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-Trifluoromethylacyloins Induce Apoptosis in Human Tumor Cell Lines

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Abstract: Cytotoxic activity of newly synthesized trifluoromethyl ketones and related compounds was studied using two human oral tumor cell lines (HSG and HSC-2). Among them, α -trifluoromethylacyloins (**1** and **2**) were found to induce apoptotic cell death as judged by the terminal deoxynucleotidyl transferase (TdT) dUTP nick end-labeling (TUNEL) method which detects DNA nick or fragments. Furthermore, the cytoplasm of **1** or **2** treated HSG cells was stained by M30 monoclonal antibody, which detects the product resulting from the cleavage of cytokeratin 18 by activated caspase.

Table. Cytotoxic activity of trifluoromethyl ketones and related compounds

Compd	Structure	Cytotoxic activity (CC ₅₀ : μ M)			
		HSC-2	HSG	HGF	
1		R=Ph	36	240	1300
2		R=Bn	22	110	310
3		R=C ₆ H ₁₃	90	220	790
4		R=PhCONH(CH ₂) ₃	31	400	420
5			79	390	510
6		R=Ph	>2900	2700	>2900
7		R=Bn	1400	1600	2200
8		R=2-Thienyl	1900	2700	>2800
9		R=2-Pyrrolyl	870	1800	2100
10		R=2-Benzoxazolyl	580	1700	2200
11		R=3-Indolyl	94	1300	2000
12		X=O	43	79	630
13		X=S	150	390	430
14		X=NH	53	340	200
Doxorubicin·HCl			4.1	-	-

HSG-2: Human squamous cell carcinoma; HSG: human salivary gland tumor;
HGF: human gingival fibroblasts

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