

# NELGRA TABLETS

## NELGRA 50MG/100MG TABLETS.

### Composition:

Each tablet contains: Sildenafil (as citrate) 50mg/100mg.

### Description:

The mechanism of action of NELGRA (Sildenafil citrate) involves 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) of the intimal cushions of the helicine arteries, resulting in increased inflow of blood and an erection.

NELGRA (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.

### Pharmacokinetics:

Sildenafil is rapidly absorbed after oral administration, with absolute bioavailability of about 40%. Its pharmacokinetics is dose-proportional over the recommended dose range. It is eliminated predominantly by hepatic metabolism (mainly cytochrome P450 3A4) and is converted to an active metabolite with properties similar to the parent, sildenafil. Both sildenafil and the metabolite have terminal half lives of about 4 hours.

### Indications:

NELGRA (Sildenafil) is indicated for the treatment of erectile dysfunction.

### Dosage and administration:

The recommended dose is 50 mg taken, as needed, approximately 1 hour before sexual activity. However, sildenafil may be taken anywhere from 4 hours to 0.5 hour before sexual activity. Based on effectiveness and toleration, the dose may be increased to a maximum recommended dose of 100 mg or decreased to 25 mg. The maximum recommended dosing frequency is once per day.

### Contra-indications:

NELGRA is contraindicated in: Patients taking nitric oxide donors, organic nitrites and nitrates, such as glyceryl trinitrate (nitroglycerin), sodium nitroprusside, amyl nitrite, men for whom sexual intercourse is inadvisable due to cardiovascular risk factors, hypotension (low blood pressure), recent stroke or heart attack and hereditary degenerative retinal disorders (including genetic disorders of retinal phosphodiesterases). Nelgra is contraindicated in patients who have loss of vision in one eye because of non-arteritic anterior ischaemic optic neuropathy (NAION).

### Side effects:

The side effects include: headache, flushing, dyspepsia, nasal congestion and impaired vision, including photophobia and blurred vision. Some sildenafil users have complained of seeing everything tinted blue (cyanopsia).

### Precaution:

Caution is advised when Phosphodiesterase Type 5 (PDE5) inhibitors are co-administered with alpha-blockers. PDE5 inhibitors, including sildenafil, and alpha-adrenergic blocking agents are both vasodilators with blood pressure lowering effects. When vasodilators are used in combination, an additive effect on blood pressure may be anticipated. In some patients, concomitant use of these two drug classes can lower blood pressure significantly leading to symptomatic hypotension (e.g. dizziness, lightheadedness, fainting).

### Warning:

There is a potential for cardiac risk of sexual activity in patients with preexisting cardiovascular disease. Therefore, treatments for erectile dysfunction, including sildenafil, should not be generally used in men for whom sexual activity is inadvisable because of their underlying cardiovascular status. If Nelgra is taken with food, the onset of the activity may be delayed compared to the fasted state. Special considerations should be made for patients with renal impairment and hepatic impairment.

### Drug interaction:

When a single 100 mg dose of sildenafil is administered with erythromycin, a specific CYP3A4 inhibitor, at steady state (500 mg bid for 5 days), there is a 182% increase in sildenafil systemic exposure. Coadministration of the HIV protease inhibitor saquinavir, also a CYP3A4 inhibitor, at steady state (1200 mg tid) with sildenafil (100 mg single dose) result in a 140% increase in sildenafil Cmax. There is a reduction in sildenafil clearance when it was coadministered with CYP3A4 inhibitors (such as ketoconazole, erythromycin, or cimetidine).

Concomitant administration of strong CYP3A4 inducers, such as rifampin, is expected to cause greater decreases in plasma levels of sildenafil.

### Package:

Blister pack of 4 tablets per unit box

### Storage:

Do not store above 30°C. Store in a dry place. Protect from direct sunlight. Keep all medicines out of reach of children.

### Legal Category

POM

Manufactured by:



2/PLLE00075/4'S/002