



Drug Review



Uro-selective, cardiac friendly α -blocker for the rapid symptom relief in BPH

Benign Prostatic Hyperplasia (BPH)

- BPH is a nonmalignant enlargement of the prostate caused by cellular hyperplasia of both glandular and stromal elements.¹
- BPH can lead to troublesome lower urinary tract symptoms (LUTS), including storage disturbances (such as daytime urinary urgency and nocturia) or voiding disturbances (such as urinary hesitancy, weak urinary stream, straining to void and prolonged voiding) or both.²
- Pharmacological therapy is typically the first-line treatment for patients with BPH.² A variety of α -blockers (silodosin, alfuzosin, doxazosin, prazosin, tamsulosin, terazosin) are used for treating LUTS with BPH.
- Between these, silodosin is a novel, more selective α -blocker, which is specific to the lower urinary tract and may have fewer side effects than other α -blockers.³

Mechanism of Action of Silodosin⁴

- Silodosin is a selective antagonist of post-synaptic α_1 -adrenoreceptors (ARs).
- α_1 -ARs are located in the human prostate, bladder base, neck, prostatic capsule & urethra.
- Blockade of α_1 -ARs can cause smooth muscle in these tissues to relax, resulting in an improvement in urine flow and a reduction in BPH symptoms.

Silodosin- The Uro-selective, Cardiac Friendly α -blocker

- Three different ARs subtypes (α_{1A} , α_{1B} , α_{1D}) have been documented in human tissues.⁵
- Specifically, α_{1A} found in prostate, α_{1B} in vascular system, CNS, spleen and lung and α_{1D} in the bladder and spinal cord.⁵
- Silodosin has 2.5 fold greater selectivity for the α_{1A} than the α_{1B} -adrenergic receptor and silodosin has 10 fold greater selectivity for the α_{1A} than the α_{1D} -adrenergic receptor.⁴
- The minimal selectivity for the α_{1B} -adrenergic receptor, which is mainly engaged in the control of blood pressure, enables silodosin have minimum effects on the cardiovascular system.⁴
- Due to this peculiarity, when compared to other α_1 -ARs blockers, silodosin shows the best uro-selectivity.⁵

IPSS & QoL with Different α_1 -ARs Blockers at 1, 4 & 12 Weeks in BPH⁶

Time	Parameter	Silodosin Mean \pm SD	Tamsulosin Mean \pm SD	Alfuzosin Mean \pm SD	P value
1 week	IPSS	11.7 \pm 4.18	15.23 \pm 6.67	15.4 \pm 6.52	0.027
	QoL	2.2 \pm 0.76	2.77 \pm 0.9	2.3 \pm 0.79	0.020
4 weeks	IPSS	9.43 \pm 3.89	11.83 \pm 5.31	12.33 \pm 6.22	0.077
	QoL	1.47 \pm 0.63	2.17 \pm 0.7	1.67 \pm 5.07	<0.001
12 weeks	IPSS	7.97 \pm 3.84	11.03 \pm 5.07	11.43 \pm 6.19	0.020
	QoL	1.2 \pm 0.66	2.03 \pm 0.61	1.53 \pm 0.63	<0.001

*IPSS - International Prostate Symptom Score, QoL - Quality of life

Proven Results

- Silodosin- the most selective antagonist of α_{1A} -adrenoceptors.⁷
- Silodosin has a fast onset of action (2-6 hours), the efficacy starts within the first dose and first day of treatment.⁸
- Silodosin is a proper treatment of BPH and erectile dysfunction with sildenafil or tadalafil.⁹
- It has minimal cardiovascular effects due to its high selectivity.¹⁰
- Dosing of silodosin does not need to be adjusted according to age, concurrent medication with antihypertensives & phosphodiesterase-5 (PDE-5).⁴
- The IPSS, overactive bladder symptom score and nocturia scores were improved by using silodosin for 12 weeks as 8 mg once daily.¹¹
- Silodosin can be used safely with PDE-5 inhibitors and antihypertensive drugs without the risk of orthostatic hypotension.¹²

Uro-selective, cardiac friendly alpha blocker for the rapid symptom relief in BPH

Rapilief™

Silodosin 4 & 8 mg Capsule

Rapid. Selective. Effective in BPH

16x greater
binding
affinity

with alpha-1 a receptor compared
to Tamsulosin

less likely to cardiovascular adverse effects



Rapid Action

silodosin start working in 2 hour
where Tamsulosin starts in 4 hour

- More effective in reducing irritative and obstructive symptoms in BPH
- Restores quality of life in BPH patients

Dosage & Administration

One **Rapilief™** capsule orally after the same meal each day



Ref.: 1. Eur Urol. 2011 Mar;59(3):342-52.; 2. Int Urol Nephrol. 2012 Dec;44(6):1601-9.; 3. Cochrane Database Syst Rev. 2017 Nov 22;11(11):CD012615.; 4. Int Urol Nephrol. 2012 Dec;44(6):1601-9.; 5. Adv Ther. 2019 Jan;36(1):1-18.; 6. Cent European J Urol. 2017 Jun 30;70(2):148-153.; 7. Eur Urol. 2016;69(6):1091-1101.; 8. The journal of urology. 2013;189(6):2634-2640.; 9. Pharmacotherapy. 2010;30(12):1303-1312.; 10. International journal of clinical practice. 2013;67(6):544-551.; 11. Urology. 2018;121:153-157.; 12. Urology. 2010;75(3):520-525.



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