AKR1C3 inhibitor CRT0036521 Small Molecule (Tool Compound)

Catalogue number: 151843
Sub-type: Inhibitor
Images:

Contributor

Inventor: Laurent Rigoreau
Institute: Cancer Research Technology
Images:

Tool details

***FOR RESEARCH USE ONLY**

Name: AKR1C3 inhibitor CRT0036521 Small Molecule (Tool Compound)

Alternate name:

Class:
Conjugate:
Description: CRT0036521 is a highly potent and selective inhibitor of the Type 5 17-?-Hydroxysteroid. A high-throughput screen identified 3-(3,4-dihydroisoquinolin-2(1H)-ylsulfonyl)benzoic acid as a novel, highly potent (low nM), and isoform-selective (1500-fold) inhibitor of aldo-keto reductase AKR1C3: a target of interest in both breast and prostate cancer. Crystal structure studies showed that the carboxylate group occupies the oxyanion hole in the enzyme, while the sulfonamide provides the correct tw...
Purpose: Inhibitor
Parental cell:
Organism:
Tissue:
Model:
Gender:
Isotype:
Reactivity:
Selectivity: Isoform-selective (1500-fold) inhibitor of aldo-keto reductase AKR1C3. Does not display any COX (cyclooxygenase) inhibition at 10 Â?M in whole blood assay.
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: 317.37

Ic50: IC50 of 0.013 Â? 0.003 Î?M for AKR1C3, compared with 20.3 Â? 3.8 Î?M against AKR1C1 and >30 Î?M against AKR1C2 and AKR1C4.

Applications

Application: The compounds showed good cellular potency, as measured by inhibition of AKR1C3 metabolism of a known dinitrobenzamide substrate, with a broad rank order between enzymic and cellular activity, but amide analogues were more effective than predicted by the cellular assay. displays potency in a cellular assay, blocking the ability of AKR1C3 to metabolize a proven substrate.
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: AKR1C3 inhibitor CRT0083914 Small Molecule (Tool Compound) ; AKR1C3 inhibitor CRT0093964 Small Molecule (Tool Compound)

References

References: Yce et al. 2013. Mol Cell Biol. 33(2):406-17. PMID: 23149945. ; Senataxin, defective in the neurodegenerative disorder ataxia with oculomotor apraxia 2, lies at the interface of transcription and the DNA damage response.