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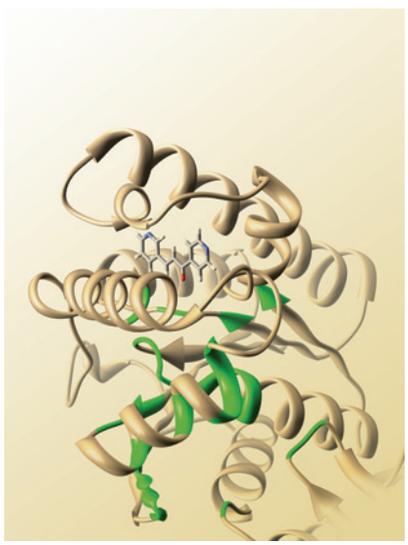
ABOUT THE COVER

Several genetic alterations of cancer cause increased expression of a key regulator of glucose metabolism, 6-phosphofructo-2-kinase (PFKFB3). A competitive inhibitor of PFKFB3, 3-(3-pyridinyl)-1-(4-pyridinyl)-2-propen-1-one (3PO), was previously found to reduce both the glucose metabolism and proliferation of cancer cells. We report an optimized 3PO derivative in which a quinolinyl ring has been substituted for a pyridinyl ring, 1-(4-pyridinyl)-3-(2-quinolinyl)-2-propen-1-one (PFK15), that is 100-fold more potent than 3PO. Computational modeling presented suggests that the higher activity of PFK15 relative to 3PO may be due to the lack of interaction of 3PO with amino acids that form the ADP/ATP binding site of PFKFB3 (highlighted in green). For details, see article by Clem and colleagues on page 1461.



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