BRAF inhibitor shows promising preclinical activity against melanoma

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Preclinical findings recently published in *Cancer Research*, a journal of the American Association for Cancer Research, showed RG7204 (PLX4032) inhibited proliferation of tumor cell lines that expressed V600E-BRAF, a mutation found in several human cancers, including melanoma.

The compound also showed partial or complete tumor regression and improved survival in a dose-dependent manner in preclinical efficacy models in rodents, without associated toxicity.

BRAF mutations are found in about 8 percent of all solid tumors but in about 50 percent of melanomas.

"Patients with advanced melanoma currently do not have a lot of options. There are some therapies, but the response rates are very low. Based on this promising preclinical data, we believe this compound merits further study in patients with advanced melanoma," said Dave Heimbrook, Ph.D., global head of discovery oncology at Roche and one of the study authors.

The *Cancer Research* paper is the first published report of RG7204, which shows tumor regressions in preclinical models. Roche and Plexxikon scientists and their academic collaborators have presented Phase I clinical data at medical meetings.

William Pao, M.D., Ph.D., associate professor of medicine, cancer

biology and pathology at Vanderbilt University, said this preclinical research represents a significant step forward in establishing a basis for additional study in melanoma patients.

"This drug will have an impact," said Pao. "The response rates with currently available therapies are only in the 10 percent to 20 percent range, so this represents a large step forward."

Provided by American Association for Cancer Research