1, 2, 3-triazole-derived androgen receptor antagonists (12a-f)

Catalogue number: 157777
Sub-type: Antitumoral
Images:

Contributor

Inventor:
Institute: Deakin University
Images:

Tool details

***FOR RESEARCH USE ONLY**

Name: 1, 2, 3-triazole-derived androgen receptor antagonists (12a-f)

Alternate name:

Class:
Conjugate:
Description: Prostate Cancer is the most commonly diagnosed cancer in men worldwide and is the fourth most common cancer diagnosed overall. Current treatments include castration, chemotherapy, radiation therapy and androgen blockade. Developing Androgen receptor antagonists falls under andogen blockade therapy. A common feature of AR Antagonists is the electron deficient 3,4-substituted aryl ring, and often consists of a trifluoromethyl group at the 3-position while a nitrile or nitro group is present at the 4-position. It can be a challenge to access aryl withdrawn N-phenyl amides via peptide coupling, providing moderate yields due to the nonnucleophilic anilinic nitrogen. Therefore, the inventors believe that replacement of the amide moiety of bicalutamide with a 1,2,3-triazole (accessed via very high yielding click chemistry') may have synthetic and biological value. Replacing amides with 1,2,3-triazole is believed to show a number of benefits: - Increasing synthetic yield - Proving suitability of the 1,2,3-triazole as an isosteric replacement of the N-phenyl amide - Minimising the peptidic nature of these compounds which can result in resistance to in vivo metabolism The group present a number of novel androgen receptor antagonists which incorporate a 1,2,3-triazole replacement for the Nphenyl amide. The in silico docking of these compounds into the human androgen receptor (hAR) has been carried out, and their determined IC50 values for androgen responsive (LNCaP) and androgen independent (PC-3) cell lines has also been reported. The molecules 12af, possess promising anti-proliferative properties against LNCaP cells (IC50 3445 lM) and PC-3 cells (IC50 29151 lM).Information can be found in their publication PMID: 25301770. These compounds present an excellent starting point for the development of prostate cancer therapeutics for both androgen dependent and

independent forms of this disease. Publication - PMID: 25301770.
Purpose:
Parental cell:
Organism:
Tissue:
Model:
Gender:
Isotype:
Reactivity:
Selectivity:
Host:
Immunogen:
Immunogen UNIPROT ID:
Sequence:
Growth properties:
Production details:
Formulation:
Recommended controls:
Bacterial resistance:
Selectable markers:
Additional notes:

Target details

Target:

Target alternate names:

Target background:

Molecular weight: 12a: 477.0643 12b: 475.0713 12c: 434.1162 12d: 406.0835 12e: 437.089 12f: 457.0728

Ic50:

Applications

Application:
Application notes:

Handling

Format:
Concentration:
Passage number:
Growth medium:

Temperature:
Atmosphere:
Volume:
Storage medium:
Storage buffer:
Storage conditions:
Shipping conditions: Dry Ice

Related tools

Related tools:

References

References: Chen et al. 1997. Hybridoma. 16(2):195-9. PMID: 9145323.