

Table of contents

Abstract	1
Kurzzusammenfassung	3
1 Introduction	5
1.1 Indoles	5
1.1.1 Structure and synthesis	5
1.1.2 Reactivity of indole.....	6
1.1.3 Naturally occurring indoles.....	9
1.1.4 Indole-based drugs.....	11
1.1.5 3-Acylindoles.....	12
1.2 Photochemistry.....	16
1.2.1 Visible light redox photochemistry.....	18
1.2.2 Energy transfer photocatalysis.....	21
1.3 Flow chemistry.....	22
1.3.1 Advantages of flow chemistry	23
1.3.2 Flow Photochemistry	25
1.3.3 Continuous flow chemistry	26
1.3.4 Reactors for flow chemistry.....	29
2 Objective.....	31
3 Results and discussion	33
3.1 Syntheses of precursors.....	33
3.1.1 <i>N</i> -Substitution of the 2-iodoaniline.....	33
3.1.2 SONOGASHIRA cross-coupling.....	37
3.1.3 Cyclization of <i>N,N</i> -disubstituted <i>o</i> -alkynylated derivatives to 3-acylindoles	42
3.1.4 Sulfonylation of <i>N</i> -substituted <i>o</i> -alkynylated aniline derivatives.....	44
3.1.5 Introduction of the fluorous tag	46
3.1.6 Reaction steps optimization	48
3.2 Photochemical cyclization toward indoles.....	52
3.2.1 Synthesis of cyclization precursors.....	52
3.2.2 Optimization of the photoinduced step.....	54

3.2.3	Photoreactor for the synthesis of final products	60
3.2.4	Synthesis of F-tagged cyclization products	62
3.2.5	Synthesis of 3-acylindoles without F-tag.....	68
3.2.6	Mechanistic study of the photochemical cyclization	71
3.3	Cleavage of the fluoros tag	76
3.3.1	3-Carboxylic acid derivatives	77
3.3.2	3-Carboxylic acid ester derivatives.....	80
3.3.3	Biological screening of acid and ester derivatives.....	81
3.4	Flow syntheses	83
3.4.1	Steps of the reaction route to cyclization precursors in flow.....	83
3.4.2	Photochemical cyclization in a novel photo-microreactor.....	87
3.4.3	Kinetic study of the photochemical cyclization.....	89
4	Summary and outlook.....	99
5	Experimental part	103
5.1	General remarks	103
5.2	Analytics and purification	103
5.3	Synthetic procedures and analytical data	108
5.3.1	Synthetic procedures and analytical data to Chapter 3.1.	108
5.3.2	Synthetic procedures and analytical data to Chapter 3.2.	181
5.3.3	Synthetic procedures and analytical data to Chapter 3.3	363
6	Abbreviations	391
7	Literature	395
8	Appendix	401
8.1	Crystallographic data	401
8.3	List of publications.....	426
8.4	Acknowledgments.....	427