

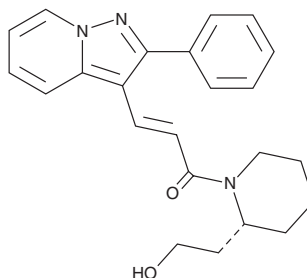
PRODUCT INFORMATION



FK-453

Item No. 45327

CAS Registry No.: 121524-18-3
Formal Name: (2E)-1-[(2R)-2-(2-hydroxyethyl)-1-piperidinyl]-3-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-2-propen-1-one
MF: C₂₃H₂₅N₃O₂
FW: 375.5
Purity: ≥98%
Supplied as: A 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

FK-453 is supplied as a solid. A stock solution may be made by dissolving the FK-453 in the solvent of choice, which should be purged with an inert gas. FK-453 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

FK-453 is an adenosine A₁ receptor antagonist (K_i = 18 nM).¹ It is selective for the adenosine A₁ receptor over the adenosine A_{2A} and A₃ receptors (K_is = 1,300 and 2,800 nM, respectively). FK-453 reduces adenosine-induced negative inotropic activity in isolated guinea pig atrial strips (IC₅₀ = 560 pM).² It has diuretic activity in rats, where it increases urine volume and sodium excretion when administered at a dose of 10 mg/kg, and reduces cyclosporin-induced nephrotoxicity in rats at 100 mg/kg.^{3,4}

References

1. Harada, H., Asano, O., Hoshino, Y., *et al.* 2-Alkynyl-8-aryl-9-methyladenines as novel adenosine receptor antagonists: Their synthesis and structure-activity relationships toward hepatic glucose production induced via agonism of the A_{2B} receptor. *J. Med. Chem.* **44**(2), 170-179 (2001).
2. Terai, T., Kita, Y., Kusunoki, T., *et al.* A novel non-xanthine adenosine A₁ receptor antagonist. *Eur. J. Pharmacol.* **279**(2-3), 217-225 (1995).
3. Balakrishnan, V.S., von Ruhland, C.J., Griffiths, D.F., *et al.* Effects of a selective adenosine A₁ receptor antagonist on the development of cyclosporin nephrotoxicity. *Br. J. Pharmacol.* **117**(5), 879-884 (1996).
4. Akahane, A., Katayama, H., Mitsunaga, T., *et al.* Discovery of FK453, a novel non-xanthine adenosine A₁ receptor antagonist. *Bioorg. Med. Chem. Lett.* **6**(17), 2059-2062 (1996).

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.

WARRANTY AND LIMITATION OF REMEDY

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