# Repinotan

**Cat. No.:** HY-12959

**CAS No.:** 144980-29-0

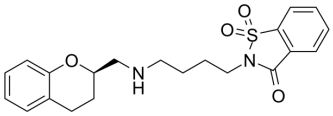
**Molecular Formula:** $C_{21}H_{24}N_2O_4S$

**Molecular Weight:** 400.49

**Target:** 5-HT Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.



## BIOLOGICAL ACTIVITY

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| **Description** | Repinotan (BAY x 3702 free base) is a potent, selective, brain-penetrant and orally active 5-HT1A receptor agonist, with $K_i$ values of 0.19 nM (calf hippocampus), 0.25 nM (rat and human cortex), and 0.59 nM (rat hippocampus). Repinotan has a weak affinity for other related receptors. Repinotan has pronounced neuroprotective effects[1]. | | | |
| **$IC_{50}$ & Target** | 5-$HT_{1A}$ Receptor<br>0.19 nM (Ki, In calf hippocampus) | 5-$HT_{1A}$ Receptor<br>0.25 nM (Ki, In rat and human cortex) | 5-$HT_{1A}$ Receptor<br>0.59 nM (Ki, In rat hippocampus) | 5-$HT_7$ Receptor<br>6 nM (Ki) |
| **In Vitro** | Repinotan binds with lower affinity to 5-HT7 ($K_i$ = 6 nM), α1- and α2 adrenergic ($K_i$ = 6 nM and 7 nM, respectively), 5-HT1D (36 nM), dopamine D2 and D4 (48 nM and 91 nM, respectively), σ sites (176 nM) and 5-HT2C (310 nM) receptors[1].<br>Exposure to repinotan protects rat cortical and hippocampal neurons in cultures from apoptosis induced by 25 nM Staurosporine. After Staurosporine-induced apoptosis, Repinotan, at 50 pM to 1 μM, reduces the release of lactate dehydrogenase, DNA fragmentation, and apoptotic body formation in a concentration-dependent manner[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. | | | |
| **In Vivo** | Repinotan (1-100 μg/kg) causes strong, dose-dependent infarct reductions in permanent middle cerebral artery occlusion, transient middle cerebral artery occlusion, and traumatic brain injury paradigms[1].<br>The half-life of Repinotan in plasma is relatively short (t1/2 = 0.6 h in rat; 0.4 h in rhesus monkeys), and Repinotan is extensively metabolized[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. | | | |

## REFERENCES

[1]. A C Berends, et al. A review of the neuroprotective properties of the 5-HT1A receptor agonist repinotan HCl (BAYx3702) in ischemic stroke. CNS Drug Rev. Winter 2005;11(4):379-402.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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