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Synthesis and anticancer activity evaluation of novel azacalix[2]arene[2]pyrimidines

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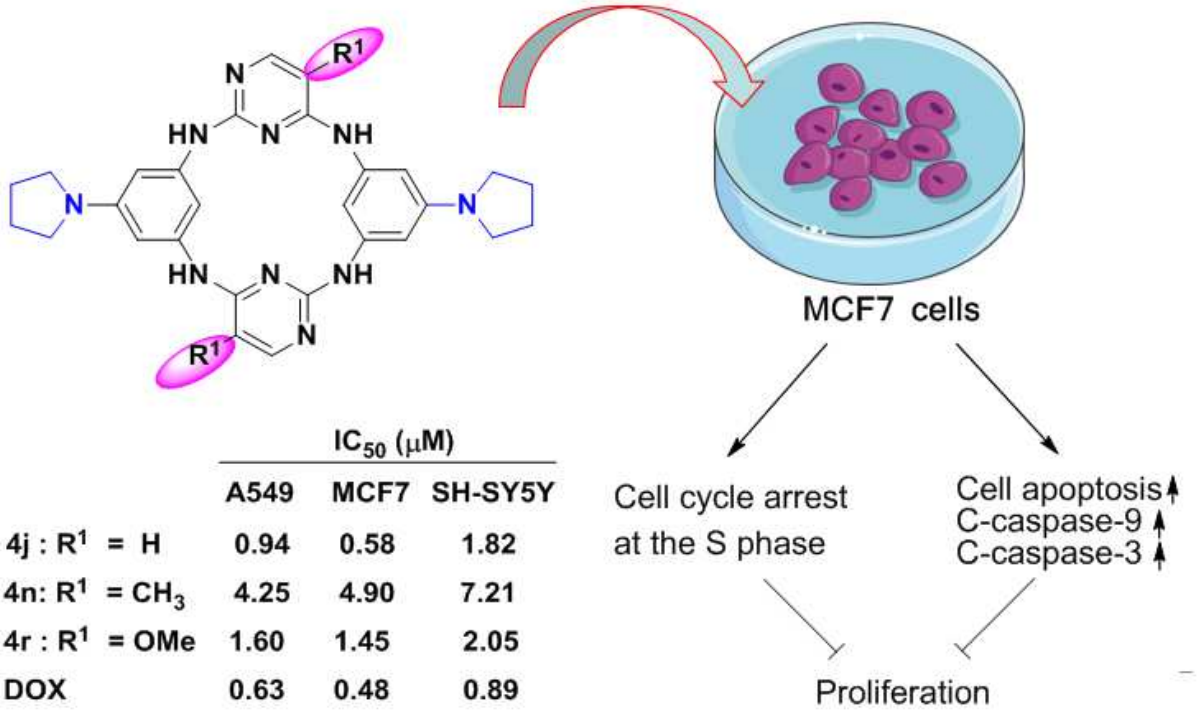
Graphical abstract

Novel azacalix[2]arene[2]pyrimidines were designed and synthesized. Compound **4j** exhibited strong inhibitory activity against MCF7 cells, and its mechanisms were involved in inducing apoptosis via up-regulation of caspase-3 and caspase-9 cell and cycle arrest at the S phase.



	IC_{50} (μM)		
	A549	MCF7	SH-SY5Y
4j : R^1 = H	0.94	0.58	1.82
4n: R^1 = CH_3	4.25	4.90	7.21
4r : R^1 = OMe	1.60	1.45	2.05
DOX	0.63	0.48	0.89