

Data Sheet

WWW. UREIKO-CHEM. COM

Global Supplier of Chemical Probes, Inhibitors & Agonists

Biological Activity

GDC-0077 (Inavolisib, RG-6114) is a potent, highly isoform selective inhibitor of PI3Ka, with IC50 of 0.038 nM. GDC-0077 displays >300-fold selective over β , δ , and γ isoforms, as well as PIKK-family proteins such as mTOR, DNA-PK and VPS34.

GDC-0077 induces depletion of mutant PI3K alpha protein resulting in reduction of PI3K pathway biomarkers such as pAkt and pPRAS40, inhibition of cell proliferation and increased apoptosis in human PIK3CA mutant breast cancer cell lines to a greater extent when compared to PIK3CA wild-type cells.

GDC-0077 causes tumor regressions in cell-culture-derived and patient derived PIK3CA mutant breast cancer xenograft models.

References

1. Kyle Edgar, et al. Abstract 156: Preclinical characterization of GDC-0077, a specific PI3K alpha inhibitor in early clin

Note: All products of Ureiko are only used for scientific research or drug certificate declaration, we do not provide products and services for any personal use!