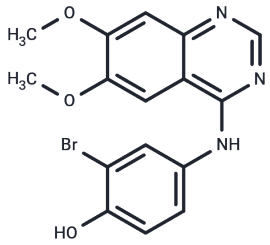
# Data Sheet (Cat.No.T1985)

TargetMol

WHI-P154

## Chemical Properties



CAS No. : 211555-04-3

Formula: C16H14BrN3O3

Molecular Weight: 376.2

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

## Biological Description

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|---|---|
| Description | WHI-P154 (Jak3 inhibitor ii) is a potent JAK3 inhibitor. |
| Targets(IC50) | Apoptosis,EGFR,JAK,Src,VEGFR |
| In vitro | The in vitro anti-glioblastoma activity of WHI-P154 was amplified > 200-fold and was selective by binding to recombinant human-derived epidermal growth factor. WHI-P154 inhibited STAT1 activation, iNOS expression and NO production in macrophages in vitro. WHI-P154 was shown to inhibit other common kinases, including EGFR, Src, Abl, VEGFR, MAPK and PI3-K, and induced apoptosis in human glioblastoma cell lines.WHI-P154 inhibited the adhesion and migration of glioblastoma cells in the ECM. WHI-P154 was significantly cytotoxic to human malignant glioma cell lines U373 and U87, causing apoptotic cell death at micromolar concentrations. |
| In vivo | The in vitro anti-glioblastoma activity of WHI-P154 was amplified > 200-fold and was selective by binding to recombinant human-derived epidermal growth factor. WHI-P154 inhibited STAT1 activation, iNOS expression and NO production in macrophages in vitro. WHI-P154 was shown to inhibit other common kinases, including EGFR, Src, Abl, VEGFR, MAPK and PI3-K, and induced apoptosis in human glioblastoma cell lines.WHI-P154 inhibited the adhesion and migration of glioblastoma cells in the ECM. WHI-P154 was significantly cytotoxic to human malignant glioma cell lines U373 and U87, causing apoptotic cell death at micromolar concentrations. |
| Kinase Assay | Kinase assays: WHI-P154 is tested in kinase assays. The panel of kinases is selected to broadly cover the kinome, providing a good approximation of specificity. For all kinases, recombinant rat (IKKβ) or human (all others), full-length or GST-kinase domain fusion proteins, are used. WHI-P154 is inactive (concentration that inhibits response by 50% [IC50] > 30 μM) for the following kinases: AKT, AuroraA, cdk2, cdk6, CHK1, FGFR1, GSK3b, IKKb, IKKi, INSR, MAPK1, MAPKAP-K2, MASK, MET, PAK4, PDK1, PKCb, ROCK1, TaoK3, TrkA. |
| Cell Research | Cells are seeded into a 96-well plate at a density of 2.5&times104 cells/well and incubated for 36 h at 37 ℃ before drug exposure. On the day of treatment, culture medium is carefully aspirated from the wells and replaced with fresh medium containing the quinazoline compounds WHI-P154 at concentrations ranging from 0.1 μM to 250 μM. Triplicate wells are used for each treatment. The cells are incubated with the compound for 24hours to 36hours at 37 ℃ in a humidified 5% CO2 atmosphere. To each |

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| well, 10 μL of MTT (final concentration, 0.5 mg/mL) is added, and the plate are incubated at 37 ℃ for 4 h. Than solubilized overnight at 37 ℃ in a solution containing 10% SDS in 0.01 M HCL. The absorbance of each well is measured in a microplate reader at 570 nm.(Only for Reference) |

## Solubility Information

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| Solubility | DMSO: 50 mg/mL (132.91 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.6582 mL | 13.2908 mL | 26.5816 mL |
| 5 mM | 0.5316 mL | 2.6582 mL | 5.3163 mL |
| 10 mM | 0.2658 mL | 1.3291 mL | 2.6582 mL |
| 50 mM | 0.0532 mL | 0.2658 mL | 0.5316 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Changelian PS,Blood, 2008, 111(4), 2155-2157.
Narla RK, et al. Clin Cancer Res, 1998, 4(10), 2463-2471.
Narla RK, et al. Clin Cancer Res, 1998, 4(6), 1405-1414.