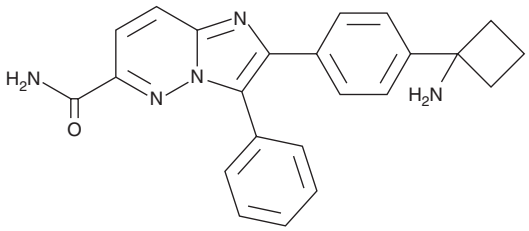
PRODUCT INFORMATION

BAY-1125976

Item No. 28913

CAS Registry No.: 1402608-02-9
Formal Name: 2-[4-(1-aminocyclobutyl) phenyl]-3-phenyl-imidazo[1,2-b] pyridazine-6-carboxamide
MF: $C_{23}H_{21}N_5O$
FW: 383.5
Purity: ≥98%
UV/Vis.: λ_{max}: 241, 379 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

BAY-1125976 is supplied as a crystalline solid. A stock solution may be made by dissolving the BAY-1125976 in the solvent of choice, which should be purged with an inert gas. BAY-1125976 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of BAY-1125976 in these solvents is approximately 3 and 5 mg/ml, respectively.

BAY-1125976 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BAY-1125976 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BAY-1125976 has a solubility of approximately 0.25 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

BAY-1125976 is an allosteric inhibitor of Akt1 and -2 (IC_{50}s = 5.2 and 18 nM, respectively, in a time-resolved FRET assay).[1] It is selective for Akt1 and -2 over Akt3 (IC_{50} = 427 nM in the same assay) but does inhibit the activity of the receptor tyrosine kinases FLT1, -3, -4, and Mer by greater than 50% in a panel of 227 kinases at 1 µM. BAY-1125976 inhibits the proliferation of 23 cancer cell lines (IC_{50}s = 0.02-10 µM) and reduces tumor growth in KPL-4, MCF-7, and patient-derived xenograft (PDX) mouse models when administered at a dose of 50 mg/kg per day.

Reference

1. Politz, O., Siegel, F., Bärfacker, L., *et al.* BAY 1125976, a selective allosteric AKT1/2 inhibitor, exhibits high efficacy on AKT signaling-dependent tumor growth in mouse models. *Int. J. Cancer* **140(2)**, 449-459 (2017).

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