Ponatinib hydrochloride

Cat. No.: HY-108766

CAS No.: 1114544-31-8

Molecular Formula: $C_{29}H_{28}ClF_3N_6O$

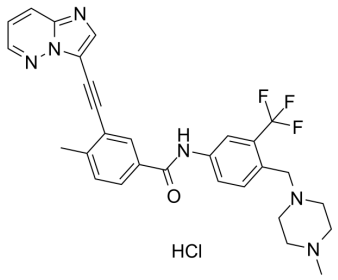
Molecular Weight: 569.02

Target: Bcr-Abl; FGFR; PDGFR; VEGFR; Src; Autophagy

Pathway: Protein Tyrosine Kinase/RTK; Autophagy

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (43.94 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7574 mL	8.7870 mL	17.5741 mL
	5 mM	0.3515 mL	1.7574 mL	3.5148 mL
	10 mM	0.1757 mL	0.8787 mL	1.7574 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 (4.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ponatinib (AP24534) hydrochloride is a hydrochloride of ponatinib. Ponatinib is an orally active multi-targeted kinase inhibitor with IC_{50}s of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for Abl, PDGFRα, VEGFR2, FGFR1, and Src, respectively[1].

IC_{50} & Target

LYN 0.24 nM (IC_{50})	Abl 0.37 nM (IC_{50})	PDGFRα 1.1 nM (IC_{50})	VEGFR2 1.5 nM (IC_{50})
FGFR1 2.2 nM (IC_{50})	Src 5.4 nM (IC_{50})		

CUSTOMER VALIDATION

- Cancer Discov. 2021 Jan;11(1):126-141.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- J Med Chem. 2019 May 23;62(10):5006-5024.
- Acta Pharmacol Sin. 2021 Jan;42(1):108-114.
- Biochem Pharmacol. 2021 Jul 30;114710.

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REFERENCES

[1]. O'Hare T, et al. AP24534, a pan-BCR-ABL inhibitor for chronic myeloid leukemia, potently inhibits the T315I mutant and overcomes mutation-based resistance. Cancer Cell, 2009, 16(5), 401-412.

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