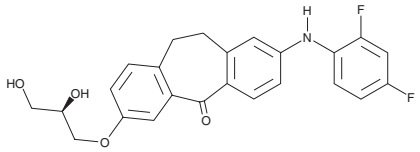
PRODUCT INFORMATION

Skepinone-L

Item No. 16974

CAS Registry No.:	1221485-83-1
Formal Name:	2-[(2,4-difluorophenyl)amino]-7-[(2R)-2,3-dihydroxypropoxy]-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one
MF:	$C_{24}H_{21}F_2NO_4$
FW:	425.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 246, 358, 362 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

Skepinone-L is supplied as a crystalline solid. A stock solution may be made by dissolving the skepinone-L in the solvent of choice. Skepinone-L is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of skepinone-L in ethanol and DMF is approximately 20 mg/ml and approximately 15 mg/ml and DMSO.

Skepinone-L is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, skepinone-L should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Skepinone-L has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Skepinone-L is an ATP-competitive inhibitor of p38 MAPK isoform p38α (IC_{50}s = 5 nM) and p38β (97% inhibition at 1 µM).[1,2] It has little effect on a range of other kinases, including p38γ and p38δ. Skepinone-L dose-dependently blocks the phosphorylation of heat shock protein 27 (HSP27), a p38 MAPK substrate, in response to stimulation with anisomycin (Item No. 11308) in HeLa cells (IC_{50} = 25 nM) or TNF-α in THP-1 cells.[1,2] At 1 µM, it prevents phosphorylation of cytosolic phospholipase A_2 in platelets in response to collagen-related peptide or thrombin (Item No. 13188), blunting platelet secretion and aggregation.[3]

References

1. Koeberle, S.C., Romir, J., Fischer, S., *et al.* Skepinone-L is a selective p38 mitogen-activated protein kinase inhibitor. *Nat. Chem. Biol.* **8(2)**, 141-143 (2011).
2. Koeberle, S.C., Fischer, S., Schollmeyer, D., *et al.* Design, synthesis, and biological evaluation of novel disubstituted dibenzosuberones as highly potent and selective inhibitors of p38 mitogen activated protein kinase. *J. Med. Chem.* **55(12)**, 5868-5877 (2015).
3. Borst, O., Walker, B., Münzer, P., *et al.* Skepinone-L, a novel potent and highly selective inhibitor of p38 MAP kinase, effectively impairs platelet activation and thrombus formation. *Cell Physiol. Biochem.* **31**, 914-924 (2013).

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