# Incyte Presents Results from a Phase I Trial of INCB024360, a Novel Oral Inhibitor of Indoleamine Dioxygenase-1 (IDO1), at ASCO

June 4, 2012

- ***Data demonstrate that INCB024360 achieves greater than 90 percent IDO1 inhibition at generally well-tolerated doses and may represent a new treatment for advanced malignancies***

CHICAGO--(BUSINESS WIRE)--Jun. 4, 2012-- Incyte Corporation (Nasdaq: INCY) presented preliminary results today from the ongoing Phase I clinical trial for its oral indoleamine dioxygenase-1 (IDO1) inhibitor, INCB024360, at the American Society of Clinical Oncology (ASCO) Annual Meeting in Chicago. IDO1 expression in human tumors is associated with poor prognosis, and IDO1 inhibition may provide a new approach to increase survival in patients with a variety of solid tumors.

“For cancer patients, elevated IDO levels correlate with poor outcomes. A compound, such as INCB024360, which can significantly inhibit IDO levels at doses that appear well-tolerated in a Phase I study, may offer a new therapeutic approach for these patients. We look forward to seeing this hypothesis tested in upcoming Phase II trials in patients with advanced ovarian cancer and advanced melanoma, a cancer where immunomodulatory therapies have promise,” stated presenting author Gregory Beatty, MD, PhD, an Assistant Professor in the Department of Medicine, Hematology/Oncology Division, at the Abramson Cancer Center of the University of Pennsylvania Perelman School of Medicine in Philadelphia.

The findings of the Phase I study were reported during an oral presentation, *Pharmacodynamic assessment of INCB024360, an inhibitor of indoleamine 2,3-dioxygenase 1 (IDO1), in advanced cancer patients.* The Phase I study of INCB024360 is an open-label, single-agent dose-escalation trial in patients with advanced cancers. The preliminary findings confirmed significant IDO1 expression in various tumors, including bladder, colorectal and breast cancers. Using two independent assays, IDO1 inhibition was observed in all patients receiving the compound, and treatment with INCB024360 resulted in greater than 90 percent inhibition of IDO1 activity when administered at doses above 300 mg twice a day. The compound is generally well-tolerated at these doses with the most common adverse events being grade 1 and 2 fatigue. A maximum tolerated dose has not been identified.

The slides used during the presentation can be accessed at: 2012 ASCO - INCB024360 presentation.

**About Indoleamine Dioxygenase-1 (IDO1)**

IDO1 is an enzyme that is expressed in tumor cells and in activated immune cells. IDO1 expression dampens the immune response by degrading the amino acid tryptophan and rendering tumor-specific cytotoxic T lymphocytes functionally inactive or unable to attack a person’s cancer cells. In preclinical models, blocking IDO1 activity can directly influence the ability of tumor-bearing animals to reject tumors, and IDO1 inhibition increases the efficacy of chemotherapeutic agents without increased toxicity. These effects are not observed in immune deficient animals, suggesting that the results depend on the presence of an active immune system. IDO1 is overexpressed by a variety of human tumor types and is chronically activated in some patients with cancer.

IDO1 activation correlates with more extensive disease, and increased expression of IDO1 in tumor cells has been shown to be an independent prognostic factor for reduced survival in cancer patients. Evidence suggests that the IDO1 pathway is a key regulatory element responsible for induction and maintenance of tumor immune tolerance and that small molecule inhibitors of IDO1 may provide an innovative and tractable method to treat advanced malignancies either alone or in combination with chemotherapeutics or immunotherapy-based strategies.

**About INCB024360**

INCB024360 is an orally bioavailable small molecule inhibitor of IDO1 that has nanomolar potency in both biochemical and cellular assays, potent activity in enhancing T lymphocyte, dendritic cell and natural killer cell responses in vitro, with a high degree of selectivity. INCB024360 has been shown to be efficacious in mouse models of cancer as a single agent and in combination with cytotoxic agents, and its ability to reduce tumor growth is dependent on a functional immune system – consistent with its proposed mechanism of action. The Phase I dose-escalation trial has achieved its objective in selecting a dose of INCB024360 that is generally well-tolerated and potently inhibits the target as measured using two independent pharmacodynamic markers.

The first Phase II study of INCB024360, which was recently initiated, is a randomized study in combination with ipilimumab in patients with metastatic melanoma (www.clinicaltrials.gov Identifier: NCT01604889). A Phase II trial as monotherapy in patients with ovarian cancer is expected to initiate later this year.

**About Incyte**

Incyte Corporation is a Wilmington, Delaware-based biopharmaceutical company focused on the discovery, development and commercialization of proprietary small molecule drugs for oncology and inflammation. For additional information on Incyte, please visit the Company's website at www.incyte.com.

**Forward-Looking Statements**

Except for the historical information contained herein, the matters set forth in this press release, including statements with respect to the potential efficacy, safety and therapeutic value of, and plans for, INCB024360, including that IDO1 inhibition may provide a new approach to increase survival in patients with a wide variety of solid tumors, that INCB024360 may improve patient outcomes in a variety of these tumors and represent a new treatment for advanced malignancies, that this hypothesis will be tested in upcoming Phase II trials in patients with advanced melanoma or advanced ovarian cancer, that evidence suggests that the IDO1 pathway is a key regulatory element responsible for induction and maintenance of tumor

immune tolerance and that small molecule inhibitors of IDO1 may provide an innovative and tractable method to treat advanced malignancies either alone or in combination with chemotherapeutics or immunotherapy-based strategies and that a Phase II trial in patients with ovarian cancer is expected to initiate later this year, contain predictions and estimates and are forward-looking statements within the meaning of the "safe harbor" provisions of the Private Securities Litigation Reform Act of 1995.

These forward-looking statements are subject to risks and uncertainties that may cause actual results to differ materially, including unanticipated developments in and risks related to the efficacy or safety of INCB024360, the results of further research and development, risks that results of clinical trials may be unsuccessful or insufficient to meet applicable regulatory standards, the ability to enroll sufficient numbers of subjects in clinical trials, other market or economic factors and technological advances, and other risks detailed from time to time in Incyte's filings with the Securities and Exchange Commission, including its Quarterly Report on Form 10-Q for the quarter ended March 31, 2012. Incyte disclaims any intent or obligation to update these forward-looking statements.

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