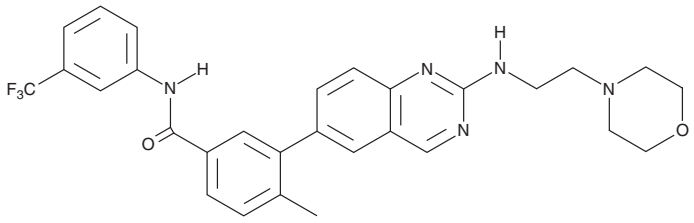
PRODUCT INFORMATION

AMG 47a

Item No. 25339

CAS Registry No.: 882663-88-9
Formal Name: 4-methyl-3-[2-[[2-(4-morpholinyl) ethyl]amino]-6-quinazolinyl]-N-[3-(trifluoromethyl)phenyl]-benzamide
MF: $C_{29}H_{28}F_3N_5O_2$
FW: 535.6
Purity: ≥98%
UV/Vis.: λ_{max}: 253, 372 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

AMG 47a is supplied as a crystalline solid. A stock solution may be made by dissolving the AMG 47a in the solvent of choice, which should be purged with an inert gas. AMG 47a is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AMG 47a in these solvents is approximately 25 mg/ml.

AMG 47a is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AMG 47a should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AMG 47a has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AMG 47a is an orally bioavailable inhibitor of Lck, VEGF receptor 2 (VEGFR2/KDR), p38α, and JAK3 (IC_{50}s = 0.2, 1, 3, and 72 nM, respectively).[1] It is selective for these kinases over a panel of additional kinases including SYK, JNK1, and PKAβ (IC_{50}s = 292 to >25,000 nM) but does inhibit Src (IC_{50} = 2 nM). It decreases IL-2, but not TNF-α, production induced by an anti-CD3 antibody in 50% whole blood and inhibits T cell proliferation *in vitro* in a mixed lymphocyte reaction assay (IC_{50} = 30 nM). AMG 47a (10, 30, and 100 mg/kg) has anti-inflammatory activity, decreasing production of IL-2 induced by an anti-CD3 antibody in mice. It also decreases levels of mutant oncogene $KRAS^{G12V}$ in HeLa cells in a reporter assay when used at a concentration of 1 µM.[2]

References

1. DiMauro, E.F., Newcomb, J., Nunes, J.J., *et al.* Discovery of aminoquinazolines as potent, orally bioavailable inhibitors of Lck: Synthesis, SAR, and *in vivo* anti-inflammatory activity. *J. Med. Chem.* **49(19)**, 5671-5686 (2006).
2. Carver, J., Dexheimer, T.S., Hsu, D., *et al.* A high-throughput assay for small molecule destabilizers of the KRAS oncoprotein. *PLoS One* **9(8)**, e103836 (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