

Product Data Sheet

Product Name: APS03118

Cat. No.: GC79484

Chemical Properties

Cas. No. 2598870-24-5

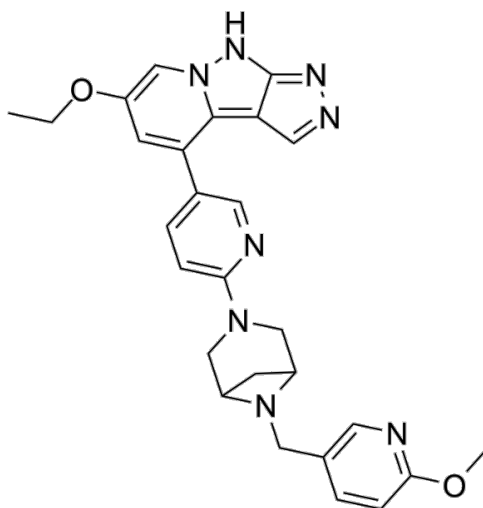
Formula $C_{27}H_{28}N_8O_2$ M.Wt 496.56

Solubility DMSO: 100 mg/mL (201.39 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

APS03118 is an orally active, potent and selective rearranged during transfection (RET) inhibitor. APS03118 broadly inhibits RET fusions and mutations (including G810, V804, L730, and Y806

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

variants), with IC_{50} values predominantly below 1 nM (0.095 nM for WT; ranging from 0.00438 to 5.72 nM for mutants), and demonstrates marked superiority against RET G810 mutations. APS03118 inhibits the entire RET signaling pathway (including RET, Shc, and ERK1/2), with >20-fold selectivity over most off-target kinases (except FLT3 and YES). APS03118 induces complete tumor regression in KIF5B-RET and CCDC6-RET V804 M patient derived xenografts (PDXs) and significantly prolongs survival in an intracranial CCDC6-RET metastasis mice model. APS03118 can be used for selective RET inhibitor (SRI)-resistant, RET-driven cancer research [1].

In Vivo, APS03118 (3, 10 and 30 mg/kg, p.o., BID for 28 days) shows potent anti-tumor effects in KIF5B-RET and CCDC6-RET V804M PDX mice models [1]. APS03118 (10 and 30 mg/kg, p.o., BID for 90 days) eliminates intracranial tumors and prolongs survival in an intracranial CCDC6-RET mice metastasis model [1]. APS03118 (10 and 30 mg/kg, p.o., BID for 14 and 15 days) inhibits tumor growth in Ba/F3 KIF5B-RET G810R and Ba/F3 KIF5B-RET V804M CDX mice models [1].

In Vitro, APS03118 (compound 5) shows markedly superior activity against the solvent-front RET G810 mutation compared to Selpercatinib and Pralsetinib [1]. APS03118 exhibits superior efficacy against resistant RET mutations in engineered models (solvent-front and gatekeeper) and also demonstrates potent antiproliferative activity comparable to Pralsetinib and Selpercatinib in a native RET fusion-positive LC2/ad lung cancer cells (IC_{50} = 10.08 nM) [1]. APS03118 (0-3000 nM) inhibits RET autophosphorylation in Ba/F3 KIF5B-RET, Ba/F3 KIF5B-RET V804M, Ba/F3 KIF5B-RET G810R, and Ba/F3 KIF5B-RET M918T cells with IC_{50} values of 1.91, 1.18, 14.66, and 2.28 nM [1]. APS03118 (0-1000 nM, 1.5 h) potently inhibits the entire RET signaling pathway (including RET, Shc, and ERK1/2) in TT-RET C634W cells at low nanomolar concentrations [1].

References:

[1]. Zhong J, et al. Discovery of APS03118, a Potent and Selective Next-Generation RET Inhibitor with a Novel Kinase Hinge Scaffold. J Med Chem. 2025 Sep 25;68(18):19536-19553.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA