

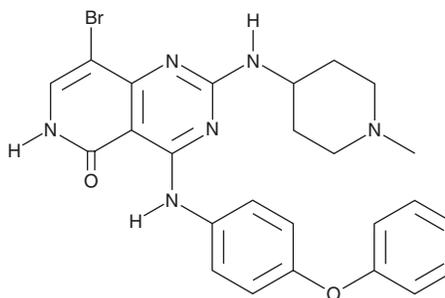
# PRODUCT INFORMATION



## G-749

Item No. 22139

**CAS Registry No.:** 1457983-28-6  
**Formal Name:** 8-bromo-2-[(1-methyl-4-piperidinyl)amino]-4-[(4-phenoxyphenyl)amino]-pyrido[4,3-d]pyrimidin-5(6H)-one  
**MF:** C<sub>25</sub>H<sub>25</sub>BrN<sub>6</sub>O<sub>2</sub>  
**FW:** 521.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 261 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

G-749 is supplied as a crystalline solid. A stock solution may be made by dissolving the G-749 in the solvent of choice, which should be purged with an inert gas. G-749 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of G-749 in these solvents is approximately 3 and 16 mg/ml, respectively.

G-749 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, G-749 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. G-749 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

G-749 is an inhibitor of Fms-like tyrosine receptor kinase 3 (FLT3) with IC<sub>50</sub> values ranging from 2.1 to 38.1 nM for wild-type and mutant (constitutively active) FLT3s in Ba/F3 cells expressing recombinant receptors.<sup>1</sup> It also inhibits growth of human leukemia cell lines that express FLT3-ITD mutant kinase (IC<sub>50</sub>s = 3.5 and 7.5 nM for MV4-11 and Molm-14 cells, respectively) but has no effect on cells that lack FLT3 expression or that express wild-type FLT3. G-749 reduces tumor growth and increases survival in a dose-dependent manner in MV4-11 and Molm-14 murine leukemia xenograft models. It reduces growth of bone marrow blasts derived from acute myeloid leukemia patients, showing more potent inhibition of blasts expressing the FLT3-ITD mutant receptor.

### Reference

1. Lee, H.K., Kim, H.W., Lee, I.Y., *et al.* G-749, a novel FLT3 kinase inhibitor, can overcome drug resistance for the treatment of acute myeloid leukemia. *Blood* **123**(14), 2209-2219 (2014).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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