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Produktinformation

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- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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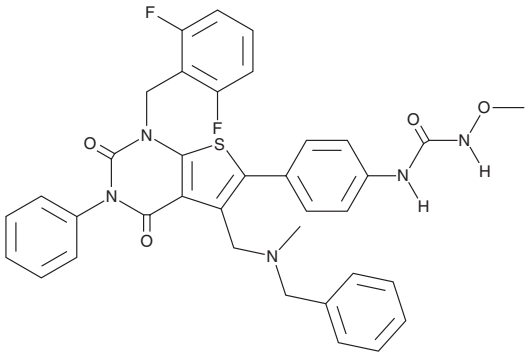
PRODUCT INFORMATION

Sufugolix

Item No. 27996

CAS Registry No.:	308831-61-0
Formal Name:	N-[4-[1-[(2,6-difluorophenyl)methyl]-1,2,3,4-tetrahydro-5-[[methyl(phenylmethyl)amino]methyl]-2,4-dioxo-3-phenylthieno[2,3-d]pyrimidin-6-yl]phenyl]-N'-methoxy-urea
Synonym:	TAK-013
MF:	$C_{36}H_{31}F_2N_5O_4S$
FW:	667.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 299 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Sufugolix is supplied as a solid. A stock solution may be made by dissolving the sufugolix in the solvent of choice, which should be purged with an inert gas. Sufugolix is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of sufugolix in these solvents is approximately 3 and 5 mg/ml, respectively.

Sufugolix is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sufugolix should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Sufugolix has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Sufugolix is a gonadotropin-releasing hormone (GnRH) receptor antagonist (IC_{50} = 0.1 nM).[1] Sufugolix inhibits GnRH-induced arachidonic acid (Item Nos. 90010 | 90010.1 | 10006607) release in CHO cells expressing human recombinant or monkey recombinant GnRH (IC_{50}s = 0.1 and 10 nM, respectively), but not the rat GnRH receptor. It inhibits luteinizing hormone (LH) release stimulated by GnRH in monkey pituitary cells *ex vivo* (IC_{50} = 36 nM).[2] Sufugolix (10 and 30 mg/kg) reduces increases in plasma LH following castration in male cynomolgus monkeys and lowers serum LH, estradiol, and progesterone levels in female cynomolgus monkeys when administered at a dose of 90 mg/kg.[1,2]

References

1. Sasaki, S., Cho, N., Nara, Y., *et al.* Discovery of a thieno[2,3-*d*]pyrimidine-2,4-dione bearing a *p*-methoxyureidophenyl moiety at the 6-position: A highly potent and orally bioavailable non-peptide antagonist for the human luteinizing hormone-releasing hormone receptor. *J. Med. Chem.* **46(1),** 113-124 (2003).
2. Hara, T., Araki, H., Kusaka, M., *et al.* Suppression of a pituitary-ovarian axis by chronic oral administration of a novel nonpeptide gonadotropin-releasing hormone antagonist, TAK-013, in cynomolgus monkeys. *J. Clin. Endocrinol. Metab.* **88(4),** 1697-1704 (2003).

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