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Molecular 'grenades' from GenSpera reel in PhI liver cancer success

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Texas biotech GenSpera touted successful Phase I data this week for its prodrug candidate designed to target solid tumors. The drug is the first to take advantage of the enzymatic action of the prostate-specific membrane antigen (PSMA), which effectively "pulls the pin on the grenade" of the uniquely delivered drug.

In its first-in-human study of GenSpera's lead candidate G-202 in 44 patients with solid tumors who failed on earlier therapies, 27% exhibited stable disease. In a subset with hepatocellular carcinoma, a type of liver cancer, two out of 5 patients showed stabilization after failing on previous standards of care.

The prodrug includes the cell-killing agent 12ADT, which is injected attached to a targeting and masking peptide. GenSpera CEO Craig Dionne likens this to a grenade with a pin. When the peptide reaches the tumor cell, it encounters the PSMA enzyme, which plays a double role: first, it acts as a targeting mechanism for the peptide to reach the tumor, and second, it cleaves the peptide to release the cell-killing 12ADT within the cell, like a pin from the grenade.

"The beauty of the molecule is it should be activated only in the tumor," Dionne told *FierceDrugDelivery*. "It's designed not to come back into the bloodstream--in animal studies, there was no effect on the liver, no effect on the cardiovascular system, no effect on bone marrow. We do see some damage in the kidney on high doses, which is expected and completely reversible, but overall it shows a tremendous application from animals to humans."

GenSpera is now enrolling a Phase II trial to further explore G-202's effect on liver cancer compared with the treatment Nexavar, marketed by Bayer and Onyx Pharmaceuticals ($ONXX). GenSpera expects preliminary results early next year with 9 patients enrolled already, Dionne said. All included, the publicly traded company has raised about $25 million, which Dionne said should take them through this study and toward uplisting to the Nasdaq.

The company also plans to begin testing the compound on glioblastoma brain tumors early next year.

"Because we take advantage of the enzymatic activity of PSMA, it's a huge amplification," Dionne said. "For every active enzyme on the surface of the cell, we have 1000 active warheads. And we're the only ones taking advantage of the enzymatic action of PSMA."

- here's the release

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