BMS-265246

Cat. No.: HY-15275

CAS No.: 582315-72-8

Molecular Formula: $C_{18}H_{17}F_2N_3O_2$

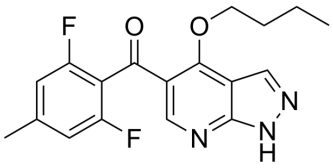
Molecular Weight: 345.34

Target: CDK; Angiotensin-converting Enzyme (ACE)

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (36.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8957 mL	14.4785 mL	28.9570 mL
	5 mM	0.5791 mL	2.8957 mL	5.7914 mL
	10 mM	0.2896 mL	1.4478 mL	2.8957 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (6.02 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-265246 is a potent and selective cyclin-dependent kinase CDK1 and CDK2 inhibitor, with IC_{50} values of 6 and 9 nM, respectively. BMS-265246 inhibits CHI3L1 (chitinase 3-like-1) stimulation of ACE2 (angiotensin converting enzyme 2) and SPP (viral spike protein priming proteases). BMS-265246 can be used for ovarian cancer and COVID-19 research[1][2][3].

IC_{50} & Target

CDK1/cycB	CDK2/Cyc E	CDK4/cycD
6 nM (IC_{50})	9 nM (IC_{50})	230 nM (IC_{50})

In Vitro

BMS-265246 binds at the ATP site and shows cytotoxic activity in ovarian cancer cell (A2780), with an IC_{50} of 0.76 μM[1].
?BMS-265246 (0-10 μM) can dose dependently increase iTreg cell differentiation[2].
?BMS-265246 (9 nM, 24 h) inhibits the ability of CHI3L1 to stimulate epithelial cells ACE2 and SPP[3].

?BMS-265246 (1 μM, 1 h) prevents E2 induction of EGF3, AREG and CXCL12 in MCF7 cells[4].
?BMS-265246 is able to cooperate with Tamoxifen to induce apoptosis in MCF7 cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[3]

Cell Line:	Calu-3 cells
Concentration:	9 nM
Incubation Time:	24 hours
Result:	Abrogated the ability of CHI3L1 (chitinase 3-like-1) to stimulate epithelial cells ACE2 (angiotensin converting enzyme 2) and SPP (viral spike protein priming proteases).

REFERENCES

[1]. Gu H, et al. Inhibition of CDK2 promotes inducible regulatory T-cell differentiation through TGFβ-Smad3 signaling pathway. Cell Immunol. 2014 Jul;290(1):138-44.

[2]. Kamle S, et al. Chitinase 3-like-1 is a therapeutic target that mediates the effects of aging in COVID-19. JCI Insight. 2021 Nov 8;6(21):e148749.

[3]. Scott GK, et al. ERpS294 is a biomarker of ligand or mutational ERα activation and a breast cancer target for CDK2 inhibition. Oncotarget. 2016 Oct 18;8(48):83432-83445.

[4]. Misra RN, Xiao H, Rawlins DB et al. 1H-Pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases: highly potent 2,6-Difluorophenacyl analogues. Bioorg Med Chem Lett. 2003 Jul 21;13(14):2405-8.

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