

# Astemizole, [O-Methyl-<sup>3</sup>H]- NET1140

## Background

- Astemizole is known to be a potent blocker of the hERG (human ether-a-go-go-related gene) potassium ion channel.<sup>1,2</sup>
- Astemizole, [O-Methyl-<sup>3</sup>H]- was developed as a radioligand for the hERG K<sup>+</sup> channel binding assay.<sup>3</sup>
- The radioligand binding assay of the hERG channel is used to identify compounds which may have potential cardiotoxicity.
- Astemizole, [O-Methyl-<sup>3</sup>H]- binds to hERG K<sup>+</sup> channels expressed in HEK293 cells with a K<sub>d</sub> value of 5.9 nM.<sup>3</sup>

## Potential Therapeutic Relevance

HERG potassium channels are involved in the correct functioning of the heart. Drugs binding to this ion channel and disrupting its normal function might lead to heart arrhythmias and possible sudden death. Drug-induced blockade of hERG may cause QT prolongation of electrocardiographs and can also lead to a condition known as “torsades de pointes”. Several significant drugs have been removed from the market due to this type of cardiac toxicity. Early detection of drug candidates that abrogate hERG function is critical for drug companies’ cardiac safety and ADMET programs.

## Features

- Validated, high affinity channel binding.<sup>3</sup>
- K<sub>d</sub> = 5.9 nM.
- Specific Activity: 60–87 Ci/mmol (2.22–3.22 TBq/mmol).

## Packaging and Storage

- Package sizes: 0.025, 0.25, and 1.0 mCi.
  - Solvent: Ethanol sparged with argon.
  - Concentration: 1.0 mCi/mL.
  - Storage Conditions: -20 °C.
- (Please inquire about special package sizes.)

## Complementary Products

Product	Catalog Number
BeKm-1, [ <sup>125</sup> I]-	NEX412
Apamin, [ <sup>125</sup> I]-	NEX242
Glibenclamide, [ <sup>3</sup> H]-	NET1024
FlashBlue™ GPCR Scintillating Beads	FBB001002g
OptiPlate™, white opaque 384-well microplate for TopCount® detection	6007290
OptiPlate, black opaque 384-well Microplate for MicroBeta® detection	6007270
FlashPlate® PLUS, Wheat Germ Agglutinin 96-well scintillant coated microplate, 20-Plate/pack	SMP105A001PK
Wheat Germ Agglutinin FlashPlate HTS PLUS, 384-well, 10-Plate/Pack	SMP411A001PK
Image FlashPlate WGA coated 384-well, 40 plates	RMP111

## References

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2. Salata, J.J., Jurkiewicz, N.K., Wallace, A.A., Stupienski, R.F. 3<sup>rd</sup>, Guinosso P.J. Jr., Lynch, J.J. Jr. *Circ. Res.* 76, 110–119 (1995).
3. Chiu, P.J.S., Marcoe, K.F., Bounds, S.E., Lin, C-H., Feng, J-J., Lin, A., Cheng, F-C., Crumb, W.J., Mitchell, R., *J. Pharmacol. Sci.* 95, 311–319 (2004).

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