X-ray Irradiation Promoted Activation of Prodrugs

Significance: Activating an anticancer prodrug with clinical doses of ionizing radiation could enable localized release of a drug at the tumor site and potentially eliminate the global systemic toxicity of conventional chemo-radiotherapy. In a tumor-bearing mice model, the combination of caged prodrug and X-ray treatment not only inhibited tumor growth but also reduced doxorubicin-induced heart toxicity.

Comment: The caged doxorubicin prodrug was synthesized in two steps, by following a reported procedure (Bioconjugate Chem. 2018, 29, 324). Decaging of doxorubicin was achieved using X-ray irradiation (from 0 to 60 Gy) through radical reduction of the tetrafluorophenyl azide followed by 1,6-self-immolation linker cleavage.

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