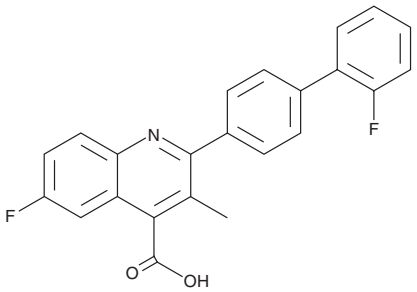
PRODUCT INFORMATION

Brequinar

Item No. 24445

CAS Registry No.:	96187-53-0
Formal Name:	6-fluoro-2-(2'-fluoro[1,1'-biphenyl]-4-yl)-3-methyl-4-quinolinecarboxylic acid
Synonym:	NSC 368390
MF:	$C_{23}H_{15}F_2NO_2$
FW:	375.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 252, 324 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

Brequinar is supplied as a crystalline solid. A stock solution may be made by dissolving the brequinar in the solvent of choice. Brequinar is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of brequinar in these solvents is approximately 0.2, 5, and 2 mg/ml, respectively.

Brequinar is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, brequinar should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Brequinar has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Brequinar is an inhibitor of dihydroorotate dehydrogenase (DHODH; IC_{50} = ~20 nM), the enzyme that converts dihydroorotate to orotate during *de novo* pyrimidine synthesis.[1] Brequinar is selective for DHODH over a panel of greater than 400 kinases at 100 nM. It induces differentiation of ER-HoxA9, U937, and THP-1 cells *in vitro* (ED_{50}s = ~1 µM) and of tumor cells in a THP-1 mouse xenograft model when administered at doses of 15 mg/kg three times daily and 5 mg/kg per day. It also reduces tumor growth in THP-1, HL-60, and MOLM-13 mouse xenograft models. In a retroviral transduction mouse model of HoxA9 + Meis1 acute myeloid leukemia (AML), brequinar induces differentiation of bone marrow leukemic cells and increases survival.

Reference

1. Sykes, D.B., Kfoury, Y.S., Mercier, F.E., *et al.* Inhibition of dihydroorotate dehydrogenase overcomes differentiation blockade in acute myeloid leukemia. *Cell.* **167(1)**, 171-186 (2016).

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