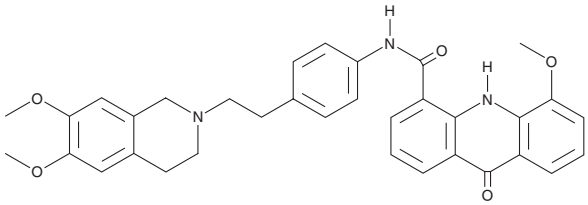
PRODUCT INFORMATION

Elacridar

Item No. 18128

CAS Registry No.:	143664-11-3
Formal Name:	N-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]-9,10-dihydro-5-methoxy-9-oxo-4-acridinecarboxamide
Synonyms:	GF120918, GG918, GW0918
MF:	$C_{34}H_{33}N_3O_5$
FW:	563.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 258, 406 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

Elacridar is supplied as a crystalline solid. A stock solution may be made by dissolving the elacridar in the solvent of choice, which should be purged with an inert gas. Elacridar is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of elacridar in these solvents is approximately 1 and 5 mg/ml, respectively.

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

Elacridar is a dual inhibitor of P-glycoprotein (MRP-1, ABCB1) and breast cancer resistance protein (BCRP, ABCG2). It maximally inhibits P-glycoprotein in isolated human peripheral blood leukocytes at 200 nM.[1] Elacridar blocks the activity of P-glycoprotein at the blood-brain barrier, altering the pharmacokinetics of certain drugs in the brain.[2] This compound is effective against both human BCRP and the mouse homolog, Bcrp1.[3,4]

References

1. Witherspoon, S.M., Emerson, D.L., Kerr, B.M., *et al.* Flow cytometric assay of modulation of P-glycoprotein function in whole blood by the multidrug resistance inhibitor GG918. *Clin. Cancer Res.* **2(1)**, 7-12 (1996).
2. Letrent, S.P., Pollack, G.M., Brouwer, K.R., *et al.* Effects of a potent and specific P-glycoprotein inhibitor on the blood-brain barrier distribution and antinociceptive effect of morphine in the rat. *Drug Metab. Dispos.* **27(7)**, 827-834 (1999).
3. de Bruin, M., Miyake, K., Litman, T., *et al.* Reversal of resistance by GF120918 in cell lines expressing the ABC halftransporter, MXR. *Cancer Lett.* **146(2)**, 117-126 (1999).
4. Jonker, J.W., Smit, J.W., Brinkhuis, R.F., *et al.* Role of breast cancer resistance protein in the bioavailability and fetal penetration of topotecan. *J. Natl. Cancer Inst.* **92(20)**, 1651-1656 (2000).

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