

Elacridar

Catalog No: tcsc1112



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg



Specifications

CAS No:

143664-11-3

Formula:

$C_{34}H_{33}N_3O_5$

Pathway:

Membrane Transporter/Ion Channel;Membrane Transporter/Ion Channel

Target:

P-glycoprotein;BCRP

Purity / Grade:

>98%

Solubility:

DMSO : 12.5 mg/mL (22.18 mM; Need ultrasonic)

Alternative Names:

GF120918;GW0918;GG918;GW120918

Observed Molecular Weight:

563.64

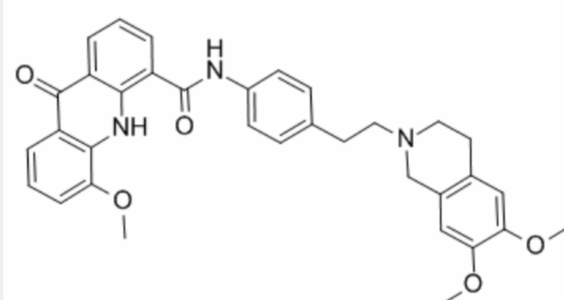
Product Description

Elacridar is a potent **P-glycoprotein (Pgp)** and **BCRP** inhibitor.

IC₅₀ & Target: P-glycoprotein (Pgp), BCRP^[1]

In Vitro: Elacridar inhibits P-glycoprotein (P-gp) labeling by [³H]azidopine with a IC₅₀ of 0.16 μM^[2]. In Caki-1 and ACHN cells, elacridar (2.5 μM) significantly inhibits the cell growth. The P-glycoprotein activity is found to be inhibited by elacridar. The combination of elacridar and sunitinib lead to a significant reduction in ABC Sub-family B Member 2 (ABCG2) expression in 786-O cells^[3].

In Vivo: Oral co-administration of elacridar (100 mg/kg, p.o.) and crizotinib increases the plasma and brain concentrations and brain-to-plasma ratios of crizotinib in wild-type mice, equaling the levels in Abcb1a/1b; Abcg2^{-/-} mice^[1]. In friend leukemia virus stain B mice, the brain-to-plasma partition coefficient (K_p, brain) of elacridar is 0.82, 0.43, and 4.31 after intravenous (2.5 mg/kg), intraperitoneal (100 mg/kg), and oral (100 mg/kg) treatment, respectively^[4]. In Mrp4(-/-) mice, elacridar fully inhibits P-gp mediated transport of topotecan, without significant effects on Bcrp1-mediated transport^[5].



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