Taj Pharmaceuticals Ltd.

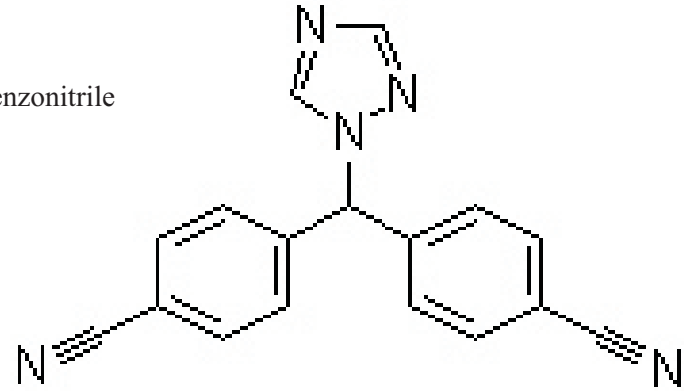
Letrozole

CAS No. : 112809-51-5

Systematic (IUPAC) name

4,4'-(1H-1,2,4-Triazol-1-ylmethylene)bisbenzonitrile

Molecular Structure Letrozole, 4,4'-(1H-1,2,4-Triazol-1-ylmethylene)bisbenzonitrile,
CAS #: 112809-51-5
Molecular Formula C17H11N5
Molecular Weight 285.31
CAS Registry Number 112809-51-5
4-[(4-cyanophenyl)-(1,2,4-triazol-1-yl)methyl]benzonitrile



Identifiers

CAS number 112809-51-5
ATC code L02BG04
PubChem 3902
DrugBank APRD01066

Chemical data

Formula C17H11N5
Mol. mass 285.303 g/mol
SMILES eMolecules & PubChem

Pharmacokinetic data

Bioavailability 99.9%
Protein binding 60%, mainly to albumin
Metabolism ?
Half life 2 days Excretion ?
Therapeutic considerations
Pregnancy cat.

DOSAGE

The recommended dose of ® (letrozole tablets) is one 2.5 mg tablet administered once a day, without regard to meals. In patients with advanced disease, treatment with should continue until tumor progression is evident.
In the extended adjuvant setting, the optimal treatment duration with is not known. The planned duration of treatment in the study was 5 years. However, at the time of the analysis, the median treatment duration was 24 months, 25% of patients were treated for at least 3 years and less than 1% of patients were treated for the planned duration of 5 years. The median duration of follow-up was 28 months. Treatment should be discontinued at tumor relapse
In the adjuvant setting, the optimal duration of treatment with letrozole is unknown. The planned duration of treatment in the study is 5 years. However, at the time of analysis, the median duration of treatment was 24 months, median duration of follow-up was 26 months, and 16% of the patients have been treated for 5 yearsNo dose adjustment is required for elderly patients. Patients treated with do not require glucocorticoid or mineralocorticoid replacement therapy.o dose adjustment is required for elderly patients. Patients treated with do not require glucocorticoid or mineralocorticoid replacement

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SIDE EFFECTS

Each person's reaction to any medication is different. Most people have very few side effects with Femara, while others may experience more. The side effects described in this information will not affect everyone and may be different if you are having more than one drug.
We have outlined the most common side effects. However, we have not included those which are very rare and extremely unlikely to affect you.

If you notice any effects that you think may be due to the drug, but which are not listed in this information, please discuss them with your doctor or nurse.
You will see your doctor regularly while you have this treatment so that they can monitor you.

Some people may have the following side effects to varying degrees:
Feeling sick (nausea), and being sick (vomiting) These effects are rare and usually mild. Feelings of sickness can often be relieved by taking your tablet with food or milk, or at night. Let your doctor know if any of these effects are troublesome, as medicines can usually be prescribed to control them.
Tiredness and headaches These are not common. It is important to get enough rest. Let your doctor know if you are getting headaches, as medicines can be prescribed to help.
Muscular aches and joint pain These are rare, but if they occur let your doctor know, as medication may be prescribed.

Hot flushes These are usually mild and may wear off after a period of time. Some people find that it is helpful to cut down on tea, coffee, nicotine and alcohol. Recent research suggests that progestogen or some types of antidepressants may be helpful in controlling this side effect. Your nurse or doctor can discuss this with you.
Some women have found complementary therapies helpful. Your GP may be able to give you details about obtaining these on the NHS.
Hair thinning Some women notice that their hair thins while taking Femara, although this is usually mild.
Vaginal dryness This may occur while using Femara. Gels that can help to overcome the dryness are available. The gels can be bought from any chemist or can be prescribed by your doctor.
Risk of osteoporosis Women who have osteoporosis (weakened bones) or are at risk of it, should have their bones assessed before and during treatment with Femara. In some situations it may be necessary to start treatment to help prevent osteoporosis.

PRECAUTIONS

Nursing Mothers

It is not known if letrozole is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when letrozole is administered to a nursing woman (see WARNINGS and PRECAUTIONS).
Pediatric Use

The safety and effectiveness in pediatric patients have not been established.
Geriatric Use

The median age of patients in all studies of first-line and second-line treatment of metastatic breast cancer was 64-65 years. About 1/3 of the patients were ≥ 70 years old. In the first-line study, patients ≥ 70 years of age experienced longer time to tumor progression and higher response rates than patients < 70.
For the extended adjuvant setting, more than 5,100 postmenopausal women were enrolled in the clinical study. In total, 41% of patients were aged 65 years or older at enrollment, while 12% were 75 or older. In the extended adjuvant setting, no overall differences in safety or efficacy were observed between these older patients and younger patients, and other reported clinical experience has not identified differences in responses between the elderly and younger patients, but greater sensitivity of some older individuals cannot be ruled out.

In the adjuvant setting, more than 8,000 postmenopausal women were enrolled in the clinical study. In total, 36 % of patients were aged 65 years or older at enrollment, while 12% were 75 or older. More adverse events were generally reported in elderly patients irrespective of study treatment allocation. However, in comparison to tamoxifen, no overall differences with regards to the safety and efficacy profiles were observed between elderly patients and younger patients.

DRUG DESCRIPTION

Letrozole is an oral non-steroidal aromatase inhibitor that has been introduced for the adjuvant treatment of hormonally-responsive breast cancer.
Estrogens are produced by the conversion of androgens through the activity of the aromatase enzyme. Letrozole blocks production of estrogens in this way by competitive, reversible binding to the heme of its cytochrome P450 unit.

The action is specific, and letrozole does not reduce production of mineralo- or corticosteroids. In contrast, the antiestrogenic action of tamoxifen, the major medical therapy prior to the arrival of aromatase inhibitors

letrozole are medications used to treat infertile women who have an ovulation problem. These medications work by helping your pituitary gland (located at the base of the brain) improve the stimulation of developing follicles (eggs) in the ovaries. Neither clomiphene citrate nor letrozole may help a woman become more fertile if she is already ovulating normally. For that reason, these medications are most often prescribed to those patients who have been found to have an abnormality with their cycle

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