SignalRx Announces Discovery of Potent and Selective First-In-Class BRD4-BD1 Inhibitor, SRX3254

SAN DIEGO, April 30, 2018 /PRNewswire-iReach/ -- SignalRx Pharmaceuticals Inc., a clinical-stage company developing novel small-molecules therapeutics to inhibit key orthogonal and synergistic oncotargets for the treatment of cancer, today announced the in silico design and discovery of SRX3254, a highly potent and selective inhibitor of the binding domain 1 (BD1) of the bromodomain protein BRD4 (BRD4-BD1).

SignalRx's proprietary CRIMP technology platform led to the in silico design and identification of SRX3254. SRX3254 is a novel small-molecule that potently inhibits BRD4-BD1 with a 41-fold selectivity over the closely related binding domain 2 of BRD4 (BRD4-BD2). The inhibition of BRD4-BD1 alone is both necessary and sufficient to achieve anti-cancer activity while the simultaneous inhibition of BRD4-BD2 is associated with some toxicity issues.

"SRX3254 is a very significant breakthrough because most of the known BRD4-BD1 inhibitors don't have this high degree of selectivity, and their BRD4-BD2 inhibition is a cause of great concern since this is believed to result in unwanted toxicities observed in preclinical and clinical trials. We're excited about the potential of this low nanomolar to micromolar inhibition difference between BRD4-BD1 and BRD4-BD2" said SignalRx's scientific advisor and founder Donald L. Durden, MD, PhD.

"With SRX3254, SignalRx is in an excellent position to selectively and potently inhibit BRD4-BD1 with our proprietary compounds and develop pharmaceutical agents that will distinguish themselves from complications derived from inhibiting BRD4-BD2 simultaneously with BRD4-BD1" said Dr. Joseph Garlich, SignalRx's Chief Scientific Officer. "We rationally design all our anticancer agents and we have now demonstrated both the technology and know-how to make BRD4-BD1 selective inhibitors".

SignalRx is interested in partnering discussions to quickly take these novel small molecules through clinical trials together with companion diagnostics for streamlined development and approval.

About SignalRx Pharmaceuticals Inc.

SignalRx is a privately held corporation based in San Diego, CA developing small molecule inhibitors of
multiple key signaling pathways in cancer and cancer stem cells. The company has developed its proprietary CRIMP technology platform to develop new small-molecule therapeutics against more than one target molecule selected from the discovery of synthetic lethals in cancer cells, epigenetic regulatory processes, immune checkpoints and DNA repair actions. SignalRx's research programs have novel inhibitors targeting multiple critical onco-targets such as PI3K, MEK, BRAF, IDO1, IDH1, CDK4/6, Wnt, HDAC, DNMT, PARP and BET bromodomains. SignalRx is leveraging its expertise in novel multi-action inhibitors to develop enhanced anticancer therapeutics with improved efficacy, novel mechanism of action in a single molecule, and the potential to streamline their development (single agent, combination therapies).

For additional information please visit our website (www.signalrx.com) or contact Dr. Guillermo Morales, PhD, MBA at Morales@signalrx.com

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