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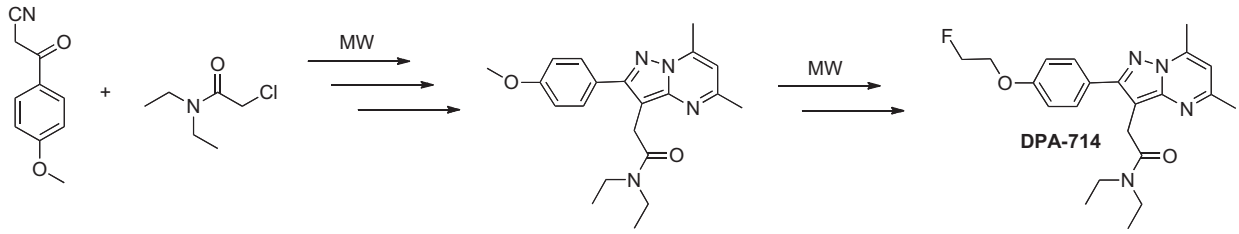
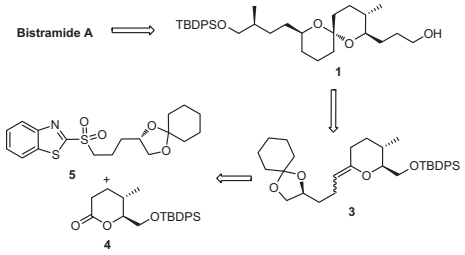
Contents

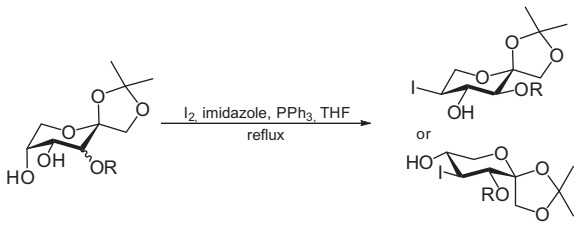
COMMUNICATIONS

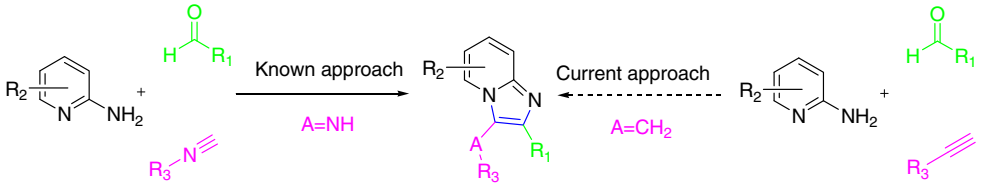


A microwave-assisted total synthesis of the high-affinity TSPO ligand, DPA-714, is reported. This protocol could enable high-throughput development of focused libraries of novel TSPO ligands.

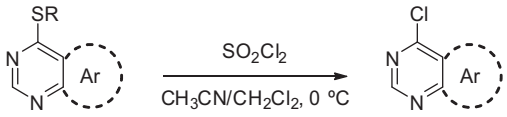


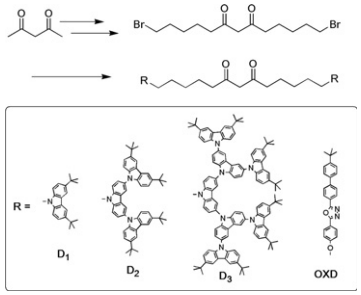
An efficient synthesis of the spirocyclic fragment **1** of bistramides is reported. An olefination reaction of lactone **4** with sulfone **5** gave the enol ether **3**, which upon cyclization in acidic media provided the spiroketal ring system. This compound was then converted into the C19–C36 fragment of the bistramides via successive Julia–Kocienski and Horner–Emmons olefinations.



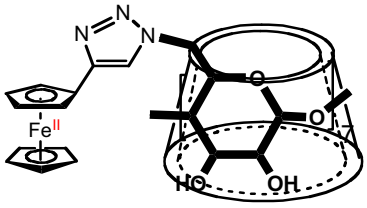


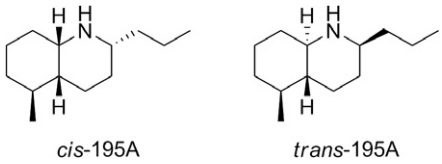
A novel three-component reaction towards the synthesis of imidazo[1,2*a*]pyridines was independently developed based on 2-aminopyridines, aldehydes and alkynes, and thereby imidazo[1,2*a*]pyridines were obtained in acceptable yields by the $CuSO_4$/TsOH catalyzed three-component reaction.

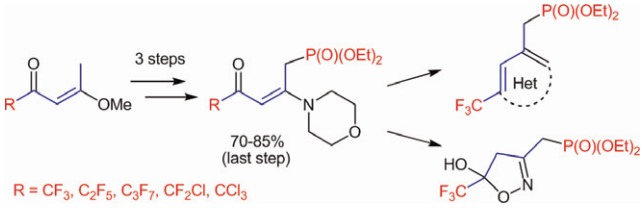




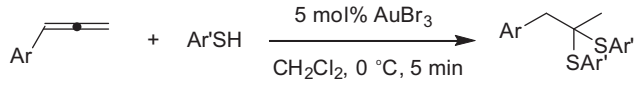
A modular synthetic methodology has been developed to prepare β-diketones functionalized with hole- and electron-transporting fragments at their two termini. The optical and electrochemical properties of these new β-diketones are also described in detail.

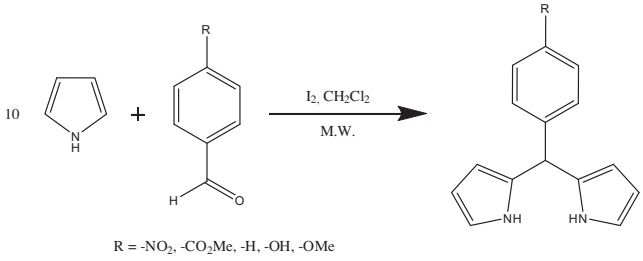


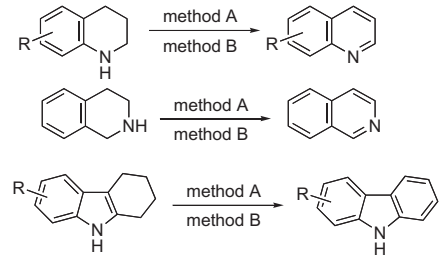


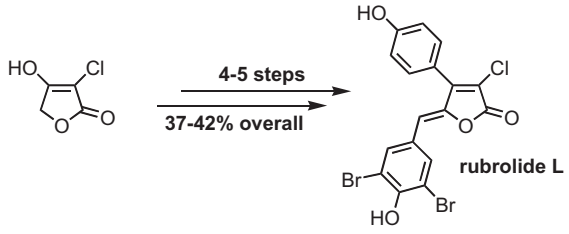


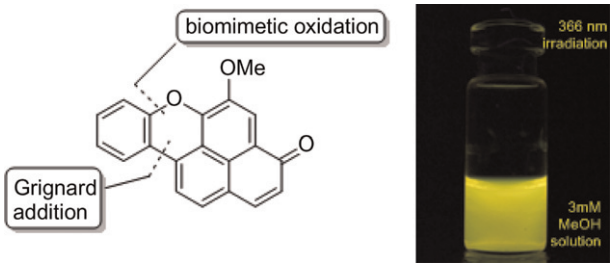
A number of polyhalogenoalkyl-containing phosphonates with an enaminone core were synthesized and used for the preparation of five- and six-membered heterocycles bearing both trifluoromethyl and methylenephosphonate groups.



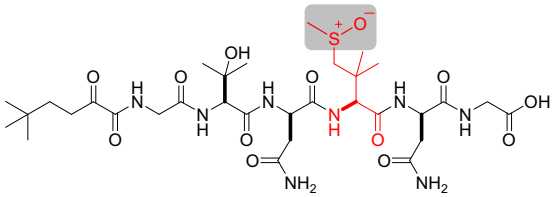




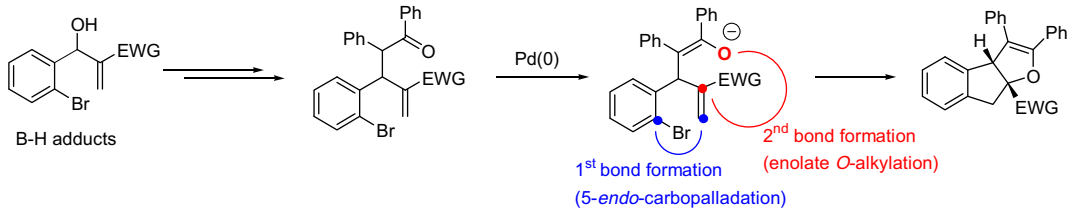


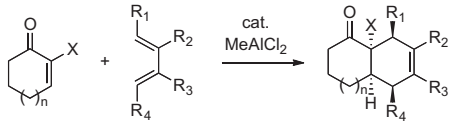


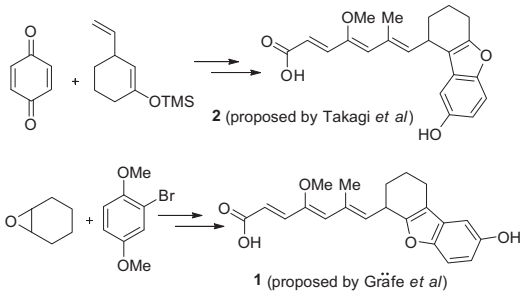
Musafluorone was synthesized using a nine-step procedure including a Grignard addition and a photochemical cyclization.

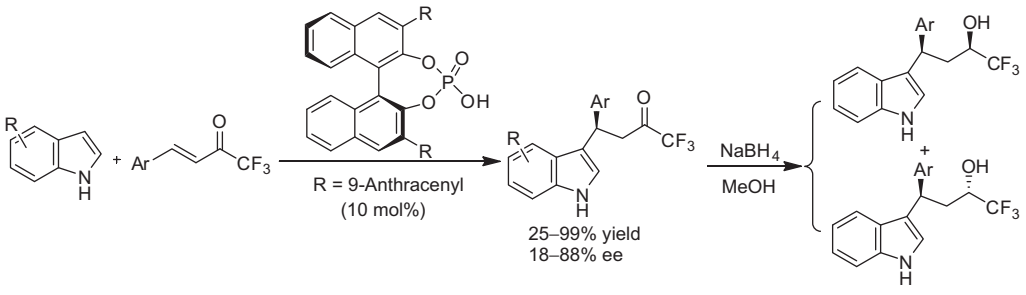


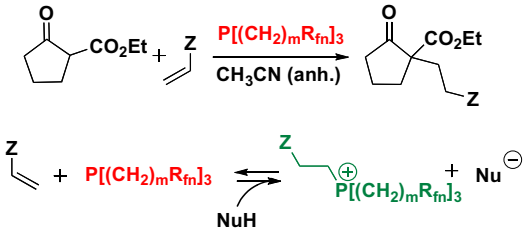
sulfur stereochemistry	S_R	S_S
hydrophobic parameter (logk_w)	1.91	3.88
hemolytic activity (EC_{50}/mM)	0.38	> 1.0



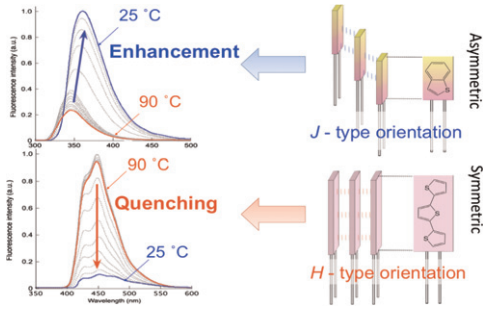


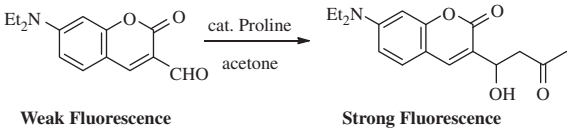




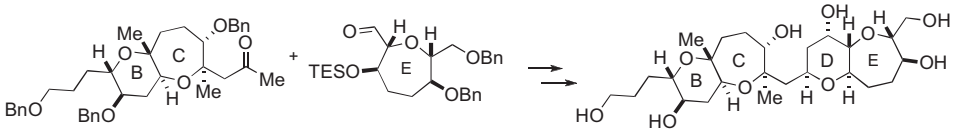


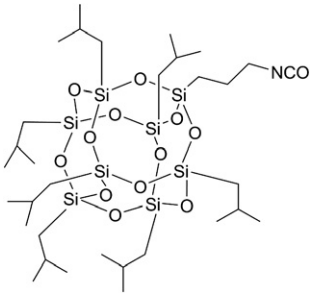
The fluorous phosphines $P[(CH_2)_mR_{fn}]_3$ (R_{fn} = $(CF_2)_{n-1}CF_3$; m/n = 2/8, 3/8, 3/10) are efficient nucleophilic catalysts of Michael addition reactions. They can be easily recycled based upon their highly temperature-dependent solubilities (thermomorphism), with recovery by simple liquid/solid phase separation. The phosphonium salt formed by reaction of the nucleophilic phosphine with the α,β-unsaturated system appears to be a significant component of the catalyst rest state.





A weakly fluorescent coumarinyl aldehyde was transformed into a strongly fluorescent aldol product by a catalytic amount of proline.





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ⓘ+ Supplementary data available via ScienceDirect

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