

Milciclib Catalog No: tcsc0579

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

802539-81-7

Formula:

 $C_{25}H_{32}N_8O$

Pathway:

Cell Cycle/DNA Damage;Autophagy

Target:

CDK;Autophagy

Purity / Grade:

>98%

Solubility: 10 mM in DMSO

Alternative Names:

PHA-848125

Observed Molecular Weight:

460.57

Copyright 2021 Taiclone Biotech Corp.



Product Description

Milciclib (PHA-848125) is a potent, dual inhibitor of CDK and Tropomyosin receptor kinase (TRK), with IC₅₀s 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)^[1], 53 nM (TRKA)^[2]

In Vitro: Milciclib (PHA-848125; 0.156 or 0.625 µM) up-regulates the expression of PDCD4, DDIT4, SESN2/sestrin 2 and DEPDC6/DEPTOR in GL-Mel cells^[1]. Milciclib (PHA-848125) potently inhibits the kinase activity of CDK2/cyclin A complex and of TRKA in a biochemical assay, with IC₅₀s 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^[2].

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 carcinogeninduced 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^[2]. Milciclib (PHA-848125; 40 mg/kg) induces a significant tumor growth inhibition in K-Ras^{G12D}LA2 mice, and this is accompanied by a reduction in the cell membrane turnover^[3].



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

Copyright 2021 Taiclone Biotech Corp.