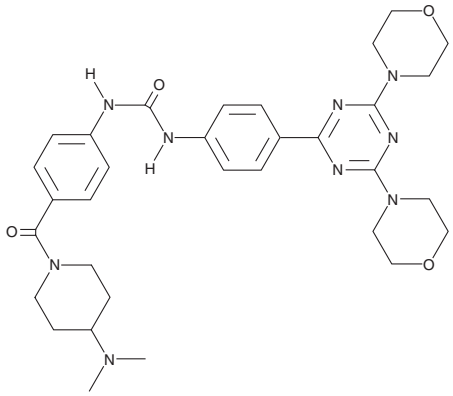
PRODUCT INFORMATION

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: $C_{32}H_{41}N_9O_4$
FW: 615.7
Purity: ≥95%
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 (IC_{50} values are 0.4 and 5.4 nM for PI3Kα and PI3Kγ, 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).
4. Venkatesan, A.M., Dehnhardt, C.M., Delos Santos, E., *et al. J. Med. Chem.* **53(6)**, 2636-2645 (2010).
5. Gedaly, R., Galuppo, R., Musgrave, Y., *et al. J. Surg. Res.* **185(1)**, 225-230 (2013).
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