

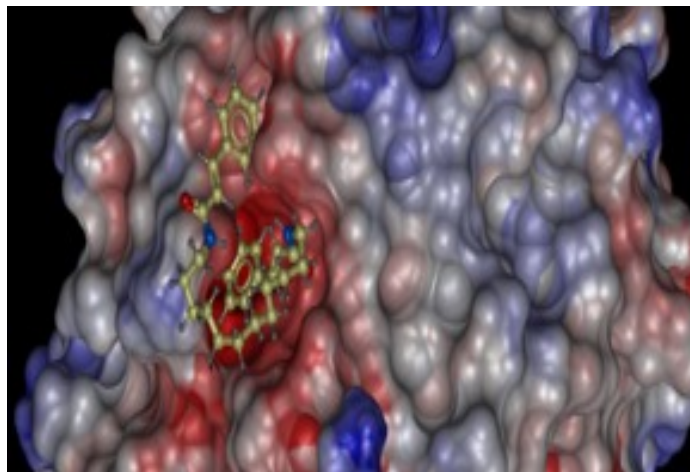


Bis-Cinnamamide Derivatives as Novel APE/Ref-1 Inhibitors for the Treatment of Human Melanoma

Chapman Case #2022-002

Market Need

Melanoma can be one of the most aggressive types of cancer and it is becoming more common. Moreover, a significant portion of melanoma patients are resistant to chemotherapy and immunotherapy treatments, giving rise to a need to develop new and effective treatment strategies to improve melanoma therapy to tackle drug resistance and sometimes severe events associated with immunotherapy. A key player in melanoma development is an enzyme called APE/Ref-1 that significantly upregulates melanoma cells, resulting in the stimulation of disease progression and development of drug resistance. Subsequently, depleting the amount of APE/Ref-1 enzyme in melanoma cells would significantly reduce tumor growth, thus forming the mechanistic rationale behind inhibiting APE/Ref-1 as a novel treatment strategy of melanoma.



Chapman Solution

[Dr. Sun Yang](#) and [Dr. Keykavous Parang](#) of Chapman University, along with Dr. Richard Chamberlin and Dr. Frank Meyskens of UC Irvine, have invented a novel inhibitor that can inhibit the activity of the APE/REF-1 protein by selectively blocking the redox regulatory activity of APE/Ref-1 in melanoma cells. In comparison to other well-studied APE/Ref-1 inhibitors (E3330 and E2009), the Chapman Inhibitor showed significant inhibition of melanoma proliferation at low concentration less than $0.1 \mu\text{M}$, whereas the required concentrations of E3330 and E2009 were $6.6 \mu\text{M}$ and $5.3 \mu\text{M}$ respectively. Further, a particular design of the Chapman Inhibitor has shown effective tumor growth inhibition *in vivo* with dosage of as low as 5mg/kg *i.p* daily, without producing any apparent systemic toxicities. After a 21-day treatment, the tumor size reduced to 44.7% of the control.

Applications

- Potential new drug to treat melanoma

Key Publication

- [Bis-Cinnamamide Derivatives as APE/Ref-1 Inhibitors for the Treatment of Human Melanoma](#), MDPI, April 2022.

Intellectual Property

- US patent application filed

Stage of Development

- *In vivo* and *in vitro* demonstrations of significant inhibition of tumor growth and tumor size
- Available for licensing and further research collaborations

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