

Sublingual *Viagra* (Sildenafil)

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Introduction

There is interest among patients in ways to improve absorption and onset of sildenafil (*Viagra*) for erectile dysfunction (ED). Due to the abundance of information available through the internet about this issue, patients are trying to speed up absorption by chewing or crushing their tablets. There appears to be some validity to crushing or chewing the tablets and then placing the medication under the tongue. A study published in the International Journal of Urology (2004) examined the use of sildenafil taken by the sublingual (SL) route. The study used a formulation of sildenafil not available in the United States, *Durus SofTab*, Durus Ltd.¹

Sublingual Sildenafil Study

Forty patients with ED were included in the study. Twenty patients were given a 20 mg sublingual (orally dissolving) sildenafil tablet compared to twenty patients who took a placebo. The results were that 65% (13/20) of the sildenafil patients achieved satisfying erections and coitus, compared to 15% (3/20) in the placebo group. Duration of effect for the SL sildenafil group was an average of 40 minutes compared to 20 minutes with placebo. The mean onset of action with the sublingual sildenafil was 15.5 minutes compared to 30 minutes with placebo. The most common side effects were headache (2/20 in sildenafil group, 1/20 in placebo group), flushing (2/20 in sildenafil group and 2/20 in placebo group), and sweating (2/20 in sildenafil group). All side-effects were minimal and well-tolerated. The conclusions were that the SL route appeared to have a faster onset compared with placebo. In addition, the authors concluded that the SL route may be more cost-effective since the study used a 20 mg tablet compared to the average oral dose of 50 mg. Finally, the authors suggested that the SL route possibly provides a more predictable onset of action.¹

Commentary

Oral sildenafil has a bioavailability of approximately 40% due to first-pass metabolism compared to an assumed bioavailability of a SL tablet being similar to an intravenous dose. Therefore, this supports the study conclusions that less of a SL dose of sildenafil would be needed compared to an oral dose.¹ However, it must be stated that there have not been trials comparing a SL dose to an oral dose of sildenafil, or any other phosphodiesterase-5 (PDE-5) inhibitor. Another important point is that the above study used a specially formulated tablet, so there is difficulty in comparing the pharmacokinetics of a chewed or crushed oral tablet of *Viagra*.

Pharmacokinetic data would suggest that a sublingual tablet would have a faster onset of action than an oral tablet. There appears to be a faster onset of action with SL sildenafil than oral sildenafil. Patients reported a mean onset of action of 15.5 minutes.¹ Oral sildenafil has an onset of action anywhere from 30 minutes to 120 minutes (median about 60 minutes) depending on factors such as taking with or without a high fat meal and individual rate of metabolism. A high fat meal will delay the rate of absorption thereby increasing the time to maximum plasma concentration by an average of 60 minutes. Other factors affecting the plasma concentration of sildenafil are age, renal and hepatic impairment, and concomitant medications such as erythromycin, ketoconazole, itraconazole, and saquinavir.²

Inquiries to the pharmaceutical companies about using any of the PDE-5 inhibitors (sildenafil [*Viagra*], vardenafil [*Levitra*], tadalafil [*Cialis*]) via the sublingual route of administration received similar responses. That is, the sublingual dosing or chewing of any of the PDE-5 inhibitors has not been studied and therefore cannot be recommended.³⁻⁵ According to the study, Deveci and colleagues observed similar side effects associated with oral PDE-5 inhibitors (flushing, headache, sweating) but to a minimal extent.¹ There was no mention of taste issues in the study. However, oral sildenafil is known to have a bitter taste when chewed.

Based on preliminary evidence and what we know about SL administration in general, the use of a sublingual erectile dysfunction medication could have several benefits. It avoids the issue of taking with a meal, particularly a high-fat meal; a lower dose could be used, which would decrease side effects and be potentially more cost-effective; and the faster onset increases spontaneity and quality of life for the patient.

Pharmacists have been receiving special requests to compound sublingual sildenafil dosage forms from the tablet form, especially in diabetic populations.⁶ However, it is too early to begin recommending patients crush and use sildenafil sublingually. Studies comparing the efficacy and safety of using a standardized sublingual dosage form versus an oral form of a PDE-5 inhibitor are needed. The preliminary results from the above study suggests that the use of sublingual sildenafil may be a beneficial alternative route [Evidence level B; lower quality RCT].¹

There are many nonreputable sites on the internet that make claims to cheaper, better-tasting, faster-onset SL tablets of sildenafil. Keep in mind that products from these sites are not regulated by the FDA and efficacy and safety cannot be guaranteed. Please advise patients to avoid buying prescription products from these sites. For patient information about buying medications online go to <http://www.fda.gov/oc/buyonline/>.

References

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