PRODUCT INFORMATION



L-779,450

Item No. 17807

CAS Registry No.: 303727-31-3

Formal Name: 2-chloro-5-[2-phenyl-4-(4-pyridinyl)-1H-

imidazol-5-yl]-phenol

Synonym: Raf Kinase Inhibitor IV

MF: C₂₀H₁₄CIN₃O 347.8

FW: **Purity:** ≥98%

 λ_{max} : 251, 295 nm UV/Vis.: A crystalline solid 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

L-779,450 is supplied as a crystalline solid. A stock solution may be made by dissolving the L-779,450 in the solvent of choice, which should be purged with an inert gas. L-779,450 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of L-779,450 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

L-779,450 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, L-779,450 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. L-779,450 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

L-779,450 is an ATP-competitive B-Raf inhibitor (IC $_{50}$ = 10 nM; K $_{d}$ = 2.4 nM) that displays >7, >30, and >70-fold selectivity over p38α, GSK3β, and Lck, respectively. It has been shown to inhibit cell proliferation both in B-Raf mutated and wild-type melanoma cell lines, as well as to enhance tumor necrosis factor-related apoptosis-inducing ligand (TRAIL)-mediated apoptosis in these cells.²

References

- 1. Takle, A.K., Brown, MJ.B., Savies, S., et al. The identification of potent, selective and CNS penetrant furan-based inhibitors of B-Raf kinase. Bioorg. Med. Chem. Lett. 18(15), 4373-4376 (2008).
- 2. Berger, A., Quast, S.-A., Plötz, M., et al. RAF inhibition overcomes resistance to TRAIL-induced apoptosis in melanoma cells. J. Invest. Dermatol. 134(2), 430-440 (2014).

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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