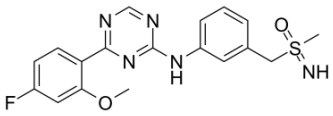
Atuveciclib Racemate

Cat. No.:	HY-12871
CAS No.:	1414943-88-6
Molecular Formula:	$C_{18}H_{18}FN_5O_2S$
Molecular Weight:	387.43
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (258.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5811 mL	12.9056 mL	25.8111 mL
	5 mM	0.5162 mL	2.5811 mL	5.1622 mL
	10 mM	0.2581 mL	1.2906 mL	2.5811 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: ≥ 2.5 mg/mL (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Atuveciclib Racemate (BAY-1143572 Racemate) is the racemate mixture of Atuveciclib. Atuveciclib is a potent and highly selective, oral P-TEFb/CDK9 inhibitor which supresses CDK9/CycT1 with an IC_{50} of 13 nM.

IC_{50} & Target

CDK9

In Vitro

Atuveciclib (BAY-1143572) inhibits the proliferation of 7 MLL-rearrangements positive and negative AML cell lines with a median IC_{50} of 385 nM (range 230-1100 nM) and induces apoptosis[1]. Atuveciclib (BAY-1143572) has potent and highly

	selective PTEFb-kinase inhibitory activity in the low nanomolar range against PTEFb/CDK9 and an at least 50-fold selectivity against other CDKs. Atuveciclib (BAY-1143572) shows a favorable selectivity against a panel of non-CDK kinases. It shows broad antiproliferative activity against a panel of tumor cell lines with sub-micromolar IC_{50} values. The concentration-dependent inhibition of the phosphorylation of the RNA polymerase II and downstream reduction of MYC mRNA and protein levels is observed[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Atuveciclib (BAY-1143572) exhibits single agent efficacy at tolerated doses in 4 out of 5 AML xenograft tumor models in mice and in 2 out of 2 AML xenograft tumor models in rats upon once daily oral administration. Partial or even complete remissions could be achieved in several models[1].The inhibition of MYC mRNA is also observed in blood cells of Atuveciclib (BAY-1143572)-treated rats indicating the potential clinical utility of MYC in blood cells as a pharmacodynamic marker in clinical development. The in vivo efficacy of Atuveciclib (BAY-1143572) is significantly enhanced in combination with several chemotherapeutics in different solid tumor models[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Haematologica. 2018 Jul;103(7):1110-1123.

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REFERENCES

[1]. Scholz A, et al. BAY 1143572, a first-in-class, highly selective, potent and orally available inhibitor of PTEFb/CDK9 currently in Phase I, shows convincing anti-tumor activity in preclinical models of acute myeloid leukemia (AML). [abstract]. In: Proceedings of the 107th Annual Meeting of the American Association for Cancer Research; 2016 Apr 16-20; New Orleans, LA. Philadelphia (PA): AACR; Cancer Res 2016;76(14 Suppl):Abstract nr 3022.

[2]. Scholz A, et al. BAY 1143572: A first-in-class, highly selective, potent and orally available inhibitor of PTEFb/CDK9 currently in Phase I, inhibits MYC and shows convincing anti-tumor activity in multiple xenograft models by the induction of apoptosis. [abstract]. In: Proceedings of the 106th Annual Meeting of the American Association for Cancer Research; 2015 Apr 18-22; Philadelphia, PA. Philadelphia (PA): AACR; Cancer Res 2015;75(15 Suppl):Abstract nr DDT02-02. doi:10.1158/1538-7445.AM2015-DDT02-02

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