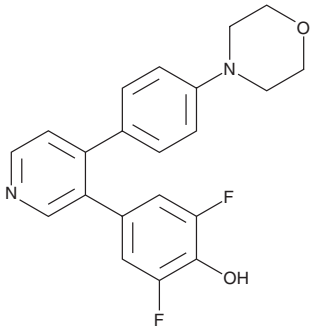
PRODUCT INFORMATION

LJI308

Item No. 19924



CAS Registry No.:	1627709-94-7
Formal Name:	2,6-difluoro-4-[4-[4-(4-morpholinyl) phenyl]-3-pyridinyl]-phenol
MF:	$C_{21}H_{18}F_2N_2O_2$
FW:	368.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 317 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

LJI308 is supplied as a crystalline solid. A stock solution may be made by dissolving the LJI308 in the solvent of choice, which should be purged with an inert gas. LJI308 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LJI308 in these solvents is approximately 10 mg/ml.

LJI308 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LJI308 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. LJI308 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LJI308 is a selective and potent inhibitor of the p90 ribosomal S6 kinase (RSK) family with IC_{50} values ranging from 4 to 13 nM for RSK isoforms 1-3.[1,2] In a panel of 442 kinases, LJI308 is selective for RSK1, RSK3, and RSK4. It also inhibits S6K1, MEK4, and HIP in the low micromolar range but had no effect on other kinases tested.[1] LJI308 also reduces phosphorylation of Y-box-binding protein (YB1) in MDA-MB-231 cells bearing activating mutations in the MAPK signaling pathway (EC_{50} = 0.21 µM) and reduces H358 growth in soft agar and colony forming assays.

References

1. Aronchik, I., Appleton, B.A., Basham, S.E., *et al.* Novel potent and selective inhibitors of p90 ribosomal S6 kinase reveal the heterogeneity of RSK function in MAPK-driven cancers. *Mol. Cancer Res.* **12(5)**, 803-812 (2014).
2. Jain, R., Mathur, M., Lan, J., *et al.* Discovery of potent and selective RSK inhibitors as biological probes. *J. Med. Chem.* **58(17)**, 6766-6783 (2015).

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