PRODUCT INFORMATION



ABT-869

Item No. 13653

CAS Registry No.: 796967-16-3

Formal Name: N-[4-(3-amino-1H-indazol-4-yl)phenyl]-

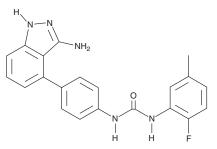
N'-(2-fluoro-5-methylphenyl)-urea

Synonym: Linifanib MF: C₂₁H₁₈FN₅O 375.4 FW: **Purity:** ≥98%

UV/Vis.: λ_{max} : 271, 323 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

ABT-869 is supplied as a crystalline solid. A stock solution may be made by dissolving the ABT-869 in the solvent of choice, which should be purged with an inert gas. ABT-869 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ABT-869 in these solvents is approximately 25 and 20 mg/ml, respectively.

ABT-869 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ABT-869 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ABT-869 has a solubility of approximately 0.2 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ABT-869 is a dual VEGFR and PDGFR family kinase inhibitor (IC_{50} s = 0.003, 0.004, 0.004, 0.19, 0.066, 0.003, and 0.014 μM for VEGFR1, VEGFR2, FLT3, VEGFR3, PDGFRβ, CSF-1R, and KIT, respectively). It is selective for these kinases over other tyrosine kinases, including RET, FGFR, Src, EGFR, and c-Met (IC508 = 1.9, >12.5, >50, >50, and >50 μ M, respectively), but also inhibits Tie2 (IC₅₀ = 0.17 μ M). ABT-869 inhibits the proliferation of HT-29 colon and MDA-MB-435 breast cancer, 9L glioma, and MV4-11 acute myeloid leukemia cells $(IC_{50}s = 1.3, 2.4, 0.27, and 0.004 \mu M, respectively)$. It induces apoptosis in MV4-11 cells $(IC_{50} = 0.03 \mu M)$ and inhibits VEGF-induced uterine edema in mice (ED $_{50}$ = 0.5 mg/kg).^{1,2} ABT-869 (1, 3, and 10 mg/kg) improves survival in a MOLM-13 leukemia mouse xenograft model.²

References

- 1. Albert, D.H., Tapang, P., Magoc, T.J., et al. Preclinical activity of ABT-869, a multitargeted receptor tyrosine kinase inhibitor. Mol. Cancer Ther. 5(4), 995-1006 (2006).
- 2. Shankar, D.B., Li, J., Tapang, P., et al. ABT-869, a multitargeted receptor tyrosine kinase inhibitor: Inhibition of FLT3 phosphorylation and signaling in acute myeloid leukemia. Blood 109(8), 3400-3408 (2007).

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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