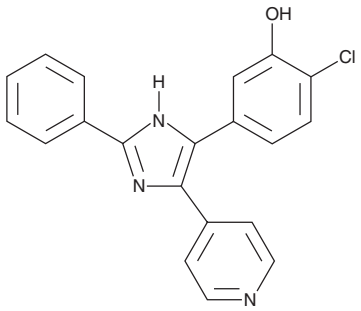
PRODUCT INFORMATION

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:	$C_{20}H_{14}ClN_3O$
FW:	347.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 251, 295 nm
Supplied as:	A crystalline solid
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.[1] 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).

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