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(57) Abstract: This invention provides compositions, methods and kits for the diagnosis and treatment of cancers expressing a GLI polypeptide, and in particular a GLI1, GLI2 or GLI3 polypeptide. The invention provides small molecule compounds mimicking the transcriptional activation domain of a GLI polypeptide. The small molecule inhibitors of the invention specifically block the activator function of a GLI polypeptide, but not the repressor function of GLI3.

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