

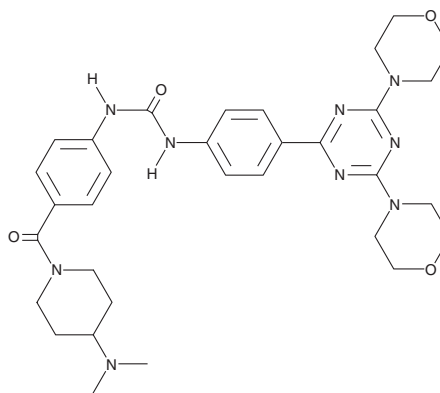
PRODUCT INFORMATION



PF-05212384

Item No. 14567

CAS Registry No.: 1197160-78-3
Formal Name: N-[4-[[4-(dimethylamino)-1-piperidinyl]carbonyl]phenyl]-N'-[4-(4,6-di-4-morpholinyl-1,3,5-triazin-2-yl)phenyl]-urea
Synonyms: PK-1587, PKI-587
MF: C₃₂H₄₁N₉O₄
FW: 615.7
Purity: ≥98%
UV/Vis.: λ_{max}: 228, 303 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years
Special Conditions: Light sensitive



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-05212384 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-05212384 in the solvent of choice, which should be purged with an inert gas. PF-05212384 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of PF-05212384 in these solvents is approximately 1 and 1.5 mg/ml, respectively.

PF-05212384 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-05212384 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-05212384 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Phosphatidylinositol-3-kinases (PI3Ks) act in concert with mTOR complexes to regulate signaling pathways that have critical roles in cancer and other diseases.^{1,2} PF-05212384 is a potent, dual PI3K/mTOR inhibitor (IC₅₀ values are 0.4 and 5.4 nM for PI3K α and PI3K γ , respectively, and 1.6 nM for mTOR).^{3,4} It is active both *in vitro* and *in vivo*, inhibiting the growth of cancer cells in culture or in xenografts in mice when delivered intravenously.^{3,4} PF-05212384 blocks the proliferation of liver cancer stem cells, and this effect is enhanced in combination therapy with the multikinase inhibitor BAY-43-9006 (Item No. 10009644).⁵ PF-05212384 action also enhances the effectiveness of cetuximab or radiation therapy in human head and neck cancer models.^{6,7}

References

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3. Mallon, R., Feldberg, L.R., Lucas, J., *et al. Clin. Cancer Res.* **17**(10), 3193-3203 (2011).
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5. Gedaly, R., Galuppo, R., Musgrave, Y., *et al. J. Surg. Res.* **185**(1), 225-230 (2013).
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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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