

## **SUPER P FORCE TABLET and Sildigra Super Power**

### **SILDENAFIL & DAPOXETINE TABLETS**

#### **Composition:**

Each film coated tablet contains : Sildenafil Citrate eq. To Sildenafil: 100 mg Dapoxetine Hydrochloride Eq. To

Dapoxetine : 60 mg

#### **Pharmacological Classification:**

Sildenafil : Part of the physiological process of erection involves the parasympathetic nervous system causing the release of nitric oxide (NO) in the corpus cavernosum of the penis. NO binds to the receptors of the enzyme guanylate cyclase which results in increased levels of cyclic guanosine monophosphate (cGMP), leading to smooth muscle relaxation (vasodilation) in the corpus cavernosum, resulting in increased inflow of blood and an erection. Sildenafil is a potent and selective inhibitor of cGMP specific phosphodiesterase type 5 (PDE5) which is responsible for degradation of cGMP in the corpus cavernosum. The molecular structure of sildenafil is similar to that of cGMP and acts as a competitive binding agent of PDE5 in the corpus cavernosum, resulting in more cGMP and better erections. Without sexual stimulation, and therefore lack of activation of the NO/cGMP system, sildenafil should not cause an erection.

**Dapoxetine** : Dapoxetine exhibits its efficacy by primarily inhibiting the reuptake of the serotonin transporter. It was also shown to bind and inhibit the reuptake transporters of dopamine & norepinephrine.

Pharmacokinetics: Sildenafil:

Onset of action : 60 minutes Duration : 2-4 hours

Absorption : rapid, slower with a high fat meal

Distribution :  $V_{dss}$ : 105 L \_\_\_\_\_ ^-----

Protein binding, plasma : 96 %

Metabolism : Hepatic via CYP3A4(major) and CYP2C9 (minor route)

Bioavailability: 40 %

Half life elimination : 4 hours

Time to peak : 30-120 minutes; delayed by 60 minutes with a high fat meal. Excretion : feces (80%); urine (13%).

**Dapoxetine** : Dapoxetine is rapidly absorbed after oral administration with a peak plasma concentration

( $T^{\wedge}J$  occurring between 1.4 and 2.0 hours. This is followed by a rapid decline in plasma concentration, to

about 5% of peak concentration at 24 hours. Both the area under the curve (AUC) and  $C^{\wedge}x$  increased

proportionately with doses up to 100 mg. The mean initial half-life of dapoxetine after a single dose is 0.5 to

0.8 hours and this decreased slightly to 0.4 to 0.6 hours after multiple doses for 6 days. The terminal half-life

of dapoxetine was 15 to 19 hours after a single dose and 20 to 24 after multiple doses.

Dapoxetine

undergoes hepatic metabolism to 2 metabolites, desmethyldapoxetine and didesmethylapoxetine, both of

which have much lower plasma concentrations compared with dapoxetine. Information on specific

isoenzymes involved in metabolism and volume of distribution and details on excretion had not been

published at press time.

**Indication :**

Tablet is indicated for the treatment of erectile dysfunction.

**Warning and Precaution:**

Drug Interaction : Sildenafil : azole antifungals : may increase the serum concentrations of sildenafil; reduce starting dose to 25 mg.

Alpha blockers (doxazosin) : Concomitant use may lead to symptomatic, hypotension in some patients,

Patient should be stable on an alpha-blocker prior to initiation of PDE-5 inhibitor. Sildenafil should be started

at 25 mg. If patient is taking an optimal dose of PDE-5 inhibitor, alpha-blocker should be initiated at lowest dose.

CYP3A4 inhibitors : may increase the levels/effects of sildenafil, example inhibitors include azole antifungals,

clarithromycin, diclofenac, doxycycline, erythromycin, imatinib, isoniazid, nefazodone, nicardipine, propofol,

protease inhibitors, quinidine, telithromycin and verapamil.

Macrolide antibiotics : May increase serum concentration of sildenafil; reduce starting dose of super P force if

used with clarithromycin, erythromycin, telithromycin.

**Adverse effect: Sildenafil:**

Hypotention : decreases In blood pressure may occur due to vasodilator effects; use caution in patients with

resting hypotension, hypertension, fluid depletion, severe left ventricular outflow obstruction, or autonomic

dysfunction, and patients receiving alpha-blockers or other antihypertensive medication. Not recommended

for use with pulmonary veno-occlusive disease.

Nonarteritic ischemic optic neuropathy (NAION): rare cases of nonarteritic ischemic optic neuropathy have

been reported; risk may be increased with history of vision loss. Other risk factors for NAION include low cup-to-

disc ratio, coronary artery disease, diabetes, hypertension, hyperlipidemia, smoking and age >50 years. „

related concerns.

**Disease Related Concerns**

Anatomical penis deformation : use with caution in patients with anatomical deformation of the penis.

Bleeding disorders: use with caution in patients with bleeding disorders; safety and efficacy have not been

established.

Cardiovascular disease : use with extreme caution in patients with hypotension, uncontrolled hypertension,

unstable angina or angina during intercourse, severe cardiac failure, a life-threatening arrhythmia, or

myocardial infarction within the last 3 months; safety and efficacy have not been studied in these patients.

There is a degree of cardiac risk associated with sexual activity; therefore, physicians may wish to consider

the cardiovascular status of their patients prior to initiating any treatment for erectile dysfunction.

Conditions predisposing to priapism: use with caution in patients who have conditions which may predispose

them to priapism. Peptic ulcer disease : Use . with, caution in patients with active peptic ulcer disease; safety

and efficacy have not been established.

Stroke : use with caution in patients who have had a stroke within the last 6 months; safety and efficacy have

not been studied in these patients.

**Side effect: Sildenafil** : Amongst sildenafil's rare but serious adverse effects are : priapism, severe

hypotension, myocardial infarction, ventricular arrhythmias, stroke and increase intraocular pressure.

Common side effects include sneezing, headache, flushing, dyspepsia, prolonged erections, palpitations and

photophobia. Visual changes including blurring of vision and a curious bluish tinge have also been reported.

Dapoxetine : dapoxetine treatment is not without major side effects, however, these included:

Nausea, Headache, Diarrhea, Dizziness. Dosage:

Sildenafil: As with all prescription drugs, proper dosage is at the discretion of a licensed medical doctor. The

dose of sildenafil is 25 mg to 100 mg taken once per day between 30 minutes and 4 hours prior to sexual

intercourse. It is usually recommended to start with a dosage of 50 mg and then lower or raise the dosage as appropriate.

Dapoxetine : Dapoxetine will most likely to marketed in dosages of both 30 and 60 mg.

Patients would likely

be instructed to take either dosage on an as-needed basis 1 to 3 hours before sexual intercourse.

**Storage condition:**

Store tablet at controlled room temperature of 15 °C to 30 °C.