

PRODUCT INFORMATION

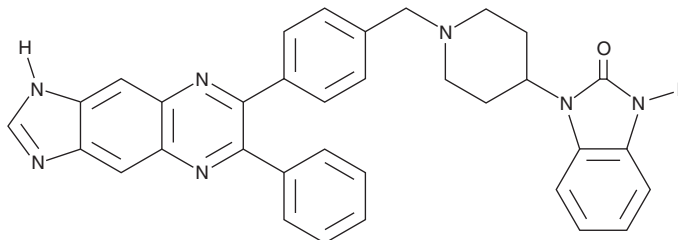


Akt Inhibitor VIII

Item No. 14870

CAS Registry No.: 612847-09-3
Formal Name: 1,3-dihydro-1-[1-[[4-(6-phenyl-1H-imidazo[4,5-g]quinoxalin-7-yl)phenyl]methyl]-4-piperidinyl]-2H-benzimidazol-2-one

MF: C₃₄H₂₉N₇O
FW: 551.7
Purity: ≥98%
UV/Vis.: λ_{max}: 264, 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

Akt inhibitor VIII is supplied as a crystalline solid. A stock solution may be made by dissolving the Akt inhibitor VIII in the solvent of choice, which should be purged with an inert gas. Akt inhibitor VIII is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of Akt inhibitor VIII in these solvents is approximately 14.3 and 16.6 mg/ml, respectively.

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

Description

Akt inhibitor VIII is a potent allosteric inhibitor of Akt1 and Akt2 (IC₅₀s = 58 and 210 nM, respectively) that less effectively blocks Akt3 activity (IC₅₀ = 2.2 μM).¹⁻³ It is a poor or ineffective inhibitor of a wide range of other serine-threonine kinases.⁴ Akt inhibitor VIII is cell permeable, blocking insulin regulation of forkhead box O1 activity at 1 μM in rat hepatoma cells.⁴

References

1. Lindsley, C.W., Zhao, Z., Leister, W.H., *et al.* Allosteric Akt (PKB) inhibitors: Discovery and SAR of isozyme selective inhibitors. *Bioorg. Med. Chem. Lett.* **15**(3), 761-764 (2005).
2. Zhao, Z., Leister, W.H., Robinson, R.G., *et al.* Discovery of 2,3,5-trisubstituted pyridine derivatives as potent Akt1 and Akt2 dual inhibitors. *Bioorg. Med. Chem. Lett.* **15**(4), 905-909 (2005).
3. Calleja, V., Laguerre, M., Parker, P.J., *et al.* Role of a novel PH-kinase domain interface in PKB/Akt regulation: Structural mechanism for allosteric inhibition. *PLoS Biol.* **7**(1), e17 (2009).
4. Logie, L., Ruiz-Alcaraz, A.J., Keane, M., *et al.* Characterization of a protein kinase B inhibitor in vitro and in insulin-treated liver cells. *Diabetes* **56**(9), 2218-2227 (2007).

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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