

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

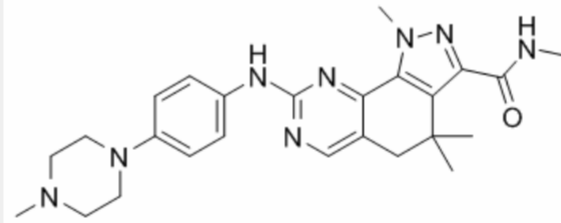
Product Description

Milciclib (PHA-848125) is a potent, dual inhibitor of **CDK** and **Tropomyosin receptor kinase (TRK)**, with **IC₅₀**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)^[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 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^[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].



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