<u>List of corrections from examiner 1</u>

- All the typo and grammatical errors indicated in the copy of the thesis as suggested by examiner 1 were corrected.
- Page vi word chromatography was added in the abbreviation of LCMS and word spectroscopy was replaced by spectrometry after LCMS.
- Page vi word transform was inserted in the abbreviation of FTIR
- Page 2 Sentence 3 the identification of HIV as the causative agent of AIDS was inserted.
- Page 3 examiner ask if the page 3-7 are in different format "they look different because they were printed in colour instead of black and white like other pages without pictures" but other pages were printed in black and white
- Page 6 sentence 6 word **spectroscopic**" was inserted between NMR and studies as suggested.
- Page 11 Section 1.2 sentence 1 **65-73** range suggested for references, but could not be changed because referencing was conducted using footnote rather than doing it manually.
- Page 11 sentence 7 word "available "was inserted between commercially and source.
- Page 12 paragraph 2 sentence 2 word **spectrometry** was replaced by **spectroscopy** after Resonance
- Page 12 section 1.21. word **spectroscopy** was added in the title.
- Page 12 section 1.2.1 sentence 1 word **spectroscopy** was added between NMR and has
- Page 12 section 1.2.1 sentence 3 word **spectroscopy** was added between NMR and involves.
- Page 12 section 1.2.1 sentence 5 word **spectroscopic** was added between NMR and signals.
- Page 12 section 1.2.1 sentence 6, was added after dissociation and word **spectroscopic** was added between NMR and signals.
- Page 14 section 1.3 the **highlighted text** was addressed in paragraph 1 section 1.3.
- Page 15 scheme 1.1 oxidation state of compound 2 was checked and it is correct.
- Page 16 paragraph 1 sentence 2 acidity of the was added between the and α .
- Page 17 Section 1.2 sentence 1 **109-113** range suggested for references could not be changed because referencing was conducted using footnote rather than doing it manually.
- Page 18 paragraph 1 sentence 2 have was added between approaches and been.

- Page 18 paragraph 2 sentence 1 **is** was deleted between which and then.
- Page 18 Paragraph 1 Sentence 2 one full stop was deleted just after (Scheme 1.5).
- Page 18 Paragraph 3 Sentence 1 **an** was added before α-amino ketone.
- Page 19 Paragraph 1 Sentence 2 is was added between reaction and still while a was added between is and major.
- Page 19 Paragraph 2 Sentence 2 and was replaced by or between (BuOK) and sodium.
- Page 19 paragraph 2 Sentence 4 **a** was added between As and result.
- Page 21 paragraph 1 Sentence 1 carbimidides was replaced by carbodiimides.
- Page 21 section 1.3.3.2 Paragraph 1 Sentence 1 both **an** and **a** were added before oxygen and nitrogen.
- Page 22 paragraph 2 sentence 1 the was deleted between by and cyclohydration.
- Page 22 paragraph 1 sentence 2 an was added between when and aliphatic.
- Page 22 paragraph 1 sentence 3 be was added between may and overcome while to was replaced by in between chloride and the.
- Page 22 Pargraph3 the whole paragraph was modified as per suggested by examiner In the Fischer oxazole synthesis, an aldehyde 37 reacts with cyanohydrins 38 in the presence of dry HCl using anhydrous ether as a solvent to give 2,5-disubstituted-1,3-oxazoles 39 as depicted in *Scheme 1.14*. The major drawback in this protocol is the formation of 2,5-diaryl-4-oxazolidones and oxazolid-4-ones as side products especially when an aliphatic aldehyde is used as a synthon. This problem may be overcome by using thionyl chloride in the reaction mixture.
- Page 23 paragraph 2 sentence 1 (I) iodide was added after copper while, the numbering of the structure was changed from 2,5,4 to 2,5,-4' and bisoaxazole was replaced by bisoxazole.
- Page 23 Section 1.3.3.3. Sentence 1 **148-152** range suggested for references could not be changed because referencing was conducted using footnote rather than doing it manually.
- Page 24 Paragraph 1 sentence 2 **as** was inserted between such and ethanol.
- Page 24 scheme 1.18 the size of the structures was addressed.
- Page 24 Section 1.3.3 Sentence 2 (I) iodide was added after copper and conditions was added between reaction and using.
- Page 25 Scheme 1.19 the numbering was addressed
- Page 26 scheme 1.20 **36** was changed to **50**

- Page 26 Paragraph 1 then was deleted and, when was added after which while the numbering of 3,2,4,5- was changed to 2,3,4,5
- Page 26 Section 1.3.4 sentence 1 **the** was deleted between with and Baylis.
- Page 26 Section 1.3.4 sentence 2 in 2010, was reshuffled to the beginning of the sentence.
- Page 26 Section 1.3.4 at the end of sentence 2 **error bookmark not defined** was removed.
- Page 27 Scheme 1.22 structure size was addressed.
- Page 28 Section 1.4 s was replaced by **blocks** between building and reported.
- Page 28 Section 1.4.1.1. Sentence 1 of was replaced by for between method and preparing while a was added between with and dehydrating
- Page 28 Section 1.4.1.1. Sentence 2 **system** was deleted between combination and of.
- Page 29 Scheme 1.25 size of the structure was sorted.
- Page 31 paragraph 1 Scheme 1.29 indeed the reaction does not involve Suzuki-coupling and the mistake was rectified by changing the paragraph to "Crossley and Browne a regio-selective synthesis of iodoisoxazoles 70 in excellent yields through cycloaddition of alkynyliodide 68 to nitrile oxides 69 in the presence of 0.25 M aq. Na₂CO₃ using DME as solvent under heating for 24 hours as shown in *Scheme 1.29*. and the Scheme 1.29 was changed to Synthesis of iodoisoxazoles using an alkynyliodide cycloaddition strategy.
- Page 31 paragraph 2 sentence 1 **the** was added between reported and synthesis.
- Page 31 Scheme 1.30 **substituted** was added between 3- and isoxazoles.
- Page 32 new paragraph 2 was created by breaking the sentence 4.
- Page 33 Figure 1.14 used was added between clinically and compounds while the structure R₁ group and NO₂ were sorted.
- Page 34 Paragraph 1 Sentence 4 **for** was added between allow and the, while **a** was added between of and new.
- Page 34 objective sentence 1 **the** was added between towards and generation.
- Page 34 objective 2 **evolution** was replaced by **evaluation**.
- Page 35 objective 5 **heterocycle** was added between nitrogen and containing.
- Page 36 main title **protein-protein interactions** were added after HIV-1.

- Page 37 scheme 3 2.1 comment Standard control method was used (in the CEM microwave standard control method the specified set temperature is held over a set time period, while the power applied is controlled by the instrument default settings)
- Page 39 Paragraph 1 sentence 1 spectroscopic was added between NMR and analysis while **the** was added between and & data
- Page 39 section 2.2.2. sentence 1 **3CvL** was change to match one in page 42.
- Page 40 Scheme 2.2 R" was replaced by R' while cylohexyl was replaced by cyclohexyl.
- Page 40 scheme 2.2 comments Methods C, D, E was used as continuation from scheme 2.1
- Page 42 section 2.2.2.2.1 sentence 2 comment: Standard control method was used and power applied is controlled by the instrument default settings Page 42 paragraph 2 last sentence was modified to **This can be attributed to the fact that the two electron-withdrawing halides cause a decrease in the nucleophilicity of the aniline NH₂. to address question from examiner 1 and 2.**
- Page 43 table 2.3. **3-cvL** was change to **3-CvL**.
- Page 43 section 2.2.2.2.2 sentence 2 in order to assess the effect of solvent on the reaction yields, as per conditions illustrated in *Scheme 2.3*. was added
- Page 44 sentence 1 **spectroscopic** was added between NMR and analysis
- Page 44 sentence 4 **proton** was change to ¹**H** for consistence.
- Page 45 paragraph 3 sentence 1 the mild conditions in protic solvents using t-BuNH₂ or K₂CO₃ as a base was added as per suggested
- Page 46 section 2.2.2.3. sentence 1 synthesis of was deleted at the beginning of the sentence while afforded was replaced by were isolated in between in and fragments
- Page 46 section 2.2.2.3 last sentence of was added between presence and of these
- Page 46 section 2.2.2.4. Paragraph 2 sentence 1 spectroscopy was replaced by spectrometry.
- Page 46 section 2.2.2.4. Paragraph 2 sentence 2 is was replaced by was between detector and used.
- Page 48 paragraph 1 sentence 1 spacing between above. and reference was addressed.
- Page 48 section 2.2.3. sentence 1 comma was added between assay and in.
- Page 49 Table 2.5 positive and negative controls were added.
- Page 55 paragraph 1 sentence 3 **be of help in the facilitation of** was replaced by **facilitate** between could and the
- Page 57 paragraph 1 sentence 1 **spectroscopic** was added between NMR and analysis.

- Page 57 paragraph 2 sentence 3 **spectroscopy** was added after NMR.
- Page 58 sentence 1 **albeit** was replaced by **in spite of.**
- Page 58 sentence 2 **proton** was replaced by ¹**H** and **spectra** was added after NMR while **have one** between compounds and geometrical was replaced by **are isolated as single**.
- Page 59 Scheme 2.8 Structure alignment was addressed and 'BU was replaced by 'Bu.
- Page 59 sentence 2 non breaking space was used after at.
- Page 60 paragraph 1 sentence 2 non breaking space was used after at.
- Page 63 Scheme 2.10 structure alignment was addressed.
- Page 64 sentence 1 **spectroscopic** was added between NMR and analysis while **spectroscopy** was added after FTIR.
- Page 64 sentence 2 **signals due to** were added between stretch and the.
- Page 64 Table 2.13 was bolded.
- Page 65 sentence 1 ring was added between imidazole and using.
- Page 66 paragraph 3 sentence 1 **a** was added between have and significant.
- Page 67 spacing in section 2.3.3.2 was addressed.
- Page 69 footer was address.
- ▶ Page 69 spacing between < and 87a was addressed.
- Page 70 sentence 1spacing between Ala and 169 was addressed.
- Page 71-75 footer was address.
- Page 79 paragraph 1 sentence 3 **the** was added between of and compound.
- Page 79 paragraph 2 sentence 4 **spectroscopy** was added after NMR
- Page 79 last paragraph sentence 3 **the** was deleted between than and 50% while **benchmark** was replaced by **in the AlphaScreen** assay
- Page 80 paragraph 1 sentence 3 **also** was added between they and did
- Page 80 paragraph 2 sentence 2 a was added between in and direct.
- Page 80 paragraph 3 sentence 3 **and** was deleted and **evaluated** was added between been and for.
- Page 83 sentence 2 **each** was added after 97i.
- Page 83 Paragraph 1 Sentence 3 spectroscopic was added between NMR and data.
- Page 83 Paragraph 2 sentence 2 was rephrased as An alternative explanation could be that the nucleophilic protic solvent MeOH may assist in the elimination of the tosyl group. to address suggestion made by examiner.
- Page 84 yields on the Table 3.3 were added for comparison.

- Page 85 section 3.2.4. sentence 1 **spectroscopic** was added between NMR and analysis.
- Page 86 sentence 1 **proton** was replaced by ¹**H**
- Page 86 section 3.2.5. sentence 1 **spectroscopy** was replaced by **spectrometry** after mass.
- Page 87 Table 3.5 positive and negative controls were added.
- Page 89 scheme 3.3 Integration of carboxamide moiety on the 5-aryl-1,3-oxazole fragments was as description of the scheme.
- Page 91 paragraph 2 sentence 5 **the** was added between showed and disappearance while **signals due to the** was added between the and ethyl.
- Page 92 paragraph 2 sentence 3 **in each case** was added between product and was while **the** was added between in and ¹³C.
- Page 92 Paragraph 2 sentence 4 **spectroscopic** was added between FTIR and dat.
- Page 93 scheme 3.6 attempt was replaced by attempted.
- Page 95 section 3.3.1.4. sentence 1 **our** was added between of and dihydro-carboxamides while **a previously** was replaced by **this** between using and reported while with **BrCCl₃** and **DBU** was deleted.
- Page 96 section 3.3.2.2. in the heading 108 was replaced by 109
- Page 96 section 3.3.2.2. sentence 1 108 was replaced by 109 after carboxylates and is
- Page 96 section 3.3.2.2. sentence 2 commas were added between which and upon as well as between protonation and affords.
- Page 98 paragraph 1 sentence 1 **spectroscopic** was added between NMR and analysis.
- Page 98 paragraph 2 sentence 3 **spectroscopy** was added after NMR while **signals** arising from were added between the and protons.
- Page 99 section 3.3.2.3. paragraph 1 sentence 2 **proton** between the and NMR was replaced by ¹**H**.
- Page 100 section 3.3.2.5. in the heading **spectroscopic** was added between NMR and analysis.
- Page 101 table 3.9 m was added between their and sigma.
- Page 102 comment: no there ms/ms fragmentation has not been previously reported.
- Page 105 sentence 1 **the** was added between determine and percentage.
- Page 105 sentence 12 is was deleted between it and shows.
- Page 106 sentence 1 other was moved between the and phenyl.
- Page 107 section 3.3.5 sentence 1 **decent** was replaced by **acceptance**.
- Page 108 paragraph 1 sentence 2 **100b** was replaced by **100h**.

- Page 108 paragraph 2 sentence 1 **100h** was replaced by **100b**.
- Page 108 paragraph 3 sentence 2 is was deleted between group and shows.
- Page 109 footer was addressed and **a** was deleted between through and making while **of** was inserted between binding and the in sentence 1.
- Page 109 sentence 2 of was inserted between binding and the
- Page 112 paragraph 1 sentence 2 **the** was added between of and aniline.
- Page 112 paragraph 2 sentence 3 **that** was inserted between showed and both while the was inserted between within and HIV-1.
- Page 112 paragraph 2 in sentence 4 **the** was added between comma and *para*-methoxy while **was** was inserted between ring and showed.
- Page 114 italics for L-alanine and D-leucine was addressed.
- Page 114 section 4.2.1. paragraph 2 sentence 3 spacing between HCl and /EtOH was addressed.
- Page 114 spacing between references in the last sentence of paragraph 2 section 4.2.1. was addressed.
- Page 115 paragraph 2 sentence 1 word **spectroscopic** was added between NMR and analysis.
- Page 116 Scheme 4.3 missing 7g R= 3-OH,4-Ome was inserted
- Page 116 section 4.2.2. the whole paragraph was modified to Walton et al. described the reaction of various aldehydes with esterified amino acid salts using Et₃N as a base in the presence of MgSO₄ in DCM at room temperature to afford the corresponding ethyl (E)-2-{(arylidene)amino}acetates in quantitative yields. Inspired by this protocol, our free amino ethyl esters 115a-c were then exposed to the condensation reaction conditions by reacting with various benzaldehydes 7ah in the presence of MgSO₄ using DCM as solvent at room temperature for 16 hours (see **Table** *4.3*). Work up furnished the desired ethyl (arylideneamino)acyl intermediates (116a-h, 117a-d and 118a-c) in yields of up to 96%. The yields of the products obtained (96% for 116h and 92% for 117d) were considerable improvements over those reported by López-Pérez et al. (81% for 116h and 87% for 117d). Compounds 116a-h, 117a-d and 118a-c were used in the next stage without further purification.
- Page 117 sentence 1 word **spectroscopy** was inserted after FTIR.
- Page 117 sentence 3 word **proton** was replaced by ¹**H** between all and NMR.

- Page 118 paragraph 1sentence 1 words **signals from the** were inserted before methine while the italic for L-alanine was addressed and of was replaced by **due to** between quartet and the.
- Page 118 section 4.2.3. paragraph 2 sentence 6 word **proton** was replaced by ¹**H** between however, and NMR.
- Page 118 section 4.2.3. paragraph 2 sentence 7 116d was placed after intermediate.
- Page 119 comment in the scheme 4.4 was addressed.
- Page 119 sentence 6 **spectroscopy** was inserted after NMR.
- Page 119 sentence 7 **proton** was replaced by ¹**H** between the and NMR.
- Page 121 sentence 4 brackets were check and rectified while the italics in L-alanine was addressed in the same sentence.
- Page 121 at the end of sentence 5 **difficult** was replaced by **were not obtained**.
- Page 123 section 4.2.4.1. **spectroscopy** was inserted in the heading.
- Page 123 sentence 5 words as a signal were inserted between resonates and at.
- Page 123 sentence 6 words **as a signal** were inserted between appears and at while the comma after whereas was deleted.
- Page 123 sentence 7 word **emerge** was replaced by **give rise to signals** were added between *meta*-position and at
- Page 124 Table 4.6 close bracket boldness was address and **m** was added before sigma.
- Page 126 spacing at the end of sentence 3 was addressed.
- Page 129 paragraph 2 sentence 1 and was added between FTIR and NMR while spectroscopy added after NMR and spectrometry were inserted after mass.
- Page 129 scheme 4.6 **method A** and **B** under structure 123 were added
- Page 131 sentence 1 words **of signals due to** were inserted between disappearance and the ethyl
- Page 132 Scheme 4.8 **Method C** and **Method D** were inserted under compound 125.
- Page 132 sentence 2 the brackets issues was addressed.
- Page 133 sentence 1 **spectroscopic** was added between NMR and analysis.
- Page 134 sentence 2 **spectrum** was added between NMR and of while **a singlet signal** were added between resonates and downfield.
- Page 134 paragraph 2 the comma between two references was addressed.
- Page 135 sentence 1 and 2 **proton** was replaced by ¹**H** between the and NMR
- Page 135 paragraph 1 sentence 4 **spectroscopic** was inserted after NMR.
- Page 138 table 4.11 R' where added.

- ▶ Page 140 IC₅₀ of the control compound was not conducted due to the small amount of BST-2 available.
- Page 141 paragraph 4 sentence 1 words in our **BST-2-vpu ELISA** assay were inserted.
- Page 144 the footer issue was addressed
- Page 147 sentence 1 and was inserted between NMR & and while **spectroscopy** was added after NMR.
- Page 149 scheme 4.11 comment was address.
- Page 150 section 4.3.3. sentence 1 a was deleted between to and families.
- Page 151 end of paragraph 1 one full stop was deleted.
- Page 151 section 4.3..4 spacing between studio and TM was address.
- Page 154 paragraph 2 sentence 1 a was deleted between similar and mode.
- Page 155 footer issue was addressed.
- Page 156 footer issue was addressed and italics between L-alanine and D-leucine was addressed as well.
- Page 158 paragraph 2 sentence 2 **internal** was replaced by **intestinal** between human and absorption.
- Page 158 paragraph 3 at the end of sentence 2 **dihalide group** was replaced by **halides**.
- Page 159 paragraph 2 incredence was replaced by credence.
- Page 160 sentence 2 has was change to have.
- Page 160 sentence 3 **consideration** was inserted between and our as per suggested.
- ➤ Page 162 paragraph 1 comment. The source of H⁺ is form the deprotonation of chloroform
- Page 162 paragraph 1 sentence 1 it was replaced by is between and thought while a between involve and deprotonation was deleted.
- Page 162 paragraph 2 sentence 1 **analytically** was deleted and **spectroscopic** was inserted after FTIR.
- Page 162 sentence 2 as case as was replaced by as racemates.
- Page 162 sentence 3 132c was deleted between compound and was.
- Page 162 sentence 3 **a signal** before the hydroxyl and **as a signal before** between resonate and at were inserted in the same sentence.
- Page 164 paragraph 2 sentence 1 word spectroscopy was added.
- Page 165 section 5.2.1.3. paragraph 1 was modified as suggested by examiner 3.
- Page 166 sentence 1 **spectroscopy** was added after NMR was added.

- Page 166 sentence 2 **spectroscopic** was added between NMR and analysis while **diastereomeric** was replaced by **a** and **of geometric isomers** was inserted between mixture and in. Whereas **were** was replace by **was** between spectra and carried in the same sentence.
- Page 166 sentence 3 **proton** was replace by ¹**H** while spectrum was added after NMR, while **determined** deleted between 129b and in.
- Page 166 sentence 4 **as peaks** between isomers and at as well as **as signals** between resonated and at were added in the same sentence.
- Page 167 sentence 4 language was corrected
- Page 168 paragraph 1 sentence 1 **spectroscopic** and **amide** were added in the same sentence
- Page 168 paragraph 1sentence 3 comma between spectrum and of was deleted.
- Page 168 paragraph 1 sentence 4 **as a signal** was inserted between resonates and appears.
- Page 168 paragraph 1sentence 5 registered was replaced by showed between 139d and the.
- Page 168 paragraph 1sentence 6 as a signal were added between emerged and at.
- Page 168 paragraph 2 sentence 2 good was inserted between in and yields.
- Page 169 sentence 2 **signals due to** between of and both were added.
- Page 169 sentence 3 signal for the were added between the and aldehyde while signal arising from were added between of and an in the same sentence.
- Page 169 sentence 5 number **34** was deleted between 129.4 and and.
- Page 169 sentence 6 **signals due to** were inserted between of and the.
- Page 170 sentence 2 to comma in 5.9 was added.
- Page 170 sentence 4 **as** was replaced by **was** between 129c and fully while **a** was inserted between of and new. Whereas **but** was replaced by **comma although** in the same sentence.
- Page 170 sentence 5 a was inserted between in and yield.
- Page 170 sentence 6 **to** was added between due and the
- Page 170 sentence 8 **usage** was replaced by **use** between the and of.
- Page 171 sentence 1 of was deleted between exploring and the
- Page 173 sentence 2 **resulted** was replaced by **resulting**.
- Page 173 sentence 4 to was added between similar and those.
- Page 174 section 5.2.5. was made bold.

- Page 174 section 5.2.5 sentence 2 **proton** was replaced by ¹**H** between the and NMR while **as a triplet** was moved between resonates and at.
- Page 174 section 5.2.5 sentence 3 **proton** was replaced by ¹**H** between the and NMR while spectrum was added between NMR and was.
- Page 174 section 5.2.5 sentence 7 **stretching band** was added between NH and between.
- Page 175 paragraph 1 sentence 2 was replaced by were between 129 and required.
- Page 175 paragraph 1 sentence 3 **scaffolds** was replaced by **compounds** between arylisoxazole and were while **of these (139a-e)** replaces scaffolds between 5 and were.
- Page 175 sentence 4 compounds replace scaffolds between 10 and were.
- Page 176 sentence 1 **144d** replaced **144b**.
- Page 179 section 6.2 sentence 1 line 6 **inhibition** was change to **inhibitory**
- Page 179 section 6.2 sentence 2 **the** was added between at and university and also between of and Witwatersrand.
- Page 179 section 6.2 sentence 3 **value** was added between MIC and of while **antibacterials** was added between good and also **be** was replaced by **represent**.
- Page 182 table 6.1 Nystastin was replaced by Nystatin.
- Page 182 **value** was added between MIC and of in line 2, 3, 9 and 13.
- Page 183 **value** was added between MIC and of in line 2.
- Page 183 section 6.2.2. **value** was added between MIC and of in line 7 and 8.
- Page 185 table 6.2 Nystastin was replaced by Nystatin.
- Page 187 table 6.1 Nystastin was replaced by Nystatin.
- Page 188 **value** was added between MIC and of in line 4 paragraph 1.
- Page 188 value was added between MIC and of in sentence 1 paragraph 2
- Page 188 **values** was added between MIC and as in sentence 2 paragraph 2 while a was deleted between demonstrated and marginal in the same sentence.
- Page 188 section 6.2.4. sentence 2 were was used instead of was between compounds and active.
- Page 189 Table 6.4 Nystastin was replaced by Nystatin.
- Page 189 section 6.3 sentence 2 have decent was replaced by displaying promising between to and antimicrobial
- Page 189 section 6.3 sentence 3 alteration was deleted between through and synthetic.
- Page 190 the whole of chapter 7 was revised as suggested by examiner 2 so the suggestion made by examiner 1 were invalid.

- Page 354 reference 12 and 12 were corrected as per suggested
- Page 355 reference 41 was corrected as per suggested
- Page 336 reference 50 was corrected as per suggested
- Page 357 reference 71 was corrected as per suggested
- Page 358 reference 88 was corrected as per suggested
- Page 353 reference 97, 105, 115 and 117 were corrected as per suggested
- Page 360 references 127, 134 and 135 were addressed as per suggested
- Page 362 references 176, 178, 179 and 181 were addressed as per suggested
- Page 365 references 205 and 206 were corrected as per suggested
- Page 364 references 213, 221, 222 and 223 were corrected as per suggested
- Page 366 reference 258, 260 and 262 was corrected as per suggested
- Page 367 285 reference 285 was addressed
- Page 368 290, 303 and 304 references were addressed
- Page 369 reference 315, 317 and 333 references were addressed
- Page 370 reference 35 was corrected
- Page 371 references 361, 362, 363, 369 and 370 were addressed as per suggested