

New Cancer Drug Approach: Bending Targets Out Of Shape.

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New 'targeted' cancer drugs typically work by blocking the activity of specific proteins in cancer cells. The targets are frequently enzymes, and the drugs are designed to fit into the 'active site' of the enzyme like a key in a lock. In this way, the drug prevents the enzyme from working. There are several problems with this approach. First, the 'key' often fits several different 'locks'. In other words, the drugs interfere with enzymes that are NOT the actual targets. Second, a slight change in the shape of the active site frequently makes the drug ineffective.

A group from UC San Diego has developed an alternative approach. They developed a drug that binds to a place on the target enzyme that is NOT part of the active site (called an allosteric site). When the drug binds, it changes the shape of the active site so that no longer work. This would be like holding someone's arms behind their back. Their hands would not be able to do the same things in this position.

These drugs have the potential to be less toxic and cancer cells are less likely to develop resistance to these types of drugs. Clinical trials are pending.

Source

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