Synthesis of Boronic Acid Analogs and their Biological Studies

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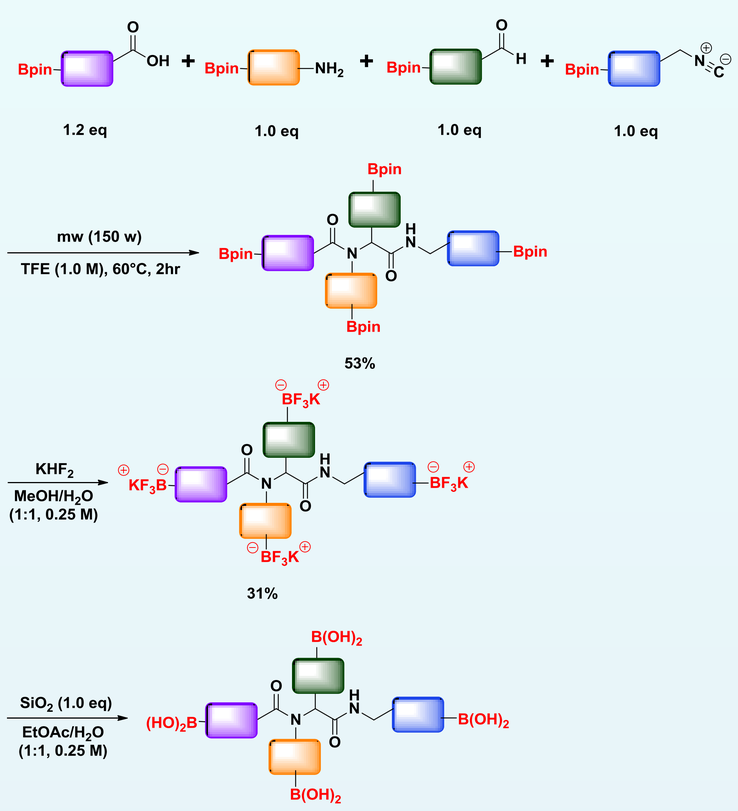
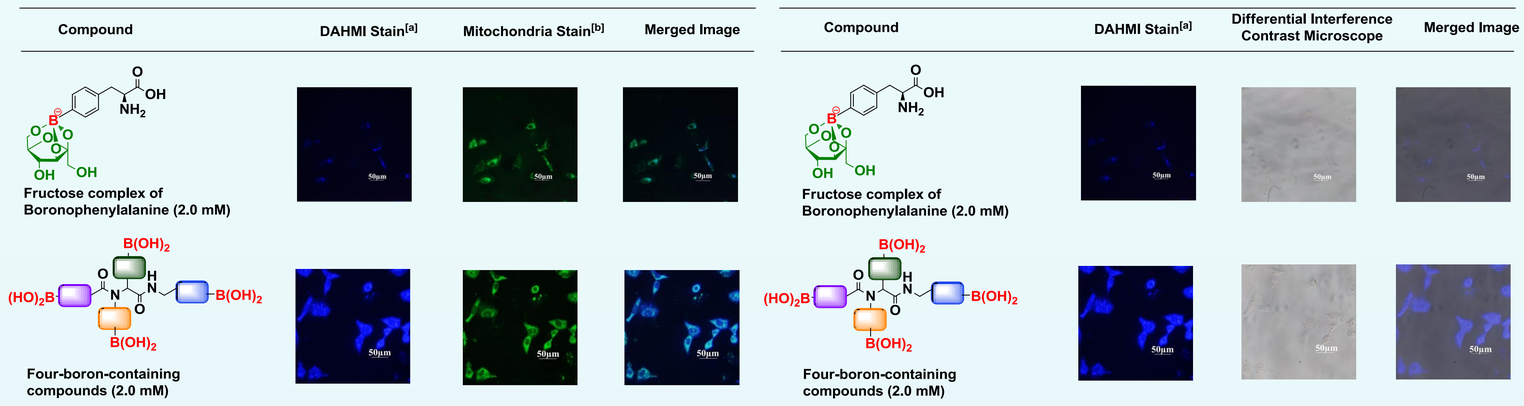
Introduction

Boron Neutron Capture Therapy (BNCT) is a binary cancer therapy that is considered as a new precision radiotherapy. One of the key factors for a successful BNCT therapy is the sufficient intake of the ^{10}B enriched agent to the tumor tissue. In this study, we have successfully synthesized the four-boron-containing compounds *via* Ugi multicomponent reactions. This compounds were then complex with fructose to increase their water solubility and potentially their T/N ratios.

Results and Discussion



Scheme 1.[1,2] **Synthesis of Four-boron-containing Compounds**



[a]Cells were stained with DAHMI (1.0 mM) for 20 min at 37 °C (ex:365 nm). [b]Mitochondria were stained with MitoTracker Green FM .

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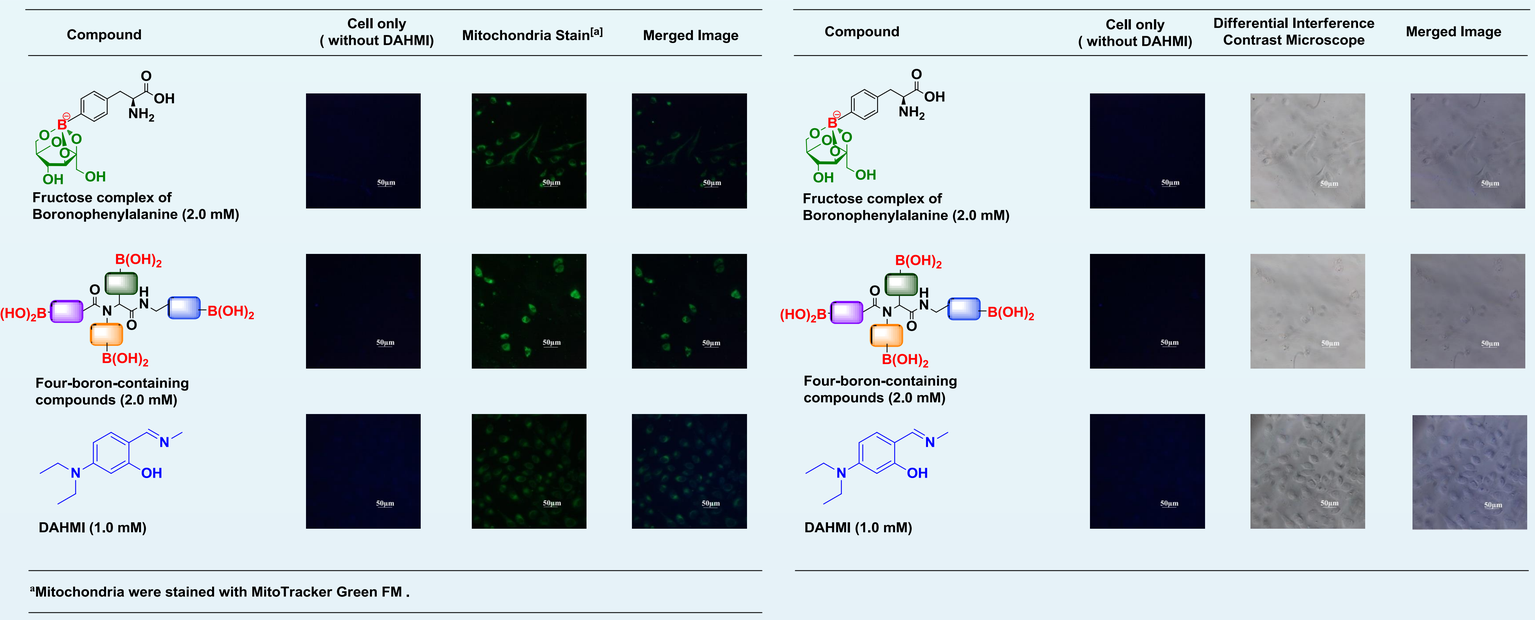
Figure 1. Microdistribution of Boron-containing Compounds (with DAHMI)



[a]Mitochondria were stained with MitoTracker Green FM .

Figure 2. Microdistribution of Boron-containing Compounds (without DAHMI)

Conclusions

In this project, I have successfully synthesized four-boron-containing compound *via* Ugi multicomponent reaction.The boronic acid product was subjected to DAHMI fluorescent experiment to evaluate its ability to accumulate in U2OS cells.[3,4] Comparing to four-boron-containing compound, the clinical used BPA-fructose complex shows less degree of accumulation in cells perhaps due to their reduced capability to complex with DAHMI. Extensive study like using BPA directly under DAHMI protocol is currently underway. Nonetheless, the synthesized four-boron-containing compound does indeed accumulate in U2OS cells. The presented data indicated that our compound hold good potential to be an alternative boron delivering agent. More compounds are being synthesized and the results will be reported in due course.

References

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Acknowledgement

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