BDP-8900 MRCK Small Molecule Inhibitor

Catalogue number: 160843
Sub-type: Inhibitor
Images:

Contributor

Inventor:
Institute: Cancer Research UK, Glasgow: The Beatson Institute
Images:

Tool details

***FOR RESEARCH USE ONLY**

Name: BDP-8900 MRCK Small Molecule Inhibitor

Alternate name: myotonic dystrophy kinase-related CDC42-binding kinase, MRCK

Class:
Conjugate:
Description: Members of the RhoGTPase family are central regulators of the actin-myosin cytoskeleton and have been shown to contribute to multiple processes associated with invasion and metastasis. Cdc42 signals through effector proteins including the myotonic dystrophy kinase-related Cdc42-binding kinases a and Î? (MRCKÎ? and MRCKÎ?), which are 190 kDa multi-domain proteins with ~80% amino acid identity across their kinase domains, that are expressed in a wide range of tissues. MRCK and the Rho-regulated ROCK kinases belong to the AGC kinase family, and share ~45-50% amino acid identity in their N-terminal kinase domains, which is reflected in their shared abilities to phosphorylate a similar set of substrates including MLC and the inhibitory phosphorylation of the myosin binding subunit (MYPT1) of the MLC phosphatase complex. However, MRCK and ROCK kinases may phosphorylate substrates, such as MLC, at different subcellular localizations due to their specific interactions with targeting proteins and/or lipids. Importantly, it has been observed that the actin-myosin contractility required for the invasion of three-dimensional extracellular protein matrices by MDA-MB-231 breast cancer cells, and for the collective invasion of squamous cell carcinoma (SCC) cells through three dimensional collagen matrices in an organotypic model were dependent on MRCK signalling. Elevated MRCKÎ? expression was reported to contribute to Ras oncogene-driven SCC development in genetically-modified mice following repression of the Notch 1 tumour suppressor. In addition, gene expression analysis identified MRCKÎ? as part of a breast cancer gene expression signature linked to poor patient prognosis and increased incidence of metastasis under five years. These observations indicate that MRCK contributes to tumour cell invasiveness and may be an important driver of metastasis. BDP-8900 is a potent MRCK inhibitor.
Purpose: Inhibitor
Parental cell:

Organism:
Tissue:
Model:
Gender:
Isotype:
Reactivity:
Selectivity: similar activity against MRCKÎ? and MRCKÎ?; >562-fold selectivity over related ROCK1 or ROCK2 at MRCKÎ? in inhibition of myosin light-chain phosphorylation (pMLC2) in MDA-MB-231 human breast cancer cells, engineered to express tetracycline-inducible MRCKÎ?, ROCK1, or ROCK2 kinase domains.
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: 353.488

Ic50: IC50 = 43nM (MRCKÎ?)

Applications

Application: Protein crystallization, Cell line screening,
Application notes:

Handling

Format:
Concentration:
Passage number:
Growth medium:

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

Related tools

Related tools:

References

References: The RNA-Binding Protein YBX3 Controls Amino Acid Levels by Regulating SLC mRNA Abundance