

Theseus Pharmaceuticals Debuts with \$100M Series B Raise to Develop Pan-Variant Kinase Inhibitors

Founded by pioneers of targeted oncology, Theseus is developing best-in-class TKIs to overcome cancer resistance Presentation at AACR highlights THE-630, the Company's next-generation inhibitor for KIT-mutant gastrointestinal stromal tumors (GIST)

BOSTON, April 13, 2021 /[PRNewswire](#)/ -- Theseus Pharmaceuticals, a biotechnology company shaping the future of targeted oncology by developing best-in-class, pan-variant kinase inhibitors, emerged from stealth today announcing the close of a \$100 million Series B financing led by Foresite Capital. Theseus also announced data from a poster presentation at the American Association for Cancer Research (AACR) 2021 Annual Meeting, which demonstrated that the Company's lead product candidate, THE-630, has potent activity against all major classes of activating and resistance mutations observed in patients with KIT-mutant gastrointestinal stromal tumors (GIST).

OrbiMed incubated Theseus to develop therapies designed to outsmart treatment-resistant cancer mutations, funding the Company's Series A in 2018. In addition to Foresite Capital, the Series B raise was supported by a syndicate of other new investors, including Adage Capital Management, Boxer Capital, Farallon Capital Management, Longitude Capital, Nextech Ventures, Omega Funds, Pontifax Venture Capital, Rock Springs Capital, and T. Rowe Price, as well as OrbiMed. In conjunction with the financing, Michael Rome, Ph.D., Managing Director of Foresite Capital, has joined the Theseus Board of Directors, which also includes Carl Gordon, General Partner at OrbiMed.

"We are thrilled by the validation of this discerning group of investors and welcome Michael to Theseus' board of directors," said William C. Shakespeare, Ph.D., Co-founder and President of Research and Development at Theseus Pharmaceuticals. "For many driver-oncogene targets, current standard-of-care kinase inhibitors have insufficient activity to cover the broad array of variants that could lead to resistance, so they are limited by constantly mutating cancer. At Theseus, we take a pan-variant approach to targeting oncogenes with kinase inhibitors specifically designed to retain their effectiveness even as cancer mutates. Using sophisticated assays, we can predict how cancers will change, enabling new therapies to stay ahead of future mutations and overcome the demonstrated burden of treatment resistance."

Theseus is developing a pipeline of pan-variant tyrosine kinase inhibitors (TKIs) that can anticipate and inhibit new cancer mutations. The Company's lead candidate, THE-630, is a next-generation pan-variant KIT inhibitor in development for the treatment of refractory GIST. The Company expects to file an IND before the end of the year. Theseus' pipeline also includes a selective EGFR inhibitor to overcome C797S-mediated resistance to first- or later-line osimertinib treatment for patients with non-small cell lung cancer, and a third kinase target candidate for an undisclosed indication.

Iain Dukes, D. Phil., Co-founder and Interim CEO of Theseus Pharmaceuticals, and Venture Partner of OrbiMed, commented, "The scientific co-founders of Theseus are a team of distinguished drug discovery and development leaders who have pioneered the development of pan-variant kinase inhibitors. Together at ARIAD Pharmaceuticals, they discovered and developed multiple kinase inhibitors in areas of high clinical need, two of which they brought to market, ponatinib and brigatinib, and a third, mobocertinib, that is now in late-stage clinical development. With this foundation of expertise, combined with the backing of a top-tier syndicate of investors, Theseus is well positioned to develop best-in-class, pan-variant TKIs that provide durable benefit for people living with cancer."

At the AACR meeting, Theseus presented preclinical data demonstrating that THE-630 has potent activity against all classes of activating and resistance mutations observed in KIT-mutated GIST. These data showed that THE-630 was highly efficacious in tumor models containing mutations that confer resistance to approved TKIs. These data highlight the potential for a pan-variant inhibitor such as THE-630 to deliver meaningful clinical benefit for patients with refractory GIST. The presentation can be found on [Theseus' website](#).

About OrbiMed

OrbiMed is a leading healthcare investment firm, with approximately \$18 billion in assets under management. OrbiMed invests globally across the healthcare industry through a range of private equity funds, public equity funds, and royalty/credit funds. OrbiMed's team of over 100 professionals is based in New York City, San Francisco, Shanghai, Hong Kong, Mumbai, Herzliya and other key global markets. For more information, please visit www.orbimed.com.

About Foresite Capital

Foresite Capital is a multi-stage healthcare and life sciences investment firm with ~\$4 billion under management. The firm aims to address areas of great unmet need by funding promising healthcare and life sciences businesses at all stages of their life cycles. Foresite Capital uses a data science-driven approach to invest in companies that leverage biology and big data to transform healthcare, including 10x Genomics, Kinnate Biopharma, Relay Therapeutics, Element Biosciences, Inscripta, as well as companies developing next generation therapeutics. Founded in 2011, Foresite Capital is based in San Francisco. For more information, please visit www.foresitecapital.com.

About Theseus Pharmaceuticals

Theseus Pharmaceuticals is passionately committed to outsmarting cancer resistance. The Company is developing best-in-class, pan-variant kinase inhibitors, to shape the future of targeted oncology. Theseus believes truly transformative, targeted oncology therapeutics must inhibit all clinically relevant variants. Led by a team of pioneers in the discovery and development of tyrosine kinase inhibitors (TKIs), the Company's technology can predict how a cancer will mutate, enabling Theseus to target known mutations, stay ahead of future variants, and overcome the demonstrated burden of treatment resistance. For more information, visit www.theseusrx.com.

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