

RESEARCH MONOGRAPH . COMPOUND PROFILE

Tandospirone

A second-generation azapirone 5-HT_{1A} partial agonist marketed in Japan and China; not approved in Western markets.

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COMPOUND CLASS	5-HT _{1A} partial agonist anxiolytic (Asian markets)

ABSTRACT

Tandospirone ((1R,2R,6S,7S)-4-(4-{[4-(pyrimidin-2-yl)piperazin-1-yl]butyl})-4-azatricyclo[5.2.1.0^{2,6}]decane-3,5-dione; CAS 87760-53-0; molecular formula C₂₁H₂₉N₅O₂; molecular weight 383.49) is a second-generation azapirone 5-HT_{1A} partial agonist developed at Sumitomo and approved in Japan in 1996 (Sediel) and China in 2004 (Senparen). Receptor profile is closely related to buspirone: 5-HT_{1A} affinity approximately 27 nM (intrinsic activity approximately 60 percent, higher than buspirone); minimal D₂ affinity. Compared to buspirone, the higher 5-HT_{1A} intrinsic activity is hypothesized to produce somewhat greater anxiolytic and antidepressant effect. Plasma half-life is approximately 1 hour; metabolism is via CYP3A4 with active metabolite 1-PP common to the azapirone class. Approved indications include generalized anxiety disorder and adjustment disorder with anxiety; off-label use in depression. Not approved in the US or EU. Used as a reference azapirone with higher 5-HT_{1A} intrinsic activity than buspirone in mechanism studies.

1. Compound Identification

Tandospirone is described as 5-HT_{1A} partial agonist anxiolytic (Asian markets). A second-generation azapirone 5-HT_{1A} partial agonist marketed in Japan and China; not approved in Western markets.

1.1 Class summary

5-HT_{1A} partial agonist anxiolytic (Asian markets)

1.2 Subtitle

A second-generation azapirone 5-HT_{1A} partial agonist marketed in Japan and China; not approved in Western markets.

2. Abstract

Tandospirone ((1R,2R,6S,7S)-4-(4-([4-(pyrimidin-2-yl)piperazin-1-yl]butyl))-4-azatricyclo[5.2.1.0^{2,6}]decane-3,5-dione; CAS 87760-53-0; molecular formula C₂₁H₂₉N₅O₂; molecular weight 383.49) is a second-generation azapirone 5-HT_{1A} partial agonist developed at Sumitomo and approved in Japan in 1996 (Sediel) and China in 2004 (Senparen). Receptor profile is closely related to buspirone: 5-HT_{1A} affinity approximately 27 nM (intrinsic activity approximately 60 percent, higher than buspirone); minimal D₂ affinity. Compared to buspirone, the higher 5-HT_{1A} intrinsic activity is hypothesized to produce somewhat greater anxiolytic and antidepressant effect. Plasma half-life is approximately 1 hour; metabolism is via CYP3A4 with active metabolite 1-PP common to the azapirone class. Approved indications include generalized anxiety disorder and adjustment disorder with anxiety; off-label use in depression. Not approved in the US or EU. Used as a reference azapirone with higher 5-HT_{1A} intrinsic activity than buspirone in mechanism studies.

3. Mechanism of Action

5-HT_{1A} partial agonist (higher intrinsic activity than buspirone, ~60 percent). Same azapirone class with shared 1-PP active metabolite.

The mechanistic profile described above represents the consensus position from peer-reviewed literature where available; for compounds with sparse formal characterization, this section reflects vendor-grade reports and structurally-related class pharmacology and should be interpreted with appropriate caution.

4. Pharmacokinetics and Routes of Administration

Pharmacokinetic data are summarized within the abstract for compounds with formal Phase 1 records. Compounds without published human pharmacokinetic studies are noted as such; investigators should treat the absence of data as a research limitation.

5. Reported Research Dose Ranges

Clinical 30 to 60 mg per oral administration daily, in divided doses. Rodent studies 1 to 30 mg/kg/day.

Doses are scaled from clinical use where the compound is approved as a medicine, from Phase 2/3 trials where development advanced, or from rodent dose-response data scaled by allometric assumptions where the compound has no human clinical record. Investigators should treat dose ranges from research-chemical-grade vendors as starting points for their own pharmacokinetic and tolerability characterization rather than validated dosing recommendations.

6. Sourcing and Quality Verification

Investigators should obtain analytical confirmation of identity (mass spectrometry; for peptides, additionally amino acid analysis or N-terminal sequencing) and purity (HPLC area-percent, ideally greater than 95 percent) on every lot. Vendor certificates of analysis vary in quality; third-party analytical confirmation through independent laboratories (e.g., Janoshik Analytical, Anabolic Lab) is the gold standard for research-grade peptides and small molecules.

For compounds with established pharmaceutical-grade marketing in any jurisdiction, sourcing from the marketed product (where legal and accessible) provides the highest quality assurance.

7. Reconstitution and Handling

Peptides should be reconstituted in bacteriostatic water or sterile water for injection in the volume appropriate to the desired concentration; lyophilized peptides should be allowed to come to room temperature before reconstitution to minimize precipitation. Reconstituted peptides should be stored refrigerated and used within the timeframe specified by the manufacturer (typically 14 to 30 days for bacteriostatic water reconstitutions, shorter for sterile water).

Small molecules: storage conditions vary by compound; consult the certificate of analysis for the specific product. Most are stable at room temperature in dry, dark conditions; oxidation-sensitive compounds (e.g., catecholamine precursors) require cool storage and protection from light.

8. Stack Interaction Considerations

Investigators combining this compound with other research substances should consider receptor-level interactions (additive effects at shared targets), enzyme-level interactions (CYP-mediated drug-drug interactions where applicable), and pharmacodynamic interactions (additive sedation, additive serotonergic activity, additive hemodynamic effects).

The stack interaction section in published monographs of well-characterized compounds is necessarily incomplete for any specific combination; investigators should treat novel combinations with elevated caution and titrate gradually.

9. References

1. Shimizu H, et al. Pharmacological properties of tandospirone, an anxiolytic agent. Folia Pharmacol Jpn 1995.
2. Tanaka H, et al. Tandospirone, a novel 5-HT_{1A} partial agonist. Neuropharmacology 1995.
3. Nishitsuji K, et al. Tandospirone: clinical experience in Japan. CNS Drug Rev 2004.

This monograph is provided for research use only. Not for medical, diagnostic, or therapeutic purposes. Not for human consumption. Compound class generalizations are noted where compound-specific data are sparse; investigators should weight the evidence accordingly.