



Design and Synthesis of Analogues of Anticancer and Antiseizure Peptide

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Aim

- To design and synthesize the analogs of anticancer and antiseizure peptide (CP1) isolated from Chartergellus communis.
- Purification of synthesized peptides.
- Characterization by various spectrometric techniques.
- Biological evaluation of synthesized peptides.

Introduction

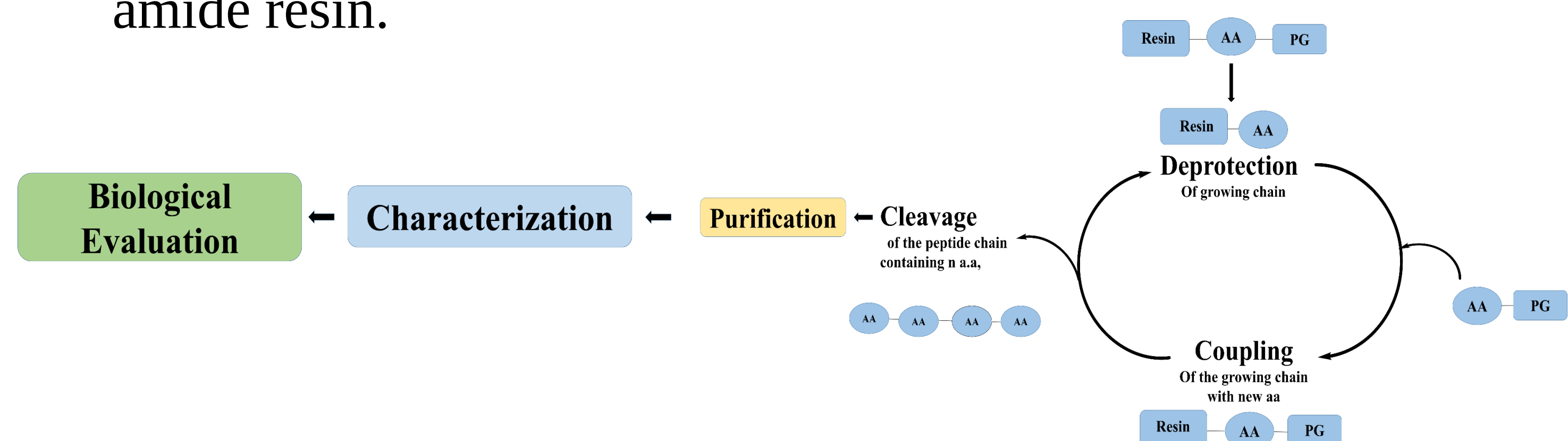
Important features:

- High specificity
- High biological activity
- High membrane penetration ability

Anticancer and antiseizure activity of CP1 peptide

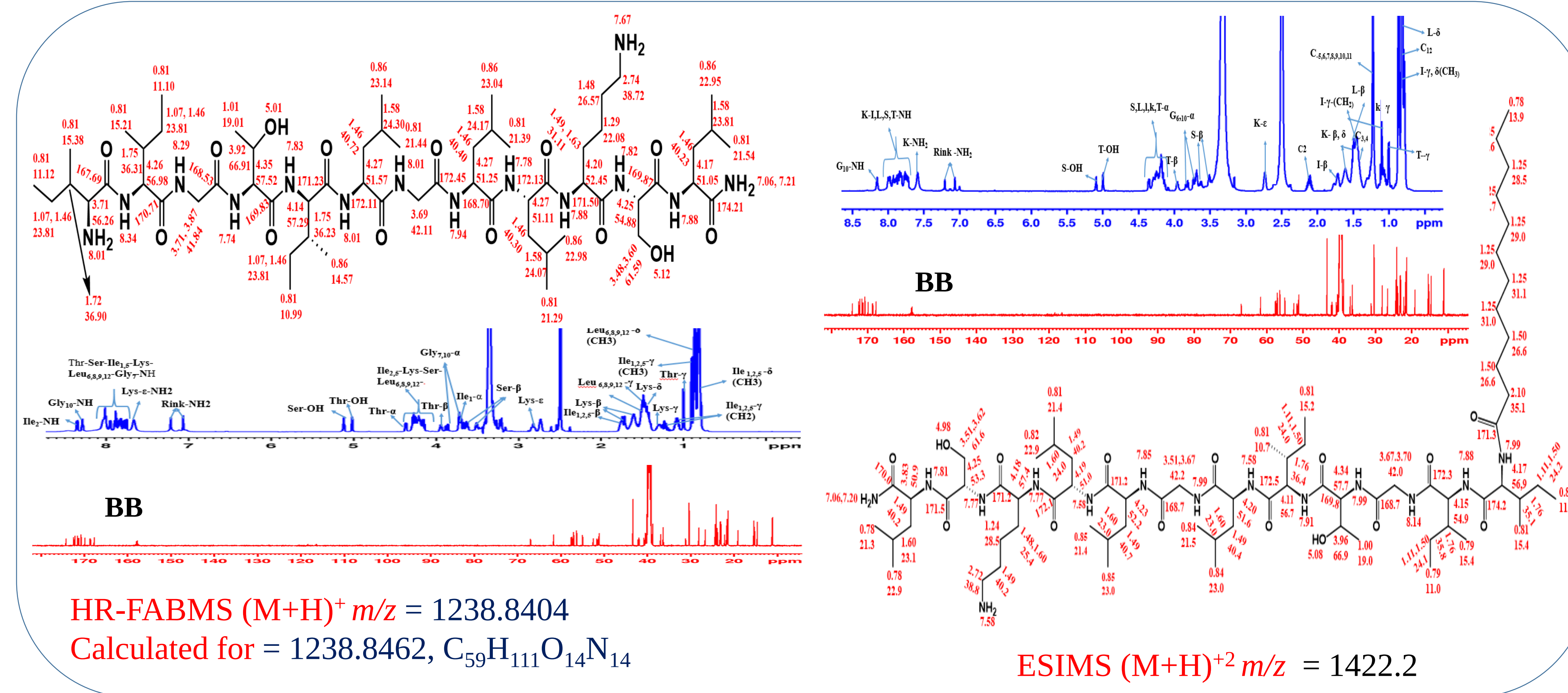
Methodology

- A Solid-phase Fmoc strategy was applied for the synthesis of peptides.
- Analogues of peptide obtained from the venom of social wasp Chartergellus communis (CP1) were synthesized by using Rink amide resin.

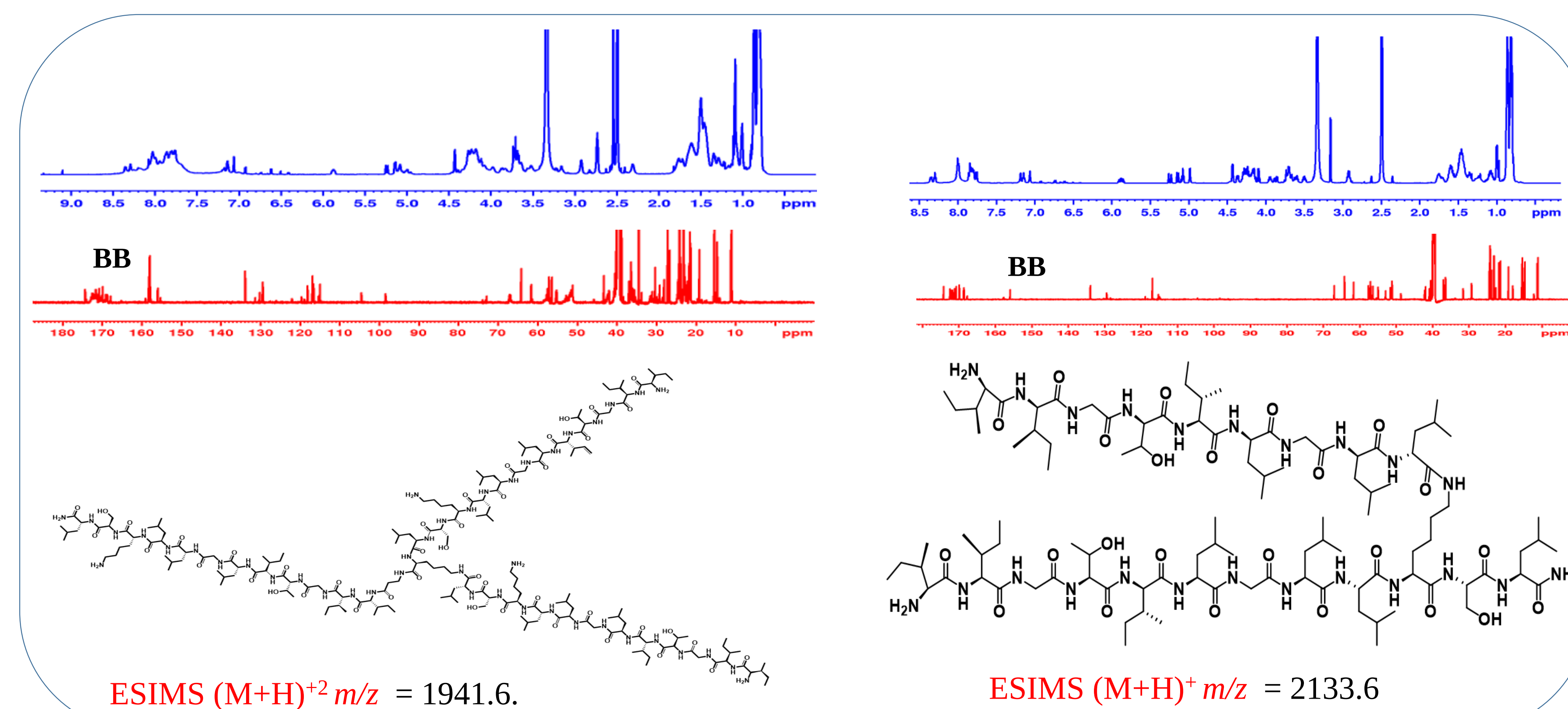


Synthesized peptides were evaluated for antiseizure and anticancer activity

Fatty acid analogue of CP1 peptide

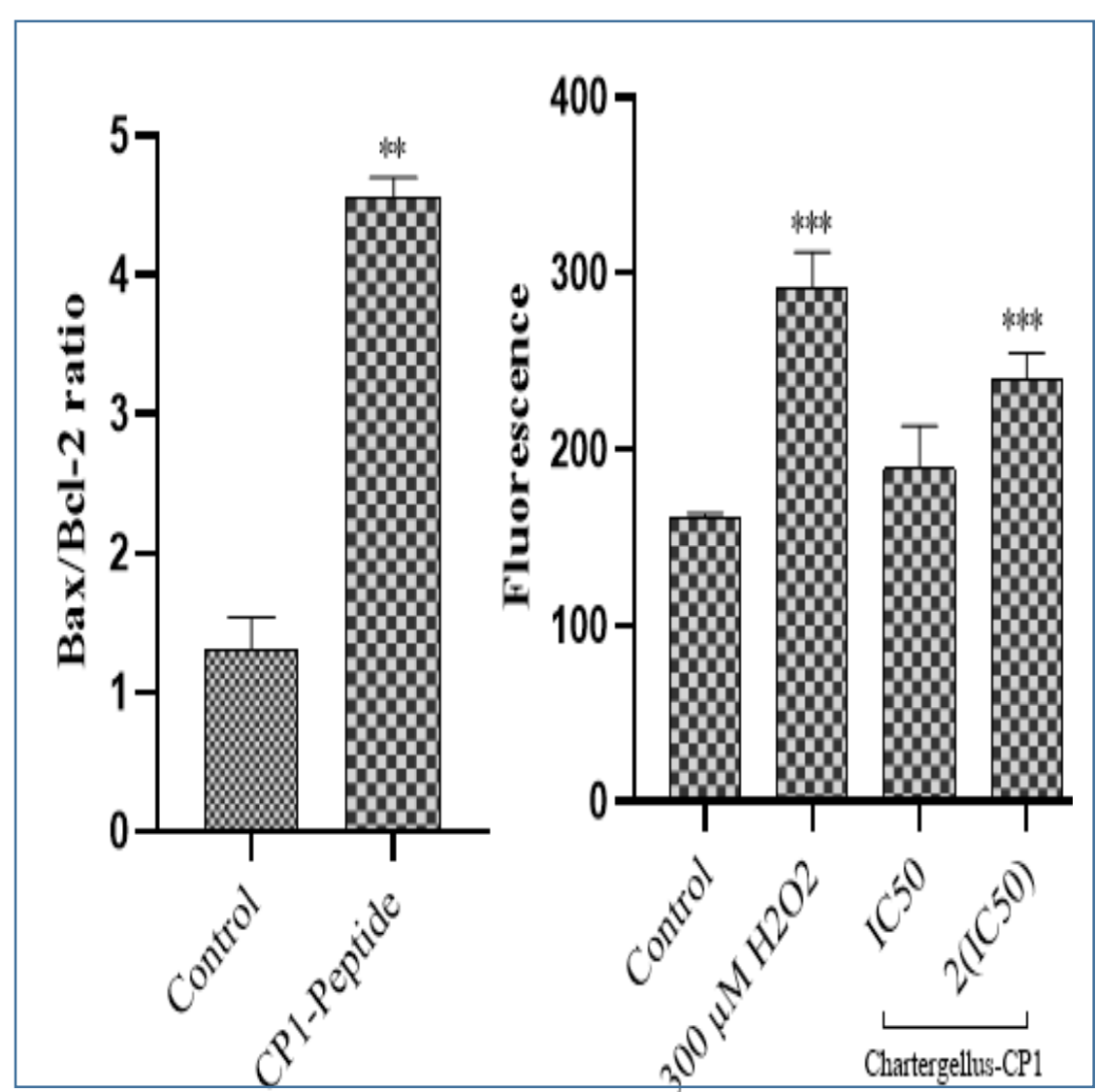


dendrimeric analogue of CP1 peptide



Results

Cell Line	CP-1 Peptide IC ₅₀ (μM)	CP-1 dimer IC ₅₀ (μM)	CP-1 trimer IC ₅₀ (μM)	CP-1 fatty acid IC ₅₀ (μM)	Doxorubicin IC ₅₀ (μM)
HeLa (Cervix adenocarcinoma)	5.7± 1.18	-	-	-	1.1± 0.75
PC3 (Prostatic adenocarcinoma)	5.6± 0.83	-	-	-	1.4± 0.98
MCF-7 (Breast adenocarcinoma)	3.8± 0.23	43.8± 0.29	57.9± 0.81	41.7± 0.16	-
BJ (Normal skin Fibroblast)	37.5± 0.62	-	-	-	0.15± 1.56



- CP1 peptide trigger the mitochondrial mediated apoptosis cellular death in cancer cell.
- Increased production of cellular ROS.
- increased the expression of pro-apoptotic gene Bax.

Conclusion

- Dendrimeric and fatty acid analogues of natural product peptides Chartergellus-CP1 were synthesized.
- Characterization by mass and NMR studies.
- CP1 peptide found to be active against HeLa, PC3, and MCF-7 cancer cell lines.
- Non cytotoxic to BJ normal cell line.

References

- Soares S, Lopes KS, Mortari M et al (2022) Toxicon 216: 148-156.
- Lopes KS, Quintanilha MVT, de Souza ACB et al (2021) Toxicon 194: 23-36.