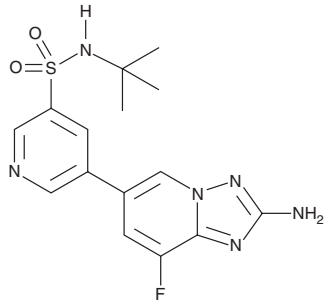
PRODUCT INFORMATION

CZC-24832

Item No. 14307

CAS Registry No.:	1159824-67-5
Formal Name:	5-(2-amino-8-fluoro[1,2,4]triazolo[1,5-a]pyridin-6-yl)-N-(1,1-dimethylethyl)-3-pyridinesulfonamide
MF:	$C_{15}H_{17}FN_6O_2S$
FW:	364.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 254, 292 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

CZC-24832 is supplied as a crystalline solid. A stock solution may be made by dissolving the CZC-24832 in the solvent of choice, which should be purged with an inert gas. CZC-24832 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CZC-24832 in these solvents is approximately 5 mg/ml.

CZC-24832 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CZC-24832 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CZC-24832 has a solubility of approximately 0.2 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CZC-24832 is an inhibitor of PI3Kγ (IC_{50} = 0.025 µM in a binding assay).[1] It is selective for PI3Kγ over PI3Kδ, PI3Kα, and PI3Kβ (IC_{50}s = 7.9, >10, and 1.26 µM, respectively, in binding assays), as well as a panel of 154 lipid and protein kinases.[1,2] CZC-24832 inhibits phosphorylation of Akt induced by complement component 5a (C5a) in RAW 264.7 macrophages (IC_{50} = 1.2 µM) and migration of isolated human granulocytes induced by fMLP (Item No. 21495; IC_{50} = 1 µM).[2] It decreases disease severity in a mouse model of collagen-induced arthritis when administered at doses of 3 and 10 mg/kg.

References

1. Bell, K., Sunose, M., Ellard, K., *et al.* SAR studies around a series of triazolopyridines as potent and selective PI3Kγ inhibitors. *Bioorg. Med. Chem. Lett.* **22(16)**, 5257-5263 (2012).
2. Bergamini, G., Bell, K., Shimamura, S., *et al.* A selective inhibitor reveals PI3Kγ dependence of T(H)17 cell differentiation. *Nat. Chem. Biol.* **8(6)**, 576-582 (2012).

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