Protein Kinase D inhibitor CRT0066101 Small Molecule (Tool Compound)

Catalogue number: 151831
Sub-type: Inhibitor
Images:

Contributor

Inventor: Mark Charles
Institute: Cancer Research Technology
Images:

Tool details

***FOR RESEARCH USE ONLY**

Name: Protein Kinase D inhibitor CRT0066101 Small Molecule (Tool Compound)

Alternate name:

Class:
Conjugate:
Description: The Protein Kinase D inhibitor CRT0066101 is a potent antitumoral agent in vitro and in vivo. Protein kinase D (PKD) is an evolutionarily conserved protein kinase family with structural, enzymological, and regulatory properties different from the PKC family members. Signaling through PKD is induced by a remarkable number of stimuli, including G-protein-coupled receptor agonists and polypeptide growth factors. PKD1, the most studied member of the family, is increasingly implicated in the regu...
Purpose: Inhibitor
Parental cell:
Organism:
Tissue:
Model:
Gender:
Isotype:
Reactivity:
Selectivity: Selectivity for PKD against a panel of >90 protein kinases, including PKCÎ?, MEK, ERK, c-Raf and c-Src.
Host:
Immunogen:
Immunogen UNIPROT ID:
Sequence:

Growth properties:
Production details:
Formulation:
Recommended controls:
Bacterial resistance:
Selectable markers:
Additional notes: Using Panc-1 as a model system, it has been demonstrated that CRT0066101: â?? Reduced bromodeoxyuridine incorporation â?? Increased apoptosis â?? Blocked neurotensin-induced PKD1/2 activation â?? Reduced neurotensin-induced, PKD-mediated Hsp27 phosphorylation â?? Attenuated PKD1-mediated NF-Î?B activation â?? Abrogated the expression of NF-Î?B-dependent proliferative and pro-survival proteins Molecular Weight: 374.86776 (HCl salt) 338.40712 (free base) g/mol

Target details

Target:

Target alternate names:

Target background:

Molecular weight: 374.86776

Ic50: IC50 1, 2 and 2.5 nM for PKD1, PKD3 and PKD2 respectively

Applications

Application: In Panc-1 subcutaneous xenograft model, orally administration of CRT0066101 at the dosage of 80 mg/kg/d for 24 days significantly suppressed pancreatic cancer growth. Moreover, when CRT0066101 reached its peak concentration (12 Î?mol/L) in tumor model, the expression of activated PKD1/2 in the treated tumor explants was substantially decreased. It was concluded that CRT0066101 given orally at 80 mg/kg/d for 21 days in Panc-1 orthotropic model suppressed tumor growth potently in vivo. Clinical...
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: Protein Kinase D inhibitor CRT5 (CRT0066051) Small Molecule (Tool Compound)

References

References: Emmerich et al. 2015. Biochem Biophys Res Commun. :. PMID: 26325464. ; Optimising methods for the preservation, capture and identification of ubiquitin chains and ubiquitylated proteins by immunoblotting. ; Wilson et al. 2013. Cell. 154(5):983-95. PMID: 23993092. ; Proteasome-mediated processing of Def1, a critical step in the cellular response to transcription stress. ; MultiDsk: a ubiquitin-specific affinity resin. ; Wilson et al. 2012. PLoS One. 7(10):e46398. PMID: 23056298.