Bayer

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Investor News

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Bayer Initiates Phase III Trial of Regorafenib in Metastatic or Unresectable Gastrointestinal Stromal Tumors

Leverkusen, January 10, 2011 – Bayer HealthCare, Germany, today announced that the company has started to enroll patients in GRID, an international Phase III trial to evaluate its investigational compound regorafenib (BAY 73-4506) for the treatment of patients with metastatic and/or unresectable gastrointestinal stromal tumors (GIST) whose disease has progressed after at least imatinib and sunitinib as prior treatments. Regorafenib is an oral multi-kinase inhibitor with a distinct profile targeting angiogenic (VEGFR, TIE-2), stromal (PDGFR-β) and oncogenic (RAF, RET and KIT) receptor tyrosine kinases.[1]

“This Phase III trial was designed based upon strong signals of clinical activity seen in an academically-designed, non-randomized, single-arm Phase II trial in GIST patients whose disease had exhausted all standard treatment options,” said George Demetri, MD, Director, Center for Sarcoma and Bone Oncology, Dana-Farber Cancer Institute, and Chair of the Steering Committee for this trial. “Metastatic GIST remains a life-threatening disease for the majority of patients, despite the revolutionary advances over the past decade with molecular-targeted therapy with imatinib and sunitinib, which are the only two agents approved by regulatory authorities for GIST treatment.”

About the Phase III Study

GRID is a randomized, double-blind, placebo-controlled, multi-center, cross-over Phase III study of regorafenib (BAY 73-4506) for the treatment of GIST. It will enroll approximately 170 patients whose cancer has progressed following initial treatment with at least imatinib and sunitinib as prior treatment regimens. Patients will be randomized in a 2:1 ratio to receive either regorafenib 160 mg once daily, 3 weeks on/1 week off or placebo. Subjects receiving placebo who experience disease progression will be offered open-label regorafenib treatment (cross-over option).

The primary endpoint of this trial is progression-free survival (PFS), and secondary endpoints include overall survival (OS), time to progression (TTP), disease control rate (DCR), tumor response rate (RR), duration of response (DOR), and safety. All patients will enter the Survival Follow-Up Period upon discontinuation of study treatment, during which assessment of survival status will be performed every three months.

“Currently, there are limited treatment options available for patients whose GIST tumors have progressed after imatinib and sunitinib,” said Kemal Malik, MD, Member of the Board of Management of Bayer Schering Pharma AG and Head of Global Development. “Bayer is committed to its ongoing efforts to improve the lives of people with cancer, and the initiation of a Phase III clinical trial for regorafenib is a critical step towards a potential new treatment option for GIST patients.”

For information about this study, please visit www.clinicaltrials.gov.

About GIST

GIST is the most common form of sarcoma involving the gastrointestinal tract. GISTs arise in the GI tract, with most primary tumors originating in the stomach or small intestine. GIST represents a potentially life-threatening malignancy if the disease has spread to other parts of the body (metastasized) or is unable to be surgically removed with curative intent. The incidence of GIST is estimated to be 11 to 20 patients per million per year. The international prevalence of GISTs is comparable to that reported in the United States. In the U.S., it is estimated that there are approximately 4,500-6,000 new cases of GISTs diagnosed each year. Of the GIST cases in the U.S., about 1,500 have already metastasized when they are initially found. GISTs are difficult to diagnose and are usually found incidentally when the doctor is looking for other problems.

GIST has become a paradigm of personalized cancer medicine in the past decade when it was discovered that most GISTs are characterized by activating mutations in one of two genes (KIT or PDGFR-α), which lead to uncontrolled signaling inside the tumor cells. Because of this rational target, which distinguishes the tumor cells from all normal cells in the body, molecular targeted therapy has been the focus of the therapeutic approach to GIST over the past decade. Imatinib and sunitinib are currently the only two drugs approved for first and second-line treatment of metastatic and/or unresectable GISTs, respectively. Eventually these therapies fail due to the clonal emergence of secondary

mutations in KIT or PDGFR-α genes, or in alternative signaling cascades such as RAF which leads to resistance to the other approved drugs and tumor progression.

About Regorafenib

Regorafenib is a new oral multi-kinase inhibitor of angiogenic, stromal and oncogenic (receptor tyrosine) kinases (TK). Regorafenib inhibits angiogenic kinases like receptors for VEGF and the TIE2 receptor which play central roles in angiogenesis. It also inhibits various oncogenic kinases including RAF, RET and KIT, thereby helping to stop the proliferation of cancer cells. Regorafenib has shown antitumor activity in preclinical studies by inhibiting tumor growth in multiple xenograft models via antiangiogenic and antiproliferative mechanisms. Based on these results, regorafenib is currently being investigated in clinical trials for its potential to treat patients with various tumor types. Clinical activity of regorafenib was recently shown in Phase I and II clinical studies.

Regorafenib is an investigational agent and is not approved by EMA, FDA or other Health Authorities.

About Bayer HealthCare

The Bayer Group is a global enterprise with core competencies in the fields of health care, nutrition and high-tech materials. Bayer HealthCare, a subgroup of Bayer AG with annual sales of EUR 15,988 million (2009), is one of the world's leading, innovative companies in the healthcare and medical products industry and is based in Leverkusen, Germany. The company combines the global activities of the Animal Health, Consumer Care, Medical Care and Pharmaceuticals divisions. Bayer HealthCare's aim is to discover and manufacture products that will improve human and animal health worldwide. Bayer HealthCare has a global workforce of 53.400 employees and is represented in more than 100 countries. Find more information at www.bayerhealthcare.com.

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[1] Presented at The Annual Meeting for the American Society of Clinical Oncology, Annual Meeting 2010. Abstract 4283. Analysis of plasma biomarkers, DCE-MRI, and K-ras mutations in patients with advanced colorectal carcinoma (CRC) treated with the multikinase inhibitor regorafenib. Christensen *et. al* 2010