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DRUG DISCOVERY

FDA approved drugs - September 2012

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1. STIVARGA (REGORAFENIB)

1.1. Company

Bayer HealthCare Pharmaceuticals; Approved in September 2012

1.2. Treatment Area

Metastatic colorectal cancer

1.3. General Information

Stivarga (regorafenib) is a small molecule inhibitor of multiple membrane-bound and intracellular kinases involved in normal cellular functions and in pathologic processes such as oncogenesis, tumor angiogenesis, and maintenance of the tumor microenvironment. It is specifically approved for the treatment of patients with metastatic colorectal cancer who have been previously treated with fluoropyrimidine-, oxaliplatin- and irinotecan-based chemotherapy, an anti-VEGF therapy, and, if KRAS wild type, an anti-EGFR therapy. It is supplied as a tablet designed for oral administration. The recommended dose is 160 mg (four 40 mg tablets) taken orally once daily for the first 21 days of each 28-day cycle. Continue treatment until disease progression or unacceptable toxicity. It should be taken at the same time each day.

1.4. Mechanism of Action

Stivarga (regorafenib) is a potent oral multi-kinase inhibitor with a kinase inhibition profile targeting angiogenic, stromal and oncogenic receptor tyrosine kinases (TK). This distinct anti-angiogenic profile includes inhibition of both VEGFR2 and TIE2 TK.

1.5. Side Effects

Adverse effects associated with the use of Stivarga may include, but are not limited to, the following: asthenia/fatigue, decreased appetite and food intake, hand-foot skin reaction (palmar-plantar erythrodysesthesia), diarrhea, mucositis, weight loss, infection, hypertension, dysphonia.

2. AUBAGIO (TERIFLUNOMIDE)

2.1. Company

Sanofi Aventis; Approved in September 2012

2.2. Treatment Area

Multiple sclerosis

2.3. General Information

Aubagio (teriflunomide) is an immunomodulatory agent with anti-inflammatory properties. The exact mechanism by which teriflunomide exerts its therapeutic effect in multiple sclerosis is unknown but may involve a reduction in the number of activated lymphocytes in CNS. It is specifically indicated for the treatment of relapsing forms of multiple sclerosis. It is supplied as a tablet for oral administration. The recommended dose is 7 mg or 14 mg orally once daily, taken with or without food.

2.4. Mechanism of Action

Aubagio (teriflunomide) is an immunomodulatory agent with anti-inflammatory properties. It inhibits dihydroorotate dehydrogenase, a mitochondrial enzyme involved in de novo pyrimidine synthesis. The exact mechanism by which teriflunomide exerts its therapeutic effect in multiple sclerosis is unknown but may involve a reduction in the number of activated lymphocytes in CNS.

2.5. Side Effects

Adverse effects associated with the use of Aubagio may include, but are not limited to, the following: ALT increased, alopecia, diarrhea, influenza, nausea, and paraesthesia.

3. BOSULIF (BOSUTINIB)

3.1. Company

Pfizer; Approved in September 2012

3.2. Treatment Area

Ph+ chronic myelogenous leukemia

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3.3. General Information

Bosulif (bosutinib) is a tyrosine kinase inhibitor. Tyrosine kinases are a subclass of protein kinase. Tyrosine kinases function as an on or off switch in many cellular functions. They can become mutated and cause unregulated growth of the cell, which is a necessary step for the development of cancer. Bosutinib inhibits the Bcr-Abl kinase that promotes CML amd also inhibits the Src-family kinases. It is specifically indicated for the treatment of adults with chronic, accelerated, or blast phase Philadelphia chromosome-positive (Ph+) chronic myelogenous leukemia with resistance or intolerance to prior therapy. It is supplied as a tablet for oral administration. The recommended dose is 500 mg orally once daily with food. Continue treatment until disease progression or patient intolerance.

3.4. Mechanism of Action

Bosutinib is a tyrosine kinase inhibitor. Bosutinib inhibits the Bcr-Abl kinase that promotes CML; it is also an inhibitor of Src-family kinases including Src, Lyn, and Hck. Bosutinib inhibited 16 of 18 imatinib-resistant forms of Bcr-Abl expressed in murine myeloid cell lines. Bosutinib did not inhibit the T315I and V299L mutant cells. In mice, treatment with bosutinib reduced the size of CML tumors relative to controls and inhibited growth of murine myeloid tumors expressing several imatinib-resistant forms of Bcr-Abl.

3.5. Side Effects

Adverse events associated with the use of Bosulif may include, but are not limited to, the following: diarrhea, nausea, throm bocytopenia, vomiting, abdominal pain, rash, anemia, pyrexia, fatigue.

4. QUILLIVANT XR (METHYLPHENIDATE HYDROCHLORIDE)

4.1. Company

NextWave Pharmaceuticals; Approved in September 2012

4.2. Treatment Area

Attention Deficit Hyperactivity Disorder

4.3. General Information

Quillivant XR is a once daily, extended-release liquid formulation of methylphenidate HCL, a central nervous system stimulant. It is specifically approved for the treatment of Attention Deficit Hyperactivity Disorder in children. It is supplied as a liquid solution designed for oral administration. The recommended dose of Quillivant XR for patients 6 years and above is 20 mg orally once daily in the morning with or without food. The dose may be titrated weekly in increments of 10 mg to 20 mg. Daily doses above 60 mg have not been studied and are not recommended. Before administering the dose, vigorously shake the bottle of Quillivant XR for at least 10 seconds, to ensure that the proper dose is administered.

4.4. Mechanism of Action

Quillivant XR is a once daily, extended-release liquid formulation of methylphenidate HCL, a central nervous system stimulant. The exact mechanism of action in ADHD is unknown. The drug is thought to block the reuptake of norepinephrine and dopamine into the presynaptic neur on and increase the release of these monoamines into the extraneuronal space.

4.5. Side Effects

Adverse effects associated with the use of Quillivant XR may include, but are not limited to, the following: appetite decreased, insomnia, nausea, vomiting, dyspepsia, abdominal pain, weight decreased, anxiety, dizziness, irritability, affect lability, tachycardia, blood pressure increased.

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