

Product Specification Sheet

Product Name: AP26113

Catalog Number: C2726

Technical information:

Chemical Formula: C₂₆H₃₄ClN₆O₂P

CAS #: 1197958-12-5

Molecular Weight: 529.01

Purity: > 98%

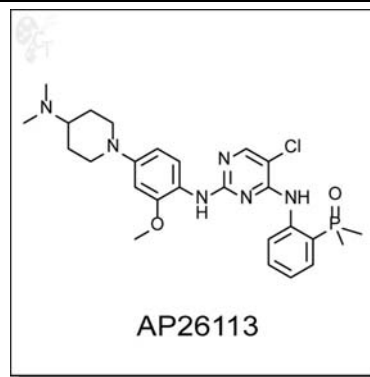
Appearance: white solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: 2,4-Pyrimidinediamine, 5-chloro-N2-[4-[4-(dimethylamino)-1-piperidinyl]-2-methoxyphenyl]-N4-[2-(dimethylphosphinyl)phenyl]-

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.189mL of DMSO for each mg of AP26113.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: AP26113 is an orally-available, potent, and selective inhibitor of ALK with a potency of 0.62 nM against wild-type and activity against a wide range of mutants, including the crizotinib-resistant L1196M line. In a panel of EML4-ALK or NPM-ALK-positive cell lines, AP26113 has IC50 values of 4-31 nM. (1, 2)

AP26113 is effective against sensitive and resistant H3122 cells, reducing cell growth, suppressing ALK phosphorylation, and inducing apoptosis. In Ba/F3 cells expressing native or mutant EML4-ALK, AP26113 was active at IC50s of 10 and 24 nM, respectively. (3)

- Reference:**
1. Shiao et al., Anaplastic Lymphoma Kinase (ALK) Inhibitors: New Cancer Breakthroughs for Lung Cancer, J. Cancer. Res. Pract. 2011, 27(4), 143-156.
 2. Rivera et al., Efficacy and pharmacodynamic analysis of AP26113, a potent and selective orally active inhibitor of Anaplastic Lymphoma Kinase (ALK). Cancer Res. 2010, 70(8), Suppl 1., AACR 101st Annual Meeting 2010.
 3. Katayama et al., Therapeutic strategies to overcome crizotinib resistance in non-small cell lung cancers harboring the fusion oncogene EML4-ALK. Proc. Natl. Acad. Sci. 2011, 108(18), 7535-7540. Pubmed ID: 21502504

To reorder: <http://www.cellagentech.com/AP26113/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.