Atuveciclib Racemate

Cat. No.: HY-12871
CAS No.: 1414943-88-6
Molecular Formula: C₁₈H₁₈FN₅O₂S
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

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 suppresses CDK9/CycT1 with an IC₅₀ of 13 nM.

IC₅₀ & Target
CDK9

In Vitro
Atuveciclib (BAY-1143572) inhibits the proliferation of 7 MLL-rearrangements positive and negative AML cell lines with a median IC₅₀ 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 IC₅₀ 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
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].

CUSTOMER VALIDATION


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REFERENCES

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