



## **Milciclib**

**Catalog No: tcsc0579** 

Available Sizes
Size: 5mg
Size: 10mg
Size: 50mg
Size: 100mg
Specifications
<b>CAS No:</b> 802539-81-7
Formula: C <sub>25</sub> H <sub>32</sub> N <sub>8</sub> O
Pathway: Cell Cycle/DNA Damage;Autophagy
<b>Target:</b> CDK;Autophagy
Purity / Grade: >98%
Solubility: 10 mM in DMSO
Alternative Names: PHA-848125
Observed Molecular Weight: 460.57



## **Product Description**

Milciclib (PHA-848125) is a potent, dual inhibitor of **CDK** and **Tropomyosin receptor kinase (TRK)**, with **IC**<sub>50</sub>s of 45, 150, 160, 363, 398 nM and 53 nM for cyclin A/CDK2, cyclin H/CDK7, cyclin D1/CDK4, cyclin E/CDK2, cyclin B/CDK1 and TRKA, respectively.

IC50 & Target: IC50: 45 nM (cyclin A/CDK2), 150 nM (cyclin H/CDK7), 160 nM (cyclin D1/CDK4), 363 nM (cyclin E/CDK2), 398 nM (cyclin B/CDK1)<sup>[1]</sup>, 53 nM (TRKA)<sup>[2]</sup>

In Vitro: Milciclib (PHA-848125; 0.156 or 0.625  $\mu$ M) up-regulates the expression of PDCD4, DDIT4, SESN2/sestrin 2 and DEPDC6/DEPTOR in GL-Mel cells<sup>[1]</sup>. Milciclib (PHA-848125) potently inhibits the kinase activity of CDK2/cyclin A complex and of TRKA in a biochemical assay, with IC<sub>50</sub>s of 45 and 53 nM, respectively. Milciclib induces a clear accumulation of cells in G1 phase. Milciclib strongly inhibits NGF-induced phosphorylation of TRKA in a dose-dependent manner<sup>[2]</sup>.

*In Vivo:* Milciclib (PHA-848125; 5, 10, and 15 mg/kg, p.o.) inhibits the growth of tumor in 7,12-dimethylbenz(a) anthracene (DMBA)-induced rat mammary carcinoma model. Milciclib has significant antitumor activity in various human xenografts and carcinogen-induced tumors as well as in disseminated primary leukemia models, with plasma concentrations in rodents in the same range as those found active in inhibiting cancer cell proliferation<sup>[2]</sup>. Milciclib (PHA-848125; 40 mg/kg) induces a significant tumor growth inhibition in K-Ras<sup>G12D</sup>LA2 mice, and this is accompanied by a reduction in the cell membrane turnover<sup>[3]</sup>.

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!