AC710 Mesylate

Cat. No.: HY-13493A

CAS No.: 1351522-05-8

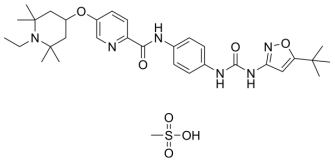
Molecular Formula: $C_{32}H_{46}N_6O_7S$

Molecular Weight: 658.81

Target: PDGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AC710 Mesylate is a potent PDGFR inhibitor with K_ds of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.

IC_{50} & Target

PDGFRα 1.3 nM (Kd)	PDGFRβ 1 nM (Kd)	c-Kit 1 nM (Kd)	FLT3 0.6 nM (Kd)
CSF1R 1.57 nM (Kd)			

In Vivo

At 0.3 mg/kg of AC710, tumor growth is temporally inhibited, and growth resumes quickly thereafter. At 3 and 30 mg/kg of AC710, tumors regress completely, and the tumor volume stay suppressed for an extended period after dosing is halted. No body weight loss is observed in animals treated with AC710 at all doses, indicating that it is well tolerated in mice at efficacious doses. AC710 exhibits a significant impact on disease in a dose-dependent fashion in a mouse collagen-induced arthritis (CIA) model, at a dose as low as 3 mg/ kg for 15 days (day 0-14). At 10 and 30 mg/kg, AC710 demonstrates equivalent or slightly better efficacy in reducing the joint swelling and inflammation than dexomethasone administered at a safe dose. AC710 is well tolerated at the tested doses[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]

Mice: The antitumor efficacy of AC710 is assessed in a subcutaneous flank-tumor xenograft model in athymic nude mice using the MV4-11cell line. AC710 is dosed at 0.3, 3, and 30 mg/kg for 2 weeks. Tumor growth and body weight is monitored[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liu G, et al. Discovery of AC710, a Globally Selective Inhibitor of Platelet-Derived Growth Factor Receptor-Family Kinases. ACS Med Chem Lett. 2012 Sep 24;3(12):997-1002.

Caution: Product has not been fully validated for medical applications. For research use only.

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