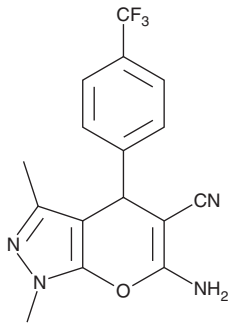
PRODUCT INFORMATION

BQU57

Item No. 16960



CAS Registry No.: 1637739-82-2
Formal Name: 6-amino-1,4-dihydro-1,3-dimethyl-4-[4-(trifluoromethyl) phenyl]-pyrano[2,3-c]pyrazole-5-carbonitrile
MF: $C_{16}H_{13}F_3N_4O$
FW: 334.3
Purity: ≥98%
UV/Vis.: λ_{max}: 254 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

BQU57 is supplied as a crystalline solid. A stock solution may be made by dissolving the BQU57 in the solvent of choice, which should be purged with an inert gas. BQU57 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BQU57 in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BQU57 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BQU57 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BQU57 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BQU57 is an inhibitor of the Ras-like GTPases RalA and RalB.[1] It binds to RalB when RalB is bound to GDP with a K_d value of 7.7 µM, as determined by isothermal titration calorimetry (ITC). BQU57 (10 µM) decreases RalA and RalB activity in H2122 and H358 cells. It also inhibits colony formation of Ral-dependent H2122 and H358 cells (IC_{50}s = 2 and 1.3 µM, respectively) but not Ral-independent H460 and Calu-6 cells. BQU57 (10, 20, and 50 mg/kg per day) decreases RalA and RalB, but not Ras or RhoA, activity and reduces tumor growth in a dose-dependent manner in an H2122 mouse xenograft model.

Reference

1. Yan, C., Liu, D., Li, L., *et al.* Discovery and characterization of small molecules that target the GTPase Ral. *Nature* **515(7527)**, 443-447 (2014).

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