ProLynx Announces Active IND of a Novel Ultra-Long Acting PEG–SN-38 Conjugate to Treat Solid Tumors

SAN FRANCISCO, June 02, 2015 (GLOBE NEWSWIRE) -- ProLynx LLC announced today that an Investigational New Drug (IND) application for their novel, ultra-long acting PEG~SN-38 (PLX-0264; DFP-13318) recently filed with the U.S. Food and Drug Administration (FDA) is now active. In the new agent, SN-38 – the active metabolite of the widely used anti-cancer agent irinotecan – is conjugated to a polyethylene glycol by a novel cleavable linker that very slowly releases the drug. The ultra-long action of the released drug is designed to provide greater efficacy than irinotecan or other SN-38 prodrugs, while avoiding their serious intestinal toxicity.

The Phase I clinical trial in patients with solid tumors is expected to begin in 2015 at M.D. Anderson Cancer Center in Houston, and will evaluate the safety, tolerability and recommended dose for Phase II. ProLynx is developing the ultra-long acting PEG–SN-38 in collaboration with Delta-Fly Pharma, Inc., Tokushima, Japan.

Irinotecan is converted to SN-38 in the liver, which is then detoxified by conversion to its inactive glucuronide, SN-38G; the latter is transported to the intestinal tract, where resident bacteria convert it back to the cytotoxic SN-38. The high concentration of SN-38 in the intestine can cause a late, life-threatening diarrhea that occurs in 20-30% of patients taking the drug and requires cessation of treatment.

The novel PEG~SN-38 is distinguished from other PEG~SN-38 or irinotecan conjugates by utilizing a proprietary ProLynx linker that releases SN-38 with a half-life of about two weeks, over 10-fold longer than other SN-38 pro-drugs. The consequences are continuous inhibition of the target, low exposure of the liver and intestine, and a greatly decreased $C_{max,}$. The unique pharmacokinetic profile may translate to higher efficacy, less intestinal toxicity and lower inter-patient variability than irinotecan or other SN-38 pro-drugs.

ProLynx co-founder and President Daniel V. Santi, M.D., Ph.D, stated, "Irinotecan works well but its use is limited by its toxicity. We rationalized that the simplest and most efficient way to avoid the intestinal-toxicity of SN-38 would be to keep it out of the liver and away from the intestine. Unlike irinotecan, SN-38 does not require activation in the liver, and only enters the organ by a specific concentration-dependent transporter. When delivered with our technology, plasma SN-38 levels are kept low by very slow release, so hardly any gets in the liver or intestine." Santi added "Since it isn't present, it doesn't cause the intestinal toxicity seen with irinotecan."

ProLynx is developing the novel PEG–SN-38 in collaboration with Delta-Fly Pharma, Inc. to whom ProLynx has granted exclusive rights in Asian countries. The territorial rights retained by ProLynx are available for partnering.

About ProLynx LLC

ProLynx LLC is a privately held biotechnology company developing proprietary drug delivery systems (DDS) for half-life extension of proteins, peptides and small molecules. The company is seeking to apply its DDS to extend half-lives of drugs and drug candidates of pharmaceutical companies, and to improve properties of off-patent therapeutics. ProLynx is also seeking to out-license the long lasting drug conjugates in its pre-clinical product portfolio. The company is located in San Francisco, CA. Further information about the company and its capabilities may be found online at http://www.prolynxllc.com.

Contact: Eric Schneider Eric@Prolynxllc.com, 415-552-5306

About Delta-Fly Pharma, Inc.

Delta-Fly Pharma, Inc. is a privately held bio-pharmaceutical company with headquarters in Tokushima, Japan. In addition to DFP-13318, it is developing novel oncology products including DFP-10917 (Ph I/II, AML), DFP-11207 (Ph I, GI Cancer) and the RNA_i DFP-10825 (preclinical).

Kiyoshi Eshima keshima1206@delta-flypharma.co.jp, +81-88-637-1055