April **MIMS** Monthly Medicine Update

NEW PRODUCTS

Opsumit **(macitentan)** is a dual ETA and ETB endothelin receptor antagonist that prevents the binding of endothelin (ET)-1 to its receptors. Macitentan displays high affinity for and sustained occupancy of the ET receptors in human pulmonary arterial smooth muscle cells and has physicochemical properties favouring penetration into lung tissue, particularly in disease conditions. Opsumit, as monotherapy or in combination with approved pulmonary arterial hypertension treatments (phosphodiesterase-5 inhibitors or inhaled prostanoids), is indicated for the treatment of the following conditions in patients with WHO functional class II, III or IV symptoms. Idiopathic pulmonary arterial hypertension; heritable pulmonary arterial hypertension; pulmonary arterial hypertension associated with connective tissue disease; and pulmonary arterial hypertension associated with congenital heart disease with repaired shunts. Opsumit is contraindicated in women who are or may become pregnant; women of childbearing potential who are not using reliable contraception (women must not become pregnant for at least 3 months after stopping treatment with Opsumit); hypersensitivity to the active substance or to any of the excipients; patients with severe hepatic impairment (with or without cirrhosis) and in patients with baseline values of hepatic aminotransferases (aspartate aminotransferase and/or alanine aminotransferase) greater than 3 times the upper limit of normal. Opsumit is available as 10 mg film coated tablets in blister packs of 30's.

Mekinist **(trametinib dimethyl sulfoxide)** is a reversible allosteric inhibitor of mitogen-activated extracellular signal regulated kinase 1 (MEK1) and MEK2 activation and kinase activity. MEK proteins are critical components of the extracellular signal-related kinase (ERK) pathway. In melanoma and other cancers, this pathway is often activated by mutated forms of BRAF which activates MEK and stimulates tumour cell growth. Trametinib inhibits activation of MEK by BRAF and inhibits MEK kinase activity. Trametinib inhibits growth of $BRAF^{V600}$ mutant melanoma cell lines and demonstrates anti-tumour effects in $BRAF^{V600}$ mutant melanoma animal models. Mekinist in combination with dabrafenib is indicated for the treatment of patients with $BRAF^{V600}$ mutation positive unresectable stage III or metastatic (stage IV) melanoma and as monotherapy is indicated for the treatment of patients with $BRAF^{V600}$ mutation positive unresectable stage III or metastatic (stage IV) melanoma and in whom either there is intolerance to BRAF inhibitors or BRAF inhibitors cannot be used. Mekinist is available as 0.5 mg and 2 mg film coated tablets in packs of 30's.

NEW INDICATIONS

Enbrel **(etanercept)** is now also indicated in juvenile idiopathic arthritis under the following conditions.

Active polyarthritis (rheumatoid factor positive or negative) in children and adolescents, aged 2 to 17 years, who have had an inadequate response to one or more DMARDs;

active extended oligoarthritis in children and adolescents, aged 2 to 17 years, who have had an inadequate response to, or who have proved intolerant to, methotrexate;

active enthesitis related arthritis in adolescents, aged 12 to 17 years, who have had an inadequate response to, or who have proved intolerant to, conventional therapy; and in active psoriatic arthritis in adolescents, aged 12 to 17 years, who have had an inadequate response to, or who have proved intolerant to, methotrexate.

SAFETY RELATED CHANGES

Enbrel **(etanercept)** has not been studied in children aged less than 2 years.

Caution should be used when Tykerb **(lapatinib as ditosylate monohydrate)** is administered to those who have or may develop prolongation of the QTc interval.

This list is a summary of only some of the changes that have occurred over the last month. Before prescribing, always refer to the full product information.