

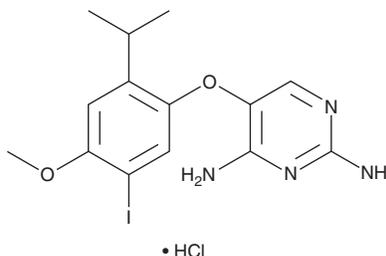
# PRODUCT INFORMATION



## AF-353 (hydrochloride)

Item No. 23034

**CAS Registry No.:** 927887-18-1  
**Formal Name:** 5-[5-iodo-4-methoxy-2-(1-methylethyl)phenoxy]-2,4-pyrimidinediamine, monohydrochloride  
**MF:** C<sub>14</sub>H<sub>17</sub>IN<sub>4</sub>O<sub>2</sub> • HCl  
**FW:** 436.7  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 231 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

AF-353 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the AF-353 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. AF-353 (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 20 mg/ml.

### Description

AF-353 is a noncompetitive dual antagonist of the purinoreceptors P2X<sub>3</sub> and P2X<sub>2/3</sub> (IC<sub>50</sub>s = 10 and 79.4 nM, respectively).<sup>1,2</sup> It is selective for P2X<sub>3</sub> and P2X<sub>2/3</sub> over P2X<sub>1</sub>, P2X<sub>2</sub>, P2X<sub>4</sub>, P2X<sub>5</sub>, and P2X<sub>7</sub> (IC<sub>50</sub> = >10 μM for all).<sup>2</sup> It inhibits calcium flux in CHO-K1 cells expressing the rat P2X<sub>3</sub> receptor and in 1321N1 cells expressing the human P2X<sub>3</sub> and P2X<sub>2/3</sub> receptors (IC<sub>50</sub>s = 8.91, 8.71, and 38.9 nM, respectively). AF-353 decreases the electrical signals in the detrusor, but not striated, muscle of the bladder in female rats.<sup>3</sup>

### References

1. Carter, D.S., Alam, M., Cai, H., *et al.* Identification and SAR of novel diaminopyrimidines. Part 1: The discovery of RO-4, a dual P2X<sub>3</sub>/P2X<sub>2/3</sub> antagonist for the treatment of pain. *Bioorg. Med. Chem. Lett.* **19(6)**, 1628-1631 (2009).
2. Gever, J.R., Soto, R., Henningsen, R.A., *et al.* AF-353, a novel, potent and orally bioavailable P2X<sub>3</sub>/P2X<sub>2/3</sub> receptor antagonist. *Br. J. Pharmacol.* **160(6)**, 1387-1398 (2010).
3. Salazar, B.H., Hoffman, K.A., Zhang, C., *et al.* Electrical activity of the bladder is attenuated by intravesical inhibition of P2X<sub>2/3</sub> receptors during micturition in female rats. *Int. Neurourol. J.* **21(4)**, 259-269 (2017).

#### 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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