PRODUCT INFORMATION



CH5132799

Item No. 26410

CAS Registry No.: 1007207-67-1

5-[6,7-dihydro-7-(methylsulfonyl)-2-(4-morpholinyl)-5H-Formal Name:

pyrrolo[2,3-d]pyrimidin-4-yl]-2-pyrimidinamine

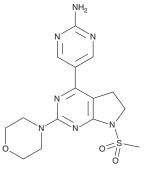
MF: $C_{15}H_{19}N_7O_3S$

FW: 377.4 **Purity:** ≥95%

 λ_{max} : 276, 337 nm A crystalline solid UV/Vis.: Supplied as:

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

CH5132799 is supplied as a crystalline solid. A stock solution may be made by dissolving the CH5132799 in the solvent of choice, which should be purged with an inert gas. CH5132799 is soluble in the organic solvent DMSO at a concentration of approximately 12 mg/ml.

Description

CH5132799 is an inhibitor of class I PI3K isoforms (IC $_{50}$ s = 14, 120, 500, and 36 nM for PI3K α , PI3K β , PI3Kδ, and PI3Kγ, respectively). It is selective for these PI3K isoforms over PI3KC2α and PI3KC2β, which are class II PI3Ks, Vps34, a class III PI3K, and mTOR (IC_{50} s = 5,300, >10,000, >10,000, and 1,600 nM, respectively), as well as 26 additional protein kinases ($IC_{50}s = >10,000 \text{ nM}$). CH5132799 inhibits proliferation of HCT116, KPL-4, T47D, and SKOV3 cancer cells expressing the activating mutation PI3K α^{H1047R} (IC₅₀s = 200, 32, 56, and 120 nM, respectively). It also reduces tumor growth in a PC3 mouse xenograft model when administered at a dose of 25 mg/kg per day.

Reference

1. Ohwada, J., Ebiike, H., Kawada, H., et al. Discovery and biological activity of a novel class I PI3K inhibitor, CH5132799. Bioorg. Med. Chem. Lett. 21(6), 1767-1772 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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