An Efficient Process for the Synthesis of Perfluoroalkylated Benzazepines

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Benzazepine moiety occurs frequently in both natural and synthetic drugs and is of highly biological interest. The usage of this class of compound is not merely confined to the management of stress related conditions and for their use as antibacterial agents[1]. Besides, the quinoxaline core is present in many drugs with antitumor, anticancer, antiamoebic[2]. Because of important applications of benzazepine and quinoxaline compounds, we proposed an efficient protocol for the synthesis of perfluoroalkylated compounds **3** consisted of benzazepine and quinoxaline structure with 3-(2-aminophenyl)quinoxalin-2(*1H*)-one derivatives **1** and methyl perfluoroalk-2-ynoate **2**.

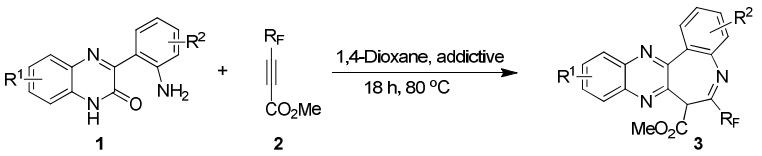

Table 1 Optimization of the reaction conditions for the synthesis of compound **3**[a]

Entry	R^1	R^2	R_F	Addictive/ equiv	Yield (%)[b]
1	H	H	CF_3	*p*-TSA/ 0.5	30
2	H	H	CF_3	HOAc/ 0.5	71
3	H	H	CF_3	$PhCO_2H$/ 0.5	70
4	H	H	CF_3	-	71
5	H	H	CF_3	NH_4Cl/ 0.5	69
6	H	H	CF_3	Et_3N/ 0.5	trace
7	H	H	CF_3	$FeCl_3$/ 0.5	50
8	H	H	CF_3	$ZnCl_2$/ 0.5	31

[a] Reaction condition: 3-(2-aminophenyl)quinoxalin-2(*1H*)-one (1 mmol), methyl perfluoroalk-2-ynoate (1.5 mmol), 80 °C, 18 h; [b] Isolated yield.

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References

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