产品名称：依克立达盐酸盐
产品别名：**Elacridar hydrochloride**

<table>
<tr><td colspan="2">生物活性：</td></tr>
<tr><td>Description</td><td>Elacridar hydrochloride (GF120918A) is a P-glycoprotein inhibitor, and has been used both in vitro and in vivo as a tool inhibitor of P-glycoprotein (Pgp) to investigate the role of transporters in the disposition of various test molecules. IC50 value: Target: P-glycoprotein In vitro, Elacridar hydrochloride demonstrated high plasma protein binding across species, although a definitive protein binding evaluation was precluded by poor recovery, particularly in buffer and in mouse, rat, and dog plasma. Elacridar hydrochloride did not demonstrate potent inhibition of several human cytochrome P450 enzymes evaluated in vitro, with IC(50) values well above concentrations anticipated to be achieved in vivo. Together, these data confirm the utility of Elacridar hydrochloride as a tool P-glycoprotein inhibitor in preclinical species and offer additional guidance on preclinical dose regimens likely to produce P-glycoprotein-mediated effects.</td></tr>
<tr><td>Solvent&Solubility</td><td>In Vitro:
DMSO : 5 mg/mL (8.33 mM; Need ultrasonic)
H2O : < 0.1 mg/mL (insoluble)
<table>
<tr><td rowspan="4">Preparing Stock Solutions</td><td>Solvent / Mass / Concentration</td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr>
<tr><td>1 mM</td><td>1.6664 mL</td><td>8.3319 mL</td><td>16.6639 mL</td></tr>
<tr><td>5 mM</td><td>0.3333 mL</td><td>1.6664 mL</td><td>3.3328 mL</td></tr>
<tr><td>10 mM</td><td>---</td><td>---</td><td>---</td></tr>
</table>
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。
储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。
In Vivo:
1.Elacridar hydrochloride is dissolved in deionized water[6].</td></tr>
<tr><td>References</td><td>[1]. Sane R, Mittapalli RK, Elmquist WF. Development and evaluation of a novel microemulsion formulation of elacridar to improve its bioavailability. J Pharm Sci. 2013 Jan 18.
[2]. Hong Xiao, et al. Polymeric nanovesicle as simultaneous delivery platform with Doxorubicin conjugation and Elacridar encapsulation for enhanced treatment of multidrug-resistant breast cancer. J. Mater. Chem. B. 2018 Oct.
[3]. Bankstahl JP, Bankstahl M, Romermann K, et al. Tariquidar and Elacridar Are Dose-Dependently Transported by P-Glycoprotein and Bcrp at the Blood-Brain Barrier: A Small-Animal PET and In-Vitro Study. Drug Metab Dispos. 2013 Jan 10.
[4]. Sane R, Agarwal S, Elmquist WF. Brain distribution and bioavailability of elacridar after different routes of administration in the mouse. Drug Metab Dispos. 2012 Aug;40(8):1612-9.
[5]. Tang SC, Lagas JS, Lankheet NA, et al. Brain accumulation of sunitinib is restricted by P-glycoprotein (ABCB1) and breast cancer resistance protein (ABCG2) and can be enhanced by oral elacridar and sunitinib coadministration. Int J Cancer. 2012 Jan 1;130(1):223-33. doi: 10.1002/ijc.26000.
[6]. Kuppens IE, Witteveen EO, Jewell RC, et al. A phase I, randomized, open-label, parallel-cohort, dose-finding study of elacridar (GF120918) and oral topotecan in cancer patients. Clin Cancer Res. 2007 Jun 1;13(11):3276-85.</td></tr>
</table>