

Product Data Sheet

Product Name: Elacridar hydrochloride

Cat. No.: GC17163

Chemical Properties

Cas. No. 143851-98-3

Chemical Name N-[4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)ethyl]phenyl]-5-methoxy-9-oxo-10H-acridine-4-carboxamide;hydrochloride

SMILES COC1=CC=CC2=C1NC3=C(C2=O)C=CC=C3C(=O)NC4=CC=C(C=C4)CCN5CCC6=CC(=C(C=C6C5)OC)OC.Cl

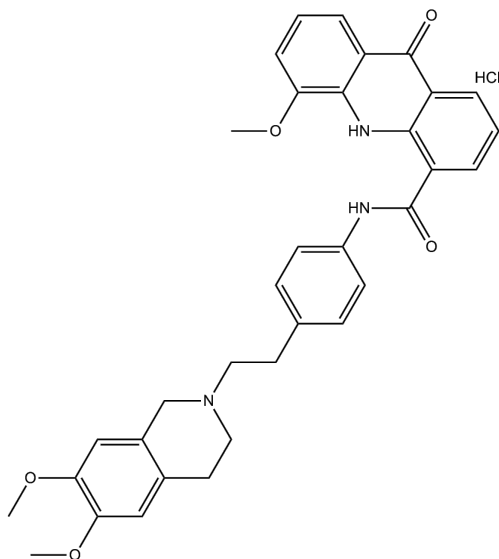
Formula $C_{34}H_{34}ClN_3O_5$ M.Wt 600.1

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Elacridar is an inhibitor of breast cancer resistance protein (BCRP) and P-glycoprotein (P-GP) that has been used to improve the brain distribution of drugs. Recent studies have revealed that elacridar

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could probably be the substrate of these multidrug transporters (MDTs) [2].

Recent studies had shown that elacridar and taxanes co-administrated orally could increase plasma concentrations of docetaxel (four-fold) and paclitaxel (10.7-fold) in mice. Co-administration of elacridar with taxanes and ritonavir could lead to a further increase in plasma concentrations of docetaxel (37.4-fold) and paclitaxel (31.9-fold). Besides, co-administration of elacridar with taxanes and ritonavir could potentially increase taxanes concentration in the brain, but not increase the brain penetration of the taxanes [1].

Elacridar co-administrated orally with crizotinib has shown to increase the crizotinib concentrations in plasma and brain as well as increase the brain-to-plasma ratios of crizotinib, indicating that co-administration of crizotinib with elacridar could increase oral availability of crizotinib and delivery of crizotinib to the brain [2].

Apart from these, the uptake of elacridar at nanomolar doses in mouse brain was proved to be limited by Pgp- and Bcrp1-induced efflux at the blood - brain barrier. In vitro, Elacridar was indicated a low intracellular accumulation at nanomolar concentrations and a high intracellular accumulation at micromolar concentrations in Pgp- and Bcrp1-overexpressing cell lines [3].

References:

- 1.Hendrikx JJ1, Lagas JS2, Wagenaar E3, Rosing H2, Schellens JH4, Beijnen JH5, Schinkel AH3. Oral co-administration of elacridar and ritonavir enhances plasma levels of oral paclitaxel and docetaxel without affecting relative brain accumulation. *Br J Cancer*. 2014 May 27;110(11):2669-76. doi: 10.1038/bjc.2014.222. Epub 2014 Apr 29.
- 2.Chuan Tang S1, Nguyen LN, Sparidans RW, Wagenaar E, Beijnen JH, Schinkel AH.Increased oral availability and brain accumulation of the ALK inhibitor crizotinib by coadministration of the P-glycoprotein (ABCB1) and breast cancer resistance protein (ABCG2) inhibitor elacridar. *Int J Cancer*. 2014 Mar 15;134(6):1484-94. doi: 10.1002/ijc.28475. Epub 2013 Oct 3.
- 3.Bankstahl JP1, Bankstahl M, Römermann K, Wanek T, Stanek J, Windhorst AD, Fedrowitz M, Erker T, Müller M, Löscher W, Langer O, Kuntner C.Tariquidar and elacridar are dose-dependently transported by P-glycoprotein and Bcrp at the blood-brain barrier: a small-animal positron emission tomography and in vitro study. *Drug Metab Dispos*. 2013 Apr;41(4):754-62. doi: 10.1124/dmd.112.049148. Epub 2013 Jan 10.

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