Self-Arming Warheads (SAWs) for Antibody-Drug Conjugates (ADC)

Description:

• About Self-Arming Warheads (SAWs)
  – SAWs caged as stable, inert Ab cargos inactive until endocytosis
  – Predicted reduced off-target toxicity:
    • activated SAWs are cell-impermeable
  – Potent (< 100 nM activity)
• Total synthesis at high efficiency
  – Total synthesis facilitates novel chemistry
  – Convergent, high-yielding route to entire framework
  – Few chromatographic purifications
  – Highly amenable to SAR
  – Complete synthetic control
  – Readily conjugated
No Toxic Escape from ADC or Target Cell

- 100 nM OCR6808-15a SAW causes extensive DNA alkylation
- DNA damage detected using 5 nM of most potent SAW.

**IP Status:** ADC applications unpublished. Provisional patents filed. Both available under CDA.

**PI:** Seth Herzon

**Licensing Contact:** David Lewin
david.lewin@yale.edu