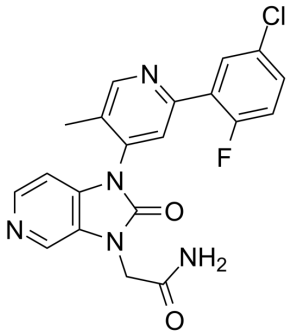
TP-008

Cat. No.:	HY-125851
CAS No.:	1976038-41-1
Molecular Formula:	$C_{20}H_{15}ClFN_5O_2$
Molecular Weight:	411.82
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TP-008 is a potent, selective and orally active (Activin-Like Kinase 5) ALK5 inhibitor with pIC_{50} and pEC_{50} values of 7.6 and 6.63, respectively. TGFβRI-IN-2 can produce observed cardiac toxicity in vivo at high dose[1].
IC_{50} & Target	IC50: ALK 5[1]
In Vitro	TP-008 at 1 μM shows a 31% inhibition of MAP3K2 (MEKK2) with an IC_{50} value of 2.2 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TP-008 (oral administation; 50, 150 and 500 mg/kg; 5 days) induces cardiovascular toxicity characterized by valvular interstitial cell proliferation, neutrophil presence, hemorrhage and fibrin deposition in the heart valves[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1]
Dosage:	50, 150 and 500 mg/kg
Administration:	Oral administation; 50, 150 and 500 mg/kg; 5 days
Result:	Induced cardiovalvulopathy in both the medium and high dose animal groups.

REFERENCES

[1]. Wang H, et al. Design, synthesis and optimization of novel Alk5 (activin-like kinase 5) inhibitors.Bioorg Med Chem Lett. 2016 Sep 1;26(17):4334-9.

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

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