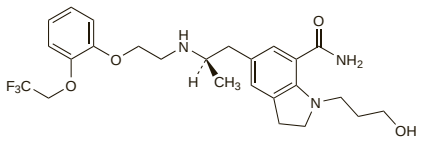
Silodosin *(rINN)*

KMD-3213; Silodosina; Silodosine; Silodosinum. (−)-1-(3-Hydroxypropyl)-5-[(2*R*)-2-({2-[2-(2,2,2-trifluoroethoxy)phenoxy]-ethyl}amino)propyl]-2,3-dihydro-1*H*-indole-7-carboxamide.

Сильодозин

$C_{25}H_{32}F_3N_3O_4$ = 495.5.

CAS — 160970-54-7.



Profile

Silodosin is an alpha$_1$-adrenoceptor blocker (p.1153) that is reported to be selective for the alpha$_{1A}$-adrenoceptor subtype. It is used orally in benign prostatic hyperplasia (p.2178) to relieve symptoms of urinary obstruction.

Preparations

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

Solifenacin Succinate *(BANM, USAN, rINNM)*

Solifénacine, Succinate de; Solifenacini Succinas; Succinato de solifenacina; YM-905; YM-67905. (3*R*)-1-Azabicyclo[2.2.2]oct-3-yl (1*S*)-1-phenyl-3,4-dihydroisoquinoline-2(1*H*)-carboxylate compound with butanedioic acid (1:1).

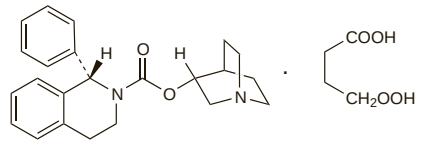
Солифенацина Суксинат

$C_{23}H_{26}N_2O_2,C_4H_6O_4$ = 480.6.

CAS — 242478-37-1 (solifenacin); 242478-38-2 (solifenacin succinate).

ATC — G04BD08.

ATC Vet — QG04BD08.



Adverse Effects, Treatment, and Precautions

As for Atropine Sulfate, p.1219. Solifenacin succinate should be used with caution in patients also receiving inhibitors of the cytochrome P450 isoenzyme CYP3A4 (see Interactions and Uses and Administration, below). It should also be used with caution in hepatic and renal impairment.

Interactions

As for antimuscarinics in general (see Atropine Sulfate, p.1220). Exposure to solifenacin succinate may be increased by drugs that inhibit the cytochrome P450 isoenzyme CYP3A4. Although there is a lack of data, licensed product information also warns of the potential for interaction between solifenacin succinate and substrates or inducers of CYP3A4. Dosage adjustment for solifenacin succinate may be necessary (see Uses and Administration, below).

Pharmacokinetics

After an oral dose, solifenacin succinate is absorbed from the gastrointestinal tract, with peak plasma concentrations reached after 3 to 8 hours and a bioavailability of about 90%. Solifenacin is about 98% bound to plasma proteins. It is extensively metabolised in the liver, mainly by the cytochrome P450 isoenzyme CYP3A4, and has a terminal half-life of 45 to 68 hours. Solifenacin is excreted mainly as metabolites in urine and faeces.

◊ References.

1. Kuipers ME, *et al.* Solifenacin demonstrates high absolute bioavailability in healthy men. *Drugs R D* 2004; **5:** 73–81.
2. Smulders RA, *et al.* Pharmacokinetics and safety of solifenacin succinate in healthy young men. *J Clin Pharmacol* 2004; **44:** 1023–33.

Uses and Administration

Solifenacin succinate is a selective M_3 antimuscarinic with actions similar to those of atropine (p.1220). It is used in the treatment of urinary frequency, urgency, and incontinence in detrusor instability (p.2180). Usual doses of solifenacin succinate are 5 mg once daily by mouth, increased to 10 mg once daily if required. Maximum doses of 5 mg once daily are recommended in patients receiving drugs such as ketoconazole or ritonavir that are strong inhibitors of the cytochrome P450 isoenzyme CYP3A4. For doses in hepatic or renal impairment, see below.

◊ References.

1. Chapple CR, *et al.* Randomized, double-blind placebo- and tolterodine-controlled trial of the once-daily antimuscarinic agent solifenacin in patients with symptomatic overactive bladder. *BJU Int* 2004; **93:** 303–10.
2. Cardozo L, *et al.* Randomized, double-blind placebo controlled trial of the once daily antimuscarinic agent solifenacin succinate in patients with overactive bladder. *J Urol (Baltimore)* 2004; **172:** 1919–24.
3. Haab F, *et al.* Long-term open-label solifenacin treatment associated with persistence with therapy in patients with overactive bladder syndrome. *Eur Urol* 2005; **47:** 376–84.
4. Chapple CR, *et al.* A comparison of the efficacy and tolerability of solifenacin succinate and extended release tolterodine at treating overactive bladder syndrome: results of the STAR trial. *Eur Urol* 2005; **48:** 464–70.
5. Abrams P, Swift S. Solifenacin is effective for the treatment of OAB dry patients: a pooled analysis. *Eur Urol* 2005; **48:** 483–7.
6. Payne CK. Solifenacin in overactive bladder syndrome. *Drugs* 2006; **66:** 175–90.

Administration in hepatic and renal impairment. Doses of 5 mg of solifenacin succinate once daily by mouth are recommended in patients with moderate hepatic impairment (Child-Pugh category B) or severe renal impairment (creatinine clearance less than 30 mL/minute). It should not be used in patients with severe hepatic impairment (Child-Pugh category C) or in those undergoing haemodialysis.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Vesicare; ***Austral.:*** Vesicare; ***Belg.:*** Vesicare; ***Cz.:*** Vesicare; ***Denm.:*** Vesicare; ***Fin.:*** Vesicare; ***Fr.:*** Vesicare; ***Ger.:*** Vesikur; ***Gr.:*** Vesicare; ***Hung.:*** Vesicare; ***Indon.:*** Vesicare; ***Irl.:*** Vesitirim; ***Ital.:*** Vesiker; ***Jpn:*** Vesicare; ***Neth.:*** Flomin; Uriclin; Vesicare; ***Norw.:*** Vesicare; ***NZ:*** Vesicare; ***Pol.:*** Vesicare; ***Port.:*** Flomin; Uriclin; Vesicare; ***Rus.:*** Vesicare (Везикар); ***S.Afr.:*** Vesicare; ***Spain:*** Vesicare; ***Swed.:*** Vesicare; ***UK:*** Vesicare; ***USA:*** Vesicare.

Tadalafil *(BAN, USAN, rINN)*

GF-196960; IC-351; Tadalafili; Tadalafilo; Tadalafilum. (6*R*,12a*R*)-2,3,6,7,12,12a-Hexahydro-2-methyl-6-[3,4-(methylenedioxy)-phenyl]pyrazino[1′,2′:1,6]pyrido[3,4-*b*]indole-1,4-dione.

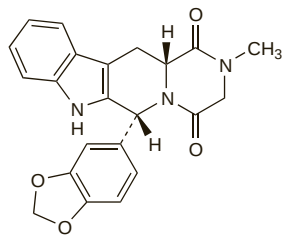
Тадалафил

$C_{22}H_{19}N_3O_4$ = 389.4.

CAS — 171596-29-5.

ATC — G04BE08.

ATC Vet — QG04BE08.



NOTE. The following terms have been used as 'street names' (see p.vi) or slang names for various forms of tadalafil:
Weekend Pill.

Adverse Effects and Precautions

As for Sildenafil, p.2193. Visual disturbances may occur less frequently with tadalafil than with sildenafil. Dosage reductions may be required in patients with hepatic or renal impairment.

◊ References.

1. Montorsi F, *et al.* Long-term safety and tolerability of tadalafil in the treatment of erectile dysfunction. *Eur Urol* 2004; **45:** 339–44.

Effects on the cardiovascular system. References.

1. Kloner RA, *et al.* Cardiovascular effects of tadalafil. *Am J Cardiol* 2003; **92:** 37M–46M.

Interactions

As for Sildenafil, p.2194.

Antifungals. Priapism occurred in a man who took two separate doses of tadalafil 10 mg, at least one month apart, during *itraconazole* treatment.[1] He was able to take sildenafil during itraconazole treatment without adverse effect. See also Uses and Administration, below, for recommended tadalafil dosage when it is taken with inhibitors of the cytochrome P450 isoenzyme CYP3A4.

1. Galatti L, *et al.* Interaction between tadalafil and itraconazole. *Ann Pharmacother* 2005; **39:** 200.

Nitrates. Phosphodiesterase type-5 inhibitors may potentiate the hypotensive effects of organic nitrates, and are therefore contra-indicated in patients receiving such drugs. An interaction between tadalafil and sublingual *glyceryl trinitrate* was reported to occur when glyceryl trinitrate was given within 24 hours after tadalafil but was no longer detectable at 48 hours.[1] Licensed product information recommends that if nitrate treatment is needed in a life-threatening situation then it should only be given at least 48 hours after the last dose of tadalafil and under close medical supervision.

1. Kloner RA, *et al.* Time course of the interaction between tadalafil and nitrates. *J Am Coll Cardiol* 2003; **42:** 1855–60.

Pharmacokinetics

Tadalafil is well absorbed after an oral dose. Peak plasma concentrations are attained within 2 hours; the rate and extent of absorption are not affected by food.

Tadalafil is widely distributed into tissues and is about 94% bound to plasma proteins. It is metabolised in the liver mainly by the cytochrome P450 isoenzyme CYP3A4. The major metabolite, the methylcatechol glucuronide, is inactive. The mean half-life of tadalafil is about 17.5 hours.

Tadalafil is excreted, mainly as metabolites, in the faeces (61% of the dose), and to a lesser extent the urine (36% of the dose). Clearance may be reduced in the elderly and in patients with renal impairment.

◊ References.

1. Gupta M, *et al.* The clinical pharmacokinetics of phosphodiesterase-5 inhibitors for erectile dysfunction. *J Clin Pharmacol* 2005; **45:** 987–1003.
2. Forgue ST, *et al.* Tadalafil pharmacokinetics in healthy subjects. *Br J Clin Pharmacol* 2006; **61:** 280–8.
3. Forgue ST, *et al.* Effects of gender, age, diabetes mellitus and renal and hepatic impairment on tadalafil pharmacokinetics. *Br J Clin Pharmacol* 2007; **63:** 24–35.

Uses and Administration

Tadalafil is a phosphodiesterase type-5 inhibitor with actions and uses similar to those of sildenafil (p.2195). It is used in the management of erectile dysfunction (p.2179). Tadalafil is given orally in a usual dose of 10 mg at least 30 minutes before sexual intercourse and may be taken with or without food; the dose may be increased to 20 mg, or decreased to 5 mg, if necessary. Efficacy may persist for up to 36 hours after dosing. Tadalafil should not be taken more than once in 24 hours.

In the UK, for those who respond to tadalafil when taken as needed, and anticipate frequent use (defined as at least twice weekly), a regular daily regimen may be considered suitable. In these patients, the recommended dose is 5 mg once daily, taken at about the same time each day. The dose may be decreased to 2.5 mg once daily based on individual tolerability. In the USA, the recommended starting dose of tadalafil for daily use is 2.5 mg, taken at about the same time each day, which may then be increased to 5 mg, based on tolerability and efficacy. Dosage adjustments are not necessary in elderly patients; for recommendations in hepatic or renal impairment, see below.

Although the use of tadalafil with an alpha blocker is not recommended in the UK, the combination may be used in the USA; in patients stabilised on alpha blocker therapy a starting dose of tadalafil 5 mg may be used. In patients taking potent inhibitors of the cytochrome P450 isoenzyme CYP3A4, such as ketoconazole or ritonavir-boosted HIV-protease inhibitors, the dose of tadalafil when used *as needed* should not exceed 10 mg once every 72 hours; when used on a *regular daily basis*, the dose should not exceed 2.5 mg.

◊ References.

1. Brock GB, *et al.* Efficacy and safety of tadalafil for the treatment of erectile dysfunction: results of integrated analyses. *J Urol (Baltimore)* 2002; **168:** 1332–6. Correction. *ibid.* 2005; **173:** 664. [dosage error in abstract]
2. Brock GB. Tadalafil: a new agent for erectile dysfunction. *Can J Urol* 2003; **10** (suppl 1): 17–22.
3. Bella AJ, Brock GB. Tadalafil in the treatment of erectile dysfunction. *Curr Urol Rep* 2003; **4:** 472–8.
4. Curran MP, Keating GM. Tadalafil. *Drugs* 2003; **63:** 2203–12. Correction. *ibid.*; 2703.
5. Meuleman EJ. Review of tadalafil in the treatment of erectile dysfunction. *Expert Opin Pharmacother* 2003; **4:** 2049–56.
6. Padma-Nathan H. Efficacy and tolerability of tadalafil, a novel phosphodiesterase 5 inhibitor, in treatment of erectile dysfunction. *Am J Cardiol* 2003; **92** (suppl 1): 19M–25M.
7. Carson CC, *et al.* The efficacy and safety of tadalafil: an update. *BJU Int* 2004; **93:** 1276–81.
8. Doggrell SA. Comparison of clinical trials with sildenafil, vardenafil and tadalafil in erectile dysfunction. *Expert Opin Pharmacother* 2005; **6:** 75–84.

Administration in hepatic impairment. Exposure to tadalafil in patients with mild to moderate hepatic impairment is comparable to healthy subjects when a dose of 10 mg is used. Regular daily dosing has not been evaluated.

Licensed product information states the following:

- mild to moderate hepatic impairment (Child-Pugh Class A or B): the maximum dose is 10 mg; regular daily dosing has not been evaluated
- severe hepatic impairment (Child-Pugh Class C): insufficient data are available; in the UK, caution is advised, and in the USA use in this group is not recommended

Administration in renal impairment. The clearance of tadalafil is reduced in renal impairment.

In the UK, licensed product information recommends the following:

- mild to moderate renal impairment: no dose adjustment
- severe renal impairment: the maximum dose is 10 mg; regular daily dosing is not recommended in these patients

In the USA, the dose recommendations for tadalafil, when used *as needed*, in patients with renal impairment based on creatinine clearance (CC) are:

- mild (CC 51 to 80 mL/minute): no dose adjustment
- moderate (CC 31 to 50 mL/minute): an initial dose of 5 mg not more than once daily, with a maximum dose of 10 mg in 48 hours
- severe (CC less than 30 mL/minute or on haemodialysis): a maximum dose of 5 mg not more than once in every 72 hours

For patients taking tadalafil on a *regular daily basis*:

- mild (CC 51 to 80 mL/minute): no dose adjustment
- moderate (CC 31 to 50 mL/minute): no dose adjustment
- severe (CC less than 30 mL/minute or on haemodialysis): not recommended

High-altitude disorders. Hypoxic pulmonary hypertension associated with high altitude (p.1168) may respond to tadalafil. A small study[1] has shown some promising results in adults with a history of high-altitude pulmonary oedema.

1. Maggiorini M, *et al.* Both tadalafil and dexamethasone may reduce the incidence of high-altitude pulmonary edema: a randomized trial. *Ann Intern Med* 2006; **145:** 497–506.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Cialis; ***Austral.:*** Cialis; ***Austria:*** Cialis; ***Belg.:*** Cialis; ***Braz.:*** Cialis; ***Canad.:*** Cialis; ***Chile:*** Cialis; ***Cz.:*** Cialis; ***Denm.:*** Cialis; ***Fin.:*** Cialis; ***Fr.:*** Cialis; ***Ger.:*** Cialis; ***Gr.:*** Cialis; ***Hong Kong:*** Cialis; ***Hung.:*** Cialis; ***India:*** Forzest; Sialis†; Tadacip; Zydalis; ***Indon.:*** Cialis; ***Irl.:*** Cialis; ***Israel:*** Cialis; ***Ital.:*** Cialis; ***Malaysia:*** Cialis; ***Mex.:*** Cialis; ***Neth.:*** Cialis; ***Norw.:*** Cialis; ***NZ:*** Cialis; ***Philipp.:*** Cialis; ***Pol.:*** Cialis; ***Port.:*** Cialis; ***Rus.:*** Cialis (Сиалис); ***S.Afr.:*** Cialis; ***Singapore:*** Cialis; ***Spain:*** Cialis; ***Swed.:*** Cialis; ***Switz.:*** Cialis; ***Thai.:*** Cialis; ***UK:*** Cialis; ***USA:*** Cialis; ***Venez.:*** Cialis.

Tamsulosin Hydrochloride

(BANM, USAN, rINNM)

Amsulosin Hydrochloride; Hidrocloruro de tamsulosina; LY-253351; Tamsulosiinihydrokloridi; Tamsulosin Hidroklorür; Tamsulosine, chlorhydrate de; Tamsulosinhydroklorid; Tamsulosini hydrochloridum; YM-617; *R*-(–)-YM-12617; YM-12617-1. (–)-(*R*)-5-(2-{[2-(*o*-Ethoxyphenoxy)ethyl]amino}-propyl)-2-methoxybenzenesulfonamide hydrochloride.

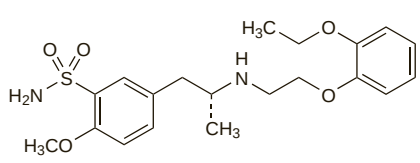
Тамсулозина Гидрохлорид

$C_{20}H_{28}N_2O_5S,HCl$ = 445.0.

CAS — 106133-20-4 (tamsulosin); 106463-17-6 (tamsulosin hydrochloride).

ATC — G04CA02.

ATC Vet — QG04CA02.



(tamsulosin)

Pharmacopoeias. In *Eur.* (see p.vii) and *Jpn*.

Ph. Eur. 6.2 (Tamsulosin Hydrochloride). A white or almost white powder. Slightly soluble in water and anhydrous alcohol; freely soluble in formic acid.

Adverse Effects, Treatment, and Precautions

As for Prazosin Hydrochloride, p.1375. Because tamsulosin is selective for α_1 receptors in the prostate the vasodilator effects may be less frequent. Tamsulosin may cause ejaculation abnormalities. It should be avoided in severe hepatic impairment.

Incidence of adverse effects. A study[1] using prescription event monitoring data for more than 12 000 patients treated with tamsulosin found that dizziness, headache, malaise, and hypotension were the adverse effects most commonly reported.

1. Mann RD, *et al.* The pharmacovigilance of tamsulosin: event data on 12 484 patients. *BJU Int* 2000; **85:** 446–50.

Surgical procedures. In 2005 the manufacturers[1,2] of tamsulosin warned that a syndrome of flaccidity of the iris, progressive miosis, and potential prolapse (intraoperative floppy iris syndrome; IFIS) had been reported in some patients undergoing cataract surgery who were receiving, or had received, alpha blockers. One group of workers[3] had reported that in one series of 741 patients undergoing cataract surgery, 15 of the 16 who developed IFIS had received tamsulosin. An earlier retrospective study of 511 similar patients by the same workers[3] had found IFIS in 10 of the 16 patients with a history of tamsulosin treatment but no cases in any of the other patients, including in 11 patients who had received other alpha blockers. The US manufacturer[2] stated that although most cases had occurred in patients who had been taking alpha blockers concurrently or up to 2 weeks before surgery the benefit of stopping such therapy before cataract surgery has not been established as a few cases had included patients who discontinued alpha blockers up to 9 months before surgery. The manufacturers of tamsulosin recommend that patients being considered for cataract surgery should be questioned to ascertain whether they are taking the drug.[1,2] A literature review[4] found that other alpha blockers, including alfuzosin, doxazosin, and terazosin, have also been associated with IFIS in this patient group; however, IFIS has been most strongly associated with the use of tamsulosin. In the UK, the MHRA[5] has required the inclusion of a warning in the labelling of all alpha blockers advising patients to inform their cataract surgeon about past and current use of these drugs.

1. Boehringer Ingelheim (Canada). Important safety information on intraoperative floppy iris syndrome (IFIS) (issued 14th October 2005). Available at: http://www.hc-sc.gc.ca/dhp-mps/alt_formats/hpfb-dgpsa/pdf/medeff/flomax_hpc-cps-eng.pdf (accessed 02/09/08)
2. Boodee HW [Boehringer Ingelheim Pharmaceuticals, Inc (USA)]. Important drug information for physicians (issued November 2005) Available at: http://www.fda.gov/medwatch/safety/2005/Flomax_dearhcp_nov22_PI.pdf (accessed 01/12/05)
3. Chang DF, Campbell JR. Intraoperative floppy iris syndrome associated with tamsulosin. *J Cataract Refract Surg* 2005; **31:** 664–73.
4. Cantrell MA, *et al.* Intraoperative floppy iris syndrome associated with α -adrenergic receptor antagonists. *Ann Pharmacother* 2008; **42:** 558–63.
5. MHRA/CHM. α-1 adrenoceptor antagonists: intraoperative floppy iris syndrome. *Drug Safety Update* 2007: **1** (1): 4–5. Available at: http://www.mhra.gov.uk/Publications/Safetyguidance/DrugSafetyUpdate/ CON2031802 (accessed 17/06/08)

Interactions

As for Prazosin Hydrochloride, p.1376.

Pharmacokinetics

Tamsulosin is absorbed from the gastrointestinal tract and is almost completely bioavailable. The extent and rate of absorption are reduced by food. After oral doses of an immediate-release preparation, peak plasma concentrations occur after about 1 hour. Tamsulosin is about 99% bound to plasma proteins. It is metabolised slowly in the liver primarily by the cytochrome P450 isoenzymes CYP2D6 and CYP3A4; it is excreted mainly in the urine as metabolites and some unchanged drug. The plasma elimination half-life has been reported to be between 4 and 5.5 hours.

Some of the pharmacokinetic values cited above may be altered when tamsulosin is given as a modified-release preparation, the form in which it is usually used; for instance, peak plasma concentrations occur about 6 hours after a dose and the apparent elimination half-life may be 10 to 15 hours.

Renal impairment. Plasma-tamsulosin concentrations were reported to be increased in patients with renal impairment when compared with subjects with normal renal function.[1,2] However, plasma concentrations of unbound, pharmacologically active drug were similar in both groups and it was suggested that the raised total plasma concentrations were due to an increase in plasma protein binding.

1. Koiso K, *et al.* Pharmacokinetics of tamsulosin hydrochloride in patients with renal impairment: effects of α -acid glycoprotein. *J Clin Pharmacol* 1996; **36:** 1029–38.
2. Wolzt M, *et al.* Pharmacokinetics of tamsulosin in subjects with normal and varying degrees of impaired renal function: an open-label single-dose and multiple-dose study. *Eur J Clin Pharmacol* 1998; **54:** 367–73.

Uses and Administration

Tamsulosin is an alpha$_1$-adrenoceptor blocker (p.1153) with actions similar to those of prazosin (p.1376); it is reported to be more selective for the alpha$_{1A}$-adrenoceptor subtype, which accounts for about 70% of the α_1 adrenoceptors in the prostate. It is used in benign prostatic hyperplasia (p.2178) to relieve symptoms of urinary obstruction.

In benign prostatic hyperplasia, tamsulosin hydrochloride is given orally in a modified-release formulation, in a dose of 400 micrograms once daily. Licensed US product information states that the dose may be increased after 2 to 4 weeks, if necessary, to 800 micrograms once daily.

◊ Reviews.

1. Wilde MI, McTavish D. Tamsulosin: a review of its pharmacological properties and therapeutic potential in the management of symptomatic benign prostatic hyperplasia. *Drugs* 1996; **52:** 883–98.
2. Lee M. Tamsulosin for the treatment of benign prostatic hypertrophy. *Ann Pharmacother* 2000; **34:** 188–99.
3. Lyseng-Williamson KA, *et al.* Tamsulosin: an update of its role in the management of lower urinary tract symptoms. *Drugs* 2002; **62:** 135–67.
4. Wilt TJ, *et al.* Tamsulosin for benign prostatic hyperplasia. Available in The Cochrane Database of Systematic Reviews; Issue 4. Chichester: John Wiley; 2002 (accessed 29/11/05).

Antidepressant-induced genito-urinary disorders. Tamsulosin was used successfully[1] to treat urinary hesitancy observed in 6 male patients receiving reboxetine. Painful ejaculation associated with reboxetine was also treated successfully[2] in 2 men.

1. Demyttenaere K, *et al.* Tamsulosin as an effective treatment for reboxetine-associated urinary hesitancy. *Int Clin Psychopharmacol* 2001; **16:** 353–5.
2. Demyttenaere K, Huygens R. Painful ejaculation and urinary hesitancy in association with antidepressant therapy: relief with tamsulosin. *Eur Neuropsychopharmacol* 2002; **12:** 337–41.

Prostatitis. Alpha$_1$-adrenoceptor blockers are one of a number of classes of drugs that have been tried for symptomatic relief in men with chronic prostatitis (p.2181). In a 6-week multicentre, double-blind placebo-controlled study involving 58 men with moderate to severe chronic prostatitis/chronic pelvic pain syndrome, tamsulosin 400 micrograms daily produced greater symptomatic relief than placebo;[1] the effect was considered clinically significant for men with severe prostatitis. The benefit appeared to take several weeks to develop, and it was considered possible that longer exposure would produce additional benefit.

1. Nickel JC, *et al.* Treatment of chronic prostatitis/chronic pelvic pain syndrome with tamsulosin: a randomized double blind trial. *J Urol (Baltimore)* 2004; **171:** 1594–7.

Renal calculi. In the conservative management of renal calculi (p.2181) there is increasing interest in the possible use of drug treatment to ease the spontaneous passage of the stone down the ureter. Alpha$_1$-adrenoceptor blockers can decrease smooth muscle spasm in the ureter, reducing obstruction and improving urine flow. In studies[1-5] of patients with uncomplicated lower ureteral stones, tamsulosin has been reported to improve the rate of stone expulsion and expulsion time, and to reduce analgesic requirements. Tamsulosin was generally given orally in a dose of 400 micrograms daily for up to 4 weeks. Comparison groups were treated with various other antispasmodics including benzodiazepines, phloroglucinol, and nifedipine; in most studies patients were also treated with antibacterial prophylaxis, deflazacort, and NSAIDs.

A review[6] found evidence suggesting that adjunctive tamsulosin is safe and effective in enhancing the clearance of renal stones with a larger diameter when used with extracorporeal shock wave lithotripsy. Although evidence regarding ureteral stone clearance is inconclusive, adjunctive tamsulosin has been reported to reduce painful episodes.

1. Červenàkov I, *et al.* Speedy elimination of ureterolithiasis in lower part of ureters with the alpha 1-blocker–tamsulosin. *Int Urol Nephrol* 2002; **34:** 25–9.
2. Dellabella M, *et al.* Efficacy of tamsulosin in the medical management of juxtavesical ureteral stones. *J Urol (Baltimore)* 2003; **170:** 2202–5.
3. Porpiglia F, *et al.* Nifedipine versus tamsulosin for the management of lower ureteral stones. *J Urol (Baltimore)* 2004; **172:** 568–71.
4. Yilmaz E, *et al.* The comparison and efficacy of 3 different α1-adrenergic blockers for distal ureteral stones. *J Urol (Baltimore)* 2005; **173:** 2010–12.
5. Dellabella M, *et al.* Randomized trial of the efficacy of tamsulosin, nifedipine and phloroglucinol in medical expulsive therapy for distal ureteral calculi. *J Urol (Baltimore)* 2005; **174:** 167–72.
6. Losek RL, Mauro LS. Efficacy of tamsulosin with extracorporeal shock wave lithotripsy for passage of renal and ureteral calculi. *Ann Pharmacother* 2008; **42:** 692–6.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Aclosan; Controlpros; Espontal; Lostam; Omnic; Reduprost; Secotex; Tamsuna; Tansiloprost; ***Austral.:*** Flomax; Flomaxtra; ***Austria:*** Alna; ***Belg.:*** Omic; ***Braz.:*** Contiflo; Omnic; Secotex; Tamsulon; ***Canad.:*** Flomax; ***Chile:*** Eupen; Gotely; Omnic; Prostall; Secotex; Sulix; Vi-Uril; ***Cz.:*** Apo-Tamis; Damurgin; Fokusin; Lannatam; Omnic; Solesmin; Taflosin; Tamipro; Tamsec; Tamurox; Tanyz; Urostad; ***Denm.:*** Omnic; ***Fin.:*** Expros; Omnic; Tamictor; Tamsumin; ***Fr.:*** Josir; Omix; ***Ger.:*** Alna; Omnic; ***Gr.:*** Omnic; Pradif; ***Hong Kong:*** Harnal; ***Hung.:*** Fokusin; Omnic; Provosal; Tamsol; Tamsudil; Tamsugen; Tanyz; Totalprost; Urostad; ***India:*** Urimax; ***Indon.:*** Harnal; ***Irl.:*** Omnex-