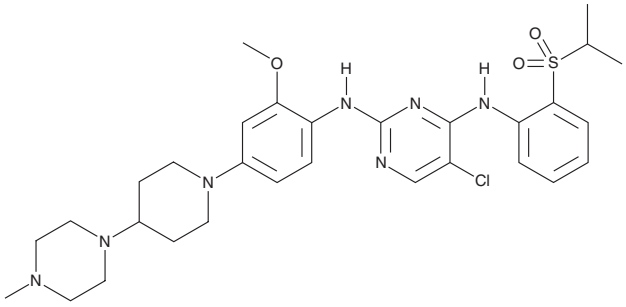
Product Information

TAE684

Item No. 17670

CAS Registry No.: 761439-42-3
Formal Name: 5-chloro-N2-[2-methoxy-4-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]phenyl]-N4-[2-[(1-methylethyl)sulfonyl]phenyl]-2,4-pyrimidinediamine
Synonym: NVP-TAE684
MF: $C_{30}H_{40}ClN_7O_3S$
FW: 614.2
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 209, 286 nm



Laboratory Procedures

For long term storage, we suggest that TAE684 be stored as supplied at -20°C. It should be stable for at least two years.

TAE684 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAE684 in the solvent of choice. TAE684 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TAE684 in these solvents is approximately 10 and 20 mg/ml, respectively.

TAE684 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAE684 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TAE684 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Human anaplastic lymphoma kinase (ALK) is an oncogene that is amplified in neuroblastomas and when juxtaposed with various fusion partners, its constitutive kinase activity is associated with the development of a type of anaplastic large cell lymphoma (ALCL).[1,2] TAE684 is an ALK inhibitor that blocks the proliferation of ALCL-derived and ALK-dependent cell lines with IC_{50} values of 2-5 nM.[1] When tested against a panel of 35 cells transformed by various tyrosine kinases, TAE684 demonstrated 100- to 1,000-fold selectivity for inhibiting ALK-driven cell proliferation.[1] TAE684 treatment induces cell cycle arrest and apoptosis in ALK-dependent cell lines and has been used to suppress tumor growth in *in vivo* models of ALK-positive ALCL and neuroblastoma.[1,2] TAE684 is also reported to inhibit the activity of the Parkinson's disease-linked leucine-rich repeat kinase 2 (IC_{50}s = 7.8 and 6.1 nM for wild-type and G2019S mutant LRRK2, respectively).[3]

References

1. Galkin, A.V., Melnick, J.S., Kim, S., *et al.* Identification of NVP-TAE684, a potent, selective, and efficacious inhibitor of NPM-ALK. P*roc. Natl. Acad. Sci. USA* **104(1)**, 270-275 (2007).
2. Hasan, M.K., Nafady, A., Takatori, A., *et al.* ALK is a MYCN target gene and regulates cell migration and invasion in neuroblastoma. *Sci. Rep.* **3**, (2013).
3. Zhang, J., Deng, X., Choi, H.G., *et al.* Characterization of TAE684 as a potent LRRK2 kinase inhibitor. *Bioorg. Med. Chem. Lett.* **22(55)**, 1864-1869 (2012).

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