

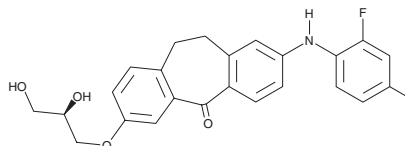
PRODUCT INFORMATION



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: C₂₄H₂₁F₂NO₄
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 (IC₅₀s = 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 (IC₅₀ = 25 nM) or TNF-α in THP-1 cells.^{1,2} At 1 μM, it prevents phosphorylation of cytosolic phospholipase A₂ 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).

WARNING

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

SAFETY DATA

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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