

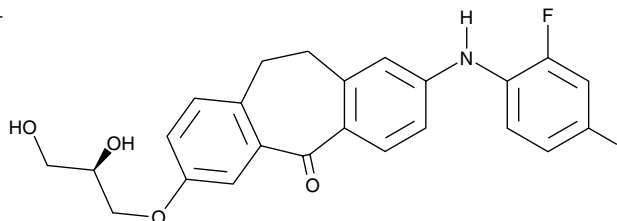
Product Information



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%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 246, 358, 362 nm



Laboratory Procedures

For long term storage, we suggest that skepinone-L be stored as supplied at -20°C. It should be stable for at least two years.

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.

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).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/16974

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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