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

OCR Number: OCR 6808

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
**Activation via Endocytosis**

**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**IP Status:** ADC applications unpublished.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.

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