

# a patient's guide on VIAGRA

**DRUG NAME:** Sildenafil citrate

**USE:** Erectile dysfunction (impotence)

This medicine is prescribed when a male cannot get, or keep, a hard erect penis suitable for sexual activity. Viagra works by relaxing the blood vessels and allowing blood to flow into the penis when sexually excited, thus allowing you to obtain an erection in a natural way.

Viagra will only work if you are sexually excited.

## Caution:

Viagra should not be taken by patients on nitrate containing drugs - these are medications used in the treatment of angina.

Other conditions to beware of when taking Viagra:

Blood disorders (hemophilia)

Eye disease

Heart conditions (chest pain)

Kidney or liver problems

High blood pressure

Anatomical deformation of the penis

Diabetes

It is important to discuss with your doctor prior to taking it.

Most patients who are generally healthy and active can safely take Viagra.

## Interactions:

ketoconazole, erythromycin, Alcohol, cimetidine, antihypertensives all interfere with Viagra.

Treatment with nitrates enhances hypotensive effect (contraindicated - do not use).

## Patient information:

Take your dose about one hour before you expect to have sex

Follow the directions on the label of this medicine or as directed by your doctor

Avoid Alcohol if possible

Tell your doctor if Viagra does not help you get an erection (or not long enough)

The dose may need adjustment in some cases

If side effects are severe or ongoing, contact your doctor or seek medical help.

## Side effects.

Occasional:

diarrhea

blurred vision

headache, dizziness

indigestion

flushing

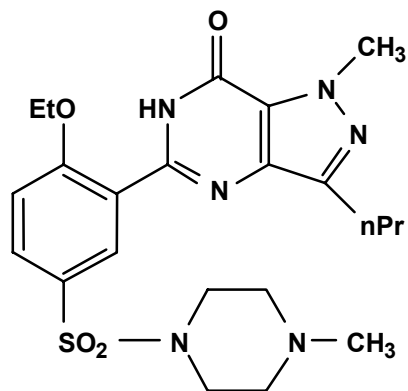
Rarely:

Prolonged erection (seek urgent medical attention).

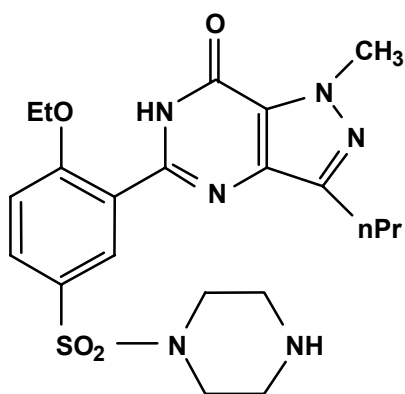
# General chemical information

## DETERMINATION OF SILDENAFIL (VIAGRA<sup>®</sup>) AND ITS METABOLITE (UK-103,320)

### INTRODUCTION



(a) Sildenafil



(b) UK-103,320

Structures of sildenafil (a) and its metabolite, UK-103,320 (b).

Sildenafil (Viagra<sup>®</sup>), used for the treatment of erectile dysfunction (ED), is rapidly becoming one of the most popular and widely used drugs throughout the United States and Europe. The extensive use of sildenafil is exemplified by the fact that 6 million prescriptions for this agent were written during the first 6 months following its introduction. Contrary to popular belief, sildenafil is not an aphrodisiac, does not work in the absence of sexual arousal, and does not make a potent man more virile. Sildenafil (1-[4-ethoxy-3-(6,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo-[4,3-d]pyrimidin-5-yl)phenylsulphonyl]-4-methylpiperazine) is a potent inhibitor of the cGMP-specific phosphodiesterase type 5 enzyme (PDE5) found predominantly in the penile corpus cavernosum. Cyclic guanosine monophosphate (cGMP), which is broken down by PDE5, is directly responsible for producing smooth muscle relaxation in the corpus cavernosum and allowing the inflow of blood. Thus, by inhibiting PDE5, sildenafil has the potential to improve male erectile function.

After oral administration, sildenafil is rapidly absorbed, reaching peak plasma concentrations in 30-120 minutes. It is metabolized in the liver predominantly to the active desmethyl metabolite, UK-103,320. UK-103,320 (1-[4-ethoxy-3-(6,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)phenyl-sulphonylpiperazine) exhibits approximately 50% of the potency of the parent drug and, hence, contributes to the observed pharmacological effects. Under steady-state conditions, the plasma concentrations of UK-103,320 are approximately 40% of those seen for sildenafil. Both sildenafil and its metabolite have a terminal half-life of about 4 hours.