Monte Rosa Therapeutics Announces First Subjects Dosed in Phase 1 Study of MRT-8102, a NEK7-Directed Molecular Glue Degrader for the Treatment of Multiple Inflammatory Diseases

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MRT-8102 Phase 1 study includes single and multiple ascending dose cohorts in healthy volunteers and is designed to evaluate safety, pharmacokinetics, NEK7 protein degradation, and other key downstream pharmacodynamic markers; initial results anticipated in H1 2026

Additional Phase 1 cohort designed to evaluate potential early proof of concept in subjects with increased cardiovascular disease (CVD) risk and elevated CRP

BOSTON, Mass., July 21, 2025 (GLOBE NEWSWIRE) -- Monte Rosa Therapeutics, Inc. (Nasdaq: GLUE), a clinical-stage biotechnology company developing novel molecular glue degrader (MGD)-based medicines, today announced that the first subjects have been dosed in a Phase 1 study evaluating MRT-8102, a NEK7-directed MGD being developed for the treatment of inflammatory conditions driven by the NLRP3 inflammasome, IL-1β, and IL-6. Initial results from the Phase 1 study are expected in H1 2026.

"The initiation of the MRT-8102 Phase 1 study represents another exciting step forward for our immunology and inflammation pipeline," said Markus Warmuth, M.D., Chief Executive Officer of Monte Rosa Therapeutics. "MRT-8102 is the only clinical-stage MGD that selectively targets NEK7, a protein central to NLRP3 inflammasome activation and the downstream dysregulation of IL-1β and IL-6 that underlie multiple inflammatory diseases. We believe MRT-8102 could offer a differentiated approach to treating these diseases based on the exciting potency, selectivity, and durable pharmacodynamics seen in our preclinical studies. Importantly, one cohort of the ongoing Phase 1 study will evaluate changes in C-reactive protein (CRP) and other key inflammatory markers in subjects with high CVD risk. We believe this cohort could provide early proof of concept for cardio-immunology indications such as pericarditis and atherosclerotic cardiovascular disease and help guide future development activities."

The MRT-8102 Phase 1 study is a randomized, double-blind, placebo-controlled trial in healthy volunteers that includes both single ascending dose (SAD) and multiple ascending dose (MAD) cohorts. The study is designed to evaluate safety and tolerability, pharmacokinetics (PK), and pharmacodynamics (PD), including NEK7 degradation and *ex vivo* responses to inflammasome stimulation. Part 3 of the Phase 1 study is a randomized, placebo-controlled trial that will enroll subjects with increased CVD risk due to obesity and elevated CRP, designed to evaluate safety and tolerability, change in CRP levels, pharmacokinetics, and changes in other inflammatory markers.

About MRT-8102
MRT-8102 is a potent, highly selective, and orally bioavailable investigational molecular glue degrader (MGD) that targets NEK7 for the treatment of inflammatory diseases linked to NLRP3, IL-1β, and IL-6 dysregulation. NEK7 has been shown to be required for NLRP3 inflammasome assembly, activation and IL-1β release both *in vitro* and *in vivo*. Aberrant NLRP3 inflammasome activation and the subsequent release of active IL-1β and interleukin-18 (IL-18) has been implicated in multiple inflammatory disorders, including cardiovascular disease, gout, osteoarthritis, neurologic disorders including Parkinson's disease and Alzheimer's disease, and metabolic disorders. In a non-human primate model, MRT-8102 was shown to potently, selectively, and durably degrade NEK7, and resulted in near-complete reductions of IL-1β and caspase-1 following *ex vivo* stimulation of whole blood. MRT-8102 has demonstrated a considerable safety margin (>200-fold exposure margin over projected human efficacious dose) in GLP toxicology studies.

About Monte Rosa
Monte Rosa Therapeutics is a clinical-stage biotechnology company developing highly selective molecular glue degrader (MGD) medicines for patients living with serious diseases in the areas of oncology, autoimmune and inflammatory diseases, and more. MGDs are small molecule protein degraders that have the potential to treat many diseases that other modalities, including other degraders, cannot. Monte Rosa's QuEEN™ (Quantitative and Engineered Elimination of Neosubstrates) discovery engine combines AI-guided chemistry, diverse chemical libraries, structural biology, and proteomics to rationally design MGDs with unprecedented selectivity. Monte Rosa has developed the industry's leading pipeline of MGDs, which spans autoimmune and inflammatory diseases, oncology, and beyond. Monte Rosa has a global license agreement with Novartis to advance VAV1-directed molecular glue degraders and a strategic collaboration with Roche to discover and develop MGDs against targets in cancer and neurological diseases previously considered impossible to drug. For more information, visit www.monterosatx.com.

Forward-Looking Statements

This communication includes express and implied “forward-looking statements,” including forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995. Forward-looking statements include all statements that are not historical facts and in some cases, can be identified by terms such as “may,” “might,” “will,” “could,” “would,” “should,” “expect,” “intend,” “plan,” “objective,” “anticipate,” “believe,” “estimate,” “predict,” “potential,” “continue,” “ongoing,” or the negative of these terms, or other comparable terminology intended to identify statements about the future. Forward-looking statements contained herein include, but are not limited to, statements about our ability to grow our product pipeline, our ability to successfully complete research and further development and commercialization of our drug candidates in current or future indications, including the timing and results of our clinical trials and our ability to conduct and complete clinical trials, statements regarding our progress and speed of development of only-in-class and first-in-class molecular glue degrader therapeutics, statements around the Company’s QuEEN™ discovery engine and the Company’s view of its potential to rationally design MGDs with unprecedented selectivity, statements about the advancement and timeline of our preclinical and clinical programs, pipeline and the various products therein, including the ongoing development and progress of our NEK7-directed MGD, referred to as MRT-8102, including our expectations for the advancement of our Phase 1 study, including updates related to status, safety data, pharmacokinetics, NEK7 protein degradation, and key downstream pharmacodynamic markers and the timing of any clinical data read-outs, including the readout of initial results expected in the first half of 2026, the Company’s statements around the potential of MRT-8102 to address multiple inflammatory diseases driven by the NLRP3 inflammasome, IL-1β and IL-6, including cardiovascular disease, gout, osteoarthritis, neurologic, metabolic disorders and respiratory indications and the Company’s belief that MRT-8102 could offer a differentiated approach to treating multiple inflammatory diseases based on the potency, selectivity, and durable pharmacodynamics seen in its preclinical studies, statements relating to the Company’s belief that the one cohort of the ongoing MRT-8102 Phase 1 study that will evaluate changes in C-reactive protein (CRP) and other key inflammatory markers in subjects with high CVD risk can provide early proof of concept for cardio-immunology indications such as pericarditis and atherosclerotic cardiovascular disease and help guide future development activities, the expected potential clinical benefit of any of our candidates, advancement and application of our platform, statements around our ability to capitalize on and potential benefits resulting from our research and translational insights, including announcements related to preclinical programs, statements regarding regulatory filings for our development programs, including the planned timing of such regulatory filings, such as IND applications, and potential review by regulatory authorities, as well as our expectations of success for our programs, among others. By their nature, these statements are subject to numerous risks and uncertainties, including those risks and uncertainties set forth in our most recent Annual Report on Form 10-K for the year ended December 31, 2024, filed with the U.S. Securities and Exchange Commission on March 20, 2025, and any subsequent filings, that could cause actual results, performance or achievement to differ materially and adversely from those anticipated or implied in the statements. You should not rely upon forward-looking statements as predictions of future events. Although our management believes that the expectations reflected in our statements are reasonable, we cannot guarantee that the future results, performance, or events and circumstances described in the forward-looking statements will be achieved or occur. Recipients are cautioned not to place undue reliance on these forward-looking statements, which speak only as of the date such statements are made and should not be construed as statements of fact. We undertake no obligation to publicly update any forward-looking statements, whether as a result of new information, any future presentations, or otherwise, except as required by applicable law. Certain information contained in these materials and any statements made orally during any presentation of these materials that relate to the materials or are based on studies, publications, surveys and other data obtained from third-party sources and our own internal estimates and research. While we believe these third-party studies, publications, surveys and other data to be reliable as of the date of these materials, we have not independently verified, and make no representations as to the adequacy, fairness, accuracy or completeness of, any information obtained from third-party sources. In addition, no independent source has evaluated the reasonableness or accuracy of our internal estimates or research and no reliance should be made on any information or statements made in these materials relating to or based on such internal estimates and research.

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