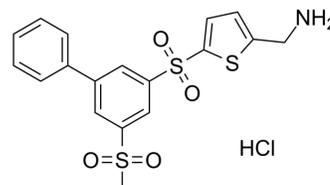


## CCT365623 hydrochloride

<b>Cat. No.:</b>	HY-124674A
<b>CAS No.:</b>	2126136-98-7
<b>Molecular Formula:</b>	C <sub>18</sub> H <sub>18</sub> ClNO <sub>4</sub> S <sub>3</sub>
<b>Molecular Weight:</b>	443.99
<b>Target:</b>	Monoamine Oxidase; EGFR; Akt; TGF-beta/Smad
<b>Pathway:</b>	Neuronal Signaling; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; PI3K/Akt/mTOR; Stem Cell/Wnt; TGF-beta/Smad
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 250 mg/mL (563.08 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	2.2523 mL	11.2615 mL	22.5230 mL
		5 mM	0.4505 mL	2.2523 mL	4.5046 mL
		10 mM	0.2252 mL	1.1262 mL	2.2523 mL
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	CCT365623 hydrochloride is an orally active lysyl oxidase (LOX) inhibitor, with an IC <sub>50</sub> of 0.89 μM. CCT365623 hydrochloride suppresses EGFR (pY1068) and AKT phosphorylation driven by EGF. CCT365623 hydrochloride is extremely well tolerated, and has good pharmacokinetic properties <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.89 μM (LOX) <sup>[1]</sup> .
<b>In Vitro</b>	CCT365623 inhibits LOX at ~5 μM in the biosensor system <sup>[1]</sup> . CCT365623 (0-40 μM) concentration-dependently decreases the protein levels of surface EGFR <sup>[1]</sup> .

CCT365623 (5  $\mu$ M) decreases the protein levels of pY1068 EGFR, pAKT and MATN2, and increases the protein levels of pSMAD2<sup>[1]</sup>.

CCT365623 disrupts HTRA1 multimerization, activates TGF $\beta$ 1 signalling, suppresses MATN2 expression, suppresses EGFR surface retention, and suppresses EGFR signalling<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis<sup>[1]</sup>

Cell Line:	MDA-MB-231 cells.
Concentration:	0-40 $\mu$ M.
Incubation Time:	24 hours
Result:	Significantly decreased the protein levels of surface EGFR, pY1068 EGFR, pAKT and MATN2. Increased the protein levels of pSMAD2.

#### In Vivo

CCT365623 displays good stability in mouse liver microsomes and does not inhibit the cardiac potassium channel hERG<sup>[1]</sup>. CCT365623 (70 mg/kg, oral gavage per day) significantly delays the development of the primary tumors and also suppresses metastatic lung burden in the animals. CCT365623 disrupts EGFR cell surface retention and delays the growth of primary and metastatic tumor cell<sup>[1]</sup>.

CCT365623 exhibits a  $T_{1/2PO}$  of 0.6 h and F% (oral bioavailability) of 45%<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mouse model of spontaneous breast cancer that metastasizes to the lungs (70 days old) <sup>[1]</sup> .
Dosage:	70 mg/kg.
Administration:	Oral gavage per day for about 3 weeks.
Result:	Significantly reduced MATN2 protein levels in both the primary and metastatic lung tumors and this is accompanied by the loss of EGFR from the plasma membranes of the cells in both the primary and metastatic tumors.

## REFERENCES

[1]. Tang H, et al. Author Correction: Lysyl oxidase drives tumour progression by trapping EGF receptors at the cell surface. Nat Commun. 2019 Jul 18;10(1):3151.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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