BIOLOGICAL ACTIVITY

Description

PQR-530 is a potent, oral and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, exhibiting antitumor activity.

IC₅₀ & Target

<table>
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<th>Target</th>
<th>PI3K</th>
<th>mTORC1</th>
<th>mTORC2</th>
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In Vitro

PQR-530 is a potent, oral and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, exhibiting antitumor activity. PQR-530 inhibits all PI3K isoforms and mTOR complexes C1/2 potently and selectively. PQR-530 inhibits protein kinase B (PKB, pSer473) and ribosomal protein S6 (pS6, pSer235/236) phosphorylation with IC₅₀ values of 0.07 µM in A2058 melanoma cells. PQR-530 shows inhibitory activity against the growth of 44 cancer cell lines with mean GI₅₀ of 426 nM[1].

REFERENCES