 2006; **4:** 908–11.

Effects on the pancreas. Pancreatitis has been reported[1] in patients taking ezetimibe. In one case,[2] acute pancreatitis developed 2 weeks after starting ezetimibe and resolved when the drug was stopped, suggesting an immunological cause.

1. Adverse Drug Reactions Advisory Committee (ADRAC). Drug induced pancreatitis. *Aust Adverse Drug React Bull* 2006; **25:** 22. Also available at: http://www.tga.gov.au/adr/aadrb/aadr0612.pdf (accessed 30/05/08)
2. Ahmad I, *et al.* Ezetimibe-induced acute pancreatitis. *South Med J* 2007; **100:** 409–10.

Effects on skeletal muscle. Muscle disorders such as myalgia and myopathy are well known to occur with lipid regulating drugs such as statins and fibrates and have also been reported with ezetimibe, both alone,[1,2] and when added to treatment with statins;[1,3] rhabdomyolysis has also occurred, but is rare in patients taking ezetimibe alone. Up to August 2005, the Australian Adverse Drug Reactions Advisory Committee[4] had received 44 reports of muscle disorders with ezetimibe, including myalgia, muscle cramp, weakness and pain; in 5 of these cases a statin was also being taken.

1. Simard C, Poirier P. Ezetimibe-associated myopathy in monotherapy and in combination with a 3-hydroxy-3-methylglutaryl coenzyme A reductase inhibitor. *Can J Cardiol* 2006; **22:** 141–4.
2. Havranek JM, *et al.* Monotherapy with ezetimibe causing myopathy. *Am J Med* 2006; **119:** 285–6.
3. Fux R, *et al.* Ezetimibe and statin-associated myopathy. *Ann Intern Med* 2004; **140:** 671–2.
4. Adverse Drug Reactions Advisory Committee (ADRAC). Ezetimibe and muscle disorders. *Aust Adverse Drug React Bull* 2005; **24:** 15. Also available at: http://www.tga.health.gov.au/adr/aadrb/aadr0508.pdf (accessed 30/05/08)

Interactions

Colestyramine reduces the absorption of ezetimibe and should not be given at the same time of day. Ciclosporin has been reported to increase the plasma concentration of ezetimibe (see below) and patients receiving both drugs should be carefully monitored; the effect may be greater in patients with severe renal impairment. An increased INR has been reported in patients given ezetimibe and oral anticoagulants.

Ciclosporin. Pharmacokinetic studies[1] have shown that plasma-ezetimibe concentrations are higher in renal transplant patients taking ciclosporin than in historical controls, and there has been a report[2] of a supratherapeutic response to ezetimibe in a heart transplant patient taking ciclosporin. Ezetimibe causes a small increase in plasma-ciclosporin concentrations,[3] but the clinical relevance of this is not clear.

1. Bergman AJ, *et al.* Interaction of single-dose ezetimibe and steady-state cyclosporine in renal transplant patients. *J Clin Pharmacol* 2006; **46:** 328–36.
2. Koshman SL, *et al.* Supratherapeutic response to ezetimibe administered with cyclosporine. *Ann Pharmacother* 2005; **39:** 1561–5.
3. Bergman AJ, *et al.* Effects of ezetimibe on cyclosporine pharmacokinetics in healthy subjects. *J Clin Pharmacol* 2006; **46:** 321–7.

Pharmacokinetics

Ezetimibe is rapidly absorbed when given orally and undergoes extensive conjugation in the small intestine and liver to an active glucuronide metabolite, which is the main circulating form. Both ezetimibe and the glucuronide are more than 90% bound to plasma proteins. Ezetimibe is excreted primarily in the faeces via bile and undergoes enterohepatic recycling; after an oral dose, about 78% is excreted in the faeces, mainly as ezetimibe, and about 11% is excreted in the urine, mainly as the glucuronide. The elimination half-life for both ezetimibe and the glucuronide is about 22 hours. Ezetimibe is distributed into breast milk in *rats*.

◊ Reviews

1. Kosoglou T, *et al.* Ezetimibe: a review of its metabolism, pharmacokinetics and drug interactions. *Clin Pharmacokinet* 2005; **44:** 467–94.

Uses and Administration

Ezetimibe is an inhibitor of intestinal sterol absorption and inhibits the absorption of cholesterol and plant sterols. It is used to reduce total cholesterol, low-density lipoprotein (LDL)-cholesterol, and apolipoprotein B in the management of hyperlipidaemias (below), and to reduce sitosterol and campesterol in patients with homozygous familial sitosterolaemia. It is given orally in a usual dose of 10 mg once daily.

◊ Reviews.

1. Sudhop T, von Bergmann K. Cholesterol absorption inhibitors for the treatment of hypercholesterolaemia. *Drugs* 2002; **62:** 2333–47.
2. Mauro VF, Tuckerman CE. Ezetimibe for management of hypercholesterolemia. *Ann Pharmacother* 2003; **37:** 839–48.

Hyperlipidaemias. Ezetimibe inhibits the absorption of dietary cholesterol[1] and, although there is a compensatory increase in cholesterol synthesis in the liver,[1] overall plasma LDL-cholesterol concentrations are reduced.[2] Ezetimibe may be used alone in the management of hyperlipidaemias (p.1169) but use with lipid regulating drugs that act by reducing cholesterol synthesis may produce additive effects. In patients already taking statins, addition of ezetimibe results in a further reduction in LDL-cholesterol,[3] which may increase the number of patients achieving lipid targets, or allow lower doses of statins to be used. However, the clinical relevance of this is unclear; a study[4] in patients with familial hypercholesterolaemia found no difference in the progression of carotid atherosclerosis (measured by intima-media thickness) in those given ezetimibe with simvastatin compared with those given simvastatin alone, despite a larger reduction in LDL-cholesterol. Similar effects on LDL-cholesterol have been reported[5] for ezetimibe with fibrates.

As well as inhibiting cholesterol absorption, ezetimibe also blocks the absorption of plant sterols such as campesterol and sitosterol, and may be effective in patients with sitosterolaemia,[6] an inherited disorder in which increased absorption of plant sterols leads to premature atherosclerosis.

1. Sudhop T, *et al.* Inhibition of intestinal cholesterol absorption by ezetimibe in humans. *Circulation* 2002; **106:** 1943–8.
2. Knopp RH, *et al.* Effects of ezetimibe, a new cholesterol absorption inhibitor, on plasma lipids in patients with primary hypercholesterolemia. *Eur Heart J* 2003; **24:** 729–41.
3. Pearson TA, *et al.* A community-based, randomized trial of ezetimibe added to statin therapy to attain NCEP ATP III goals for LDL cholesterol in hypercholesterolemic patients: the ezetimibe add-on to statin for effectiveness (EASE) trial. *Mayo Clin Proc* 2005; **80:** 587–95.
4. Kastelein JJP, *et al.* The ENHANCE Investigators. Simvastatin with or without ezetimibe in familial hypercholesterolemia. *N Engl J Med* 2008; **358:** 1431–43.
5. McKenney JM, *et al.* Safety and efficacy of long-term co-administration of fenofibrate and ezetimibe in patients with mixed hyperlipidemia. *J Am Coll Cardiol* 2006; **47:** 1584–7.
6. Salen G, *et al.* Ezetimibe effectively reduces plasma plant sterols in patients with sitosterolemia. *Circulation* 2004; **109:** 966–71.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Acotral; Alin; Alipas; Cerclerol; Cetrakam; Coracil; Ezetrol; Ixacor; Lipimibe; Nalecol; Sinterol; Trilip; Vadel; Zetia; ***Austral.:*** Ezetrol; ***Austria:*** Ezetrol; ***Belg.:*** Ezetrol; ***Braz.:*** Ezetrol; Zetia; ***Canad.:*** Ezetrol; ***Chile:*** Ezetrol; Zient; ***Cz.:*** Ezetrol; Zient; ***Denm.:*** Ezetrol; ***Fin.:*** Ezetrol; ***Fr.:*** Ezetrol; ***Ger.:*** Ezetrol; ***Gr.:*** Ezetrol; ***Hong Kong:*** Ezetrol; ***Hung.:*** Ezetrol; ***India:*** Ezetib; Ezzicad†; Imbibe; ***Indon.:*** Ezetrol; ***Irl.:*** Ezetrol; ***Israel:*** Ezetrol; ***Malaysia:*** Ezetrol; ***Mex.:*** Ezetrol; Zient; ***Neth.:*** Ezetrol; ***Norw.:*** Ezetrol; ***NZ:*** Ezetrol; ***Philipp.:*** Ezetrol; ***Port.:*** Ezetrol; ***Rus.:*** Ezetrol (Эзетрол); ***S.Afr.:*** Ezetrol; ***Singapore:*** Ezetrol; ***Spain:*** Ezetrol; ***Swed.:*** Ezetrol; ***Switz.:*** Ezetrol; ***Thai.:*** Ezetrol; ***UK:*** Ezetrol; ***USA:*** Zetia; ***Venez.:*** Ezetrol; Zetia; Zient.

Multi-ingredient: ***Arg.:*** Alipas Duo; Ampliar Duo; Ateroclar Duo; Labistatin Duo; Liparex Duo; Lipibec Duo; Liponorm Duo; Redusterol Duo; Torimibe; Vasotenal EZ; Vytorin; ***Austral.:*** Vytorin; ***Austria:*** Inegy; Vytorin; ***Braz.:*** Vytorin; Zetsim; ***Chile:*** Adacai; Vytorin; Zintrepid; ***Cz.:*** Inegy; ***Fr.:*** Inegy; ***Ger.:*** Inegy; ***Gr.:*** Inegy; Vytorin; ***Hong Kong:*** Vytorin; ***Hung.:*** Inegy; ***India:*** Zetitor†; ***Indon.:*** Vytorin; ***Irl.:*** Inegy; ***Ital.:*** Inegy; Vytorin; ***Malaysia:*** Vytorin; ***Mex.:*** Vytorin; Zintrepid; ***Neth.:*** Inegy; Vytorin; ***Norw.:*** Inegy; ***NZ:*** Vytorin; ***Philipp.:*** Vytorin; ***Port.:*** Inegy; ***Singapore:*** Vytorin; ***UK:*** Inegy; ***USA:*** Vytorin; ***Venez.:*** Adacai; Vytorin; Zintrepid.

Fasudil Hydrochloride *(rINNM)*

AT-877; Fasudil, Chlorhydrate de; Fasudili Hydrochloridum; HA-1077; Hidrocloruro de fasudil. Hexahydro-1-(5-isoquinolylsulfonyl)-1*H*-1,4-diazepine hydrochloride.

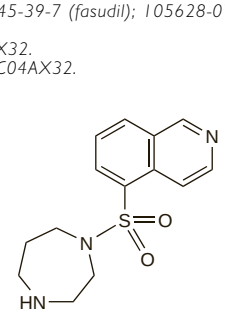
Фазудила Гидрохлорид

$C_{14}H_{17}N_3O_2S,HCl$ = 327.8.

CAS — 103745-39-7 (fasudil); 105628-07-7 (fasudil hydrochloride).

ATC — C04AX32.

ATC Vet — QC04AX32.



(fasudil)

Profile

Fasudil is a selective inhibitor of Rho-kinase, a protein kinase involved in contraction of vascular smooth muscle. Fasudil is used as the hydrochloride for its vasodilating properties in the management of cerebrovascular disorders including vasospasm after surgery for subarachnoid haemorrhage. It is under investigation for the treatment of angina pectoris, acute cerebral thrombosis, and pulmonary hypertension.

◊ References.

1. Shibuya M, *et al.* Effect of AT877 on cerebral vasospasm after aneurysmal subarachnoid hemorrhage: results of a prospective placebo-controlled double-blind trial. *J Neurosurg* 1992; **76:** 571–7.
2. Masumoto A, *et al.* Suppression of coronary artery spasm by the Rho-kinase inhibitor fasudil in patients with vasospastic angina. *Circulation* 2002; **105:** 1545–7.
3. Shimokawa H, *et al.* Anti-anginal effect of fasudil, a Rho-kinase inhibitor, in patients with stable effort angina: a multicenter study. *J Cardiovasc Pharmacol* 2002; **40:** 751–61.
4. Vicari RM, *et al.* Efficacy and safety of fasudil in patients with stable angina: a double-blind, placebo-controlled, phase 2 trial. *J Am Coll Cardiol* 2005; **46:** 1803–11.
5. Suzuki Y, *et al.* A postmarketing surveillance study of fasudil treatment after aneurysmal subarachnoid hemorrhage. *Surg Neurol* 2007; **68:** 126–31.

Preparations

Proprietary Preparations (details are given in Part 3)
Jpn: Eril†.

Felodipine *(BAN, USAN, rINN)*

Felodipiini; Felodipin; Felodipinas; Félodipine; Felodipino; Felodipinum; H-154/82. Ethyl methyl 4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethylpyridine-3,5-dicarboxylate.

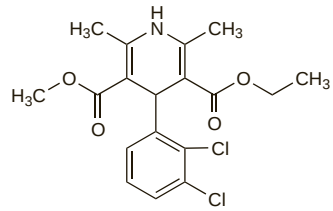
Фелодипин

$C_{18}H_{19}Cl_2NO_4$ = 384.3.

CAS — 72509-76-3; 86189-69-7.

ATC — C08CA02.

ATC Vet — QC08CA02.



Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), and *US*.

Ph. Eur. 6.2 (Felodipine). A white or light yellow, crystalline powder. Practically insoluble in water; freely soluble in dehydrated alcohol, in acetone, in dichloromethane, and in methyl alcohol. Protect from light.

USP 31 (Felodipine). A light yellow to yellow, crystalline powder. Insoluble in water; freely soluble in acetone and in methyl alcohol; very slightly soluble in heptane. Store in airtight containers. Protect from light.

Adverse Effects, Treatment, and Precautions

As for dihydropyridine calcium-channel blockers (see Nifedipine, p.1350).

Interactions

As for dihydropyridine calcium-channel blockers (see Nifedipine, p.1352).

Pharmacokinetics

Felodipine is almost completely absorbed from the gastrointestinal tract after oral doses but undergoes extensive first-pass metabolism, with a bioavailability of about 15% (range 10 to 25%). It is extensively metabolised in the gut and the liver and is excreted almost entirely as metabolites, about 70% of a dose being excreted in urine and the remainder in faeces. The terminal elimination half-life is reported to be about 11 to 16 hours after oral dosage with an immediate-release preparation, but longer with a modified-release formulation. Felodipine is about 99% bound to plasma proteins (mainly albumin).

◊ General reviews.

1. Dunselman PHJM, Edgar B. Felodipine clinical pharmacokinetics. *Clin Pharmacokinet* 1991; **21:** 418–30.

Uses and Administration

Felodipine is a dihydropyridine calcium-channel blocker with actions similar to those of nifedipine (p.1354). It is used in the management of hypertension (p.1171) and angina pectoris (p.1157).