BDP-9066 MRCK Small Molecule Inhibitor

Catalogue number: 160662
Sub-type: Inhibitor
Images:

Contributor

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

Tool details

***FOR RESEARCH USE ONLY**

Name: BDP-9066 MRCK Small Molecule Inhibitor

Alternate name:

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 ? (MRCK? and MRCK?), 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 MRCK? 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 MRCK? 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 9066 is a potent MRCK inhibitor. 6 A small molecular inhibitor of MRCK has recently been described 15, however, there is a need in the art for alternative and/or

improved MRCK inhibitors as a means of blocking cancer cell invasion for instance.
Purpose: Inhibitor
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: This research tool is described in patent application PCT/GB2018/052338

Target details

Target:

Target alternate names:

Target background:

Molecular weight:

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: Antoran et al. 2020. Sci Rep. 10(1):9206. PMID: 32514067.