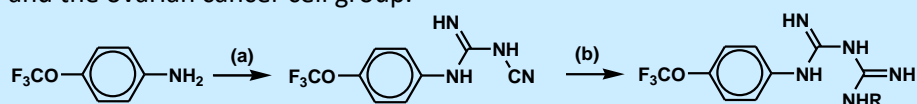


4-(Trifluoromethoxy)aniline (abb. ; TFMA) 4-CF₃OC₆H₄NH₂

Purity	97%
CAS Number	461-82-5
Molecular Formula	C ₇ H ₆ F ₃ NO
Molecular Weight	177.13

1. Progranil, a malaria preventive agent with a biguanide structure, has been reported to exhibit strong anticancer effects on bladder cancer and colon cancer cells at the same time. We tried introducing a lipophilic -OCF₃ group to this Progranil structure and changing the length of the alkyl side chain, and improved the IC₅₀ to about 1/10 in the bladder cancer cell group and the ovarian cancer cell group.



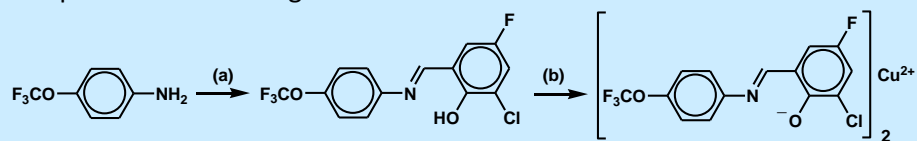
Compnd	R	Bladder cancer cell group			Ovarian cancer cell grp	
		J82	UMUC3	T24	OVCAR3	SKOV3
Proguanil	H	65.6±5.1	24.5±2.3	32.6±2.9	23.1±1.5	43.5±3.5
C5	C ₅ H ₁₁	2.1±0.2	3.9±0.4	1.4±0.2	1.9±0.2	9.1±0.9
C6	C ₆ H ₁₃	3.3±0.3	2.3±0.2	4.3±0.5	2.2±0.2	7.2±0.6
C7	C ₇ H ₁₅	3.3±0.3	3.5±0.3	3.3±0.2	2.1±0.1	7.4±0.5
C8	C ₈ H ₁₇	2.6±0.2	3.3±0.2	3.1±0.2	3.2±	5.5±0.5
C9(Compr)	C ₉ H ₁₉	>100	32.3±2.5	25.5±2.2	96.6±7.4	>100

Application

(a) NaN(CN)₂, HCl, H₂O, reflux*1hr, (b) CuSO₄·5H₂O, 40°C*3hr,

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2. Focusing on the unique lipophilicity of the trifluoromethoxy group. Investigation of the anticancer properties of TFMA Schiff base transition metal complexes. The Cu complex shows an improved cancer cell proliferation inhibitory effect. Aimed as a low-priced alternative to platinum complex anticancer drugs.



Compound	IC ₅₀ (μM) A549 (lung adenocarcinoma cells)	IC ₅₀ (μM) MCF-7 (breast cancer cells)
1	38±0.9	34±0.7
Cisplatin	24±1.1	28±0.6

(a) MeOH, reflux+40°C*3hr, (b) Cu(AcO)₂·2H₂O, MeOH, reflux+60°C*6hr

J.Molecular Structure 1249, 2022, 131601

Properties:

Appearance

-

Boiling point, °C

73-75/10torr