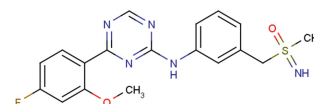


Atuveciclib Racemate

Chemical Properties

CAS No.:	1414943-88-6
Formula:	C ₁₈ H ₁₈ FN ₅ O ₂ S
Molecular Weight:	387.43
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Atuveciclib is a potent and highly selective, oral P-TEFb/CDK9 inhibitor which suppresses CDK9/CycT1 with an IC ₅₀ of 13 nM. Atuveciclib Racemate (BAY-1143572 Racemate) is the racemate mixture of Atuveciclib.
Targets(IC ₅₀)	CDK9: None
In vitro	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) 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) shows a favorable selectivity against a panel of non-CDK kinases and 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].
In vivo	The in vivo efficacy of Atuveciclib (BAY-1143572) is significantly enhanced in combination with several chemotherapeutics in different solid tumor models[2]. 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. 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].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.581 mL	12.906 mL	25.811 mL
5 mM	0.516 mL	2.581 mL	5.162 mL
10 mM	0.258 mL	1.291 mL	2.581 mL
50 mM	0.052 mL	0.258 mL	0.516 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

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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