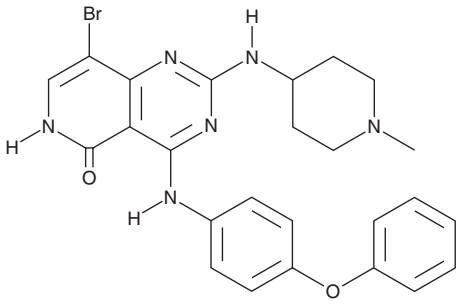
PRODUCT INFORMATION

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:	$C_{25}H_{25}BrN_6O_2$
FW:	521.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 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_{50} values ranging from 2.1 to 38.1 nM for wild-type and mutant (constitutively active) FLT3s in Ba/F3 cells expressing recombinant receptors.[1] It also inhibits growth of human leukemia cell lines that express FLT3-ITD mutant kinase (IC_{50}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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM