

BDP5290

## Chemical Properties

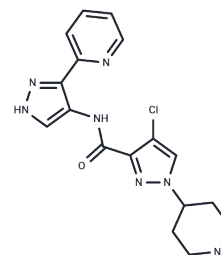
CAS No. : 1817698-21-7

Formula: C<sub>17</sub>H<sub>18</sub>ClN<sub>7</sub>O

Molecular Weight: 371.82

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	BDP5290 is a potent inhibitor of both ROCK and MRCK, with IC <sub>50</sub> values of 5 nM for ROCK1, 50 nM for ROCK2, 10 nM for MRCK $\alpha$ , and 100 nM for MRCK $\beta$ .
Targets(IC <sub>50</sub> )	ROCK
In vitro	BDP5290 as a potent MRCK inhibitor. X-ray crystallography of the MRCK $\beta$ kinase domain in complex with BDP5290 revealed how this ligand interacts with the nucleotide binding pocket. BDP5290 demonstrated marked selectivity for MRCK $\beta$ over ROCK1 or ROCK2 for inhibition of myosin II light chain (MLC) phosphorylation in cells. While BDP5290 was able to block MLC phosphorylation at both cytoplasmic actin stress fibres and peripheral cortical actin bundles, the ROCK selective inhibitor Y27632 primarily reduced MLC phosphorylation on stress fibres. BDP5290 was also more effective at reducing MDA-MB-231 breast cancer cell invasion through Matrigel than Y27632.
Cell Research	MDA MB 231 or SCC12 cells were plated in a 96 well plate and cultured for 24 hours. Cells were then cultured for 24 hours in SCC12 medium with DMSO vehicle, 2 $\mu$ M Y27632 or indicated concentrations of BDP5290 in an IncuCyte ZOOM. Pictures were taken every 3 hours and confluence was measured using the IncuCyte analysis software. AlamarBlue was added to the medium and the cells were cultured for an additional day. Absorbances at 570 nm and at 600 nm were measured to assess cell health.

## Solubility Information

Solubility	DMSO: 12.5 mg/mL (33.62 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	2.6895 mL	13.4474 mL	26.8947 mL
5 mM	0.5379 mL	2.6895 mL	5.3789 mL
10 mM	0.2689 mL	1.3447 mL	2.6895 mL
50 mM	0.0538 mL	0.2689 mL	0.5379 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

#### Reference

Unbekandt M , Croft D R , Crighton D , et al. A novel small-molecule MRCK inhibitor blocks cancer cell invasion[J]. Cell Communication and Signaling, 2014, 12(1):54.

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