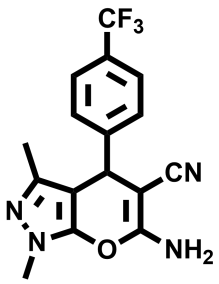
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

GTPase Ral Inhibitor - BQU57

Chemical Name: 6-amino-1,3-dimethyl-4-(4-(trifluoromethyl)phenyl)-1,4-dihydropyrano[2,3-c]pyrazole-5-carbonitrile



Molecular Weight:	334.30
Formula:	$C_{16}H_{13}F_3N_4O$
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

BQU57 is a potent and selective GTPase Ral Inhibitor. It showed selectivity for Ral relative to the GTPases Ras and RhoA and inhibited tumour xenograft growth to a similar extent to the depletion of Ral using RNA interference. It inhibited the binding of Ral to its effector RALBP1, as well as inhibiting Ral-mediated cell spreading of murine embryonic fibroblasts and anchorage-independent growth of human cancer cell lines. The binding of the BQU57 to RalB was confirmed by isothermal titration calorimetry, surface plasmon resonance and ^{1}H-^{15}N transverse relaxation-optimized spectroscopy (TROSY) NMR spectroscopy. The Ras-like GTPases RalA and RalB are important drivers of tumour growth and metastasis. BQU57 that blocks Ral function would be valuable as research tools and for cancer therapeutics.

How to Use:

In vitro: BUQ57 was used at 5-15 μM final concentration in various in vitro assays.

In vivo: BUQ57 was dosed to mice bearing human lung cancer cell line H2122 xenografts by intraperitoneal injection at 50 mg/Kg once per day.

Reference:

1. Yan C, et al. Discovery and characterization of small molecules that target the GTPase Ral. (2014) Nature. 515(7527):443-7.