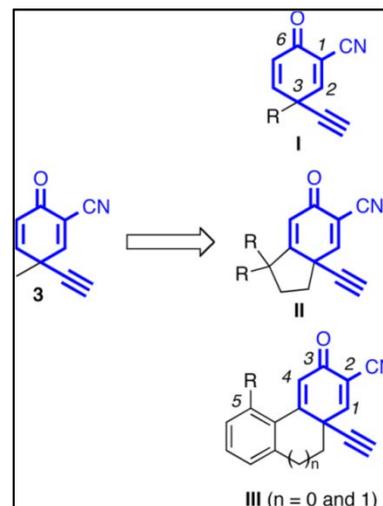


New Reversible Covalent Compounds for Treating Cancer and Inflammatory Disease

Electrophilic fragment-based drug design leads to new monocyclic, bicyclic, and tricyclic reversible covalent compounds with strong anti-inflammatory and cytoprotective properties

Background

Recently, reversible covalent drugs have been gaining attention because of their unique features. Reversible covalent drugs have high potency, high ligand efficiency and long duration of action thereby exhibiting the advantages yet circumvent the disadvantages of irreversible covalent drugs. Nevertheless, reversible covalent drugs have been largely ignored because of a lack of reactive compounds to produce reversible covalent adducts with protein targets. To date, only one reversible covalent drug dimethyl fumarate (multiple sclerosis) is on the market, while another, bardoxolone methyl, is in phase 2 clinical trials for the treatment of pulmonary arterial hypertension (PAH) and diabetic nephropathy.



Li W. (2015) Monocyclic, bicyclic, and tricyclic compounds containing ethynylcyanodienone 3.

Technology

Dr. Tadashi Honda, Research Professor in the Department of Chemistry and Director of the Anti-Inflammatory Research Laboratory in the Institute of Chemical Biology and Drug Discover at Stony Brook University, and collaborators at the University of Dundee have developed novel monocyclic, bicyclic, and tricyclic compounds containing an electrophilic moiety, which exhibit strong anti-inflammatory and cytoprotective properties. These novel compounds are potent activators of the Keap1/Nrf2/ARE pathway and act to inhibit iNOS *in vivo* and *in vitro*. These novel compounds can be further developed as cancer therapeutics and for the treatment of inflammation based diseases.

Patent number/Publication:

- Patent pending
- Li W. et al. *Journal of Medicinal Chemistry*. May 2015

Advantages

- Covalent yet reversible binding to protein target
- Easy synthesis with few steps
- High yield

Applications

- Cancer
- Inflammatory diseases

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