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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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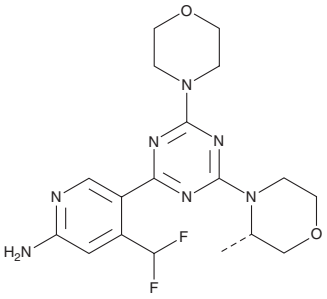
PRODUCT INFORMATION

PQR530

Item No. 28563

CAS Registry No.:	1927857-61-1
Formal Name:	4-(difluoromethyl)-5-[4-[(3S)-3-methyl-4-morpholinyl]-6-(4-morpholinyl)-1,3,5-triazin-2-yl]-2-pyridinamine
MF:	$C_{18}H_{23}F_2N_7O_2$
FW:	407.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 235, 290 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PQR530 is supplied as a crystalline solid. A stock solution may be made by dissolving the PQR530 in the solvent of choice, which should be purged with an inert gas. PQR530 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of PQR530 in these solvents is approximately 10 and 30 mg/ml, respectively.

PQR530 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PQR530 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PQR530 has a solubility of approximately 0.33 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PQR530 is a dual inhibitor of PI3Kα and mammalian target of rapamycin (mTOR; IC_{50}s = 11.1 and 7.4 nM, respectively).[1] It inhibits phosphorylation of Akt and ribosomal S6 kinase in A2058 melanoma cells (IC_{50}s = 62.2 and 61.1 nM, respectively). PQR530 inhibits cell growth in a panel of 66 cancer cell lines (mean GI_{50} = 0.43 µM). *In vivo*, PQR530 (25 mg/kg) reduces tumor growth in an OVCAR-3 ovarian cancer mouse xenograft model. It decreases the number of seizures per week in a *Tsc1*^{GFAP} conditional knockout mouse model of epilepsy.[2]

References

1. Rageot, D., Bohnacker, T., Keles, E., *et al.* (S)-4-(Difluoromethyl)-5-(4-(3-methylmorpholino)-6-morpholino-1,3,5-triazin-2-yl)pyridin-2-amine (PQR530), a potent, orally bioavailable and brain penetrable dual inhibitor of class I PI3K and mTOR kinase. *J. Med. Chem.* **62(13)**, 6241-6261 (2019).
2. Theilmann, W., Gericke, B., Schidlitzki, A., *et al.* Novel brain permeant mTORC1/2 inhibitors are as efficacious as rapamycin or everolimus in mouse models of acquired partial epilepsy and tuberous sclerosis complex. *Neuropharmacology* **180**, 108297 (2020).

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