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DRUG DISCOVERY

FDA Approved Drugs - October 2013

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1. ADEMPAS (RIOCIGUAT)

1.1. Company

Bayer Healthcare Pharmaceuticals; Approved by October 2013

1.2. Treatment Area

Chronic Thromboembolic Pulmonary Hypertension and Pulmonary Arterial Hypertension

1.3. General Information

Adempas (riociguat) is a stimulator of soluble guanylate cyclase (sGC), help arteries relax to increase blood flow and decrease blood pressure. It is specifically indicated for persistent/recurrent Chronic Thromboembolic Pulmonary Hypertension (CTEPH) after surgical treatment or inoperable CTEPH to improve exercise capacity and WHO functional class and Pulmonary Arterial Hypertension (PAH) to improve exercise capacity, improve WHO functional class and to delay clinical worsening. It is supplied as a tablet for oral administration. The recommended initial dose is 1 mg taken three times a day. For patients who may not tolerate the hypotensive effect of Adempas, consider a starting dose of 0.5 mg, three times a day. The dose may be increased by 0.5 mg at intervals of no sooner than 2-weeks as tolerated to a maximum of 2.5 mg three times a day.

1.4. Mechanism of Action

Adempas (riociguat) is a stimulator of soluble guanylate cyclase (sGC), an enzyme in the cardiopulmonary system and the receptor for nitric oxide (NO). When NO binds to sGC, the enzyme catalyzes synthesis of the signaling molecule cyclic guanosine monophosphate (cGMP). Intracellular cGMP plays an important role in regulating processes that influence vascular tone, proliferation, fibrosis and inflammation. Pulmonary hypertension is associated with endothelial dysfunction, impaired synthesis of nitric oxide and insufficient stimulation of the NO-sGC-cGMP pathway. Riociguat has a dual mode of action. It sensitizes sGC to endogenous NO by stabilizing the NO-sGC binding. Riociguat also directly stimulates sGC via a different binding site, independently of NO. Riociguat stimulates the NO-sGC-cGMP pathway and leads to increased generation of cGMP with subsequent vasodilation.

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1.5. Side Effects

Adverse events associated with the use of Adempas includes: headache, dizziness, dyspepsia/gastritis, nausea, diarrhea, hypotension, vomiting, anemiagastroesophageal reflux, constipation

2. OPSUMIT (MACITENTAN)

2.1. Company

Actelion Pharmaceuticals; Approved by October 2013

2.2. Treatment Area

Pulmonary arterial hypertension

2.3. General Information

Opsumit (macitentan) is specifically indicated for the treatment of pulmonary arterial hypertension (WHO Group I) to delay disease progression. It is supplied as a tablet for oral administration. The recommended dose is 10 mg once daily.

2.4. Mechanism of Action

Opsumit (macitentan) is a tissue-targeting Endothelin Receptor Antagonist. Endothelin Receptor Antagonists mediate a variety of deleterious effects, such as vasoconstriction, fibrosis, proliferation, hypertrophy, and inflammation. Macitentan is an endothelin receptor antagonist that prevents the binding of ET-1 to both ETA and ETB receptors. Macitentan displays high affinity and sustained occupancy of the ET receptors in human pulmonary arterial smooth muscle cells. One of the metabolites of macitentan is also pharmacologically active at the ET receptors and is estimated to be about 20% as potent as the parent drug in vitro.

2.5. Side Effects

Adverse events associated with the use of Opsumit includes: anemia, asopharyngitis/pharyngitis, bronchitis, headache, influenza, urinary tract infection

3. DUAVEE (CONJUGATED ESTROGENS/BAZEDOXIFENE)

3.1. Company

Pfizer; Approved by October 2013

3.2. Treatment Area

Vasomotor symptoms associated with menopause and postmenopausal osteoporosis

3.3. General Information

Duavee pairs conjugated estrogens with bazedoxifene. Bazedoxifene (TSE-424) is a selective estrogen receptor modulator (SERM) designed to mimic the beneficial qualities of estrogens, while blocking estrogen in tissues where it can be harmful. The pairing of conjugated estrogens with bazedoxifene produces a composite effect that is specific to each target tissue. It is specifically indicated for the treatment of moderate to severe vasomotor symptoms associated with menopause and the prevention of postmenopausal osteoporosis. It is supplied as a tablet for oral administration. The recommended dose is one tablet once a day.

3.4. Mechanism of Action

Duavee pairs conjugated estrogens with bazedoxifene. Conjugated estrogens and bazedoxifene function by binding to and activating estrogen receptors (ER) a and ß, which vary in proportion from tissue to tissue. Conjugated estrogens are composed of multiple estrogens and are agonists of ER- a and ß. Bazedoxifene is an estrogen agonist/antagonist that acts as an agonist in some estrogen-sensitive tissues and an antagonist in others. The pairing of conjugated estrogens with bazedoxifene produces a composite effect that is specific to each target tissue. The bazedoxifene component reduces the risk of endometrial hyperplasia that can occur with the conjugated estrogens component.

3.5. Side Effects

Adverse events associated with the use of Duavee includes: muscle spasms, nausea, diarrhea, dyspepsia, abdominal pain, oropharyngeal pain, dizziness, neck pain.

4. GAZYVA (OBINUTUZUMAB)

4.1. Company

Genentech; Approved by October of 2013

4.2. Treatment Area

Previously untreated chronic lymphocytic leukemia

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4.3. General Information

Gazyva (obinutuzumab) is a humanized anti-CD20 monoclonal antibody of the IgG1 subclass. It recognizes a specific epitope of the CD20 molecule found on B-cells. It is specifically indicated for use in combination with chlorambucil for the treatment of patients with previously untreated chronic lymphocytic leukemia. It is supplied as a solution for intravenous administration. The dose of Gazyva is 1000 mg, administered intravenously, with the exception of the first infusions in cycle 1, which are administered on day 1 (100 mg) and day 2 (900 mg). Gazyva is administered in six cycles, each consisting of 28 days.

4.4. Mechanism of Action

Gazyva (obinutuzumab) is a monoclonal antibody that targets the CD20 antigen expressed on the surface of pre B- and mature B-lymphocytes. Upon binding to CD20, obinutuzumab mediates B-cell lysis through (1) engagement of immune effector cells, (2) by directly activating intracellular death signaling pathways and/or (3) activation of the complement cascade. The immune effector cell mechanisms include antibody-dependent cellular cytotoxicity and antibody-dependent cellular phagocytosis.

4.5. Side Effects

Adverse events associated with the use of Gazyva includes: infusion reactions, neutropenia, thrombocytopenia, anemia, pyrexia, cough, musculoskeletal disorder

5. ZOHYDRO ER (HYDROCODONE BITARTRATE) EXTENDED-RELEASE CAPSULES

5.1. Company

Zogenix; Approved by October 2013

5.2. Treatment Area

Severe pain

5.3. General Information

Zohydro (hydrocodone bitartrate) extended-release capsule, an opioid agonist, is an extended-release oral formulation of hydrocodone without acetaminophen. It is specifically indicated for the management of pain severe enough to require daily, around-the-clock, long-term opioid treatment and for which alternative treatment options are inadequate. It is supplied as a capsule for oral administration. Initiate the dosing regimen for each patient individually, taking into account the patient's prior analgesic treatment experience and risk factors for addiction, abuse, and misuse.

5.4. Mechanism of Action

Hydrocodone is a semi-synthetic opioid agonist with relative selectivity for the mu-opioid receptor, although it can interact with other opioid receptors at higher doses. Hydrocodone acts as a full agonist, binding to and activating opioid receptors at sites in the peri-aquaductal and peri-ventricular gray matter, the ventro-medial medulla and the spinal cord to produce analgesia. The analgesia, as well as the euphorant, respiratory depressant and physiologic dependence properties of μ agonist opioids like hydrocodone, results principally from agonist action at the μ receptors.

5.5. Side Effects

Adverse effects associated with the use of Zohydro ER includes: constipation, nausea, somnolence, fatigue, headache, dizziness, dry mouth, vomiting, pruritus, abdominal pain, edema peripheral, upper respiratory tract infection, muscle spasms, urinary tract infection, back pain, tremor

6. BRINTELLIX (VORTIOXETINE)

6.1. Company

Takeda Pharmaceuticals USA; Approved by October 2013

6.2. Treatment Area

Major Depressive Disorder

6.3. General Information

Brintellix (vortioxetine) is a serotonin modulator and stimulator. It belongs to a psychotropic class of chemical compunds known as bis-aryl-sulphanyl amines. It is specifically indicated for Major Depressive Disorder. It is supplied as a tablet for oral administration. The recommended starting dose is 10 mg administered orally once daily without regard to meals. The dose should then be increased to 20 mg/day, as tolerated.

6.4. Mechanism of Action

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The mechanism of the antidepressant effect of vortioxetine is not fully understood, but is thought to be related to its enhancement of serotonergic activity in the CNS through inhibition of the reuptake of serotonin (5-HT). It also has several other activities including 5-HT3 receptor antagonism and 5-HT1A receptor agonism.

6.5. Side Effects

Adverse reactions associated with the use of Brintellix may include, but are not limited to, the following: nausea, constipation, vomiting

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